STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 166190

TO: Tamthom Truong
Location: REM/5D19/5C18
Art Unit: 1624
Thursday, September 29, 2005

Case Serial Number: 10/088856

From: Deirdre Arnold
Location: Biotech-Chem Library
REM 1A64
Phone: 571-272-2532

Deirdre.Arnold@uspto.gov

Search Notes

Only hits before 2000 are displayed; if you would like to see others, please contact me within 5 days.

Please feel free to contact me if you have any questions or would like to amend the search.

Thank you for using STIC services.

Regards,
Deirdre Arnold

```
=> fil lreg
FILE 'LREGISTRY' ENTERED AT 13:18:37 ON 29 SEP 2005
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LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

=> fil reg
FILE 'REGISTRY' ENTERED AT 13:18:39 ON 29 SEP 2005
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   28 SEP 2005  HIGHEST RN 864132-17-2
DICTIONARY FILE UPDATES:  28 SEP 2005  HIGHEST RN 864132-17-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> fil zcap
FILE 'ZCAPLUS' ENTERED AT 13:18:42 ON 29 SEP 2005
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of this information, without the prior written consent of CAS is
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FILE COVERS 1907 - 29 Sep 2005 VOL 143 ISS 14
FILE LAST UPDATED: 28 Sep 2005 (20050928/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> fil toxcenter
FILE 'TOXCENTER' ENTERED AT 13:18:46 ON 29 SEP 2005
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FILE COVERS 1907 TO 27 Sep 2005 (20050927/ED)

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New CAS Information Use Policies, enter HELP USAGETERMS for details.

TOXCENTER has been enhanced with new files segments and search fields.
See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary. See http://www.nlm.nih.gov/mesh/ and http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html for a description of changes.

=> fil uspatfull
FILE 'USPATFULL' ENTERED AT 13:18:50 ON 29 SEP 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Sep 2005 (20050927/PD)
FILE LAST UPDATED: 27 Sep 2005 (20050927/ED)
HIGHEST GRANTED PATENT NUMBER: US6951031
HIGHEST APPLICATION PUBLICATION NUMBER: US2005210555
CA INDEXING IS CURRENT THROUGH 27 Sep 2005 (20050927/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Sep 2005 (20050927/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<

>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> fil uspat2
FILE 'USPAT2' ENTERED AT 13:18:54 ON 29 SEP 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 2001 TO PUBLICATION DATE: 29 Sep 2005 (20050929/PD)
FILE LAST UPDATED: 29 Sep 2005 (20050929/ED)
HIGHEST GRANTED PATENT NUMBER: US2005202247
HIGHEST APPLICATION PUBLICATION NUMBER: US2005216997
CA INDEXING IS CURRENT THROUGH 29 Sep 2005 (20050929/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 29 Sep 2005 (20050929/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2005

USPAT2 is a companion file to USPATFULL. USPAT2 contains full text
of the latest US publications, starting in 2001, for the inventions
covered in USPATFULL. USPATFULL contains full text of the original
published US patents from 1971 to date and the original applications
from 2001. In addition, a USPATFULL record for an invention contains
a complete list of publications that may be searched in standard
search fields, e.g., /PN, /PK, etc.

USPATFULL and USPAT2 can be accessed and searched together through
the new cluster USPATALL. Type FILE USPATALL to enter this cluster.

Use USPATALL when searching terms such as patent assignees,
classifications, or claims, that may potentially change from the
earliest to the latest publication.

=> fil beilst
FILE 'BEILSTEIN' ENTERED AT 13:18:59 ON 29 SEP 2005
COPYRIGHT (c) 2005 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften
licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE RELOADED ON OCTOBER 20, 2002
FILE LAST UPDATED ON JUNE 29, 2005

FILE COVERS 1771 TO 2005.
*** FILE CONTAINS 9,271,550 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

```
**********************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.                *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE   *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE          *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                        *
* FOR PRICE INFORMATION SEE HELP COST                                *
**********************************************************************
```

NEW

*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**

*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

```
=> fil babs
FILE 'BABS' ENTERED AT 13:19:02 ON 29 SEP 2005
COPYRIGHT (c) 2005 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften
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FILE LAST UPDATED: 11 JUL 2005       <20050711/UP>
FILE COVERS 1980 TO DATE.

=> fil hcap
FILE 'HCAPLUS' ENTERED AT 13:19:08 ON 29 SEP 2005
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FILE COVERS 1907 - 29 Sep 2005  VOL 143 ISS 14
FILE LAST UPDATED: 28 Sep 2005  (20050928/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> fil medlin
FILE 'MEDLINE' ENTERED AT 13:19:11 ON 29 SEP 2005

 FILE LAST UPDATED: 28 SEP 2005 (20050928/UP).  FILE COVERS 1950 TO DATE.

 On December 19, 2004, the 2005 MeSH terms were loaded.

 The MEDLINE reload for 2005 is now available.  For details enter HELP
 RLOAD at an arrow promt (=>).  See also:

    http://www.nlm.nih.gov/mesh/
    http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html
```

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> fil biosis
FILE 'BIOSIS' ENTERED AT 13:19:15 ON 29 SEP 2005

FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 28 September 2005 (20050928/ED)

FILE RELOADED: 19 October 2003.

=> fil embase
FILE 'EMBASE' ENTERED AT 13:19:18 ON 29 SEP 2005

FILE COVERS 1974 TO 22 Sep 2005 (20050922/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> fil pascal
FILE 'PASCAL' ENTERED AT 13:19:22 ON 29 SEP 2005

FILE LAST UPDATED: 26 SEP 2005 <20050926/UP>
FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE
IN THE BASIC INDEX (/BI) FIELD <<<

=> fil jicst
FILE 'JICST-EPLUS' ENTERED AT 13:19:25 ON 29 SEP 2005

FILE COVERS 1985 TO 26 SEP 2005 (20050926/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

=> fil caba
FILE 'CABA' ENTERED AT 13:19:28 ON 29 SEP 2005

FILE COVERS 1973 TO 2 Sep 2005 (20050902/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

The CABA file was reloaded 7 December 2003. Enter HELP RLOAD for details.

=> fil cancerlit
FILE 'CANCERLIT' ENTERED AT 13:19:31 ON 29 SEP 2005

FILE COVERS 1963 TO 15 Nov 2002 (20021115/ED)

On July 28, 2002, CANCERLIT was reloaded. See HELP RLOAD for details.

CANCERLIT thesauri in the /CN, /CT, and /MN fields incorporate the
MeSH 2002 vocabulary. Enter HELP THESAURUS for details.

This file contains CAS Registry Numbers for easy and accurate substance
identification.

=> fil drugu
FILE 'DRUGU' ENTERED AT 13:19:34 ON 29 SEP 2005
COPYRIGHT (C) 2005 THE THOMSON CORPORATION

FILE LAST UPDATED: 27 SEP 2005 <20050927/UP>
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<

>>> FILE COVERS 1983 TO DATE <<<
>>> THESAURUS AVAILABLE IN /CT <<<

=> fil scisearch
FILE 'SCISEARCH' ENTERED AT 13:19:39 ON 29 SEP 2005
Copyright (c) 2005 The Thomson Corporation

FILE COVERS 1974 TO 22 Sep 2005 (20050922/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

=> fil wpix
FILE 'WPIX' ENTERED AT 13:19:41 ON 29 SEP 2005
COPYRIGHT (C) 2005 THE THOMSON CORPORATION

FILE LAST UPDATED: 28 SEP 2005 <20050928/UP>
MOST RECENT DERWENT UPDATE: 200562 <200562/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf <<<

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
http://thomsonderwent.com/coverage/latestupdates/ <<<

>>> FOR INFORMATION ON ALL DERWENT WORLD PATENTS INDEX USER
GUIDES, PLEASE VISIT:
http://thomsonderwent.com/support/userguides/ <<<

>>> NEW! FAST-ALERTING ACCESS TO NEWLY-PUBLISHED PATENT
DOCUMENTATION NOW AVAILABLE IN DERWENT WORLD PATENTS INDEX
FIRST VIEW - FILE WPIFV.

FOR FURTHER DETAILS: http://www.thomsonderwent.com/dwpifv <<<

>>> THE CPI AND EPI MANUAL CODES HAVE BEEN REVISED FROM UPDATE 200501.
PLEASE CHECK:
http://thomsonderwent.com/support/dwpiref/reftools/classification/code-revision/
FOR DETAILS. <<<

=> fil conf
FILE 'CONF' ENTERED AT 13:19:45 ON 29 SEP 2005
COPYRIGHT (c) 2005 FIZ Karlsruhe

FILE LAST UPDATED: 23 SEP 2005 <20050923/UP>
FILE COVERS 1976 TO DATE.

=> fil confsci
FILE 'CONFSCI' ENTERED AT 13:19:50 ON 29 SEP 2005
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FILE COVERS 1973 TO 25 May 2005 (20050525/ED)

=> fil dissabs
FILE 'DISSABS' ENTERED AT 13:19:54 ON 29 SEP 2005
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FILE COVERS 1861 TO 26 AUG 2005 (20050826/ED)

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PARTICULAR PURPOSE, AND SHALL NOT BE LIABLE FOR DAMAGES OF ANY
KIND OR LOST PROFITS OR OTHER CLAIMS RELATED TO THE LICENSED
MATERIALS OR THEIR USE.

=> file stnguide
FILE 'STNGUIDE' ENTERED AT 13:19:56 ON 29 SEP 2005
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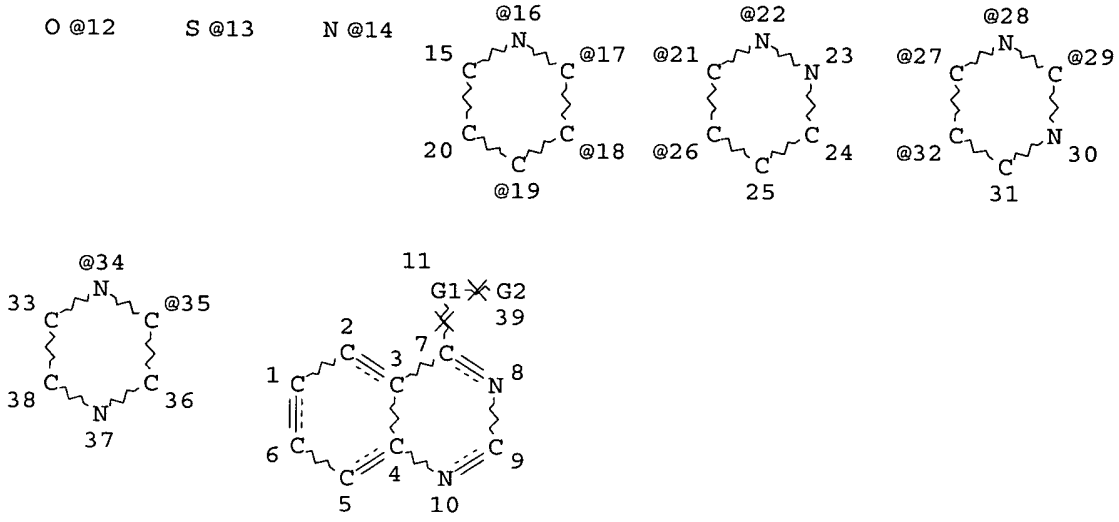
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 23, 2005 (20050923/UP).

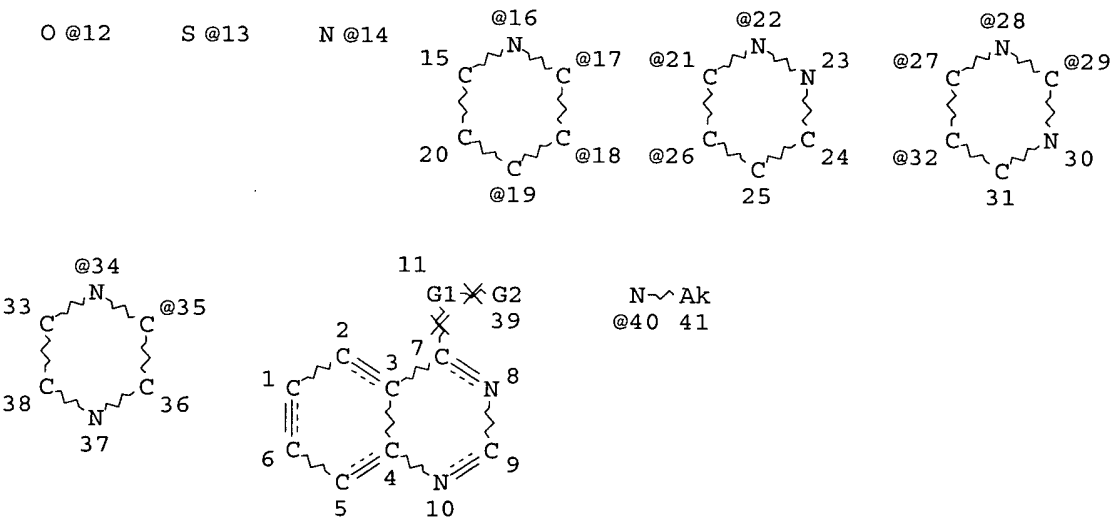
=> d que stat l30
L6 STR



VAR G1=12/13/14
VAR G2=16/17/18/19/22/21/26/29/28/27/32/34/35
NODE ATTRIBUTES:
NSPEC IS RC AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 39

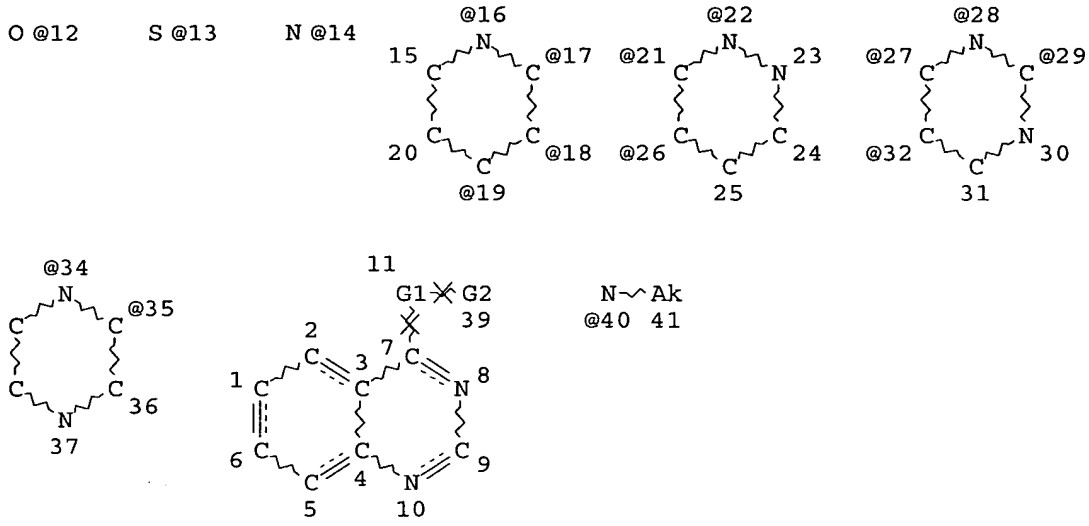
STEREO ATTRIBUTES: NONE
L8 1417 SEA FILE=REGISTRY SSS FUL L6
L20 STR



VAR G1=12/13/14/40
VAR G2=16/17/18/19/22/21/26/29/28/27/32/34/35
NODE ATTRIBUTES:
NSPEC IS RC AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
NSPEC IS RC AT 40
CONNECT IS E2 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
L23 1378 SEA FILE=REGISTRY SUB=L8 SSS FUL L20
L27 STR



VAR G1=12/13/14/40
VAR G2=16/17/18/19/22/21/26/29/28/27/32/34/35
NODE ATTRIBUTES:
NSPEC IS RC AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
NSPEC IS RC AT 40
CONNECT IS E2 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
L30 1240 SEA FILE=REGISTRY SUB=L23 SSS FUL L27

100.0% PROCESSED 1378 ITERATIONS 1240 ANSWERS
SEARCH TIME: 00.00.01

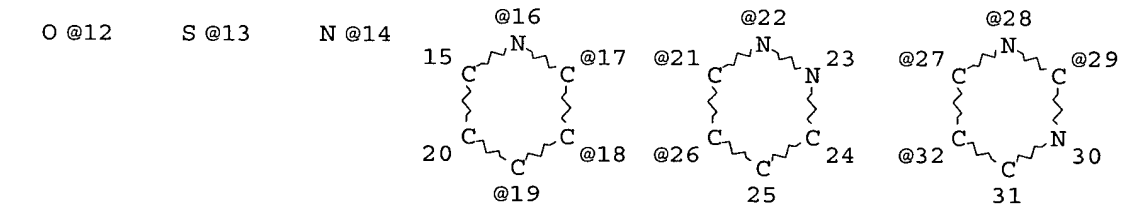
```
=> d l33 1-12
L33          ANALYZE L30 1- LC :      12 TERMS

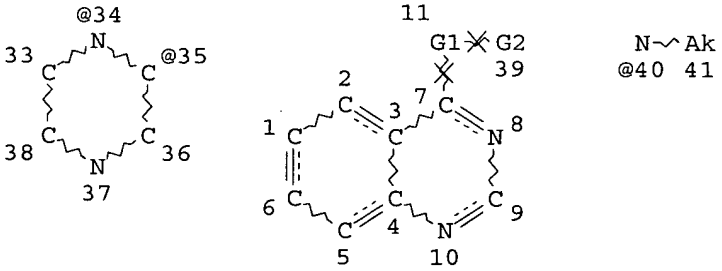
TERM #    # OCC   # DOC   % DOC LC
------ -------- ------ ------ ---------------
     1     1073   1073  86.53 CAPLUS
     2     1063   1063  85.73 CA
     3      911    911  73.47 TOXCENTER
     4      247    247  19.92 USPATFULL
     5       65     65   5.24 CHEMCATS
     6       27     27   2.18 CASREACT
     7       24     24   1.94 USPAT2
     8       12     12   0.97 BEILSTEIN
     9        2      2   0.16 IFICDB
    10        2      2   0.16 IFIPAT
    11        2      2   0.16 IFIUDB
    12        1      1   0.08 BIOSIS
*********  END OF L33***


=> d que nos l38
L6              STR
L8           1417 SEA FILE=REGISTRY SSS FUL L6
L20             STR
L23          1378 SEA FILE=REGISTRY SUB=L8 SSS FUL L20
L27             STR
L30          1240 SEA FILE=REGISTRY SUB=L23 SSS FUL L27
L37            73 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30
L38            31 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L37 AND (AY<2000 OR PY<2000
                  OR PRY<2000)



=> => d que stat l40
L27             STR
```






```
VAR G1=12/13/14/40
```

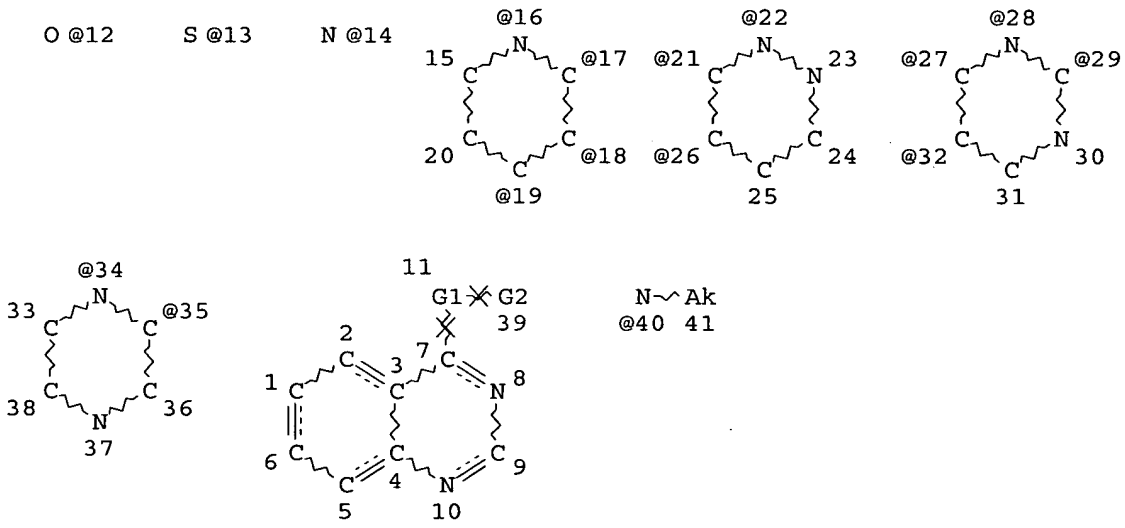
VAR G2=16/17/18/19/22/21/26/29/28/27/32/34/35
NODE ATTRIBUTES:
NSPEC IS RC AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
NSPEC IS RC AT 40
CONNECT IS E2 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
L40 45 SEA FILE=BEILSTEIN SSS FUL L27

100.0% PROCESSED 53453 ITERATIONS 45 ANSWERS
SEARCH TIME: 00.00.53

=> d que l41
L27 STR



VAR G1=12/13/14/40
VAR G2=16/17/18/19/22/21/26/29/28/27/32/34/35
NODE ATTRIBUTES:
NSPEC IS RC AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
NSPEC IS RC AT 40
CONNECT IS E2 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 41

```
STEREO ATTRIBUTES: NONE
L40          45 SEA FILE=BEILSTEIN SSS FUL L27
L41          33 SEA FILE=BEILSTEIN ABB=ON  PLU=ON  L40 NOT RN/FA


=> d his l41-l43

     (FILE 'BEILSTEIN' ENTERED AT 12:10:23 ON 29 SEP 2005)
L41          33 S L40 NOT RN/FA
                SELECT L41 1- BABSAN

     FILE 'BABS' ENTERED AT 12:11:55 ON 29 SEP 2005
L42          10 S E25-E34/AN
L43           5 S L42 AND PY<2000

=> d que l43
L42          10 SEA FILE=BABS ABB=ON  PLU=ON  (6275679/AN OR 6168015/AN OR
                5638164/AN OR 6001394/AN OR 5793551/AN OR 5998817/AN OR
                6360690/AN OR 6375057/AN OR 6435713/AN OR 6436095/AN)
L43           5 SEA FILE=BABS ABB=ON  PLU=ON  L42 AND PY<2000


=> d his l46

     (FILE 'USPATFULL, USPAT2' ENTERED AT 12:14:15 ON 29 SEP 2005)
L46          24 S L45 AND (AY<2000 OR PY<2000 OR PRY<2000)

=> d que nos l46
L6               STR
L8         1417 SEA FILE=REGISTRY SSS FUL L6
L20              STR
L23        1378 SEA FILE=REGISTRY SUB=L8 SSS FUL L20
L27              STR
L30        1240 SEA FILE=REGISTRY SUB=L23 SSS FUL L27
L34         247 SEA FILE=REGISTRY ABB=ON  PLU=ON  L30 AND (USPATFULL OR
                USPAT2)/LC
L45          42 SEA L34
L46          24 SEA L45 AND (AY<2000 OR PY<2000 OR PRY<2000)


=> d que l58
L48           1 SEA FILE=WPIX ABB=ON  PLU=ON  0038-49701?/M0,M1,M2,M3,M4,M5,M6

L49        1602 SEA FILE=WPIX ABB=ON  PLU=ON  (D740 (P) (F530 OR F541 OR F551)
                (P) (M141 OR M143 OR M142))/M0,M1,M2,M3,M4,M5,M6
L50       11620 SEA FILE=WPIX ABB=ON  PLU=ON  (C07D403-12 OR C07D401-12)/IPC
L54         549 SEA FILE=WPIX ABB=ON  PLU=ON  (C07D239-94 OR C07D239-93 OR
                C07D239-88)/IPC
L55          49 SEA FILE=WPIX ABB=ON  PLU=ON  L49 AND L54
L56          29 SEA FILE=WPIX ABB=ON  PLU=ON  L50 AND L55
L57          29 SEA FILE=WPIX ABB=ON  PLU=ON  L48 OR L56
L58          24 SEA FILE=WPIX ABB=ON  PLU=ON  L57 AND (AY<2000 OR PY<2000 OR
                PRY<2000)


=> d que nos l75
L1            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  WO2000-GB3593/APPS
L3              TRANSFER  PLU=ON  L1 1- RN :     693 TERMS
L4          693 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3
L6              STR
```

```
L8          1417 SEA FILE=REGISTRY SSS FUL L6
L9           361 SEA FILE=REGISTRY ABB=ON  PLU=ON  L8 AND L4
L60              SEL  PLU=ON  L9 1- CHEM :     361 TERMS
L61            0 SEA FILE=MEDLINE ABB=ON  PLU=ON  L60
L62              QUE  ABB=ON  PLU=ON  ?QUINAZOL?
L63              QUE  ABB=ON  PLU=ON  ?PYRIDIN? OR ?PYRIDYL? OR ?PYRIMIDIN
                 ? OR ?PYRIMIDINYL? OR ?PYRAZIN? OR ?PYRIDAZIN?
L64             64 SEA FILE=MEDLINE ABB=ON  PLU=ON  L62 (2A) L63
L65         277856 SEA FILE=MEDLINE ABB=ON  PLU=ON  ?KINAS?
L66             11 SEA FILE=MEDLINE ABB=ON  PLU=ON  L64 AND L65
L71           5359 SEA FILE=MEDLINE ABB=ON  PLU=ON  QUINAZOLINES/CT
L72              3 SEA FILE=MEDLINE ABB=ON  PLU=ON  L71 (L) AA
L73              0 SEA FILE=MEDLINE ABB=ON  PLU=ON  L72 AND L63
L74             11 SEA FILE=MEDLINE ABB=ON  PLU=ON  L61 OR L66 OR L73
L75              6 SEA FILE=MEDLINE ABB=ON  PLU=ON  L74 AND PY<2000


=> d que nos l85
L1               1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  WO2000-GB3593/APPS
L3                 TRANSFER  PLU=ON  L1 1- RN :     693 TERMS
L4             693 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3
L6                 STR
L8          1417 SEA FILE=REGISTRY SSS FUL L6
L9           361 SEA FILE=REGISTRY ABB=ON  PLU=ON  L8 AND L4
L62              QUE  ABB=ON  PLU=ON  ?QUINAZOL?
L63              QUE  ABB=ON  PLU=ON  ?PYRIDIN? OR ?PYRIDYL? OR ?PYRIMIDIN
                 ? OR ?PYRIMIDINYL? OR ?PYRAZIN? OR ?PYRIDAZIN?
L77              SEL  PLU=ON  L9 1- CHEM :     361 TERMS
L78              0 SEA FILE=EMBASE ABB=ON  PLU=ON  L77
L79            111 SEA FILE=EMBASE ABB=ON  PLU=ON  QUINAZOLINE+PFT/CT
L80            147 SEA FILE=EMBASE ABB=ON  PLU=ON  L62(2A)L63
L81             27 SEA FILE=EMBASE ABB=ON  PLU=ON  L79 AND L63
L82         250330 SEA FILE=EMBASE ABB=ON  PLU=ON  ?KINAS?
L83             27 SEA FILE=EMBASE ABB=ON  PLU=ON  (L80 OR L81) AND L82
L84             27 SEA FILE=EMBASE ABB=ON  PLU=ON  L78 OR L83
L85             11 SEA FILE=EMBASE ABB=ON  PLU=ON  L84 AND (PY<2000 OR MY<2000)


=> d que nos l88
L6                 STR
L8          1417 SEA FILE=REGISTRY SSS FUL L6
L20                STR
L23         1378 SEA FILE=REGISTRY SUB=L8 SSS FUL L20
L27                STR
L30         1240 SEA FILE=REGISTRY SUB=L23 SSS FUL L27
L35          911 SEA FILE=REGISTRY ABB=ON  PLU=ON  L30 AND TOXCENTER/LC
L87           34 SEA FILE=TOXCENTER ABB=ON  PLU=ON  L35
L88            3 SEA FILE=TOXCENTER ABB=ON  PLU=ON  L87 AND (PY<2000 OR
                 MY<2000)


=> d que nos l91
L6                 STR
L8          1417 SEA FILE=REGISTRY SSS FUL L6
L20                STR
L23         1378 SEA FILE=REGISTRY SUB=L8 SSS FUL L20
L27                STR
L30         1240 SEA FILE=REGISTRY SUB=L23 SSS FUL L27
L36              1 SEA FILE=REGISTRY ABB=ON  PLU=ON  L30 AND BIOSIS/LC
L90              2 SEA FILE=BIOSIS ABB=ON  PLU=ON  L36
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```
L91           1 SEA FILE=BIOSIS ABB=ON  PLU=ON  L90 AND (PY<2000 OR MY<2000)


=> d his l97

     (FILE 'BIOSIS, PASCAL, JICST-EPLUS, CABA, CANCERLIT, DRUGU, SCISEARCH'
     ENTERED AT 13:07:28 ON 29 SEP 2005)
L97          14 S L96 AND (AY<2000 OR PY<2000 OR PRY<2000)

=> d que l97
L62              QUE  ABB=ON  PLU=ON  ?QUINAZOL?
L63              QUE  ABB=ON  PLU=ON  ?PYRIDIN? OR ?PYRIDYL? OR ?PYRIMIDIN
                 ? OR ?PYRIMIDINYL? OR ?PYRAZIN? OR ?PYRIDAZIN?
L93          579 SEA L62 (3A) L63
L94       907027 SEA ?KINAS? OR ?AURORA?
L95           52 SEA L93 AND L94
L96           24 DUP REM L95 (28 DUPLICATES REMOVED)
L97           14 SEA L96 AND (AY<2000 OR PY<2000 OR PRY<2000)


=> dup rem l38 l43 l46 l58 l75 l85 l88 l91 l97
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PROCESSING COMPLETED FOR L43
PROCESSING COMPLETED FOR L46
PROCESSING COMPLETED FOR L58
PROCESSING COMPLETED FOR L75
PROCESSING COMPLETED FOR L85
PROCESSING COMPLETED FOR L88
PROCESSING COMPLETED FOR L91
PROCESSING COMPLETED FOR L97
L103            92 DUP REM L38 L43 L46 L58 L75 L85 L88 L91 L97 (27 DUPLICATES REMOVED)
                   ANSWERS '1-31' FROM FILE HCAPLUS
                   ANSWERS '32-50' FROM FILE USPATFULL
                   ANSWERS '51-71' FROM FILE WPIX
                   ANSWERS '72-77' FROM FILE MEDLINE
                   ANSWERS '78-82' FROM FILE EMBASE
                   ANSWER '83' FROM FILE TOXCENTER
                   ANSWERS '84-88' FROM FILE BIOSIS
                   ANSWER '89' FROM FILE CANCERLIT
                   ANSWERS '90-91' FROM FILE DRUGU
                   ANSWER '92' FROM FILE SCISEARCH

=> file stnguide
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 23, 2005 (20050923/UP).
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=> d ibib ed ab hitind hitstr
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS, CANCERLIT, DRUGU, SCISEARCH' - CONTINUE? (Y)/N:y

L103 ANSWER 1 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2002:845560 HCAPLUS
DOCUMENT NUMBER: 137:353051
TITLE: Preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 6,184,226.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6476031	B1	20021105	US 1999-383825	19990827 <--
US 6184226	B1	20010206	US 1998-141916	19980828 <--
US 6277989	B1	20010821	US 2000-525034	20000314 <--
US 2003069248	A1	20030410	US 2001-969936	20011002 <--
US 2002161010	A1	20021031	US 2001-972582	20011005 <--
US 6903096	B2	20050607		
US 2005171123	A1	20050804	US 2005-53121	20050207 <--

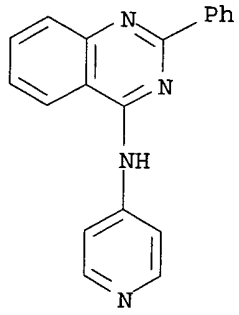
PRIORITY APPLN. INFO.:
US 1998-141916 A2 19980828 <--
US 1999-383825 A3 19990827 <--
US 2001-969936 B1 20011002

OTHER SOURCE(S): MARPAT 137:353051
ED Entered STN: 07 Nov 2002
AB Title compds. I [R3 = (un)substituted aromatic; Ar = (un)substituted monocyclic or polylcyclic aromatic; L = S(CR22)m, NR1SO2(CR22)l, SO2(CR22)m, etc.; Z = CR2, N with the provisos that no more than two Z positions in ring A are N and wherein two adjacent Z positions in ring A cannot be N; R2 = H, alkyl, alkenyl, etc.; l = 0-3; m = 0-4; n = 1] and their pharmaceutically acceptable salts were prepared For example, condensation of chloroquinazoline II and 4-aminopyridine afforded claimed quinazoline III. In p38-α kinase inhibition studies, 9-examples of compds. I exhibited IC50 values in the range of 0.1-1.5 μM. Also, the specificity of compds. I for p38-α was assessed by their ability to inhibit other kinases, e.g., p38-γ JNK1, PKA, PKC, PK(PKD), cck2 and EGF-R, with IC50 values ranging from 4.2 - >500 μM. Compds. I are useful anti-inflammatory agents and in the treatment of fibroproliferative diseases.
IC ICM C07D239-94
ICS C07D471-04; A61K031-517; A61K031-519
INCL 514249000
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 54665-94-0P 80858-58-8P 157862-99-2P 166039-38-9P 181114-32-9P
259870-32-1P **259870-33-2P 259870-34-3P**
259870-35-4P 259870-36-5P **259870-37-6P**
259870-38-7P 259870-39-8P 259870-40-1P
259870-42-3P 259870-43-4P, 2-(2,6-Dibromophenyl)-4-(4-

pyridylamino)quinazoline **259870-44-5P 259870-45-6P**,
2-(2-Fluorophenyl)-4-(4-pyridylamino)-6,7-dimethoxyquinazoline
259870-46-7P, 2-(4-Fluorophenyl)-4-(4-pyridylamino)-6,7-
dimethoxyquinazoline **259870-47-8P**, 2-(2-Fluorophenyl)-4-(4-
pyridylamino)-6-nitroquinazoline **259870-48-9P**
259870-49-0P 259870-50-3P 259870-51-4P
259870-52-5P 308300-05-2P 404828-44-0P 420831-73-8P
422561-07-7P 438247-46-2P 446312-97-6P 446829-19-2P 474289-34-4P
474289-35-5P 474289-36-6P **474289-37-7P 474289-39-9P**
474289-40-2P **474289-42-4P 474289-44-6P**
474289-45-7P 474289-46-8P 474289-48-0P **474289-50-4P**
474289-52-6P 474289-54-8P **474289-60-6P**
474289-64-0P 474289-68-4P 474289-70-8P 474289-72-0P
474289-74-2P 474289-76-4P 474289-79-7P 474289-80-0P
474289-82-2P **474289-84-4P 474289-87-7P**
474289-89-9P 474289-90-2P 474289-93-5P 474289-95-7P
474289-98-0P 474290-00-1P 474290-02-3P
474290-04-5P 474290-06-7P 474290-07-8P
474290-08-9P 474290-09-0P 474290-15-8P
474290-17-0P 474290-19-2P 474290-23-8P 474290-26-1P
474290-28-3P 474290-30-7P 474290-32-9P
474290-38-5P, 2-(3-Methoxyphenyl)-4-(4-pyridylamino)quinazoline
474290-56-7P 474290-58-9P 474290-60-3P 474290-62-5P 474290-64-7P
474290-66-9P 474290-68-1P 474290-70-5P 474290-72-7P 474290-74-9P
474290-76-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors)

IT **259870-33-2P 259870-34-3P 259870-35-4P**
259870-37-6P 259870-38-7P 259870-39-8P
259870-40-1P 259870-42-3P 259870-43-4P,
2-(2,6-Dibromophenyl)-4-(4-pyridylamino)quinazoline **259870-44-5P**
259870-45-6P, 2-(2-Fluorophenyl)-4-(4-pyridylamino)-6,7-
dimethoxyquinazoline **259870-46-7P**, 2-(4-Fluorophenyl)-4-(4-
pyridylamino)-6,7-dimethoxyquinazoline **259870-47-8P**,
2-(2-Fluorophenyl)-4-(4-pyridylamino)-6-nitroquinazoline
259870-48-9P 259870-49-0P 259870-50-3P
259870-51-4P 259870-52-5P 474289-37-7P
474289-39-9P 474289-42-4P 474289-44-6P
474289-45-7P 474289-50-4P 474289-52-6P
474289-60-6P 474289-64-0P 474289-74-2P
474289-84-4P 474289-87-7P 474289-89-9P
474289-98-0P 474290-00-1P 474290-02-3P
474290-04-5P 474290-06-7P 474290-07-8P
474290-08-9P 474290-09-0P 474290-17-0P
474290-28-3P 474290-30-7P 474290-32-9P
474290-38-5P, 2-(3-Methoxyphenyl)-4-(4-pyridylamino)quinazoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors)

RN 259870-33-2 HCAPLUS
CN 4-Quinazolinamine, 2-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



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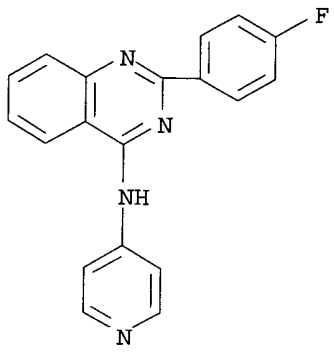
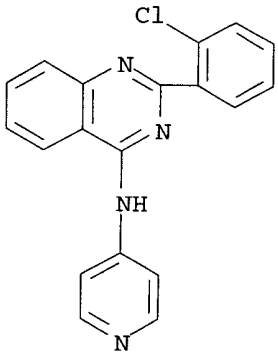


RN 259870-35-4 HCAPLUS
CN 4-Quinazolinamine, 2-(2-chlorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-37-6 HCAPLUS
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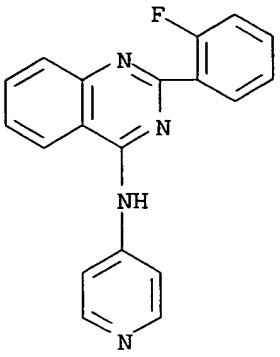
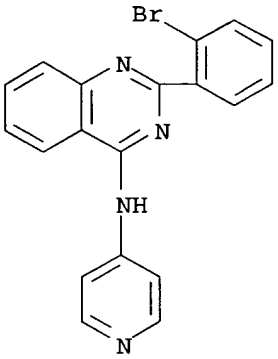


RN 259870-38-7 HCAPLUS
CN 4-Quinazolinamine, 2-(2-bromophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-39-8 HCAPLUS
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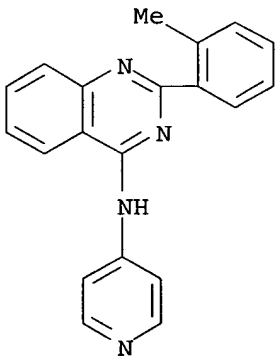
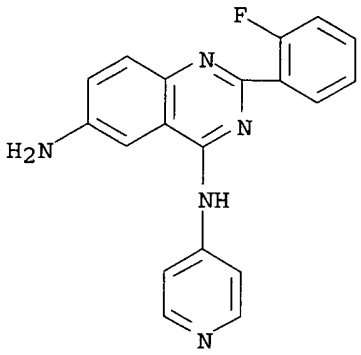


RN 259870-40-1 HCAPLUS
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-42-3 HCAPLUS
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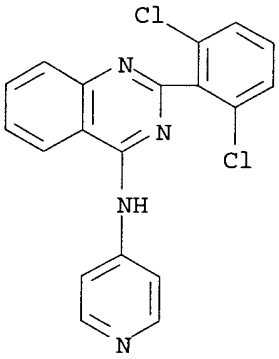
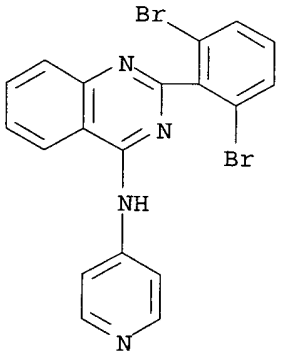
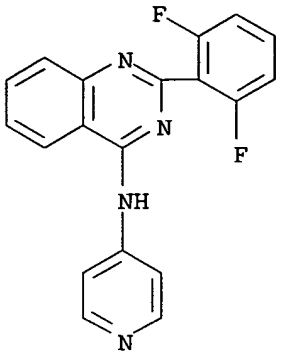


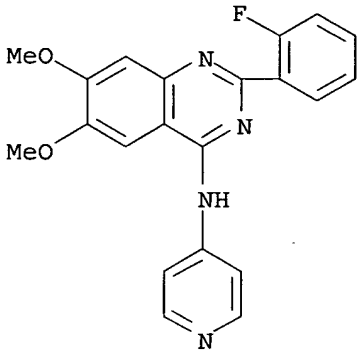
RN 259870-43-4 HCAPLUS
CN 4-Quinazolinamine, 2-(2,6-dibromophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



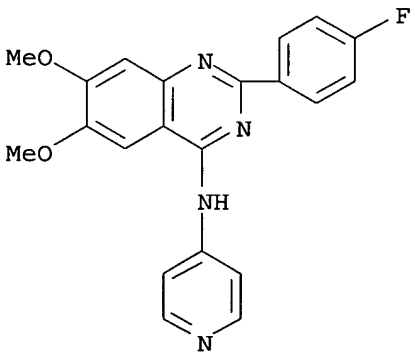
RN 259870-44-5 HCAPLUS
CN 4-Quinazolinamine, 2-(2,6-difluorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-45-6 HCAPLUS
CN 4-Quinazolinamine, 2-(2-fluorophenyl)-6,7-dimethoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-46-7 HCAPLUS
CN 4-Quinazolinamine, 2-(4-fluorophenyl)-6,7-dimethoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-47-8 HCAPLUS
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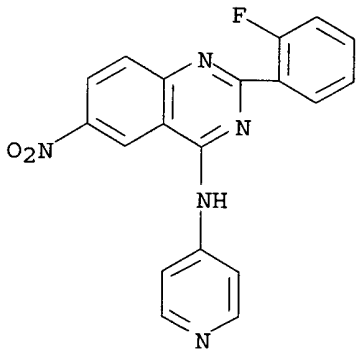
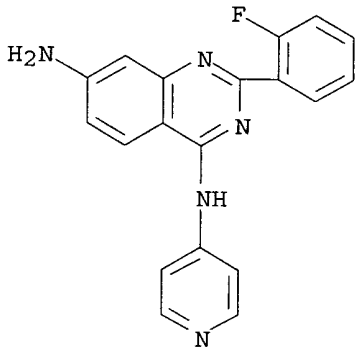


RN 259870-48-9 HCAPLUS
CN 4,7-Quinazolinediamine, 2-(2-fluorophenyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-49-0 HCAPLUS
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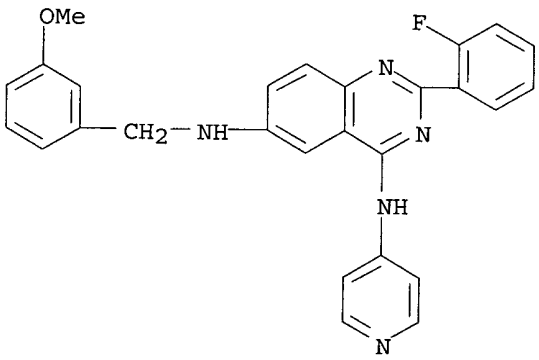
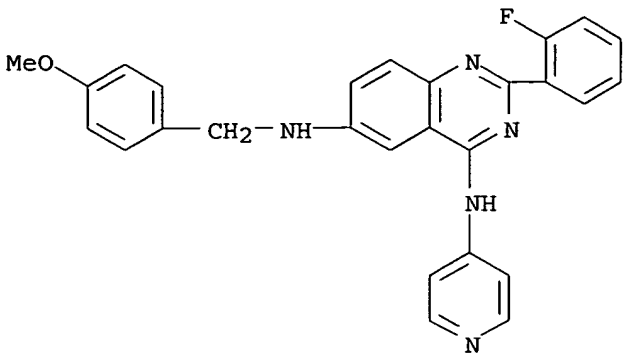
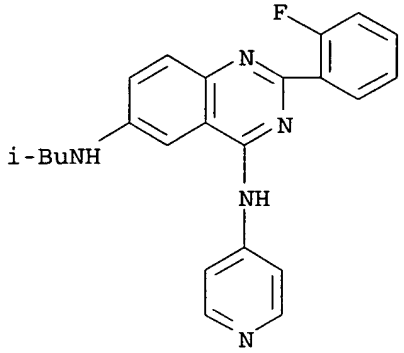


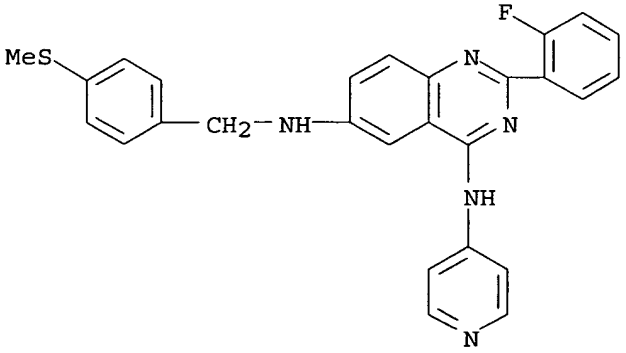
RN 259870-50-3 HCAPLUS
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-[(4-methoxyphenyl)methyl]-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



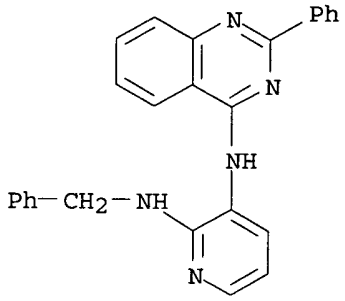
RN 259870-51-4 HCAPLUS
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-(2-methylpropyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



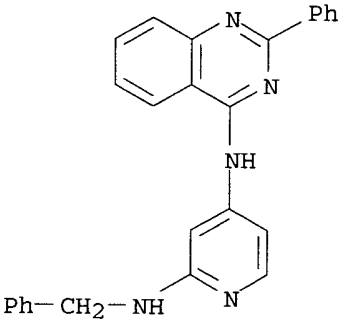
RN 259870-52-5 HCAPLUS
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-[[4-(methylthio)phenyl]methyl]-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



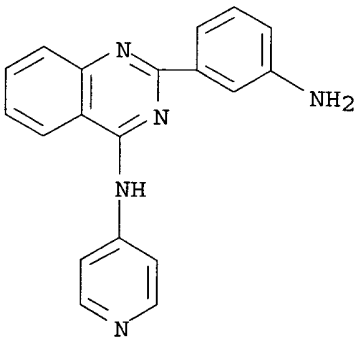
RN 474289-37-7 HCAPLUS
CN 2,3-Pyridinediamine, N2-(phenylmethyl)-N3-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



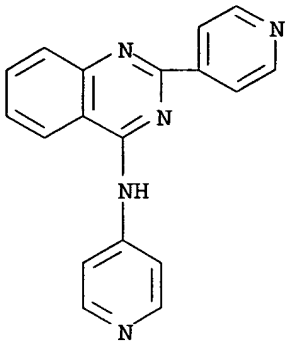
RN 474289-39-9 HCAPLUS
CN 2,4-Pyridinediamine, N2-(phenylmethyl)-N4-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



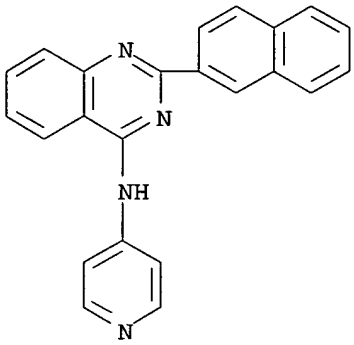
RN 474289-42-4 HCAPLUS
CN 4-Quinazolinamine, 2-(3-aminophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



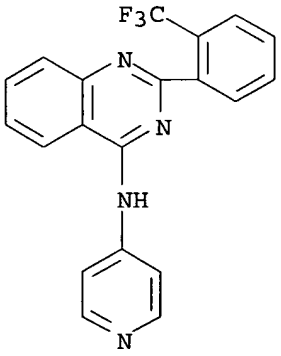
RN 474289-44-6 HCAPLUS
CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (9CI) (CA INDEX NAME)



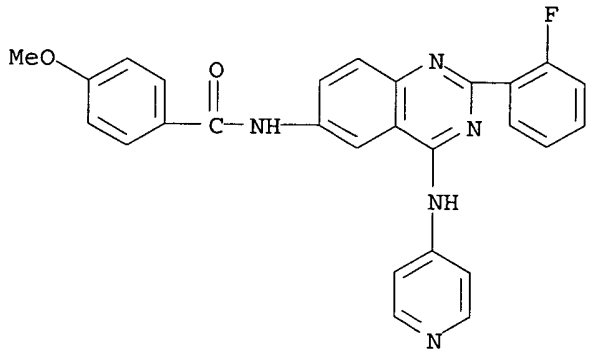
RN 474289-45-7 HCAPLUS
CN 4-Quinazolinamine, 2-(2-naphthalenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 474289-50-4 HCAPLUS
CN 4-Quinazolinamine, N-4-pyridinyl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 474289-52-6 HCAPLUS
CN Benzamide, N-[2-(2-fluorophenyl)-4-(4-pyridinylamino)-6-quinazolinyl]-4-methoxy- (9CI) (CA INDEX NAME)



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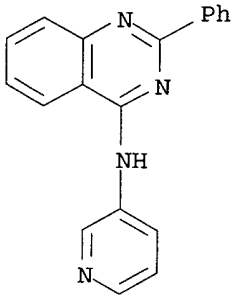
CN 4-Quinazolinamine, 2-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 474289-64-0 HCAPLUS
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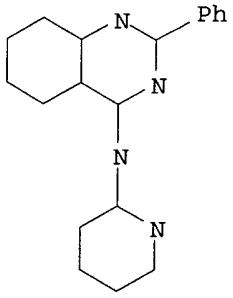
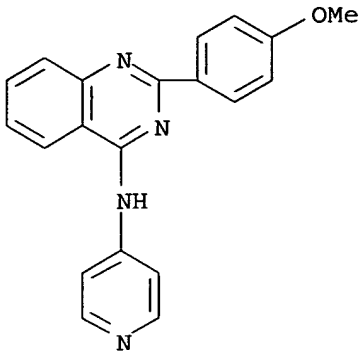


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 474289-74-2 HCAPLUS
CN 4-Quinazolinamine, 2-(4-methoxyphenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 474289-84-4 HCAPLUS
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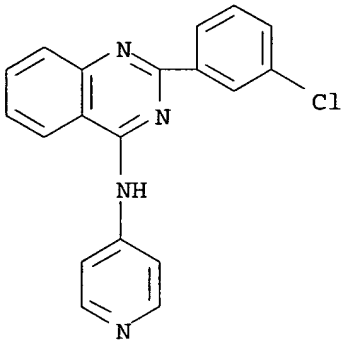
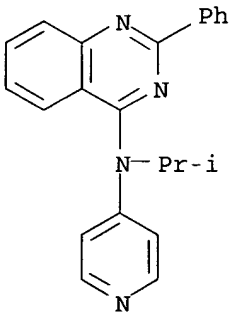
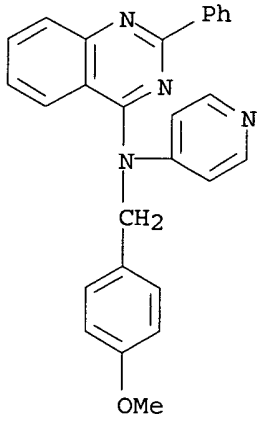


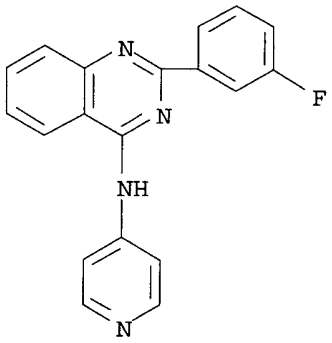
RN 474289-87-7 HCAPLUS
CN 4-Quinazolinamine, N-(1-methylethyl)-2-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 474289-89-9 HCAPLUS
CN 4-Quinazolinamine, N-[(4-methoxyphenyl)methyl]-2-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 474289-98-0 HCAPLUS
CN 4-Quinazolinamine, 2-(3-fluorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 474290-00-1 HCAPLUS
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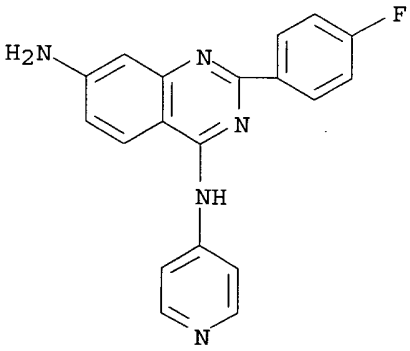
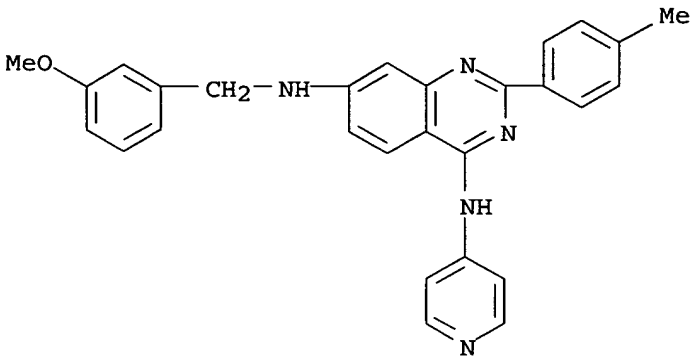
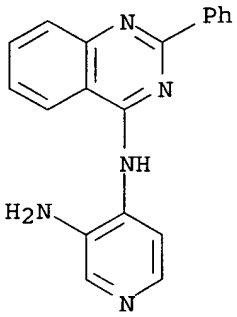


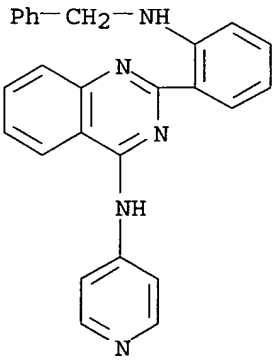
RN 474290-02-3 HCAPLUS
CN 4,7-Quinazolinediamine, N7-[(3-methoxyphenyl)methyl]-2-(4-methylphenyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 474290-04-5 HCAPLUS
CN 3,4-Pyridinediamine, N4-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



RN 474290-06-7 HCAPLUS
CN 4-Quinazolinamine, 2-[2-[(phenylmethyl)amino]phenyl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 474290-07-8 HCAPLUS
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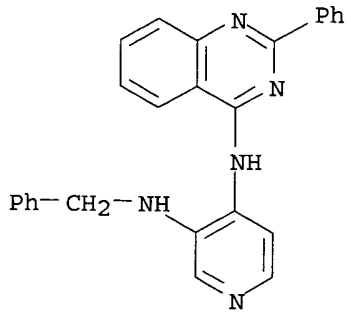
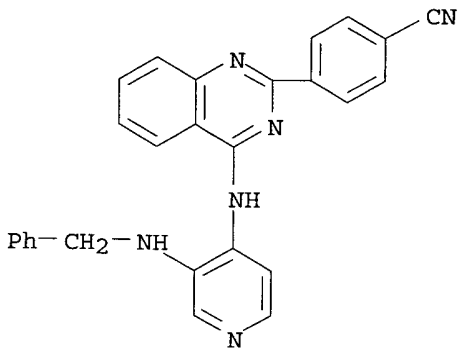
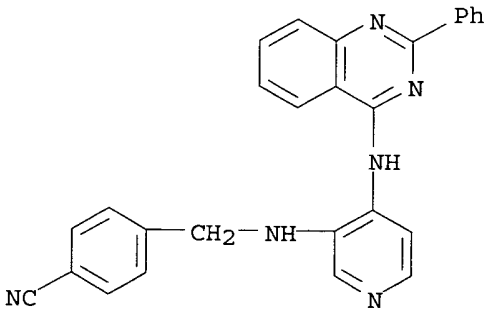


RN 474290-08-9 HCAPLUS
CN Benzonitrile, 4-[4-[[3-[(phenylmethyl)amino]-4-pyridinyl]amino]-2-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 474290-09-0 HCAPLUS
CN Benzonitrile, 4-[[[4-[(2-phenyl-4-quinazolinyl)amino]-3-pyridinyl]amino]methyl]- (9CI) (CA INDEX NAME)



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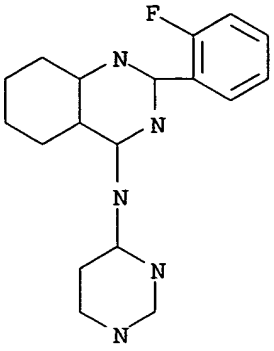

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
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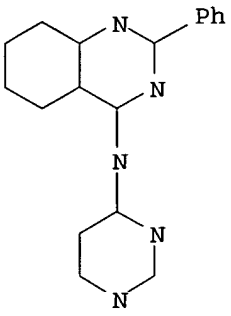

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 474290-30-7 HCAPLUS
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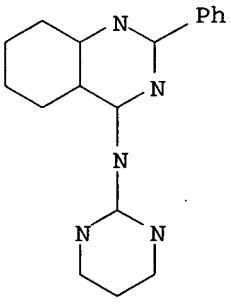

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
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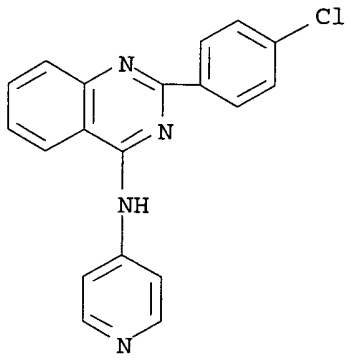

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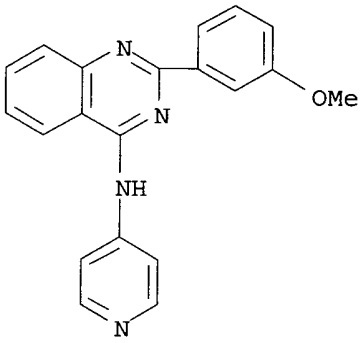

REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L103 ANSWER 2 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2001:228867 HCAPLUS
DOCUMENT NUMBER: 134:266318
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001021597	A1	20010329	WO 2000-GB3593	20000919 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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NO 2002001400	A	20020506	NO 2002-1400	20020320 <--
PRIORITY APPLN. INFO.:			GB 1999-22171	A 19990921 <--
			WO 2000-GB3593	W 20000919

OTHER SOURCE(S): MARPAT 134:266318

ED Entered STN: 30 Mar 2001

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR6; R6 = H or alkyl; R5 = (un)substituted 6-membered aromatic ring containing at least one N; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R7, or R9X1; R7 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R9 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; and at least one of R2 or R3 is other than H; or a salt, ester, amide, or prodrug thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 2-(N-benzoylamino)-5-aminopyrimidine and 4-chloro-6,7-dimethoxyquinazoline were coupled in i-PrOH to yield II (58%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.00785 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.7 μM and reduced BrdU incorporation into cellular DNA by 50% at 1.92-2.848 μM.

IC ICM C07D239-94
ICS C07D401-12; C07D403-12; A61K031-517; A61P035-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **331809-57-5P**
RL: BYP (Byproduct); PREP (Preparation)
(byproduct; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

IT 7357-67-7P 13790-39-1P 13794-72-4P 20691-89-8P 21626-41-5P 22715-27-1P, 2,5-Diaminopyrimidine 24252-37-7P 25948-11-2P 25948-14-5P 26820-63-3P 33371-00-5P 39684-80-5P 52024-32-5P 56621-93-3P, 5-Amino-2-cyanopyrimidine 56621-98-8P, 5-Amino-2-pyrimidinecarboxylic acid 65523-68-4P 68104-63-2P 69634-20-4P 75926-65-7P 76742-48-8P, Methyl diethoxyacetimidate 88166-58-9P 99970-58-8P 108479-25-0P 123855-51-6P 142851-03-4P 144385-79-5P 166815-96-9P 179688-01-8P 193002-24-3P 193002-25-4P 196194-62-4P 196195-13-8P 203054-46-0P 246862-51-1P 264208-58-4P 264208-60-8P 264208-63-1P 264208-66-4P 264208-69-7P 264208-72-2P 287944-14-3P

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331808-87-8P 331808-91-4P 331808-92-5P 331808-93-6P
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331809-34-8P 331809-35-9P 331809-36-0P 331809-37-1P 331809-38-2P
331809-39-3P 331809-40-6P **331809-41-7P 331809-58-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediates; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

IT 51-36-5, 3,5-Dichlorobenzoic acid 51-44-5, 3,4-Dichlorobenzoic acid 57-66-9 62-53-3, Aniline, reactions 64-04-0, Phenethylamine 65-85-0, Benzoic acid, reactions 70-55-3, 4-Toluenesulfonamide 74-11-3, 4-Chlorobenzoic acid 75-12-7, Formamide, reactions 88-13-1, Thiophene-3-carboxylic acid 88-14-2, Furan-2-carboxylic acid 88-74-4, 2-Nitroaniline 89-93-0, 2-Methylbenzylamine 89-97-4, 2-Chlorobenzylamine 93-10-7, Quinaldic acid 94-53-1, Piperonylic acid 95-51-2, 2-Chloroaniline 95-76-1, 3,4-Dichloroaniline 96-99-1, 4-Chloro-3-nitrobenzoic acid 97-02-9, 2,4-Dinitroaniline 98-16-8, 3-Aminobenzotrifluoride 98-74-8, 4-Nitrobenzenesulfonyl chloride 98-88-4, Benzoyl chloride 98-98-6, Picolinic acid 99-98-9 100-01-6, 4-Nitroaniline, reactions 100-09-4, 4-Methoxybenzoic acid 100-46-9, Benzylamine, reactions 100-51-6, Benzyl alcohol, reactions 100-81-2, 3-Methylbenzylamine 100-82-3, 3-Fluorobenzylamine 102-49-8, 3,4-Dichlorobenzylamine 104-01-8, 4-Methoxyphenylacetic acid 104-58-5, 3-(1-Piperidinyl)propanol 104-84-7, 4-Methylbenzylamine 104-86-9, 4-Chlorobenzylamine 104-94-9 104-96-1 106-40-1, 4-Bromoaniline 106-47-8, 4-Chloroaniline, reactions 106-49-0, 4-Methylaniline, reactions 106-93-4, 1,2-Dibromoethane 107-85-7, Isoamylamine 108-00-9, N,N-Dimethylethylenediamine 108-33-8, 2-Amino-5-methyl-1,3,4-thiadiazole 108-42-9, 3-Chloroaniline 108-69-0, 3,5-Dimethylaniline 108-91-8, Cyclohexylamine, reactions 109-70-6, 1-Bromo-3-chloropropane 109-83-1, N-Methylethanolamine 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-68-2, n-Heptylamine 115-70-8, 2-Amino-2-ethylpropane-1,3-diol 122-04-3, 4-Nitrobenzoyl chloride 123-75-1, Pyrrolidine, reactions 124-68-5, 2-Amino-2-methyl-1-propanol 140-10-3, trans-Cinnamic acid, reactions 140-75-0, 4-Fluorobenzylamine 141-43-5, Ethanolamine, reactions 348-54-9, 2-Fluoroaniline 349-95-1, 4-(Trifluoromethyl)benzyl alcohol 367-21-5, 3-Chloro-4-fluoroaniline 367-25-9, 2,4-Difluoroaniline 369-36-8, 2-Fluoro-5-nitroaniline 371-40-4, 4-Fluoroaniline 372-19-0, 3-Fluoroaniline 393-11-3, 5-Amino-2-nitrobenzotrifluoride 403-16-7, 3-Chloro-4-fluorobenzoic acid 454-92-2, 3-Trifluoromethylbenzoic acid 455-38-9, 3-Fluorobenzoic acid 456-22-4, 4-Fluorobenzoic acid 456-47-3, 3-Fluorobenzyl alcohol 459-56-3, 4-Fluorobenzyl alcohol 462-08-8, 3-Aminopyridine 499-06-9, 3,5-Dimethylbenzoic acid 501-52-0, 3-Phenylpropionic acid 503-29-7,

Azetidine 503-74-2, Isovaleric acid 504-24-5, 4-Aminopyridine 504-29-0, 2-Aminopyridine 513-49-5, (S)-(+)-sec-Butylamine 527-72-0, Thiophene-2-carboxylic acid 535-80-8, 3-Chlorobenzoic acid 536-90-3 539-23-1 580-22-3, 2-Aminoquinoline 586-76-5, 4-Bromobenzoic acid 586-98-1, 2-Pyridinemethanol 610-30-0, 2,4-Dinitrobenzoic acid 617-05-0, Ethyl vanillate 617-89-0, Furfurylamine 619-64-7, 4-Ethylbenzoic acid 624-28-2, 2,5-Dibromopyridine 626-43-7, 3,5-Dichloroaniline 790-41-0, 4-Chlorobenzoic anhydride 873-63-2, 3-Chlorobenzyl alcohol 873-76-7, 4-Chlorobenzyl alcohol 902-47-6, 4-Nitrobenzoic anhydride 1003-03-8, Cyclopentylamine 1007-16-5, 3-Bromo-4-fluorobenzoic acid 1010-95-3, 5-Methyltryptamine hydrochloride 1126-09-6, Ethyl 4-piperidinecarboxylate 1192-21-8, 5-Amino-1-methylpyrazole 1194-02-1, 4-Fluorobenzonitrile 1532-84-9, 1-Aminoisoquinoline 1805-32-9, 3,4-Dichlorobenzyl alcohol 1878-66-6, 4-Chlorophenylacetic acid 1885-29-6, Anthranilonitrile 1918-77-0, 2-Thiopheneacetic acid 2038-57-5, Benzenepropanamine 2237-30-1, 3-Aminobenzonitrile 2516-34-9, Cyclobutylamine 2516-47-4, Cyclopropanemethanamine 2516-96-3, 2-Chloro-5-nitrobenzoic acid 2576-47-8, 2-Bromoethylamine hydrobromide 2740-83-2, 3-(Trifluoromethyl)benzylamine 2975-41-9, 2-Aminoindane 2987-53-3, 2-(Methylthio)aniline 3073-77-6, 2-Amino-5-nitropyrimidine 3095-38-3, 3,5-Dimethyl-4-nitrobenzoic acid 3218-02-8, Cyclohexanemethanamine 3325-11-9, 5-Aminobenzotriazole 3399-73-3, 2-(1-Cyclohexenyl)ethylamine 3739-38-6, 3-Phenoxybenzoic acid 4104-45-4, 3-(Methylthio)propylamine 4152-90-3, 3-Chlorobenzylamine 4441-63-8, Cyclohexanebutyric acid 4487-59-6, 2-Bromo-5-nitropyridine 4519-40-8, 2,3-Difluoroaniline 4755-50-4, 4-(Dimethylamino)benzoyl chloride 4795-29-3, Tetrahydrofurfurylamine 4920-80-3, 3-Methoxy-2-nitrobenzoic acid 5036-48-6, 1-(3-Aminopropyl)imidazole 5049-61-6, 2-Aminopyrazine 5071-96-5, 3-Methoxybenzylamine 5350-93-6, 5-Amino-2-chloropyridine 5382-16-1, 4-Hydroxypiperidine 5470-18-8, 2-Chloro-3-nitropyridine 5521-55-1, 5-Methyl-2-pyrazinecarboxylic acid 5653-40-7, 4,5-Dimethoxyanthranilic acid 6136-93-2, Diethoxyacetonitrile 6168-72-5, 2-Aminopropanol 6283-25-6, 2-Chloro-5-nitroaniline 6291-85-6, 3-Ethoxy-1-propylamine 6973-60-0, 1-Methyl-2-pyrrolecarboxylic acid 7082-71-5, 4-Pyridinecarboxylic acid anhydride 7697-26-9, 3-Bromo-4-methylbenzoic acid 7745-91-7, 3-Bromo-4-methylaniline 10320-42-0, 2-Chloro-5-nitropyrimidine 13205-48-6, 4-(Methylthio)benzoic acid 13222-85-0, 4-Methylbenzoic anhydride 13250-12-9, (R)-(-)-sec-Butylamine 13258-63-4, 4-(2-Aminoethyl)pyridine 13325-10-5, 4-Amino-1-butanol 13534-97-9, 5-Amino-2-bromopyridine 14003-16-8, 5-Methylfurfurylamine 14068-53-2, 2-Amino-5-ethyl-1,3,4-thiadiazole 17849-38-6, 2-Chlorobenzyl alcohol 18600-42-5, 4-Nitrobenzylamine hydrochloride 18997-19-8, Chloromethyl pivalate 22280-56-4, 2-Chloro-3-methyl-5-nitropyridine 22600-30-2, Methyl 5-amino-2-furoate 22991-05-5 23159-07-1, 3-(1-Pyrrolidinyl)propylamine 24424-99-5, Di-tert-butyl dicarbonate 25236-64-0, 2,2,2-Trifluoroethyl methanesulfonate 25850-22-0 26116-12-1, (2-Aminomethyl)-1-ethylpyrrolidine 26734-09-8 27757-85-3, 2-Thiophenemethylamine 28739-42-6 30433-91-1, 2-(2-Thienyl)ethylamine 34403-52-6, 4-(Dimethylamino)benzylamine dihydrochloride 36489-03-9, 2-(Ethylthio)ethylamine 39546-32-2, 4-Piperidinecarboxamide 40499-83-0, 3-Pyrrolidinol 40987-53-9 41230-51-7, 5-Amino-3-methyl-4-nitroisoxazole 42514-50-1, 3-Amino-3-methyl-1-butanol 50850-19-6 51390-23-9, 1H-Imidazole-1-propanol 56622-06-1 56666-54-7 59855-11-7, 4-Amidinobenzamide hydrochloride 60211-57-6, 3,5-Dichlorobenzyl alcohol 60547-98-0, 2-Amino-4-benzyloxy-5-methoxybenzamide 61875-64-7 62893-54-3, 2-(Cyclopropyl)ethylamine 65055-17-6 68947-43-3, 1-Methyl-4-piperidinecarboxylic acid 70987-78-9, (2S)-(+)-Glycidyl tosylate 72235-52-0, 2,4-

Difluorobenzylamine 72235-53-1, 3,4-Difluorobenzylamine 72235-56-4 75853-18-8, 2,3-Difluorobenzyl alcohol 75926-64-6 85006-31-1, Methyl 3-amino-4-methylthiophene-2-carboxylate 85118-06-5, 2,5-Difluorobenzylamine 86866-14-0 86884-89-1, (R)-2-Methylglycidol 88277-83-2, 1,4-Dioxane-2-methanamine 91678-81-8 94611-94-6 99185-50-9 106877-33-2 110167-20-9, 4-Benzyl-3-morpholinemethanol 113826-06-5, (2R)-(-)-Glycidyl tosylate 116473-67-7 118200-96-7 141179-72-8 161446-90-8 162012-70-6 162364-72-9 183322-18-1 199327-59-8 208186-84-9 331734-30-6 331776-53-5 331776-66-0 **331809-42-8 331809-43-9** 331809-44-0 331809-45-1 331809-46-2 331809-47-3 331809-48-4 331809-49-5 **331809-50-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting materials; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

IT **331787-48-5P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

IT **331787-38-3P 331787-88-3P 331787-99-6P 331788-25-1P 331790-23-9P 331791-19-6P 331791-37-8P 331791-43-6P 331791-48-1P 331792-44-0P 331794-66-2P 331799-96-3P 331800-01-2P 331800-12-5P 331800-22-7P 331800-27-2P 331800-66-9P 331801-80-0P 331801-90-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

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331801-47-9P 331801-52-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and

colorectal cancers)

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331801-95-7P 331802-01-8P 331802-06-3P
331802-11-0P 331802-17-6P 331802-23-4P
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331805-65-3P 331805-71-1P 331805-76-6P
331805-82-4P 331805-87-9P 331805-92-6P
331805-96-0P 331806-01-0P 331806-06-5P
331806-40-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

IT **331809-57-5P**
RL: BYP (Byproduct); PREP (Preparation)
(byproduct; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

RN 331809-57-5 HCAPLUS

CN 5-Pyrimidinecarboxamide, 2,2'-[1,3-propanediylbis[oxy(6-methoxy-7,4-quinazolinediyl)imino]]bis[N-(3-chloro-4-fluorophenyl)- (9CI) (CA INDEX NAME)

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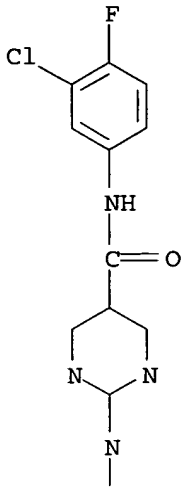

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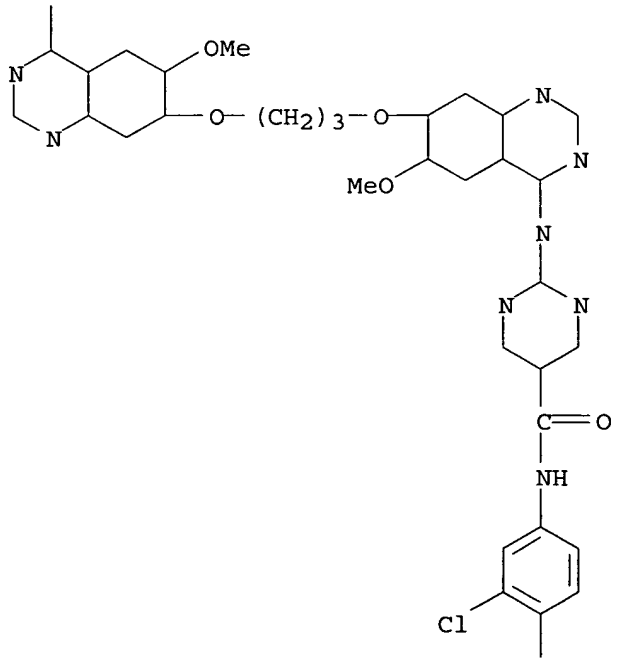

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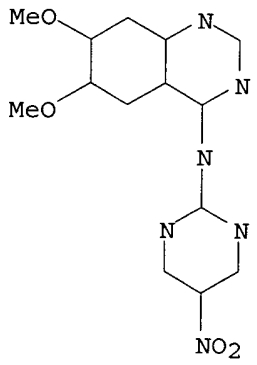
F

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT **331806-50-9P 331806-55-4P 331806-83-8P**
331806-88-3P 331807-73-9P 331807-82-0P
331807-93-3P 331807-99-9P 331808-15-2P
331808-21-0P 331808-36-7P 331808-41-4P
331808-94-7P 331809-00-8P 331809-02-0P
331809-03-1P 331809-08-6P 331809-09-7P
331809-10-0P 331809-11-1P 331809-41-7P
331809-58-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediates; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

RN 331806-50-9 HCAPLUS

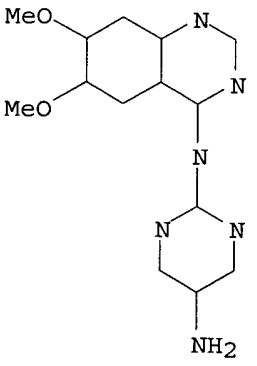
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(5-nitro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



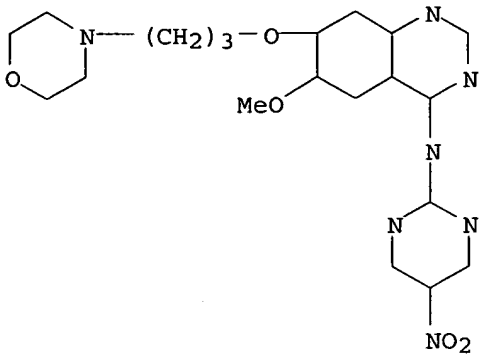
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 331806-55-4 HCAPLUS

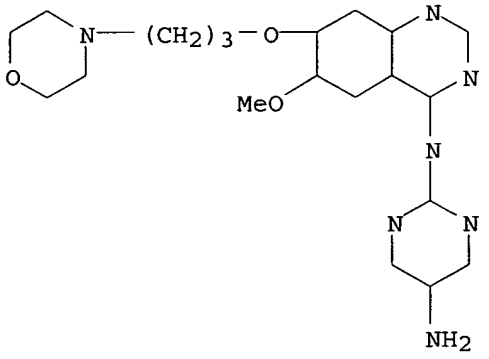
CN 2,5-Pyrimidinediamine, N2-(6,7-dimethoxy-4-quinazolinyl)- (9CI) (CA INDEX NAME)



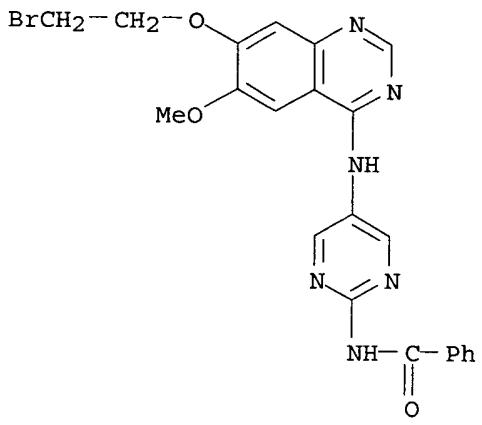
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331806-83-8 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-(5-nitro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331806-88-3 HCAPLUS
CN 2,5-Pyrimidinediamine, N2-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



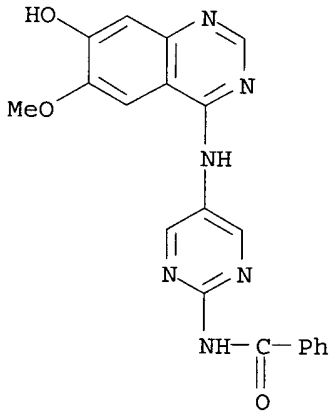
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331807-73-9 HCAPLUS
CN Benzamide, N-[5-[[7-(2-bromoethoxy)-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331807-82-0 HCAPLUS
CN Benzamide, N-[5-[(7-hydroxy-6-methoxy-4-quinazolinyl)amino]-2-pyrimidinyl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

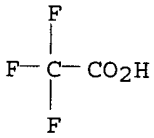
CM 1

CRN 331787-88-3
CMF C20 H16 N6 O3



CM 2

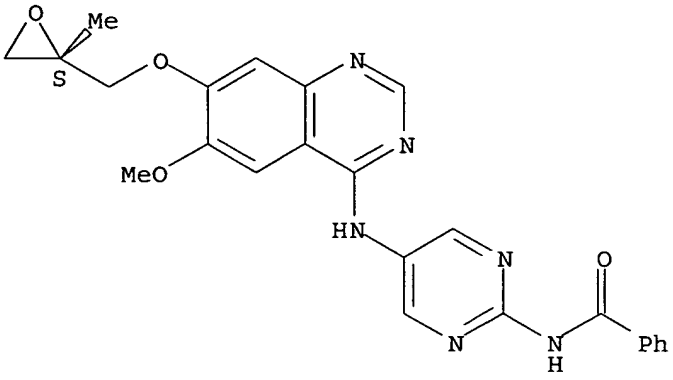
CRN 76-05-1
CMF C2 H F3 O2



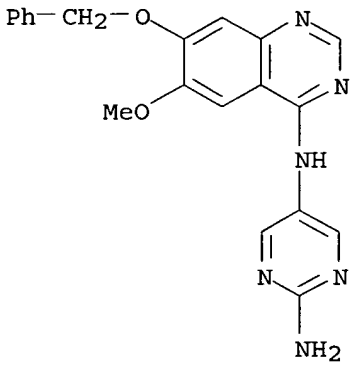
RN 331807-93-3 HCAPLUS

CN Benzamide, N-[5-[[6-methoxy-7-[[(2S)-2-methyloxiranyl]methoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

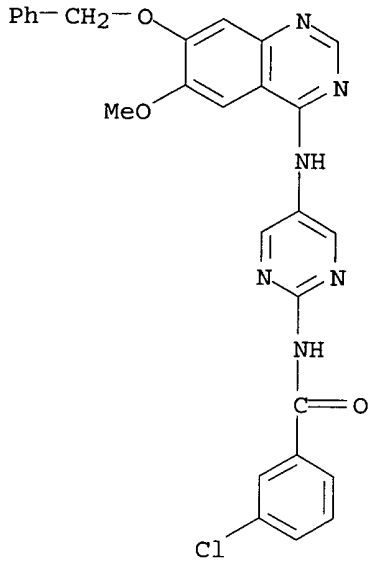
Absolute stereochemistry.



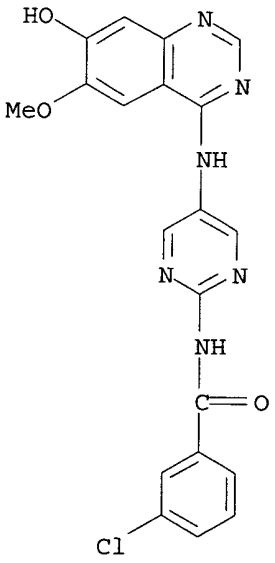
RN 331807-99-9 HCAPLUS
CN 2,5-Pyrimidinediamine, N5-[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



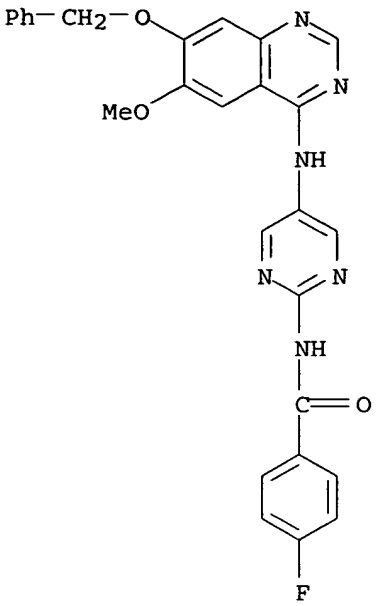
RN 331808-15-2 HCAPLUS
CN Benzamide, 3-chloro-N-[5-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



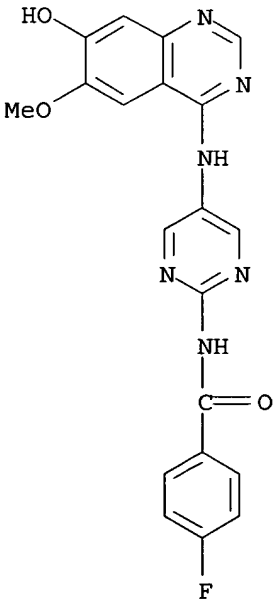
RN 331808-21-0 HCAPLUS
CN Benzamide, 3-chloro-N-[5-[(7-hydroxy-6-methoxy-4-quinazolinyl)amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



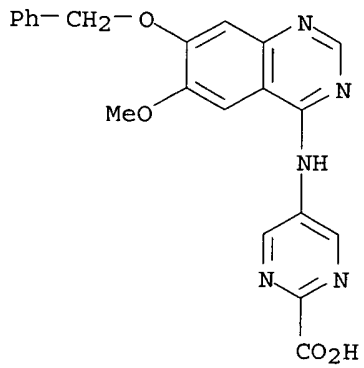
RN 331808-36-7 HCAPLUS
CN Benzamide, 4-fluoro-N-[5-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



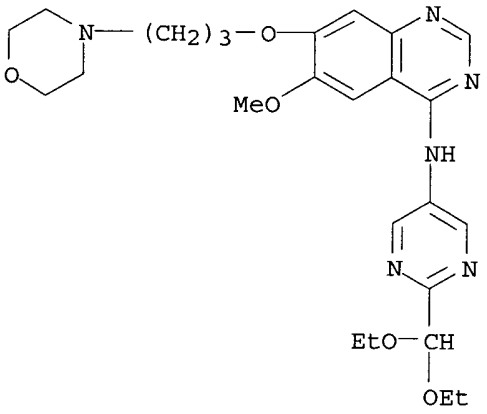
RN 331808-41-4 HCAPLUS
CN Benzamide, 4-fluoro-N-[5-[(7-hydroxy-6-methoxy-4-quinazolinyl)amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331808-94-7 HCAPLUS
CN 2-Pyrimidinecarboxylic acid, 5-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



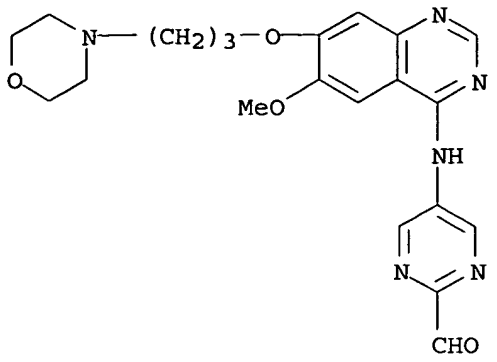
RN 331809-00-8 HCAPLUS
CN 4-Quinazolinamine, N-[2-(diethoxymethyl)-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 331809-02-0 HCAPLUS
CN 2-Pyrimidinecarboxaldehyde, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

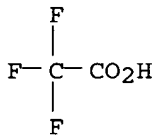
CM 1

CRN 331809-01-9
CMF C21 H24 N6 O4



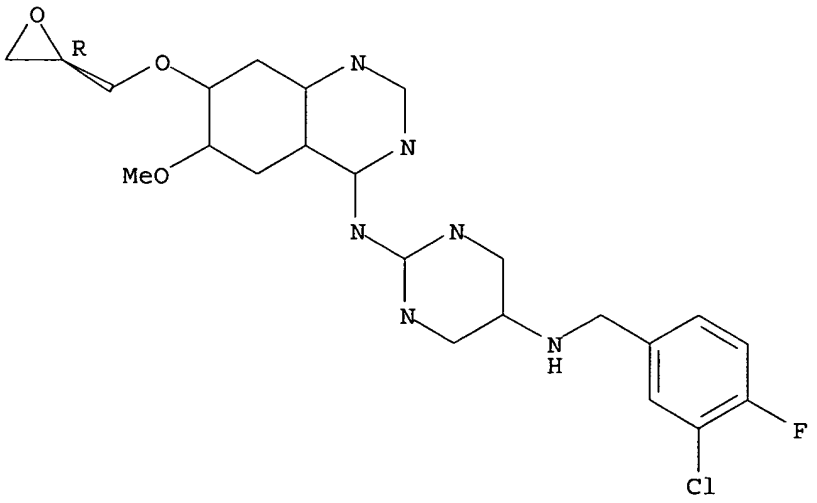
CM 2

CRN 76-05-1
CMF C2 H F3 O2

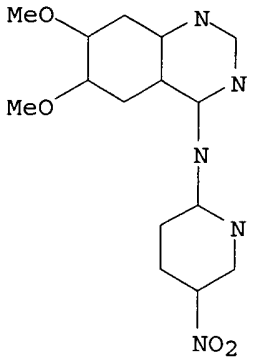


RN 331809-03-1 HCAPLUS
CN 2,5-Pyrimidinediamine, N5-[(3-chloro-4-fluorophenyl)methyl]-N2-[6-methoxy-7-[(2R)-oxiranylmethoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)

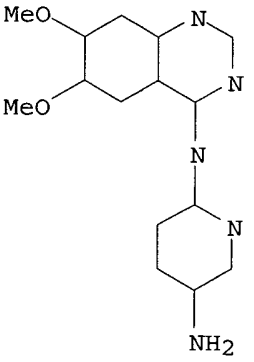
Absolute stereochemistry.



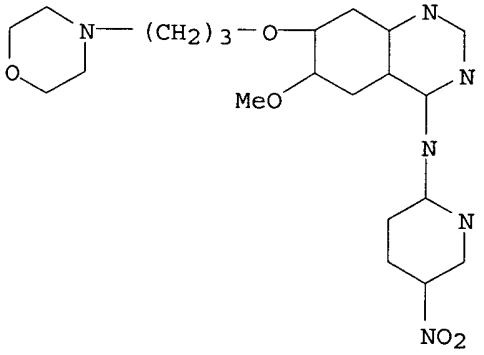
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331809-08-6 HCAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331809-09-7 HCAPLUS
CN 2,5-Pyridinediamine, N2-(6,7-dimethoxy-4-quinazolinyl)- (9CI) (CA INDEX NAME)

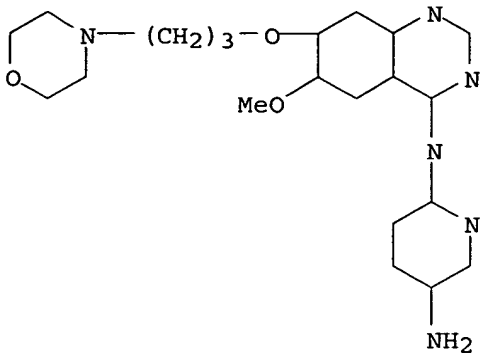


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331809-10-0 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)

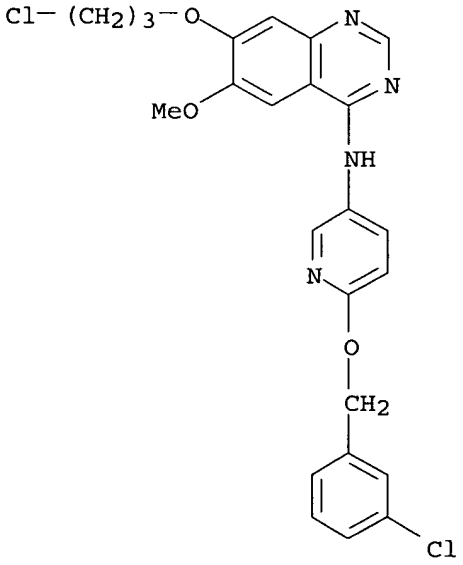


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

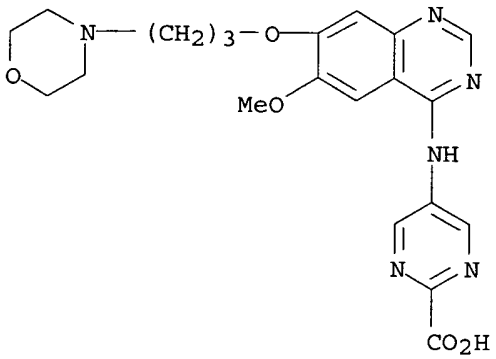
RN 331809-11-1 HCAPLUS
CN 2,5-Pyridinediamine, N2-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



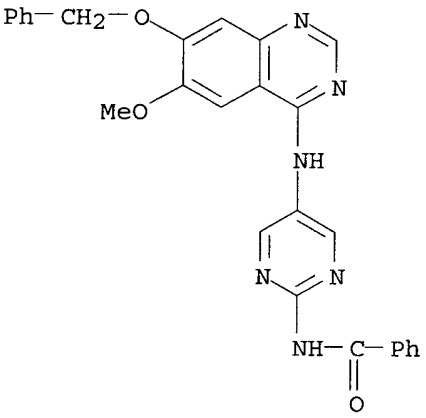
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331809-41-7 HCAPLUS
CN 4-Quinazolinamine, N-[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]-7-(3-chloropropoxy)-6-methoxy- (9CI) (CA INDEX NAME)



RN 331809-58-6 HCAPLUS
CN 2-Pyrimidinecarboxylic acid, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



IT **331809-42-8 331809-43-9 331809-50-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting materials; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)
RN 331809-42-8 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]-2-pyrimidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

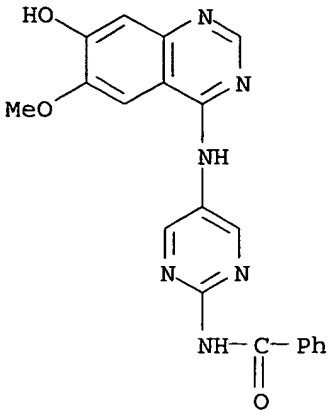


● HCl

RN 331809-43-9 HCAPLUS
CN Benzamide, N-[5-[(7-hydroxy-6-methoxy-4-quinazolinyl)amino]-2-pyrimidinyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

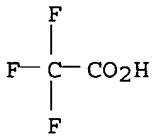
CM 1

CRN 331787-88-3
CMF C20 H16 N6 O3

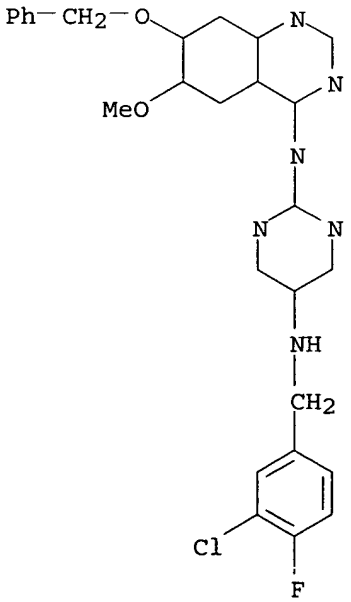


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 331809-50-8 HCAPLUS
CN 2,5-Pyrimidinediamine, N5-[(3-chloro-4-fluorophenyl)methyl]-N2-[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]- (9CI) (CA INDEX NAME)

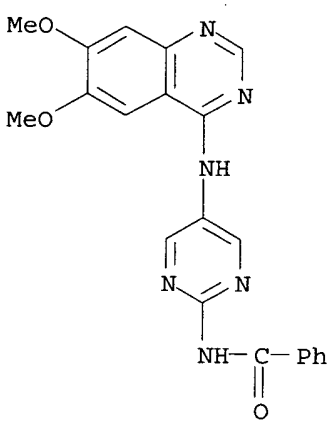


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT **331787-48-5P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

RN 331787-48-5 HCAPLUS

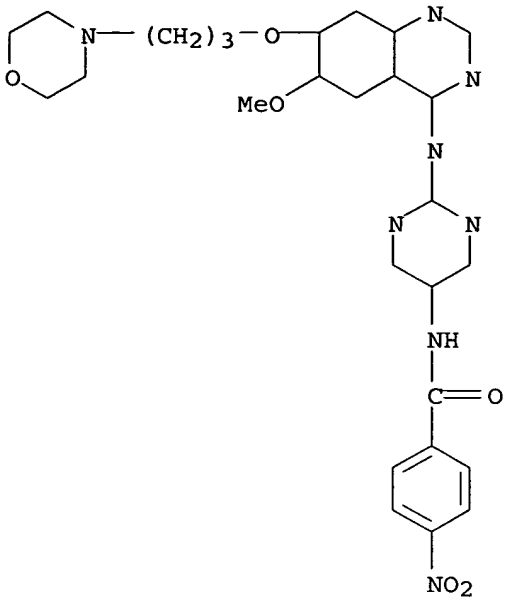
CN Benzamide, N-[5-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



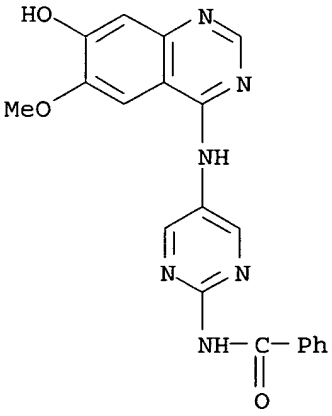
IT **331787-38-3P 331787-88-3P 331787-99-6P**
331788-25-1P 331790-23-9P 331791-19-6P
331791-37-8P 331791-43-6P 331791-48-1P
331792-44-0P 331794-66-2P 331799-96-3P
331800-01-2P 331800-12-5P 331800-22-7P
331800-27-2P 331800-66-9P 331801-80-0P
331801-90-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

RN 331787-38-3 HCAPLUS

CN Benzamide, N-[2-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-5-pyrimidinyl]-4-nitro- (9CI) (CA INDEX NAME)

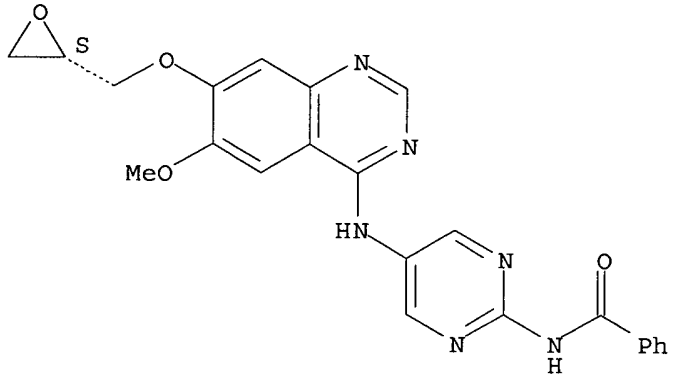


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331787-88-3 HCAPLUS
CN Benzamide, N-[5-[(7-hydroxy-6-methoxy-4-quinazolinyl)amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



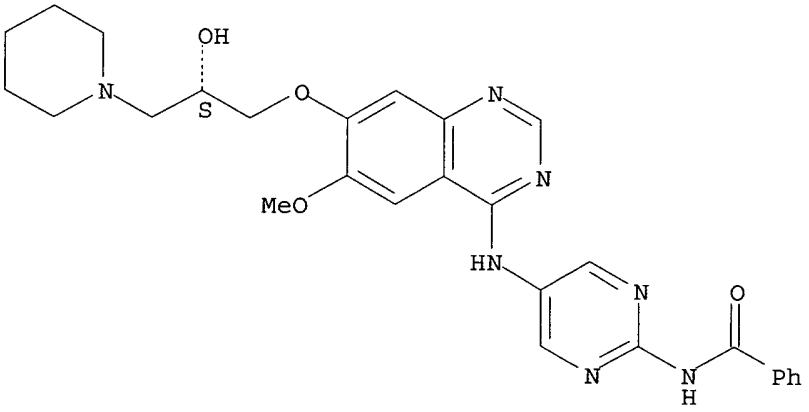
RN 331787-99-6 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[(2S)-oxiranylmethoxy]-4-quinazolinyl]amino]- 2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

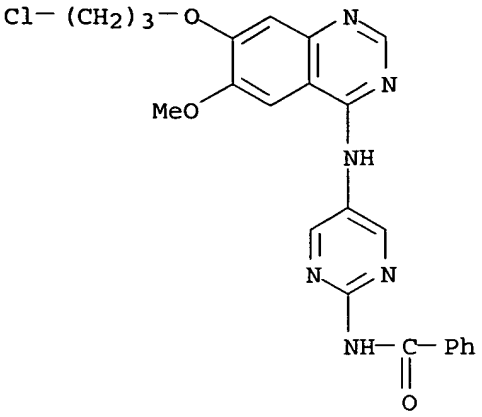


RN 331788-25-1 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-(1-piperidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

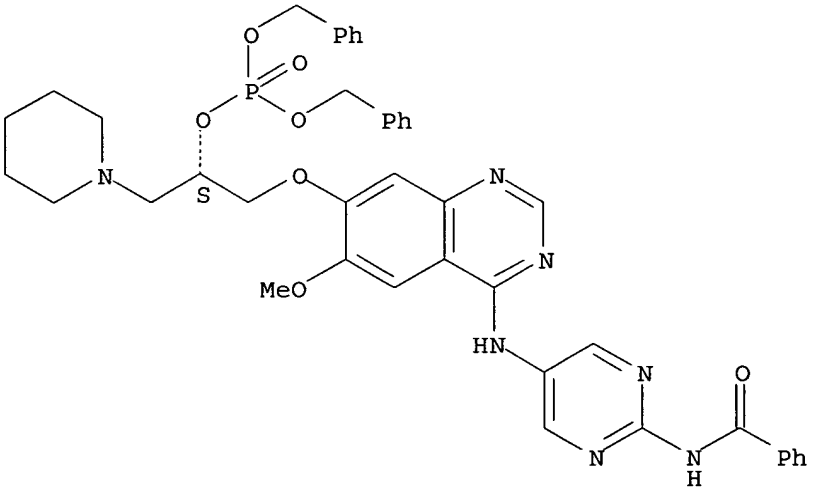


RN 331790-23-9 HCAPLUS
CN Benzamide, N-[5-[[7-(3-chloropropoxy)-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

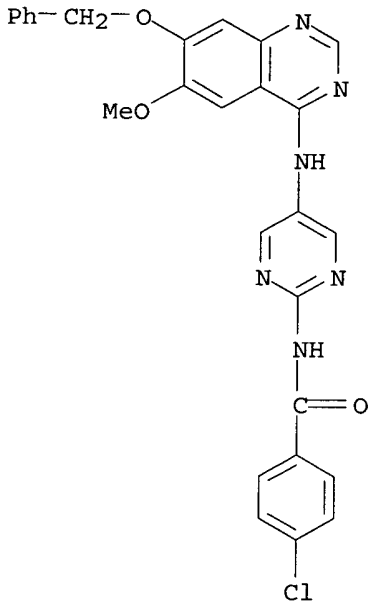


RN 331791-19-6 HCAPLUS
CN Phosphoric acid, (1S)-1-[[[4-[[2-(benzoylamino)-5-pyrimidinyl]amino]-6-methoxy-7-quinazolinyl]oxy]methyl]-2-(1-piperidinyl)ethyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

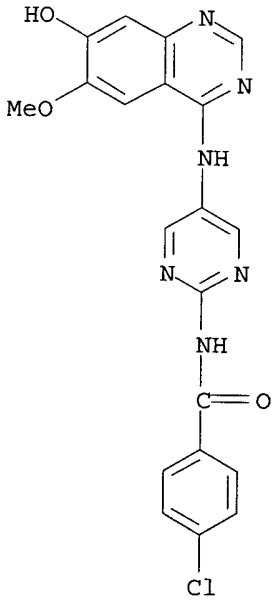
Absolute stereochemistry.



RN 331791-37-8 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

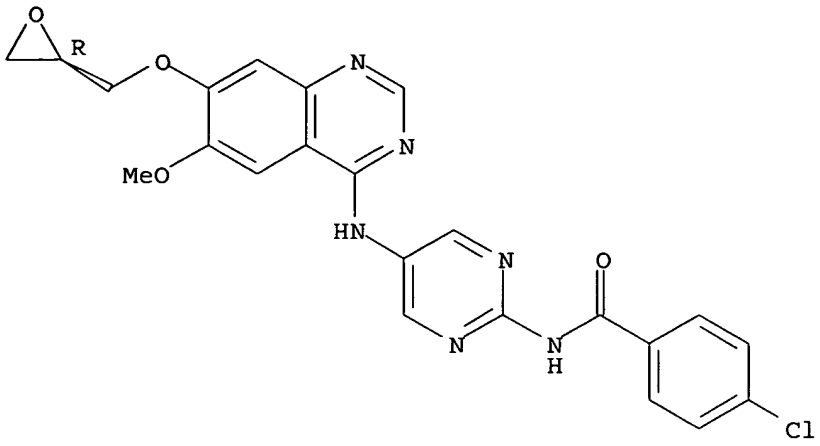


RN 331791-43-6 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[(7-hydroxy-6-methoxy-4-quinazolinyl)amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

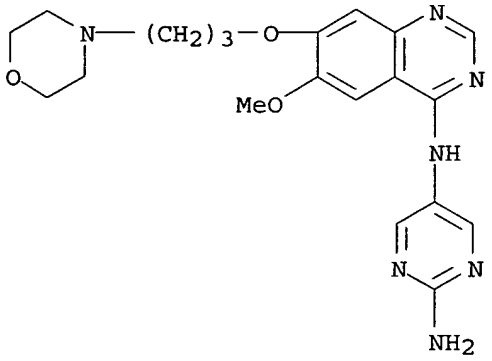


RN 331791-48-1 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[6-methoxy-7-[(2R)-oxiranylmethoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

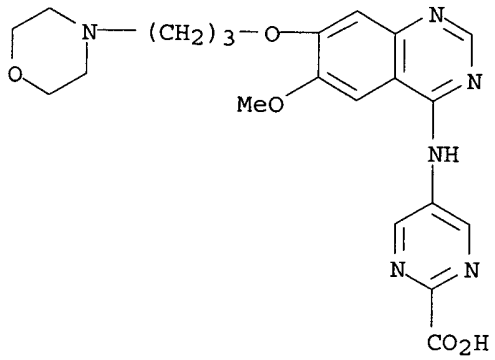
Absolute stereochemistry.



RN 331792-44-0 HCAPLUS
CN 2,5-Pyrimidinediamine, N5-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)

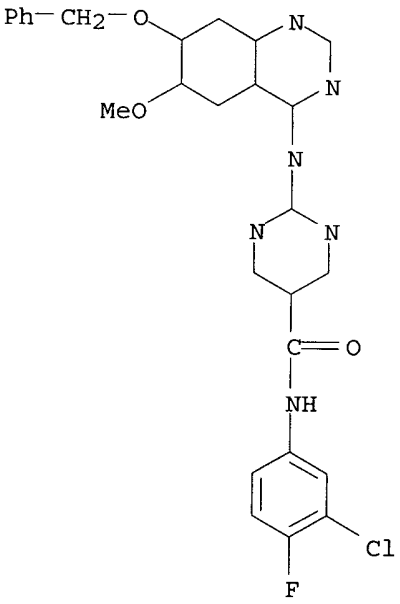


RN 331794-66-2 HCAPLUS
CN 2-Pyrimidinecarboxylic acid, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

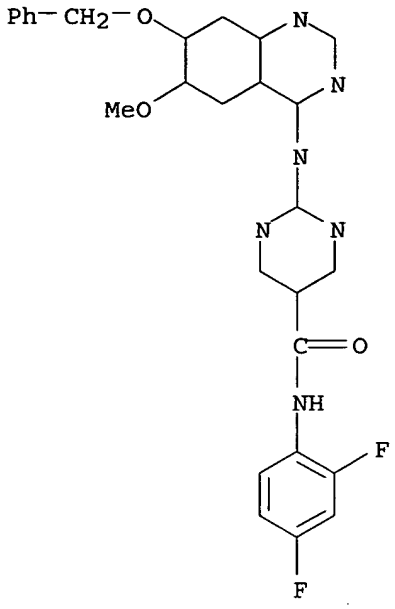


●2 HCl

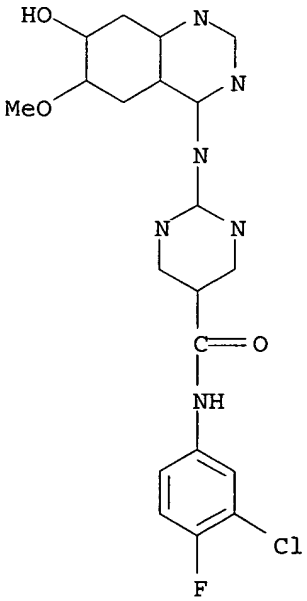
RN 331799-96-3 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(3-chloro-4-fluorophenyl)-2-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



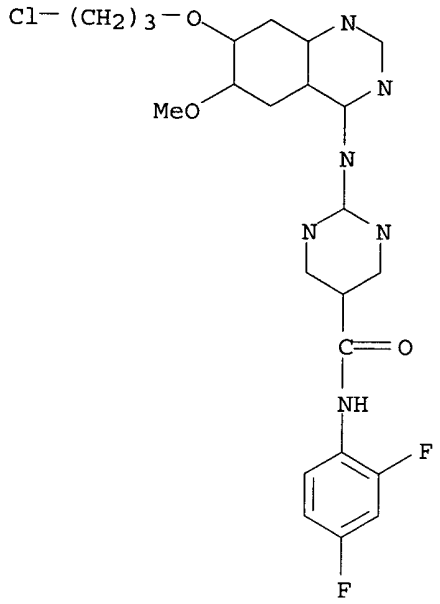
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-01-2 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(2,4-difluorophenyl)-2-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-12-5 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(3-chloro-4-fluorophenyl)-2-[(7-hydroxy-6-methoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)

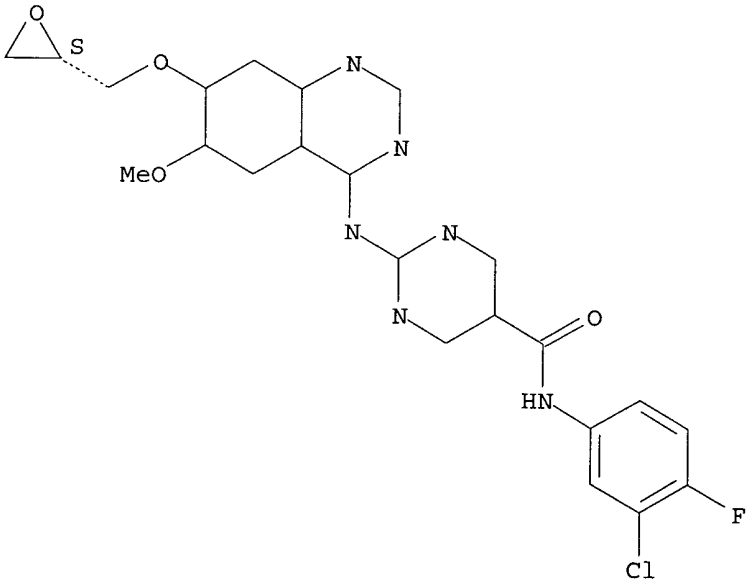


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-22-7 HCAPLUS
CN 5-Pyrimidinecarboxamide, 2-[[7-(3-chloropropoxy)-6-methoxy-4-quinazolinyl]amino]-N-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

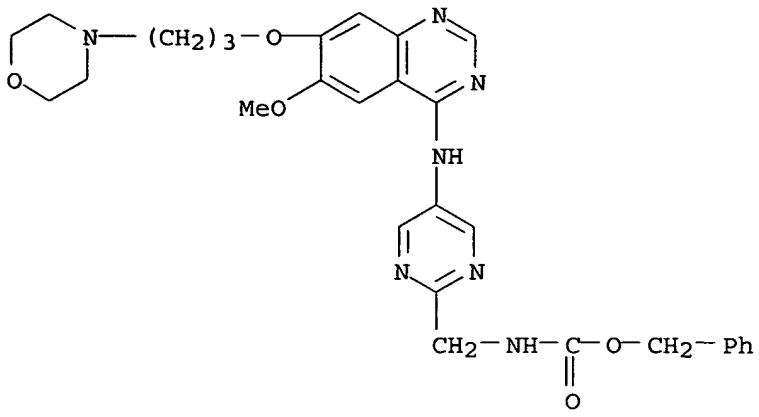


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-27-2 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(3-chloro-4-fluorophenyl)-2-[[6-methoxy-7-[(2S)-oxiranylmethoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

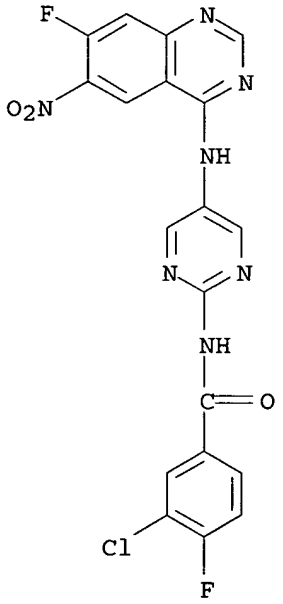
Absolute stereochemistry.



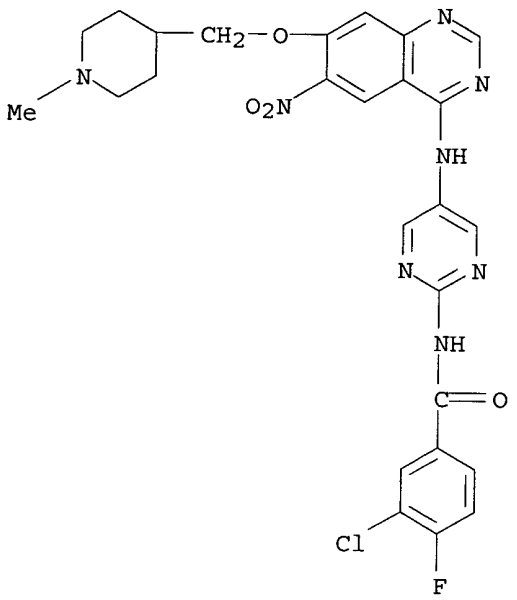
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-66-9 HCAPLUS
CN Carbamic acid, [[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 331801-80-0 HCAPLUS
CN Benzamide, 3-chloro-4-fluoro-N-[5-[(7-fluoro-6-nitro-4-quinazolinyl)amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331801-90-2 HCAPLUS
CN Benzamide, 3-chloro-4-fluoro-N-[5-[[7-[(1-methyl-4-piperidinyl)methoxy]-6-nitro-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



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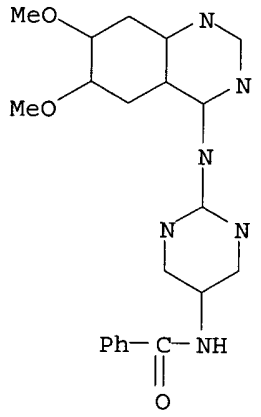
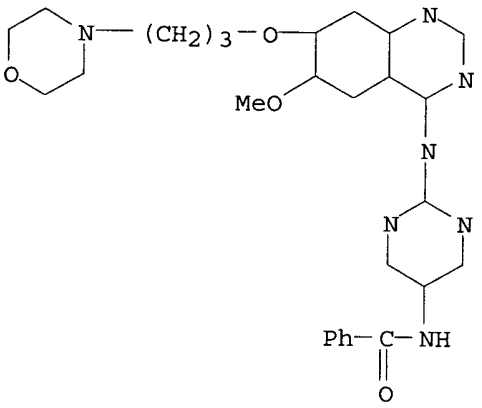
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

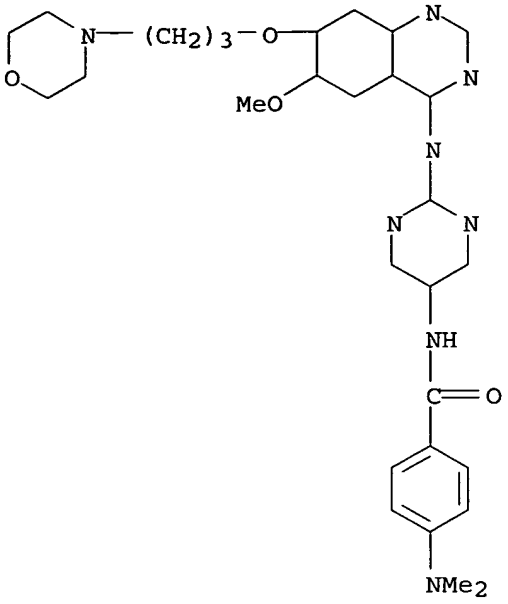
RN 331787-20-3 HCAPLUS
CN Benzamide, N-[2-[(6,7-dimethoxy-4-quinazolinyl)amino]-5-pyrimidinyl]-(9CI) (CA INDEX NAME)



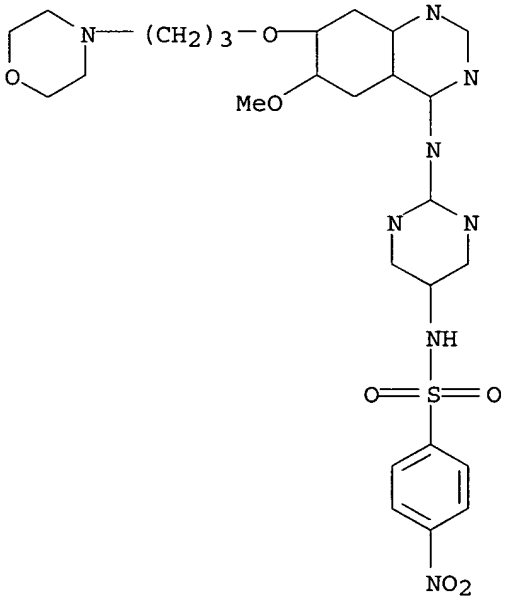
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331787-26-9 HCAPLUS
CN Benzamide, N-[2-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



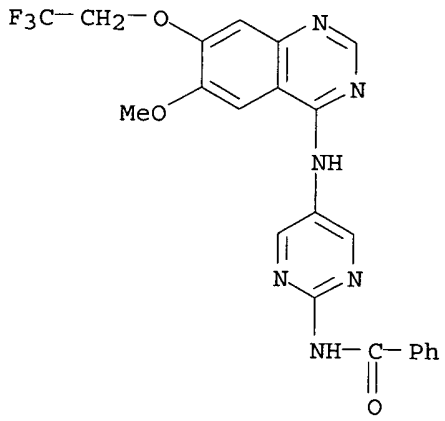
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331787-33-8 HCAPLUS
CN Benzamide, 4-(dimethylamino)-N-[2-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



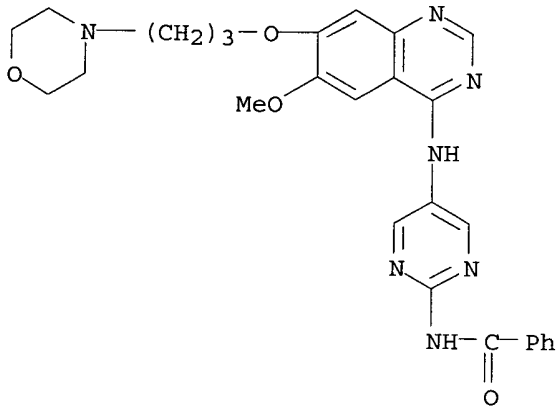
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331787-43-0 HCAPLUS
CN Benzenesulfonamide, N-[2-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-5-pyrimidinyl]-4-nitro- (9CI) (CA INDEX NAME)



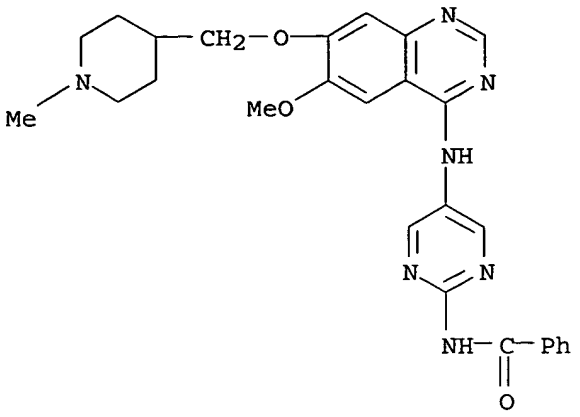
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331787-53-2 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



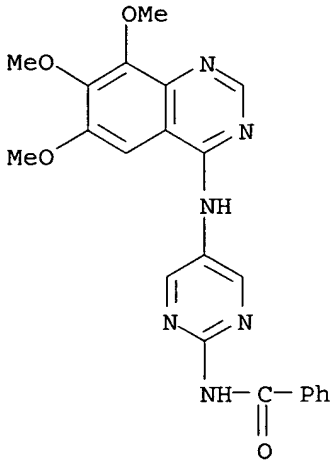
RN 331787-58-7 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



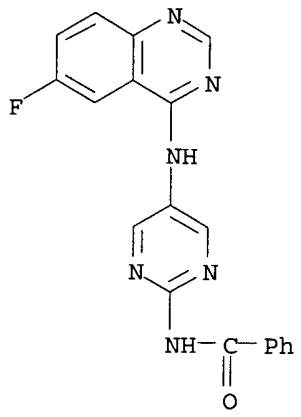
RN 331787-62-3 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



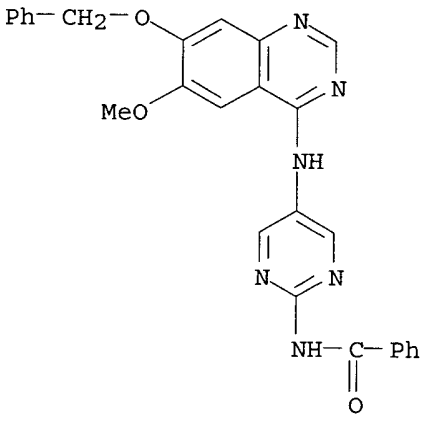
RN 331787-68-9 HCAPLUS
CN Benzamide, N-[5-[(6,7,8-trimethoxy-4-quinazolinyl)amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331787-75-8 HCAPLUS
CN Benzamide, N-[5-[(6-fluoro-4-quinazolinyl)amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

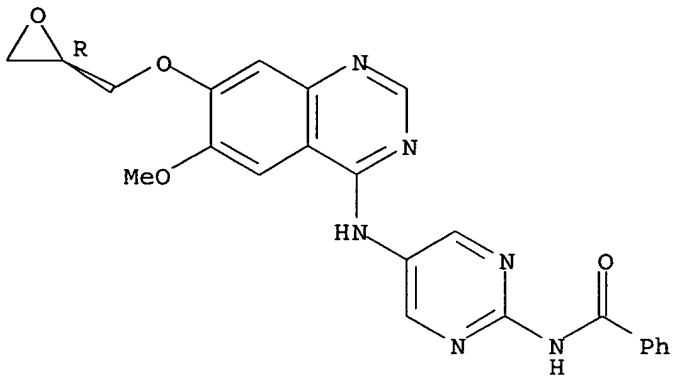


RN 331787-81-6 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



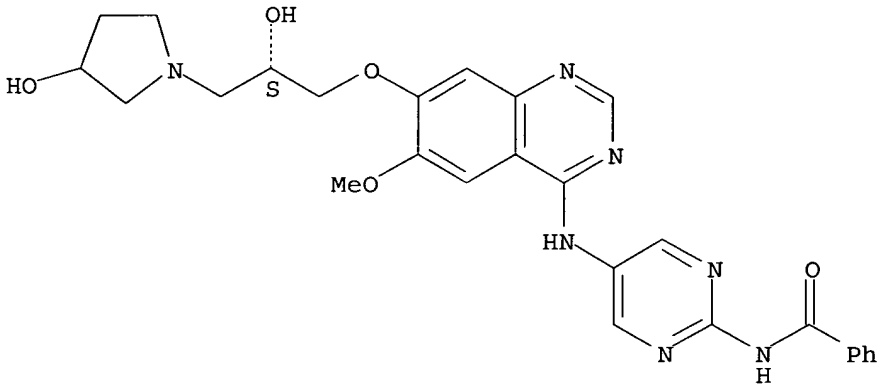
RN 331787-93-0 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[(2R)-oxiranylmethoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



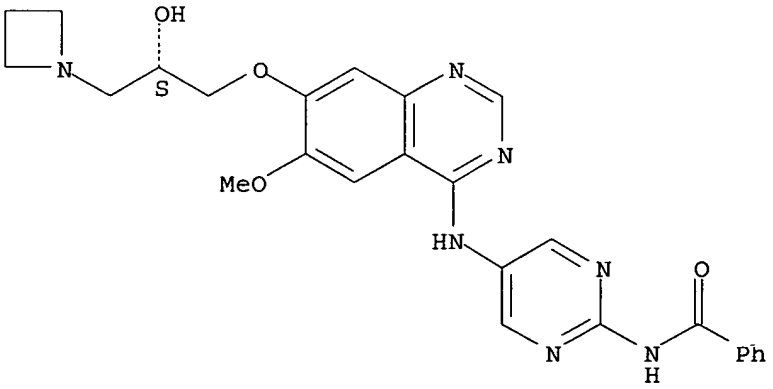
RN 331788-05-7 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-(3-hydroxy-1-pyrrolidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



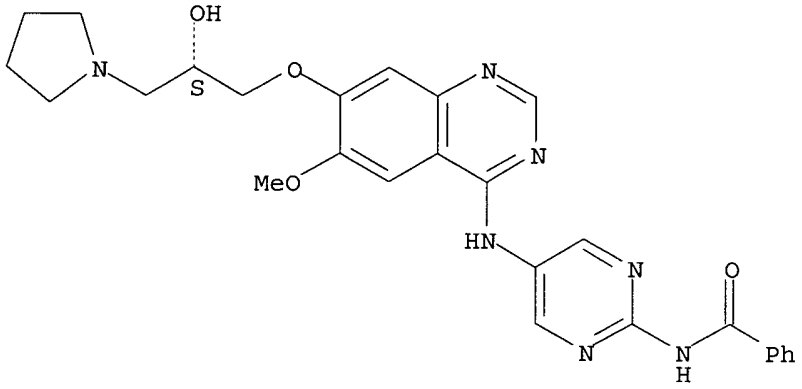
RN 331788-11-5 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-(1-azetidinyl)-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



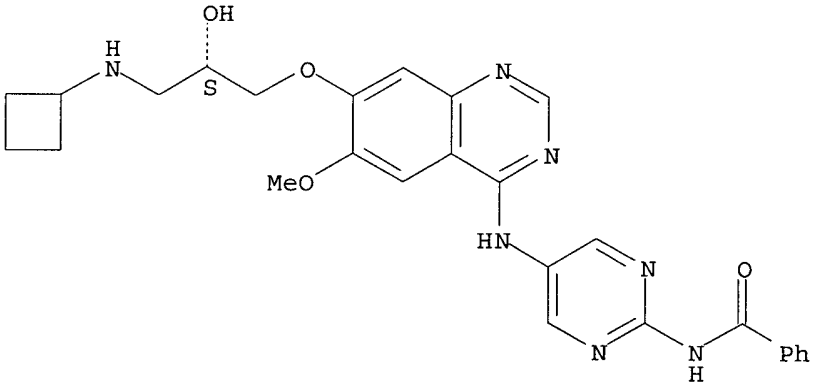
RN 331788-16-0 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-(1-pyrrolidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



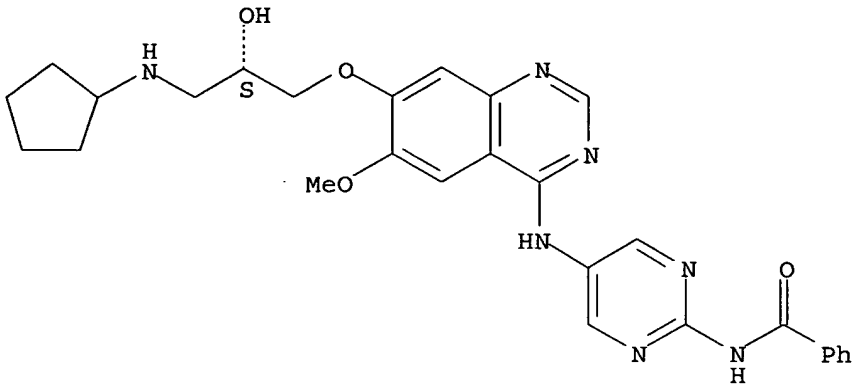
RN 331788-32-0 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-(cyclobutylamino)-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



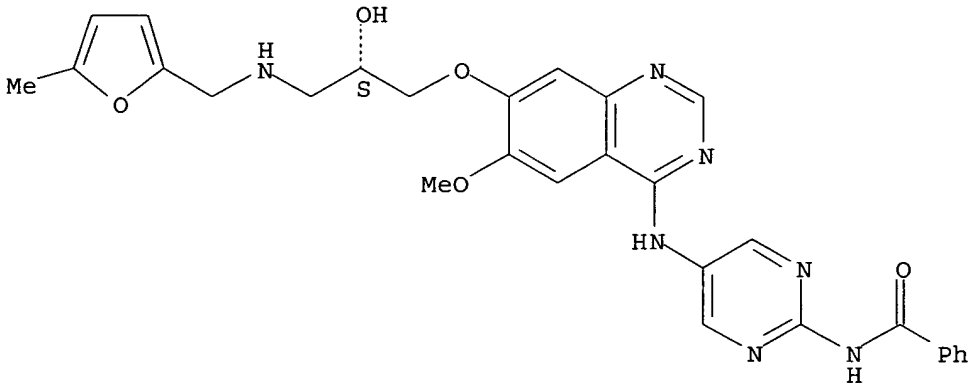
RN 331788-38-6 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-(cyclopentylamino)-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



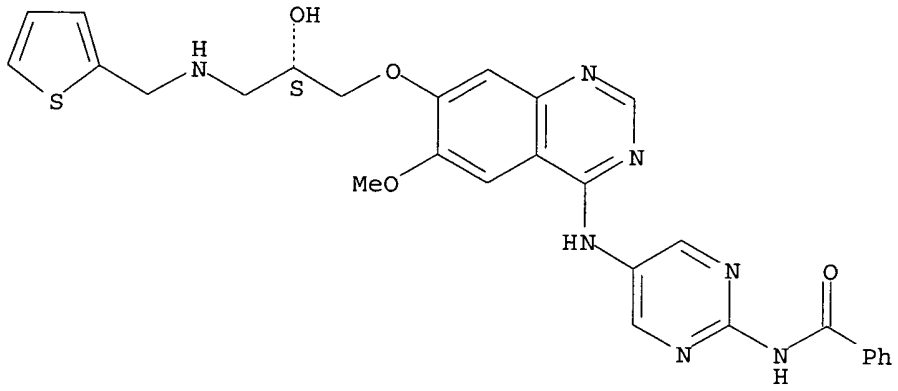
RN 331788-45-5 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-[[(5-methyl-2-furanyl)methyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



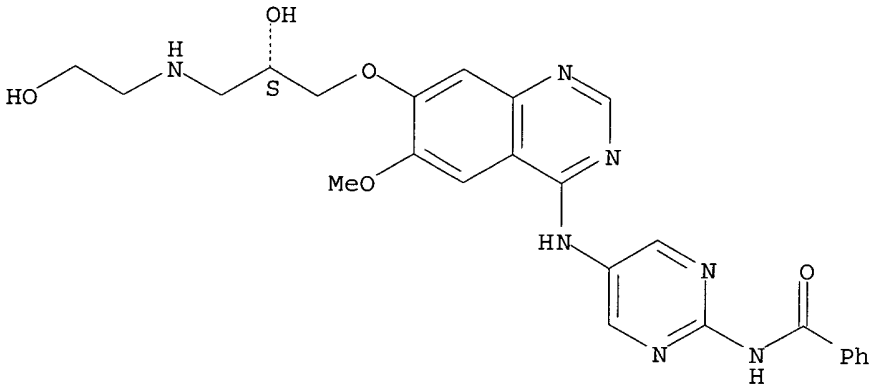
RN 331788-52-4 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-[(2-thienylmethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



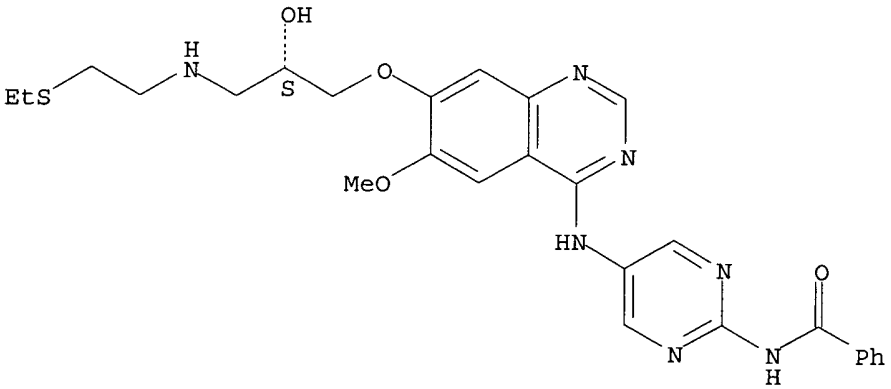
RN 331788-59-1 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-[(2-hydroxyethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

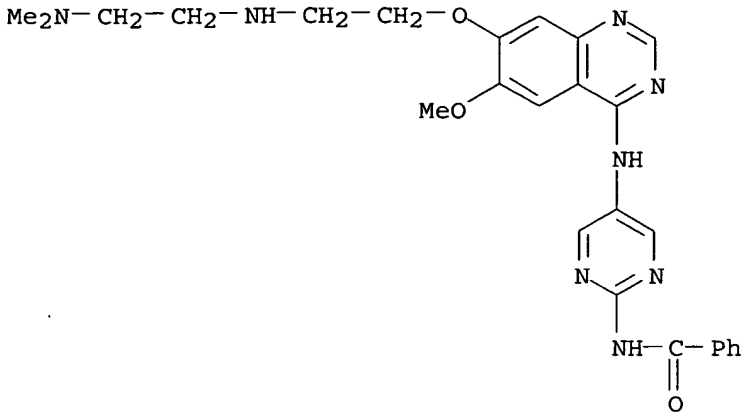


RN 331788-66-0 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-[[2-(ethylthio)ethyl]amino]-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

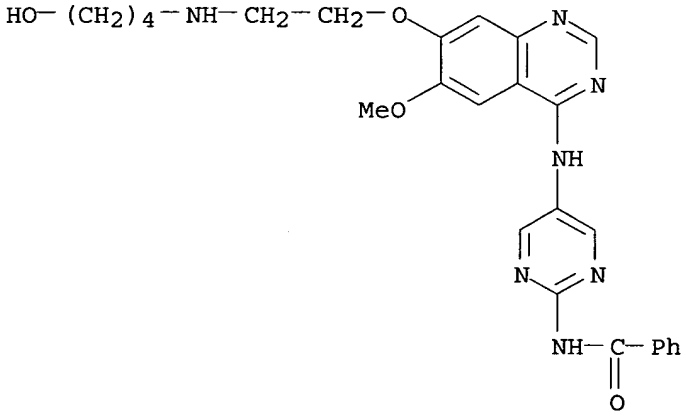
Absolute stereochemistry.



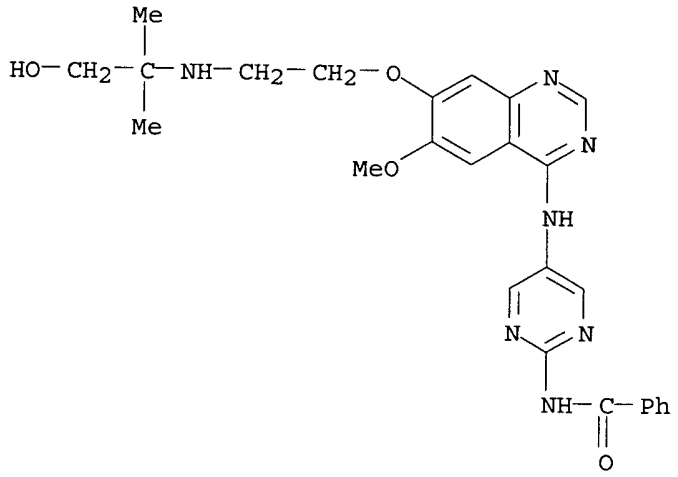
RN 331788-73-9 HCAPLUS
CN Benzamide, N-[5-[[7-[2-[[2-(dimethylamino)ethyl]amino]ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



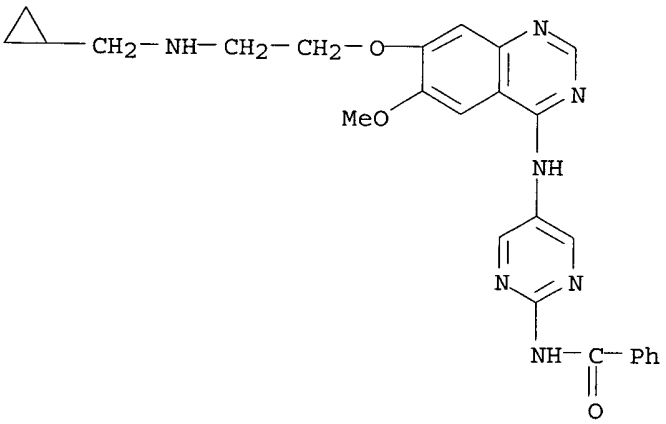
RN 331788-78-4 HCAPLUS
CN Benzamide, N-[5-[[7-[2-[(4-hydroxybutyl)amino]ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



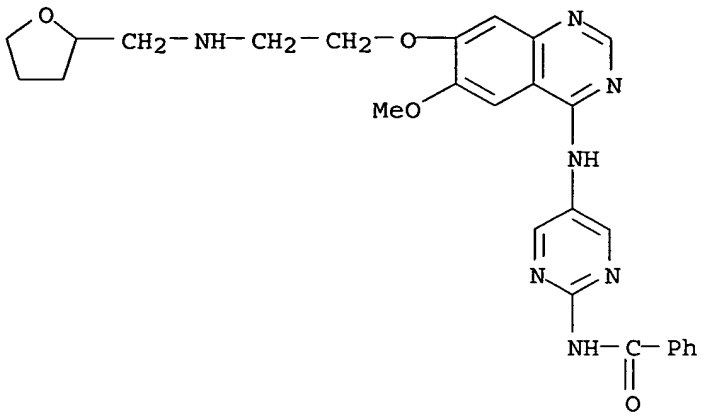
RN 331788-83-1 HCAPLUS
CN Benzamide, N-[5-[[7-[2-[(2-hydroxy-1,1-dimethylethyl)amino]ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



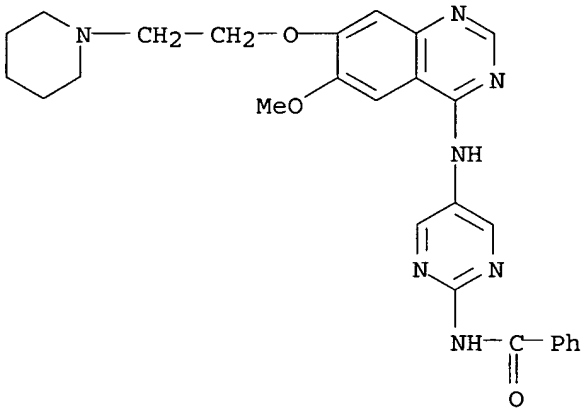
RN 331788-88-6 HCAPLUS
CN Benzamide, N-[5-[[7-[2-[(cyclopropylmethyl)amino]ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



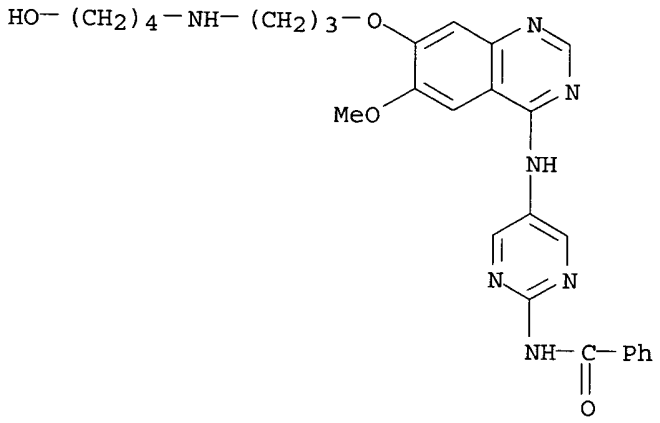
RN 331788-94-4 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[2-[[(tetrahydro-2-furanyl)methyl]amino]ethoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



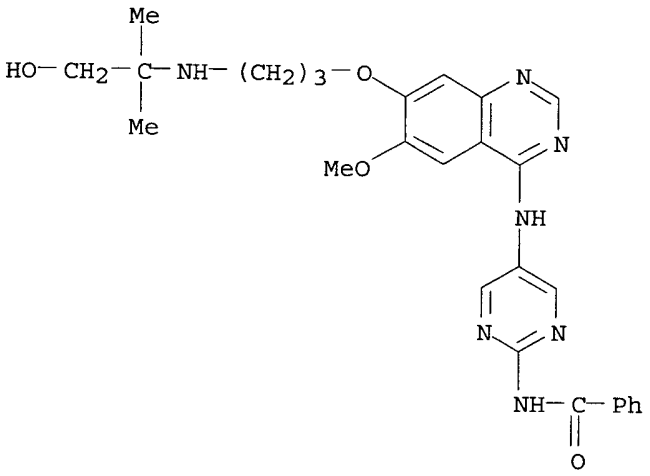
RN 331788-99-9 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[2-(1-piperidinyl)ethoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



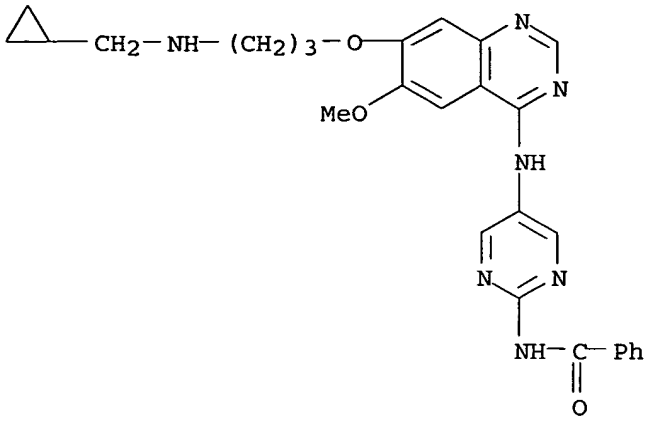
RN 331789-05-0 HCAPLUS
CN Benzamide, N-[5-[[7-[3-[(4-hydroxybutyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



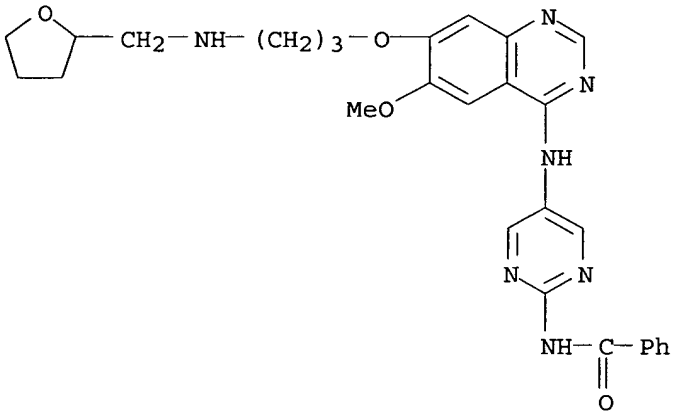
RN 331789-13-0 HCAPLUS
CN Benzamide, N-[5-[[7-[3-[(2-hydroxy-1,1-dimethylethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



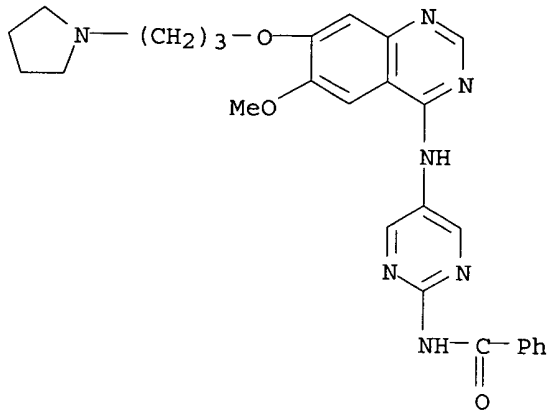
RN 331789-19-6 HCAPLUS
CN Benzamide, N-[5-[[7-[3-[(cyclopropylmethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



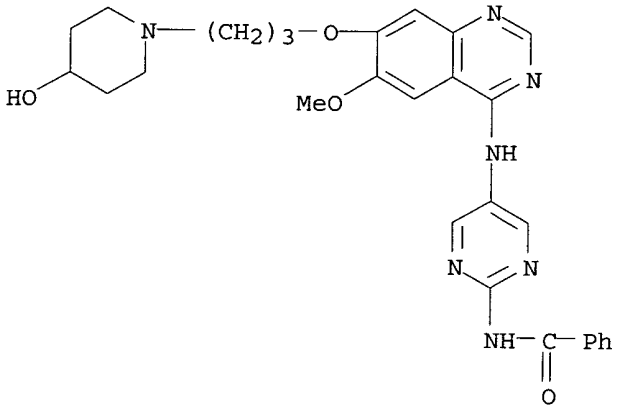
RN 331789-26-5 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-[[(tetrahydro-2-furanyl)methyl]amino]propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



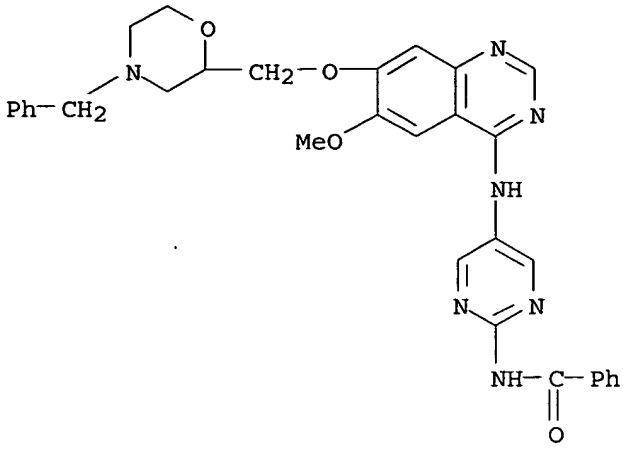
RN 331789-32-3 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331789-37-8 HCAPLUS
CN Benzamide, N-[5-[[7-[3-(4-hydroxy-1-piperidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

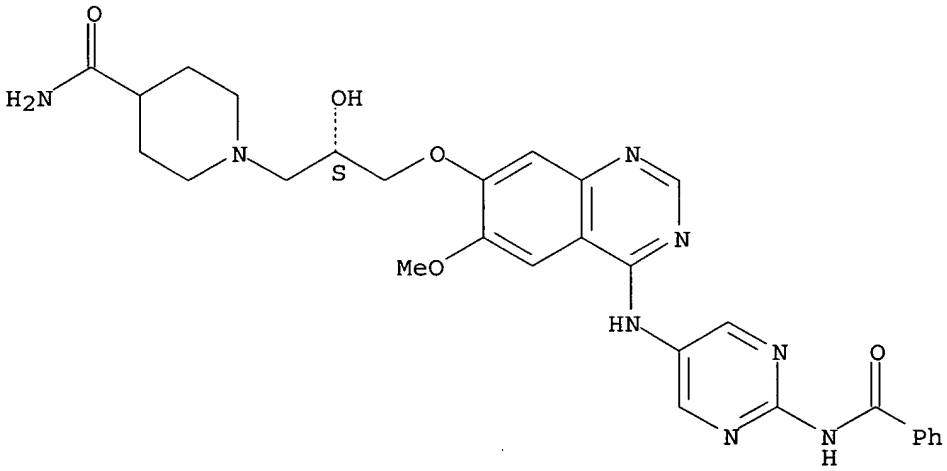


RN 331789-42-5 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[[4-(phenylmethyl)-2-morpholinyl]methoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



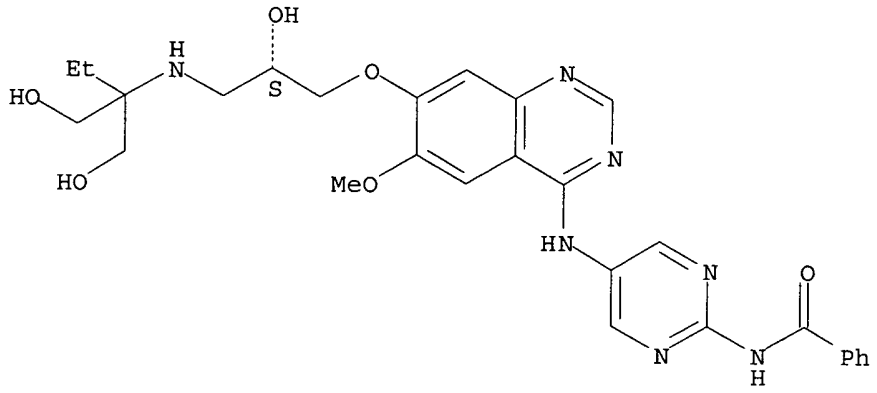
RN 331789-48-1 HCAPLUS
CN 4-Piperidinecarboxamide, 1-[(2S)-3-[[4-[[2-(benzoylamino)-5-pyrimidinyl]amino]-6-methoxy-7-quinazolinyl]oxy]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



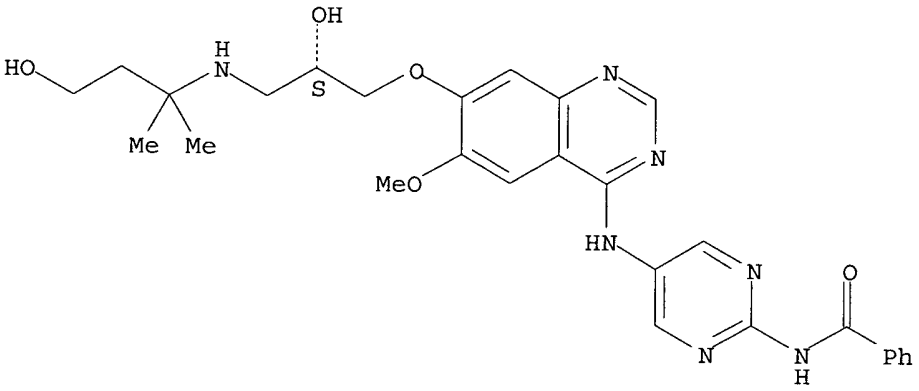
RN 331789-52-7 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-[[1,1-bis(hydroxymethyl)propyl]amino]-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



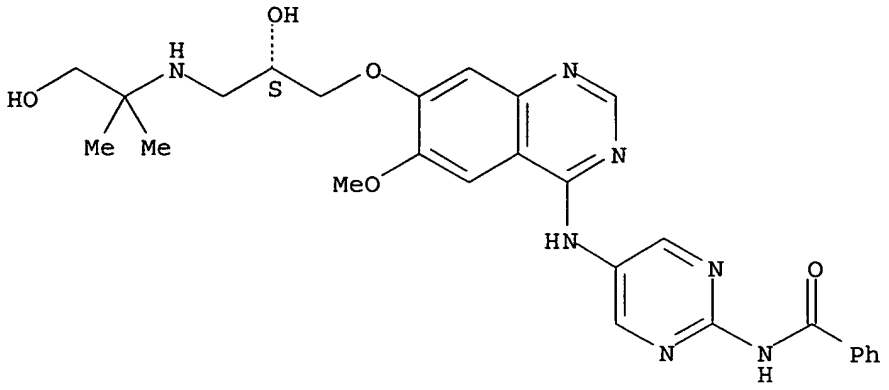
RN 331789-57-2 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-[(3-hydroxy-1,1-dimethylpropyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



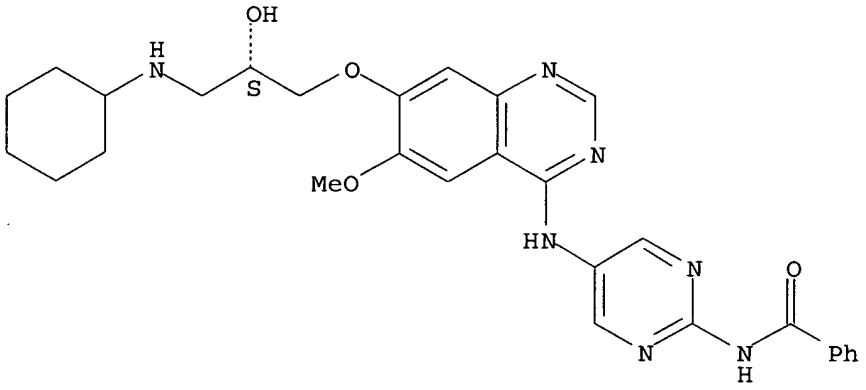
RN 331789-62-9 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-[(2-hydroxy-1,1-dimethylethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



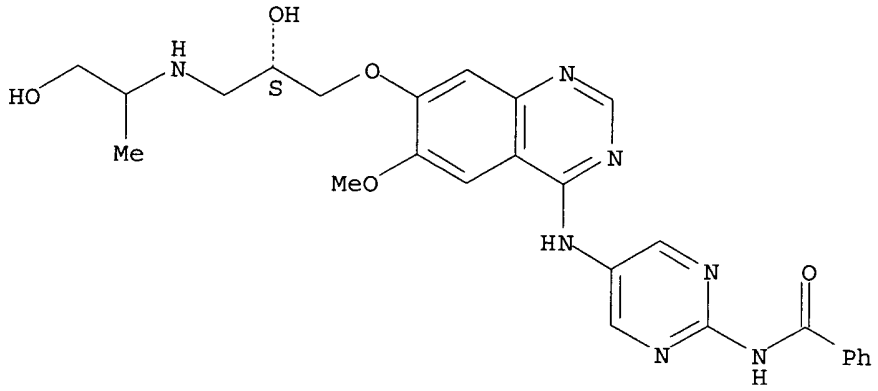
RN 331789-67-4 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-(cyclohexylamino)-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



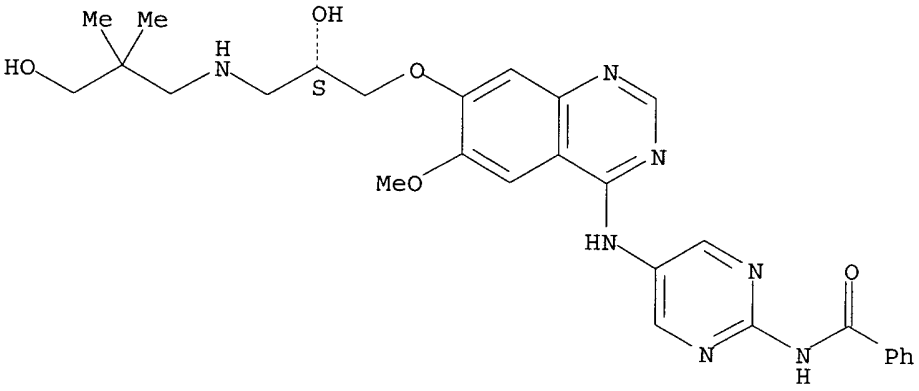
RN 331789-72-1 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-[(2-hydroxy-1-methylethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



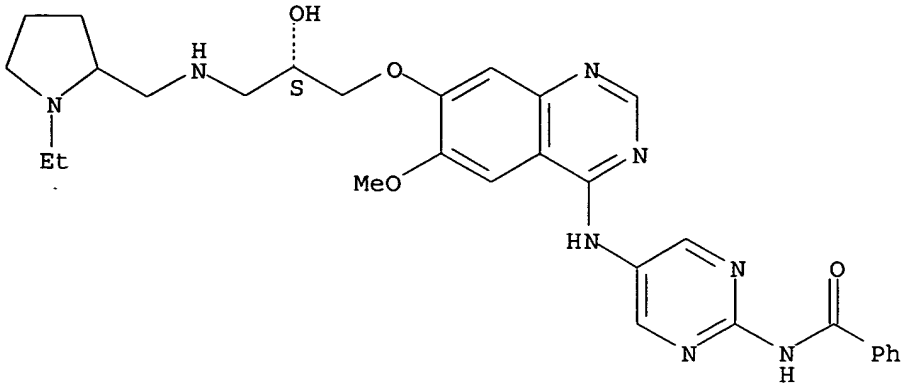
RN 331789-78-7 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-[(3-hydroxy-2,2-dimethylpropyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



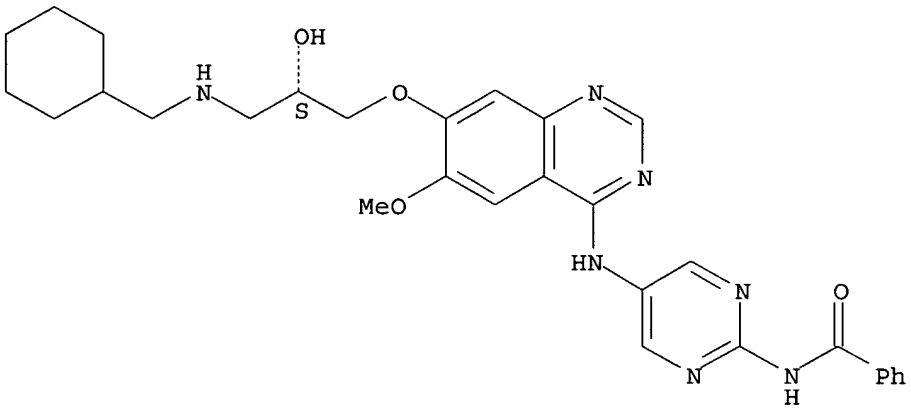
RN 331789-84-5 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-[[(1-ethyl-2-pyrrolidinyl)methyl]amino]-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

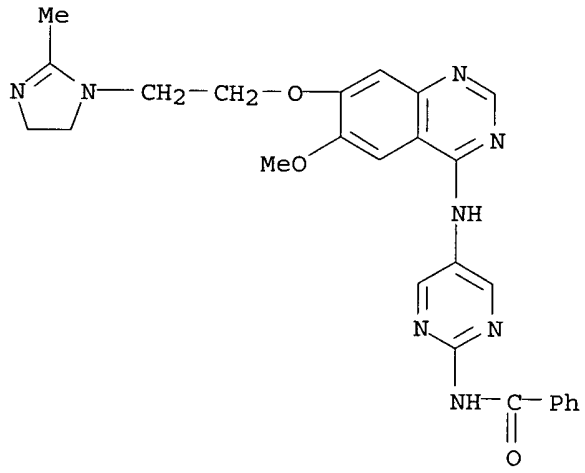


RN 331789-89-0 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-[(cyclohexylmethyl)amino]-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

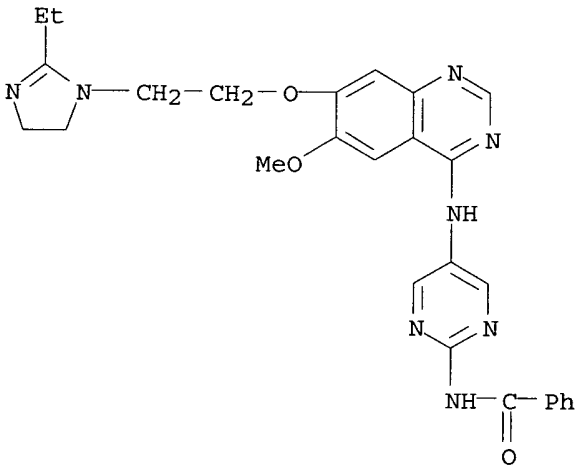
Absolute stereochemistry.



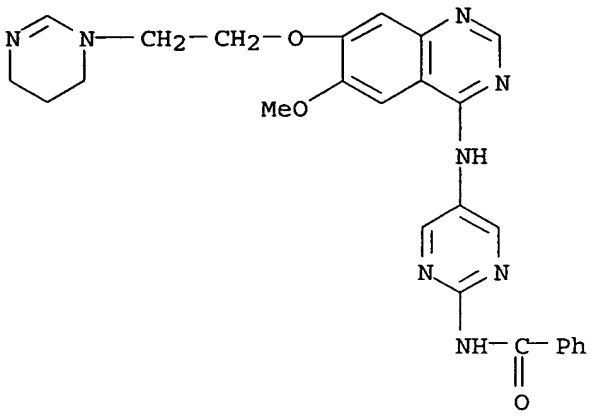
RN 331789-95-8 HCAPLUS
CN Benzamide, N-[5-[[7-[2-(4,5-dihydro-2-methyl-1H-imidazol-1-yl)ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



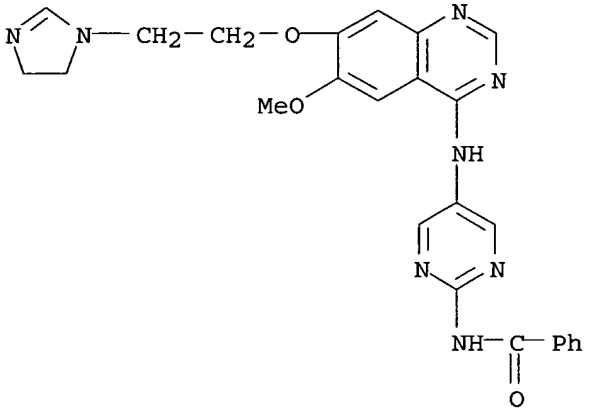
RN 331790-00-2 HCAPLUS
CN Benzamide, N-[5-[[7-[2-(2-ethyl-4,5-dihydro-1H-imidazol-1-yl)ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



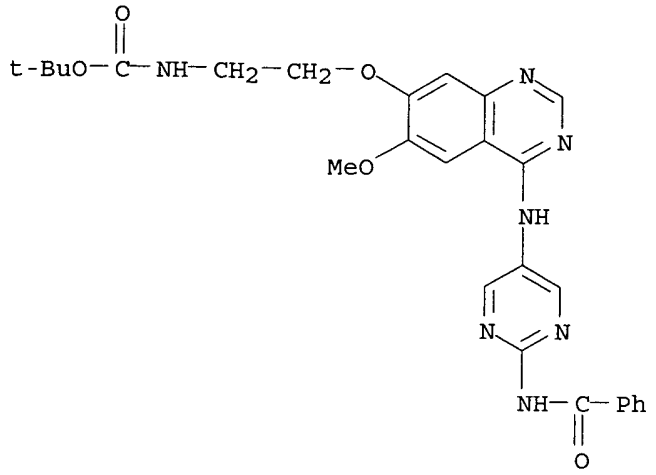
RN 331790-06-8 HCAPLUS
CN Benzamide, N-[5-[[7-[2-(5,6-dihydro-1(4H)-pyrimidinyl)ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331790-12-6 HCAPLUS
CN Benzamide, N-[5-[[7-[2-(4,5-dihydro-1H-imidazol-1-yl)ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

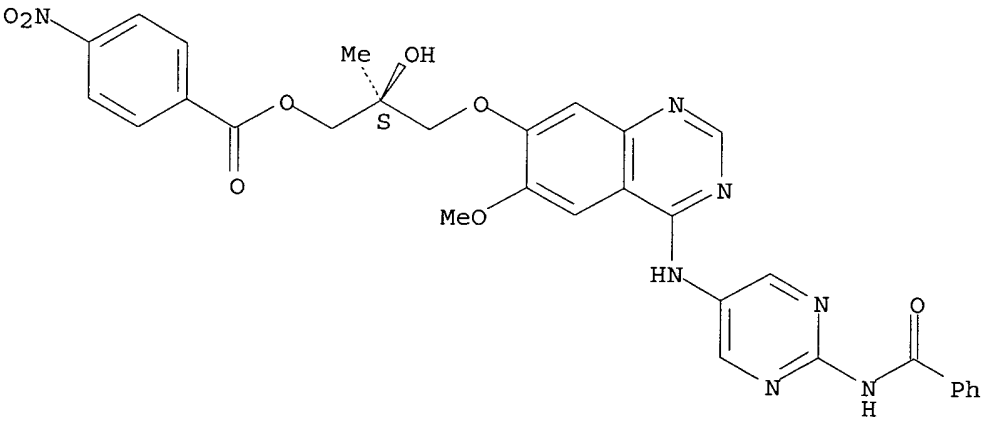


RN 331790-17-1 HCAPLUS
CN Carbamic acid, [2-[[4-[[2-(benzoylamino)-5-pyrimidinyl]amino]-6-methoxy-7-quinazolinyl]oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

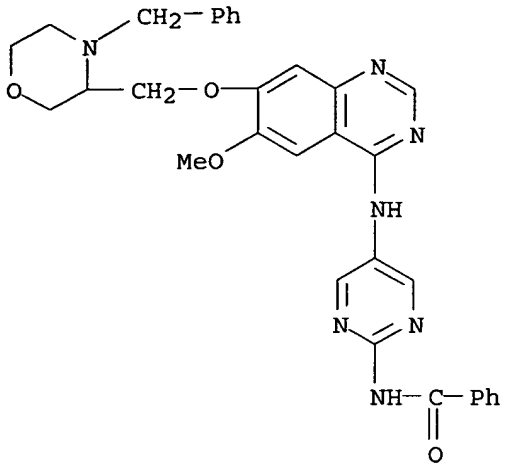


RN 331790-29-5 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-2-methyl-3-[(4-nitrobenzoyl)oxy]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

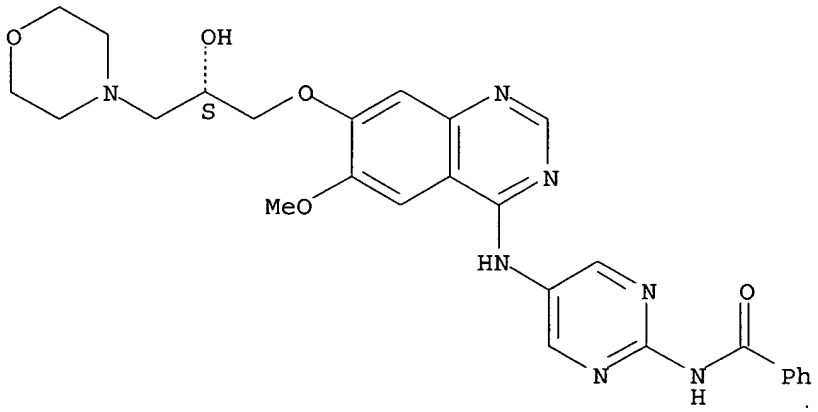


RN 331790-34-2 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[[4-(phenylmethyl)-3-morpholinyl]methoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

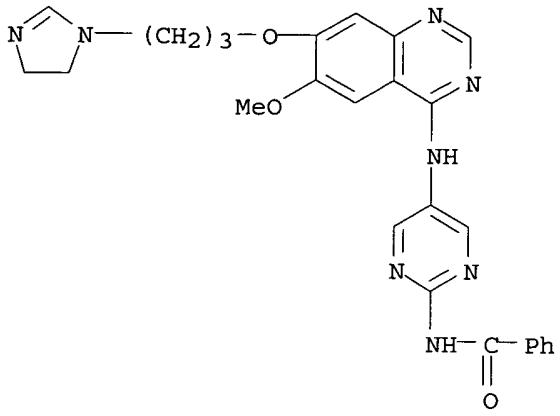


RN 331790-38-6 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-3-(4-morpholinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

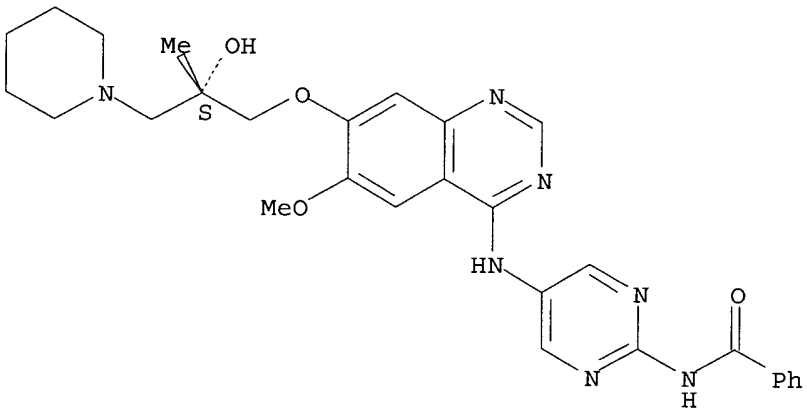


RN 331790-46-6 HCAPLUS
CN Benzamide, N-[5-[[7-[3-(4,5-dihydro-1H-imidazol-1-yl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



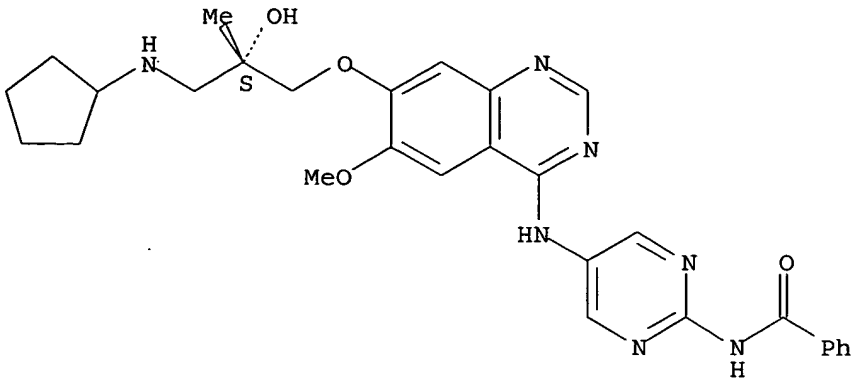
RN 331790-52-4 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-2-methyl-3-(1-piperidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



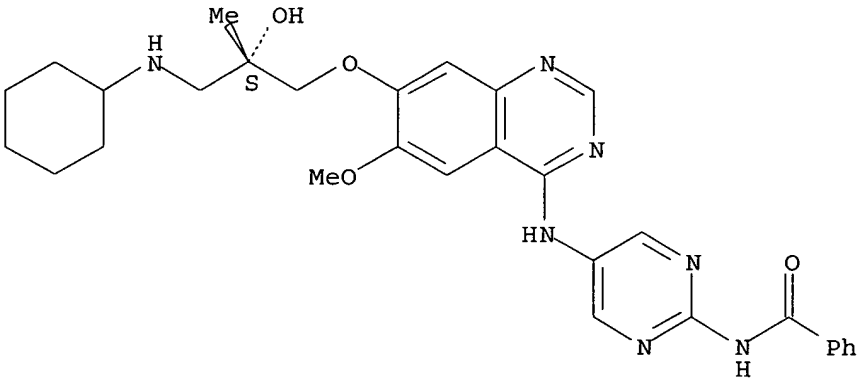
RN 331790-58-0 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-(cyclopentylamino)-2-hydroxy-2-methylpropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



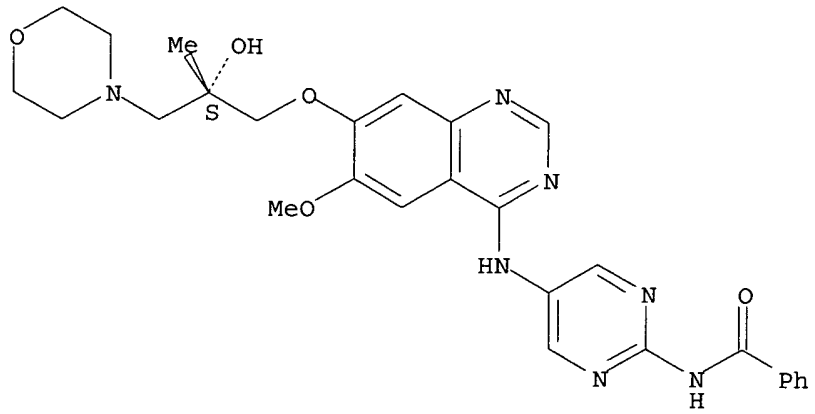
RN 331790-64-8 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-(cyclohexylamino)-2-hydroxy-2-methylpropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



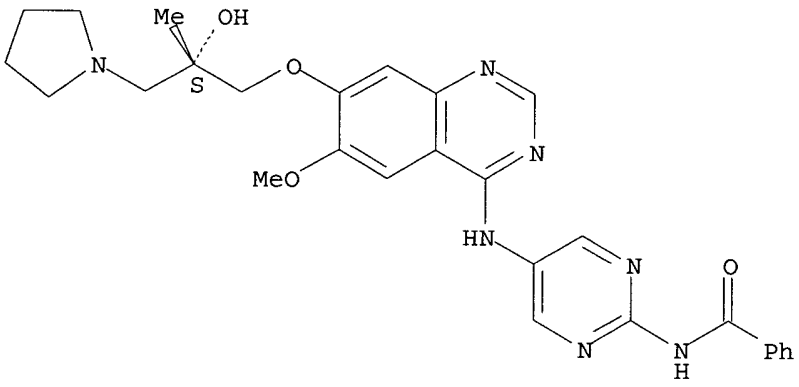
RN 331790-69-3 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-2-methyl-3-(4-morpholinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



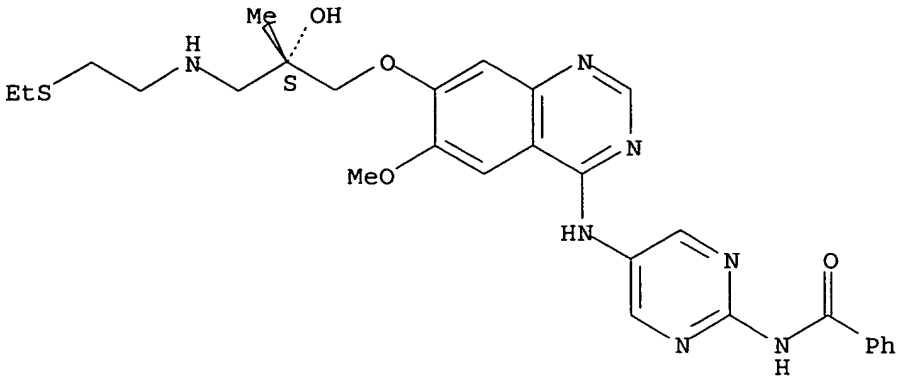
RN 331791-03-8 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-2-hydroxy-2-methyl-3-(1-pyrrolidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

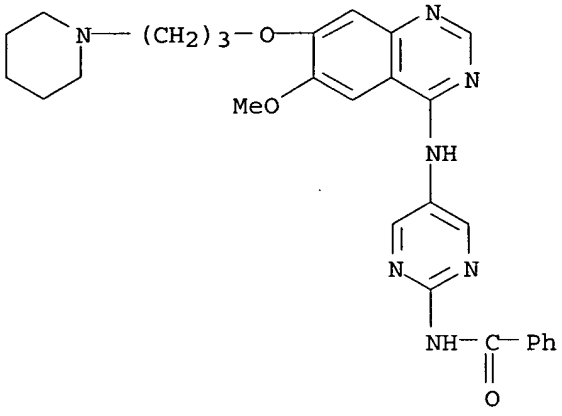


RN 331791-09-4 HCAPLUS
CN Benzamide, N-[5-[[7-[(2S)-3-[[2-(ethylthio)ethyl]amino]-2-hydroxy-2-methylpropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

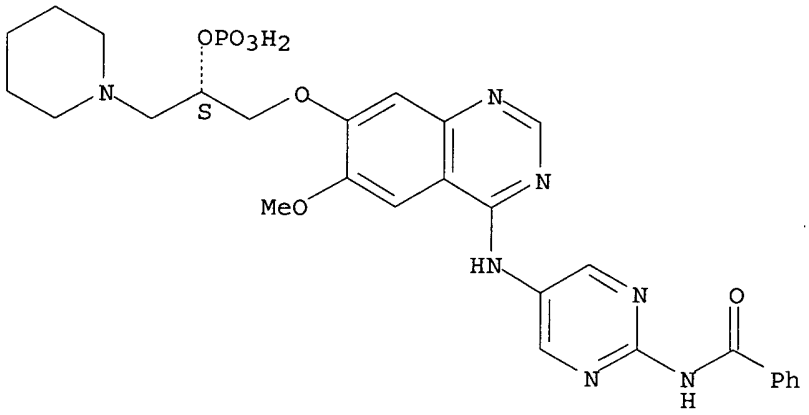


RN 331791-16-3 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



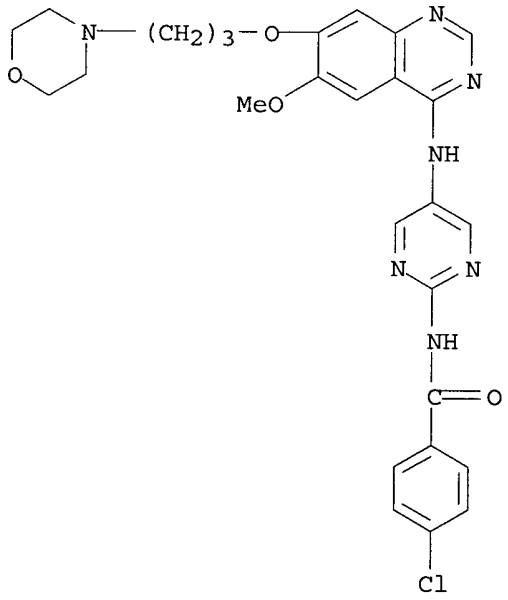
RN 331791-27-6 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[(2S)-2-(phosphonooxy)-3-(1-piperidinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



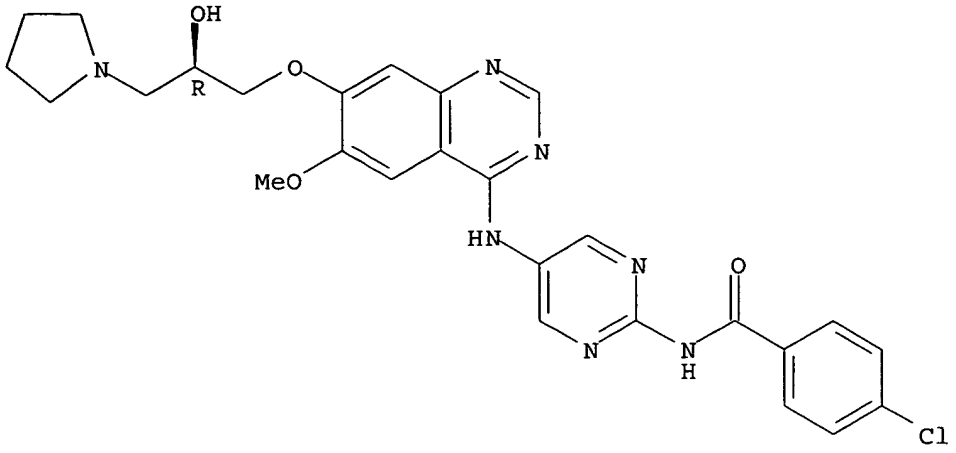
● 2 HBr

RN 331791-32-3 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



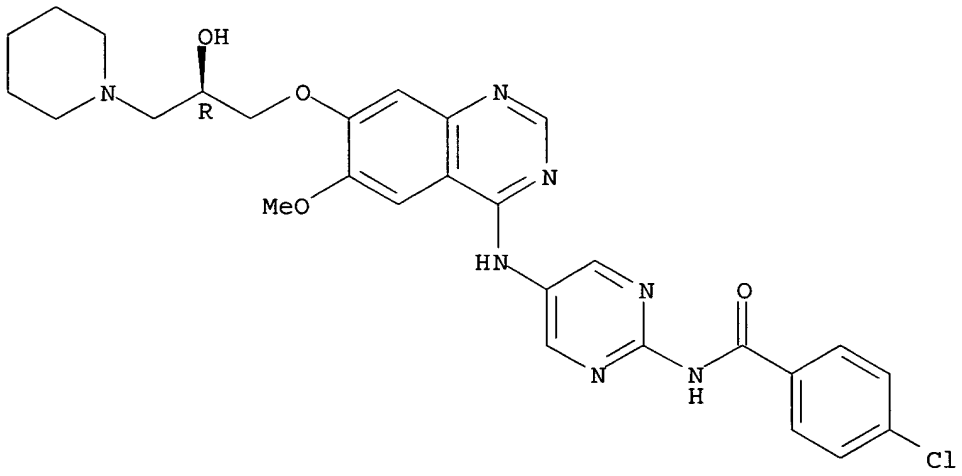
RN 331791-53-8 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[7-[(2R)-2-hydroxy-3-(1-pyrrolidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



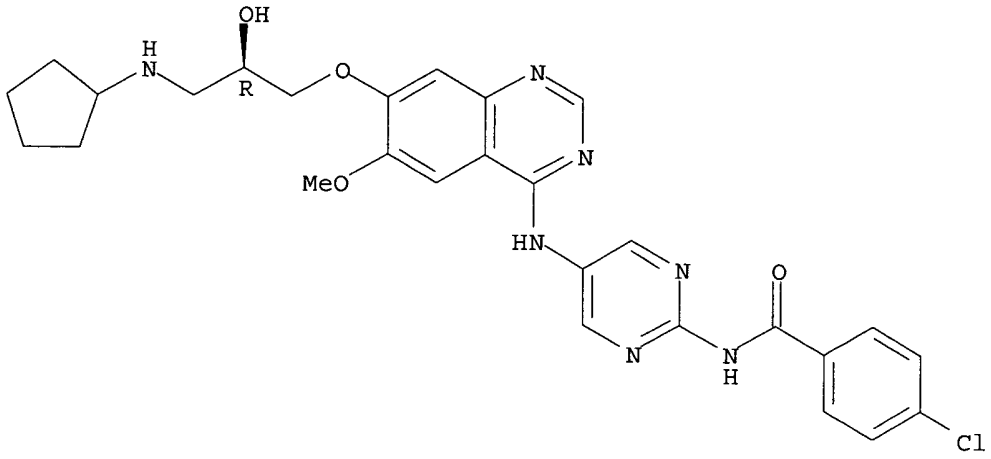
RN 331791-59-4 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[7-[(2R)-2-hydroxy-3-(1-piperidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



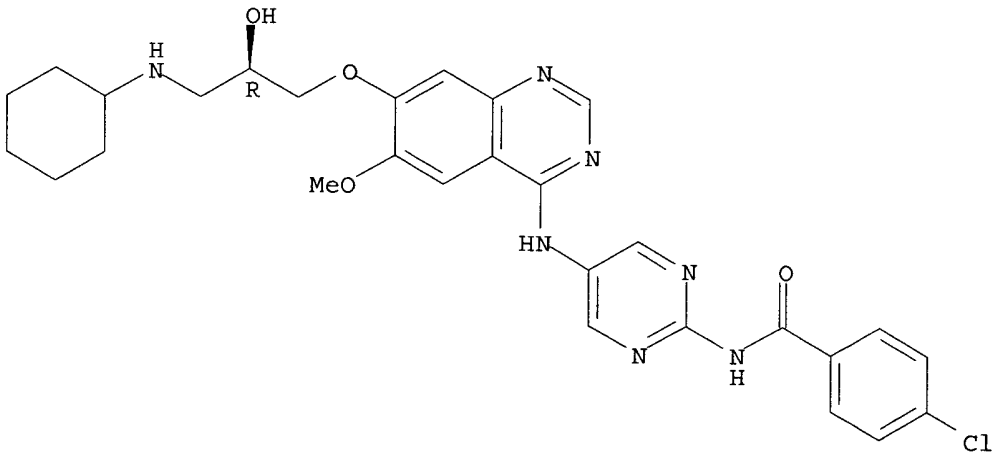
RN 331791-65-2 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[7-[(2R)-3-(cyclopentylamino)-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

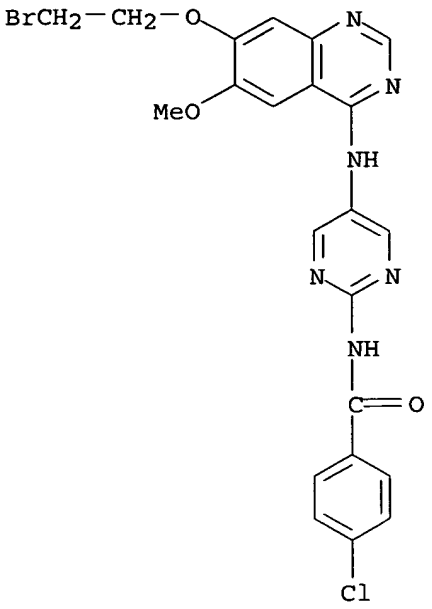


RN 331791-72-1 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[7-[(2R)-3-(cyclohexylamino)-2-hydroxypropoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

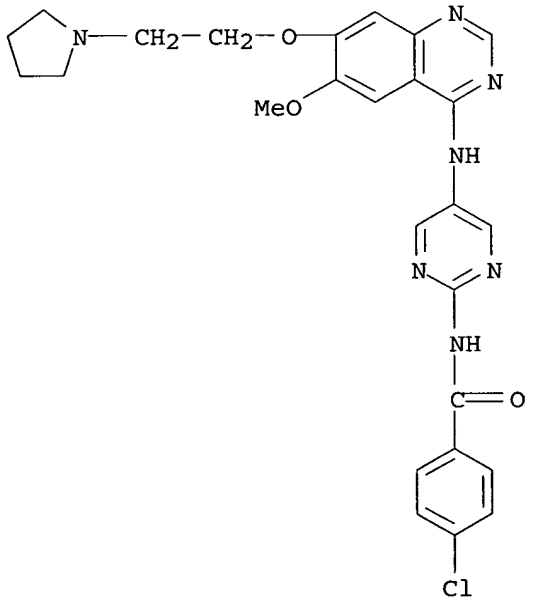
Absolute stereochemistry.



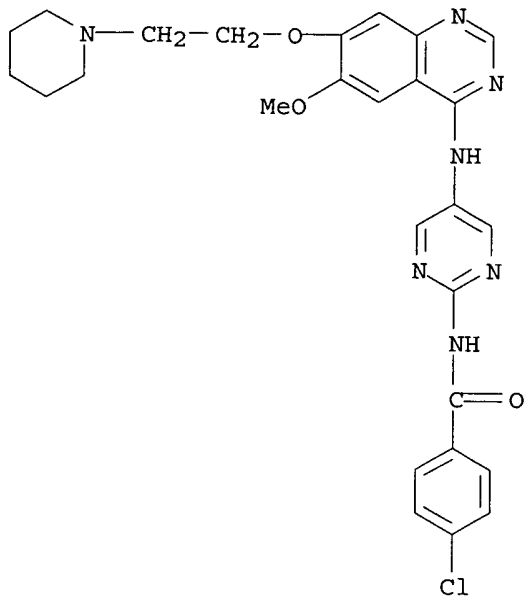
RN 331791-78-7 HCAPLUS
CN Benzamide, N-[5-[[7-(2-bromoethoxy)-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]-4-chloro- (9CI) (CA INDEX NAME)



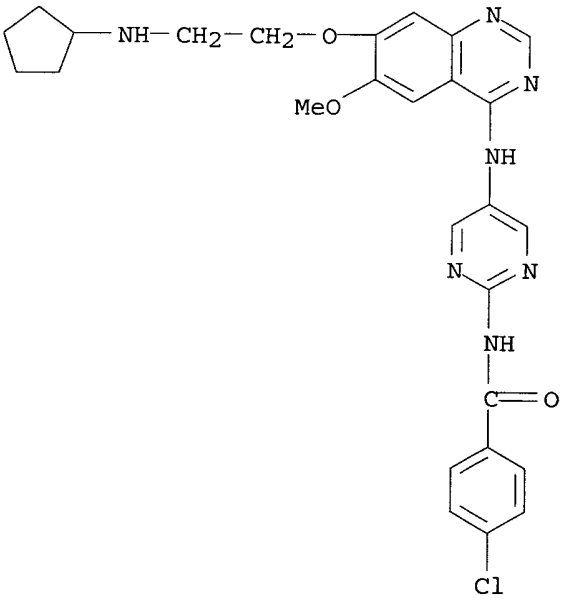
RN 331791-84-5 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



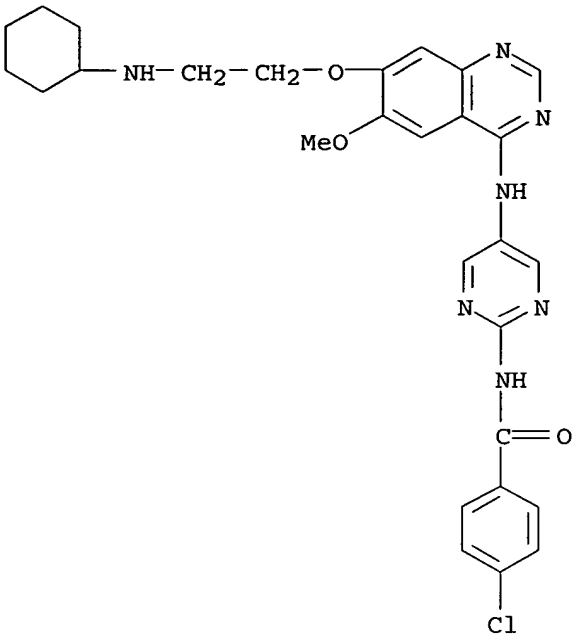
RN 331791-89-0 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[6-methoxy-7-[2-(1-piperidinyl)ethoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



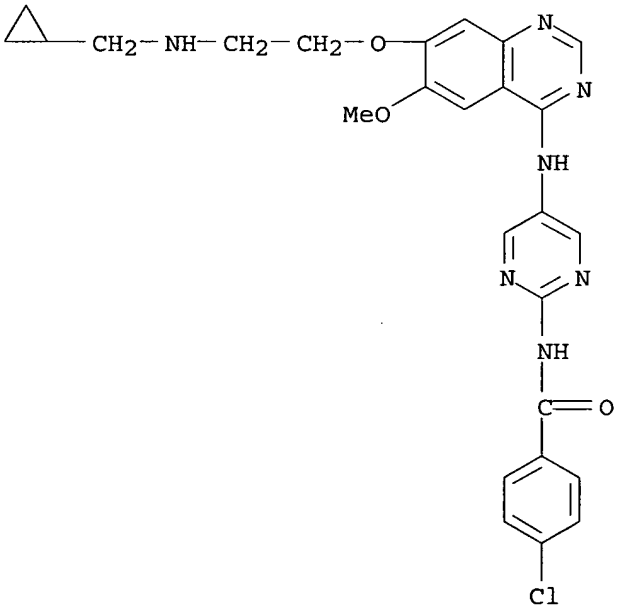
RN 331791-94-7 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[7-[2-(cyclopentylamino)ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



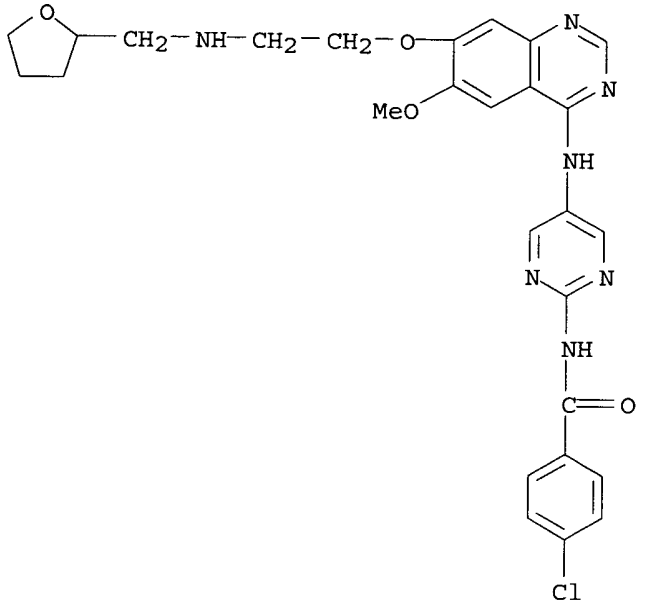
RN 331792-01-9 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[7-[2-(cyclohexylamino)ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331792-07-5 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[7-[2-[(cyclopropylmethyl)amino]ethoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

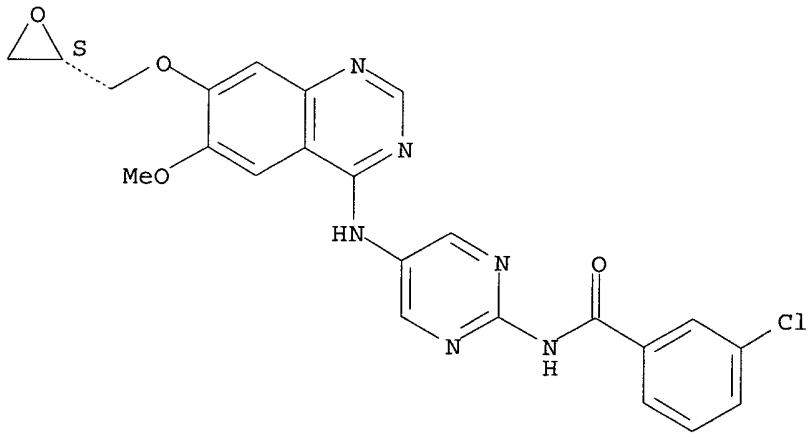


RN 331792-13-3 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[6-methoxy-7-[2-[[(tetrahydro-2-furanyl)methyl]amino]ethoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



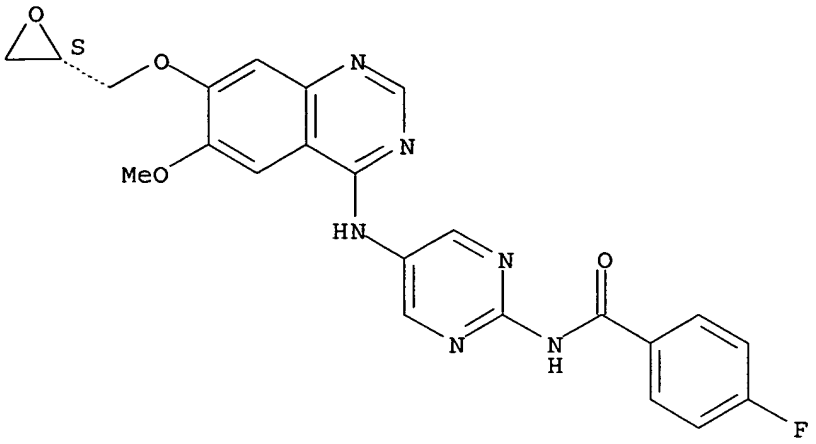
RN 331792-18-8 HCAPLUS
CN Benzamide, 3-chloro-N-[5-[[6-methoxy-7-[(2S)-oxiranylmethoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



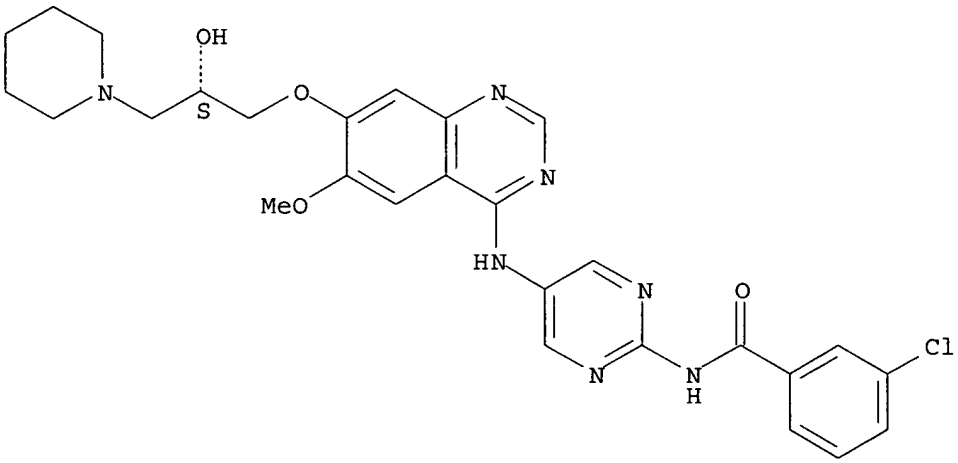
RN 331792-23-5 HCAPLUS
CN Benzamide, 4-fluoro-N-[5-[[6-methoxy-7-[(2S)-oxiranylmethoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

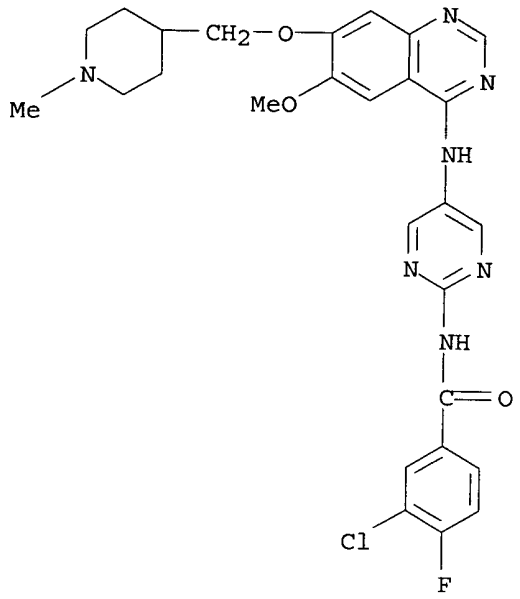


RN 331792-29-1 HCAPLUS
CN Benzamide, 3-chloro-N-[5-[[7-[(2S)-2-hydroxy-3-(1-piperidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

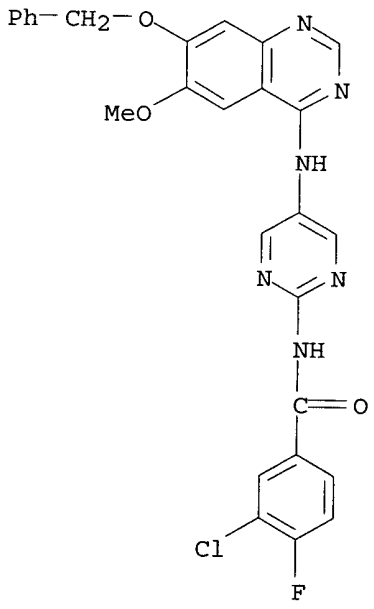
Absolute stereochemistry.



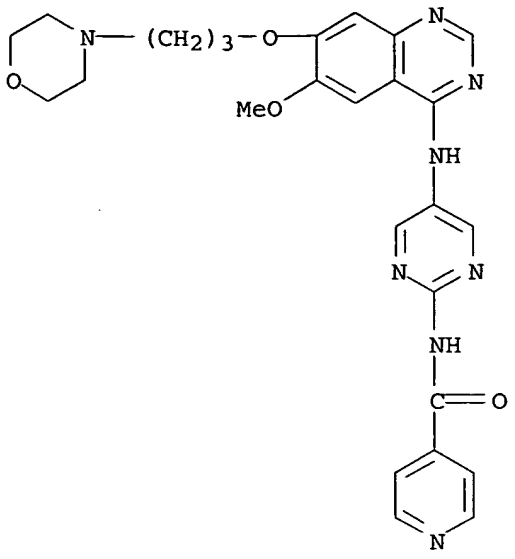
RN 331792-34-8 HCAPLUS
CN Benzamide, 3-chloro-4-fluoro-N-[5-[[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



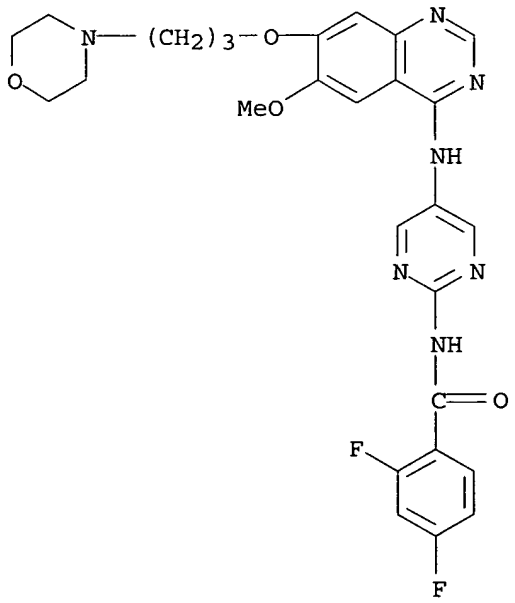
RN 331792-39-3 HCAPLUS
CN Benzamide, 3-chloro-4-fluoro-N-[5-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



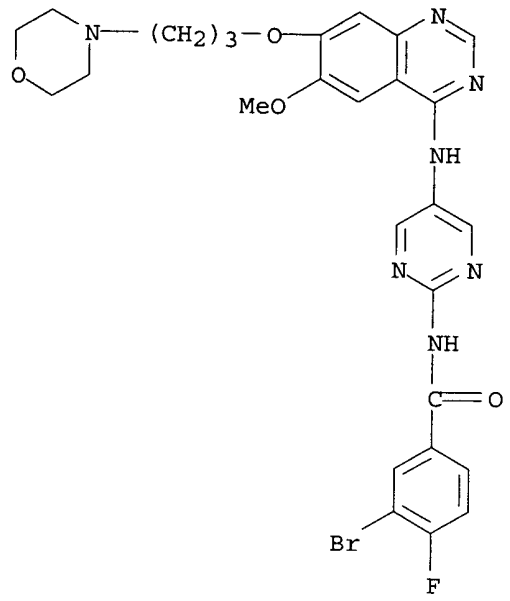
RN 331792-49-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



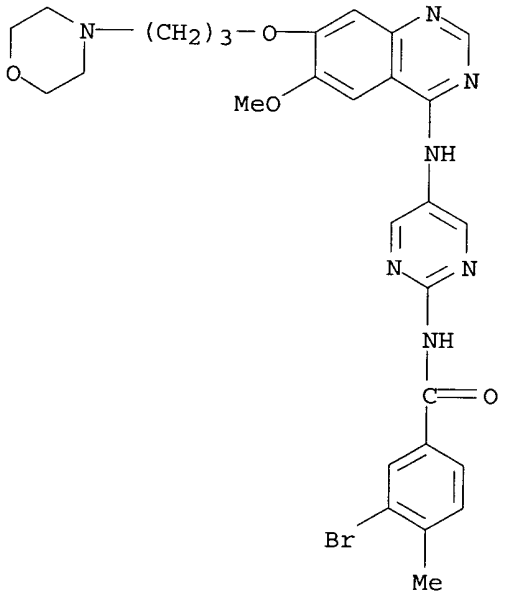
RN 331792-54-2 HCAPLUS
CN Benzamide, 2,4-difluoro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



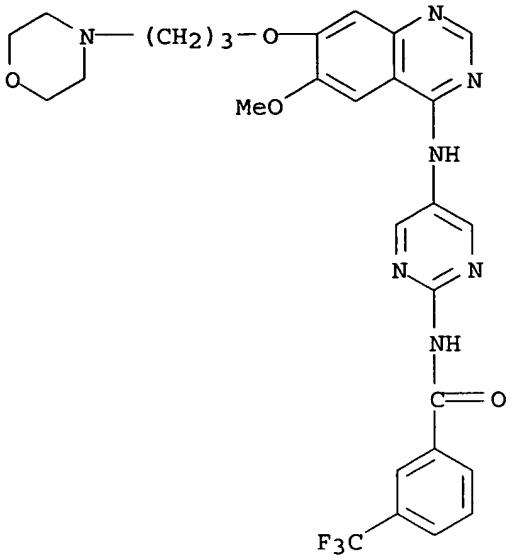
RN 331792-59-7 HCAPLUS
CN Benzamide, 3-bromo-4-fluoro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



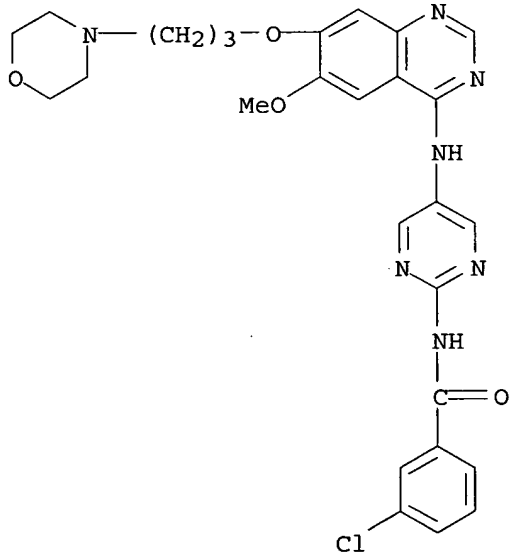
RN 331792-64-4 HCAPLUS
CN Benzamide, 3-bromo-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-4-methyl- (9CI) (CA INDEX NAME)



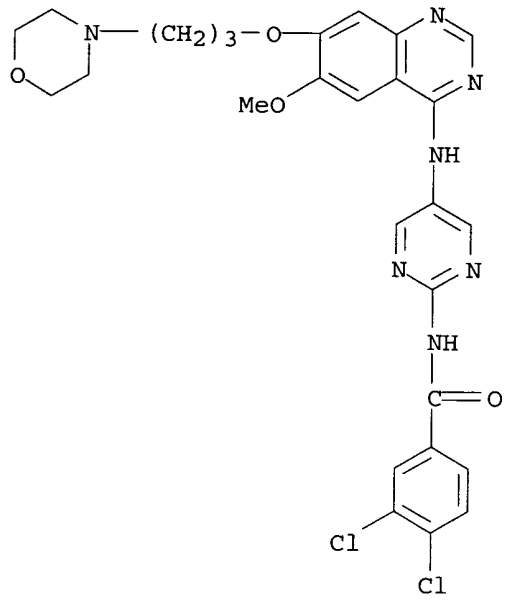
RN 331792-69-9 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



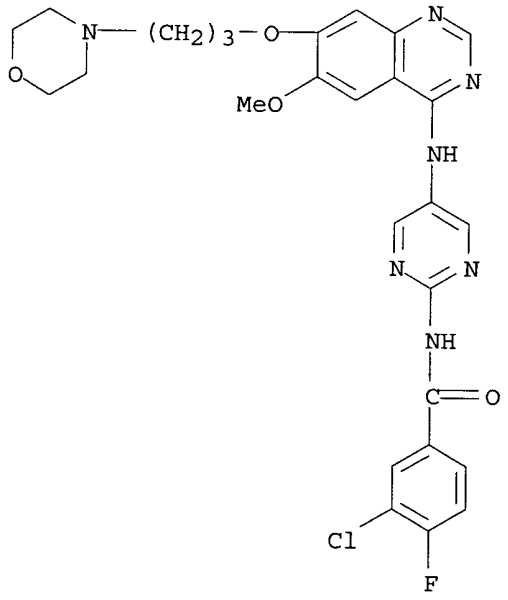
RN 331792-74-6 HCAPLUS
CN Benzamide, 3-chloro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



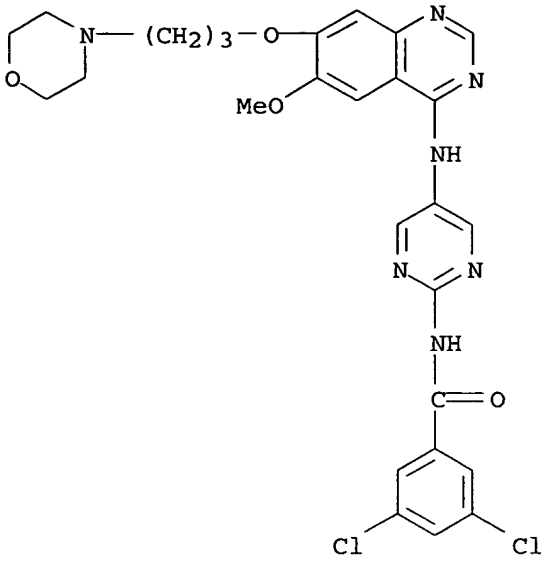
RN 331792-80-4 HCAPLUS
CN Benzamide, 3,4-dichloro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



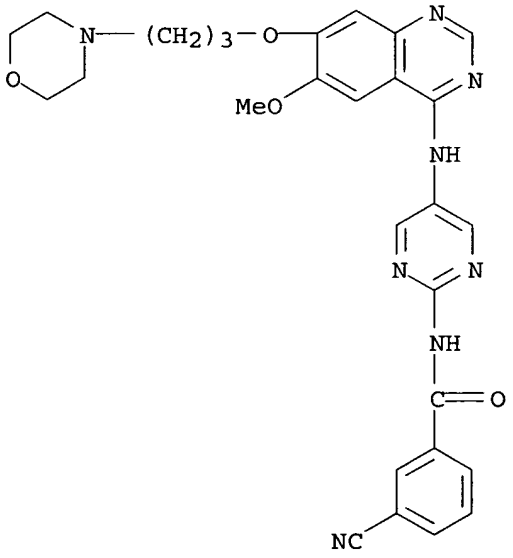
RN 331793-17-0 HCAPLUS
CN Benzamide, 3-chloro-4-fluoro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331793-25-0 HCAPLUS
CN Benzamide, 3,5-dichloro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331793-32-9 HCAPLUS
CN Benzamide, 3-cyano-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331793-38-5 HCAPLUS
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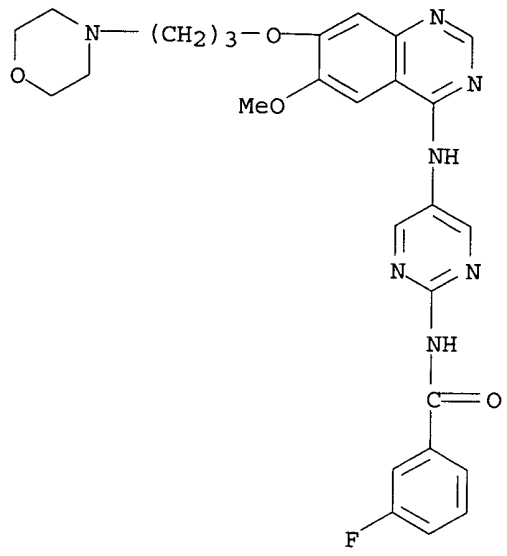
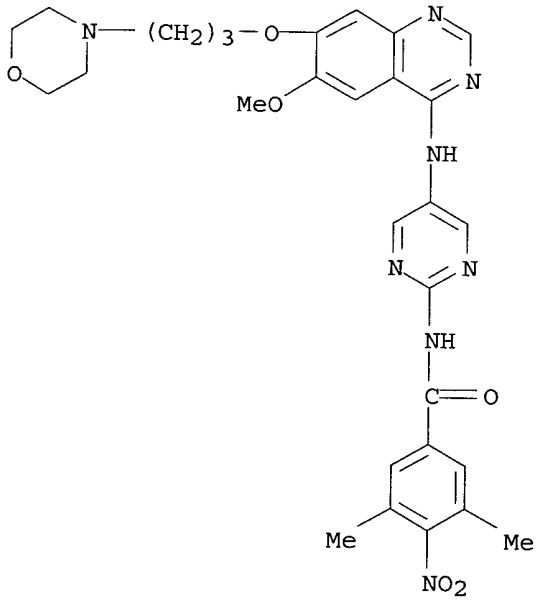
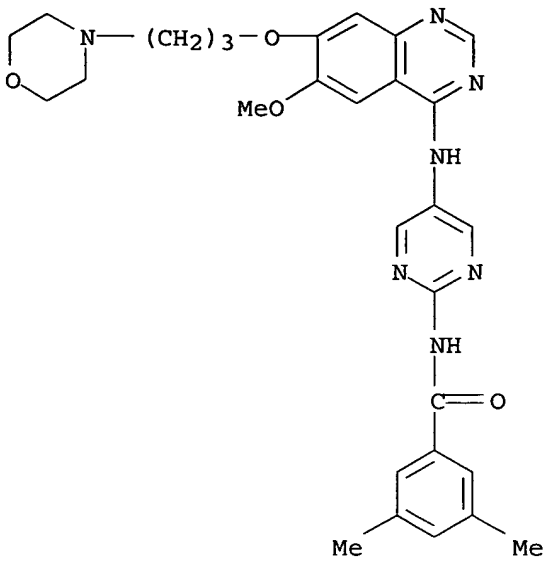


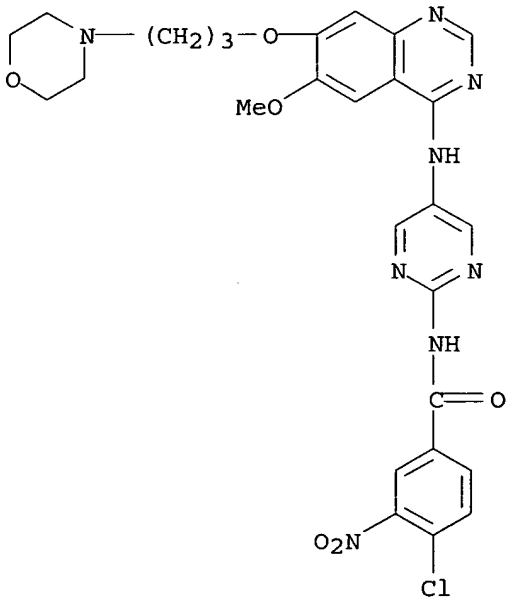
RN 331793-43-2 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-3,5-dimethyl-4-nitro- (9CI) (CA INDEX NAME)



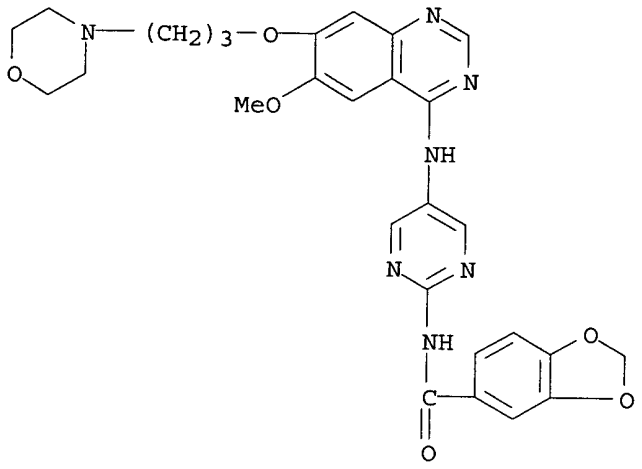
RN 331793-48-7 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



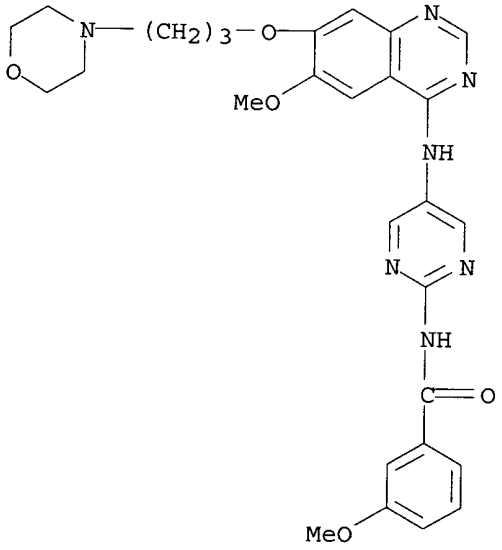
RN 331793-54-5 HCAPLUS
CN Benzamide, 4-chloro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-3-nitro- (9CI) (CA INDEX NAME)



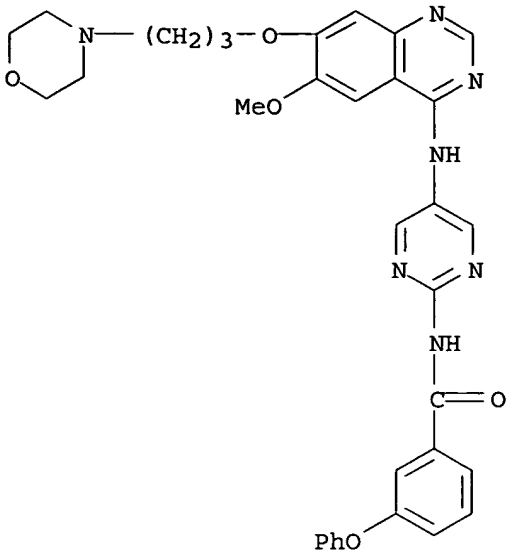
RN 331793-59-0 HCAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



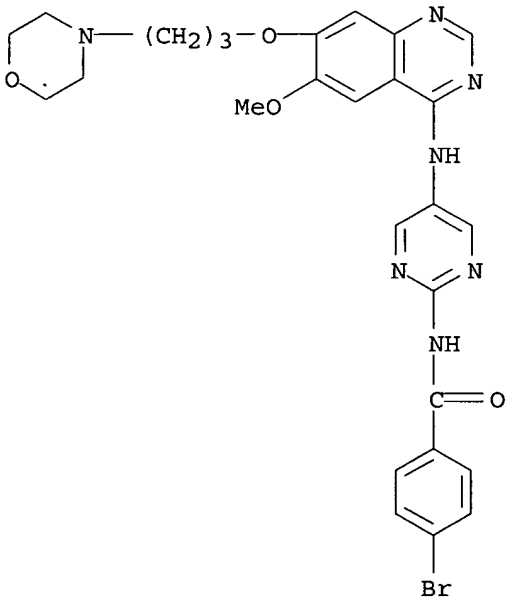
RN 331793-65-8 HCAPLUS
CN Benzamide, 3-methoxy-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



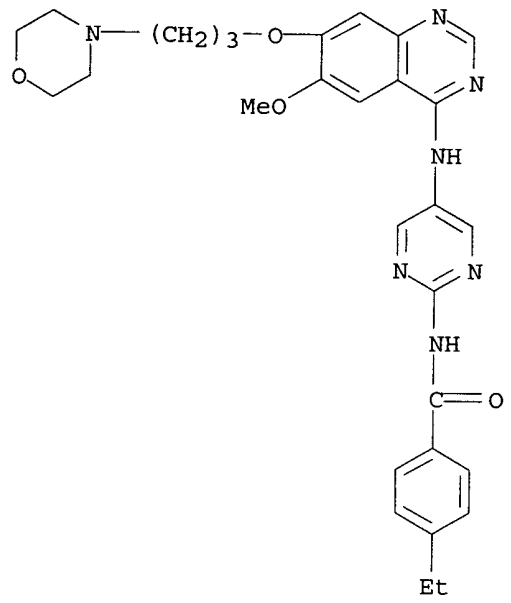
RN 331793-71-6 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-3-phenoxy- (9CI) (CA INDEX NAME)



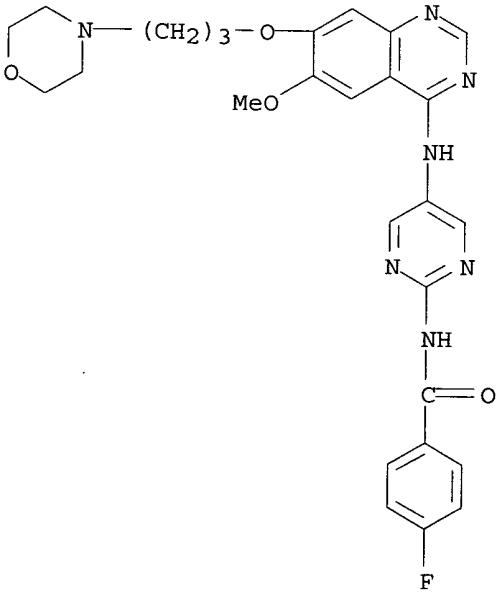
RN 331793-77-2 HCAPLUS
CN Benzamide, 4-bromo-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



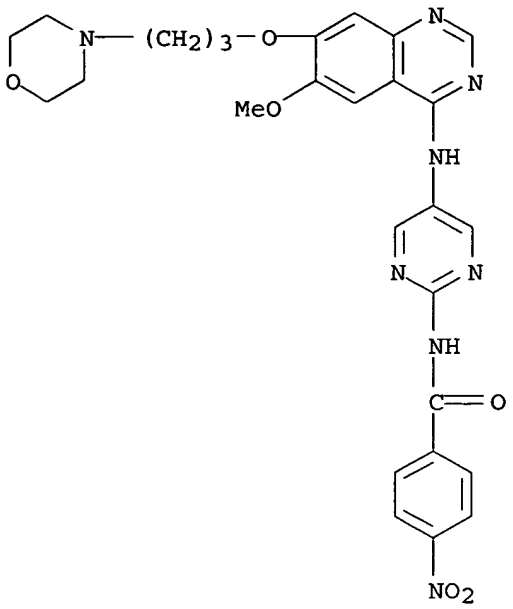
RN 331793-83-0 HCAPLUS
CN Benzamide, 4-ethyl-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



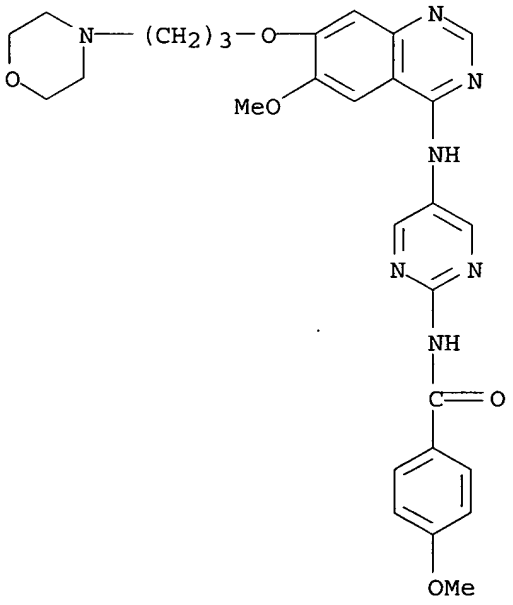
RN 331793-88-5 HCAPLUS
CN Benzamide, 4-fluoro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



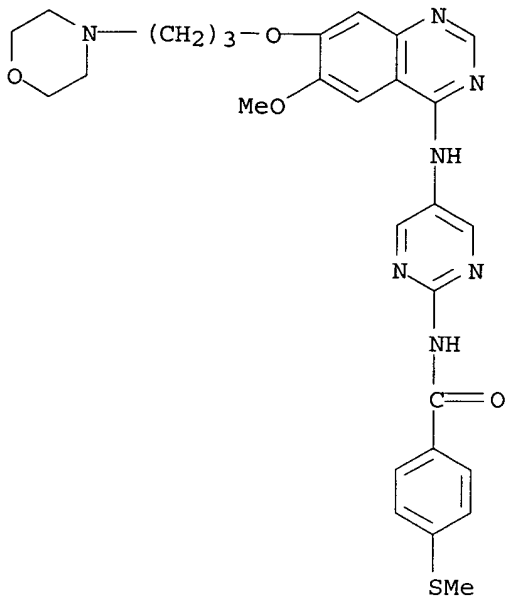
RN 331793-92-1 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-4-nitro- (9CI) (CA INDEX NAME)



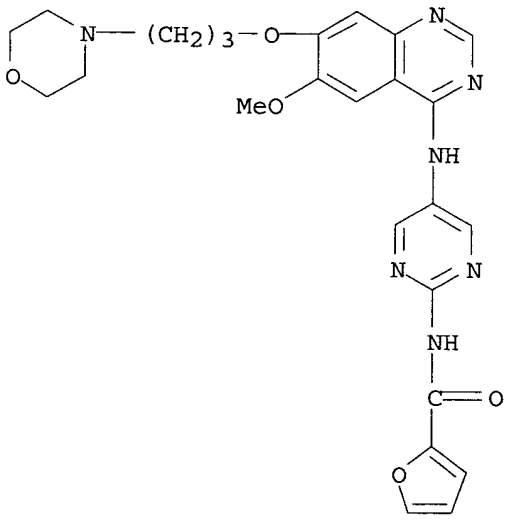
RN 331793-96-5 HCAPLUS
CN Benzamide, 4-methoxy-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



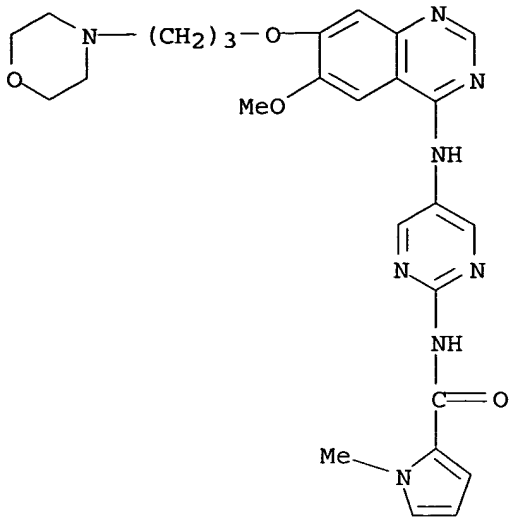
RN 331794-00-4 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-4-(methylthio)- (9CI) (CA INDEX NAME)



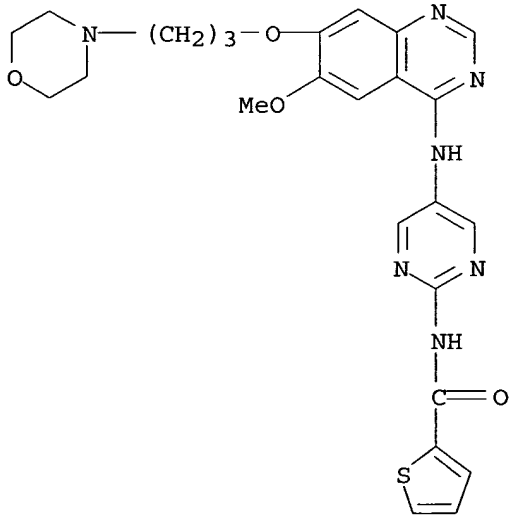
RN 331794-05-9 HCAPLUS
CN 2-Furancarboxamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



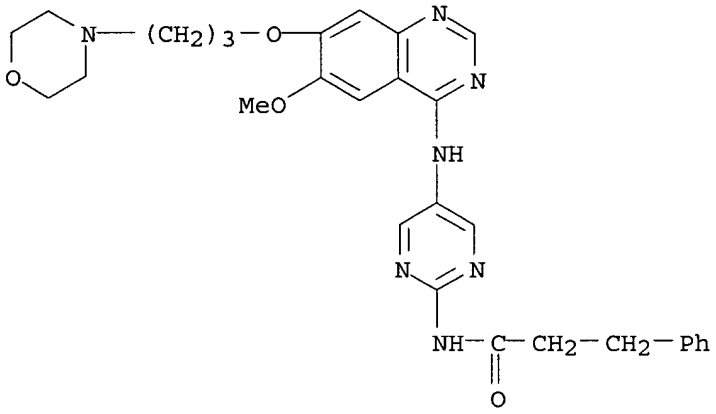
RN 331794-09-3 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-1-methyl- (9CI) (CA INDEX NAME)



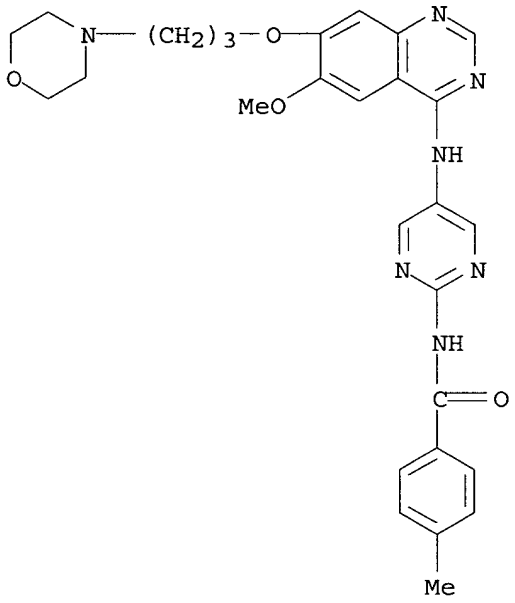
RN 331794-13-9 HCAPLUS
CN 2-Thiophenecarboxamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



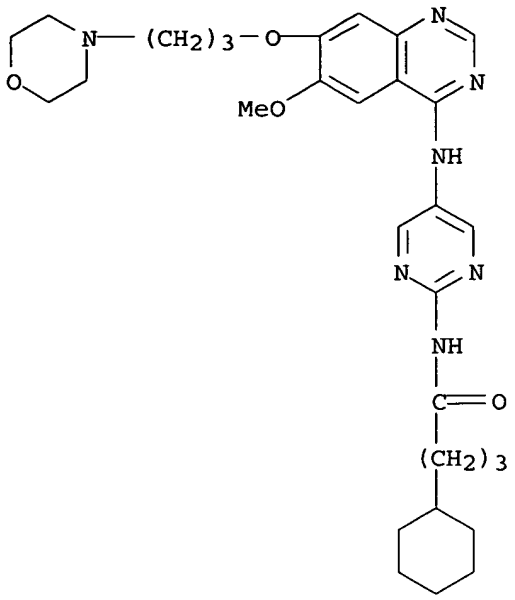
RN 331794-17-3 HCAPLUS
CN Benzenepropanamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



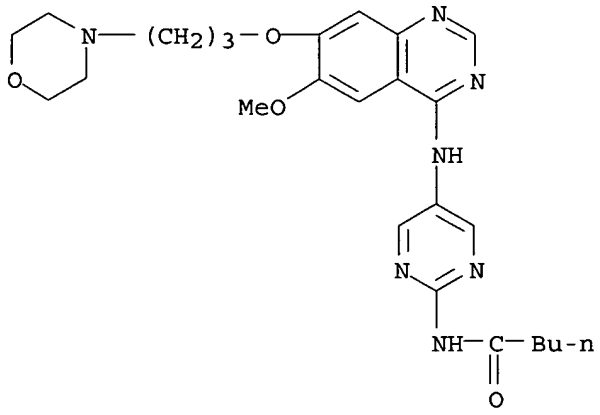
RN 331794-21-9 HCAPLUS
CN Benzamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-4-methyl- (9CI) (CA INDEX NAME)



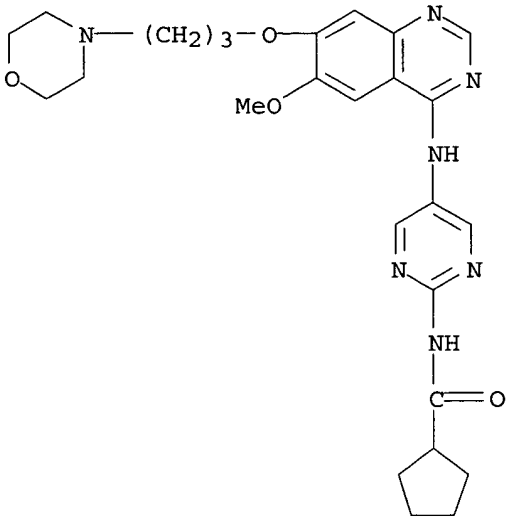
RN 331794-25-3 HCAPLUS
CN Cyclohexanebutanamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



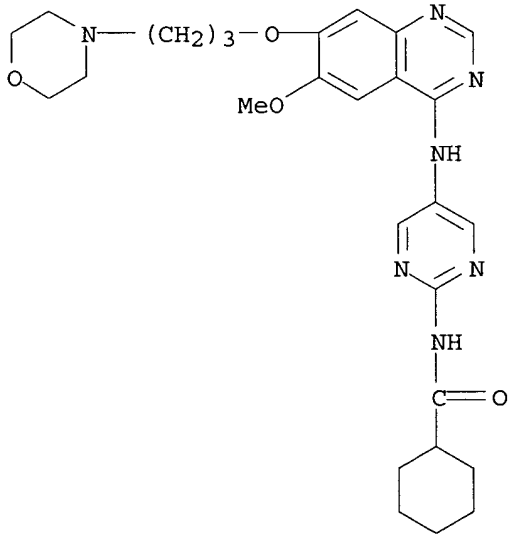
RN 331794-30-0 HCAPLUS
CN Pentanamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



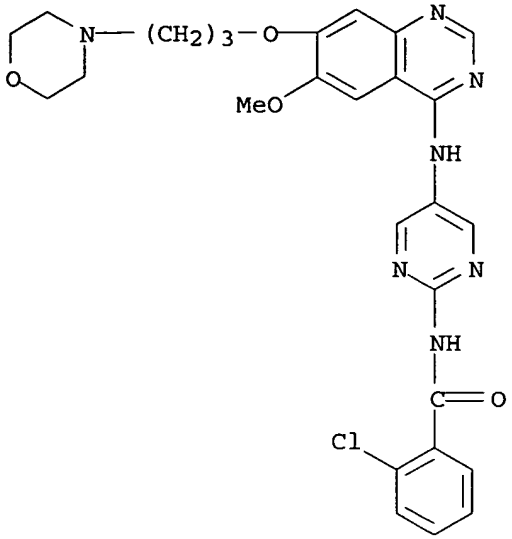
RN 331794-35-5 HCAPLUS
CN Cyclopentanecarboxamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331794-40-2 HCAPLUS
CN Cyclohexanecarboxamide, N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331794-44-6 HCAPLUS
CN Benzamide, 2-chloro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331794-50-4 HCAPLUS
CN Benzamide, 4-[(dipropylamino)sulfonyl]-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

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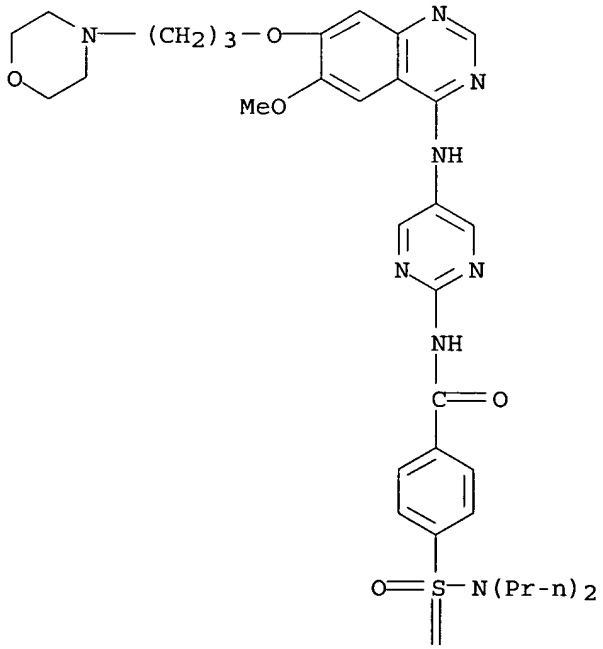

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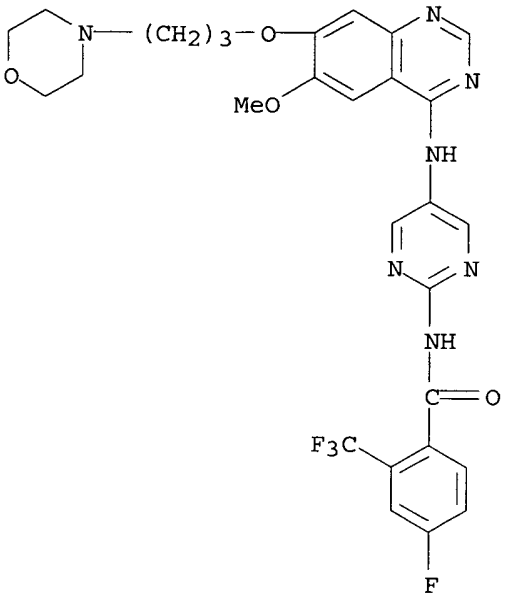
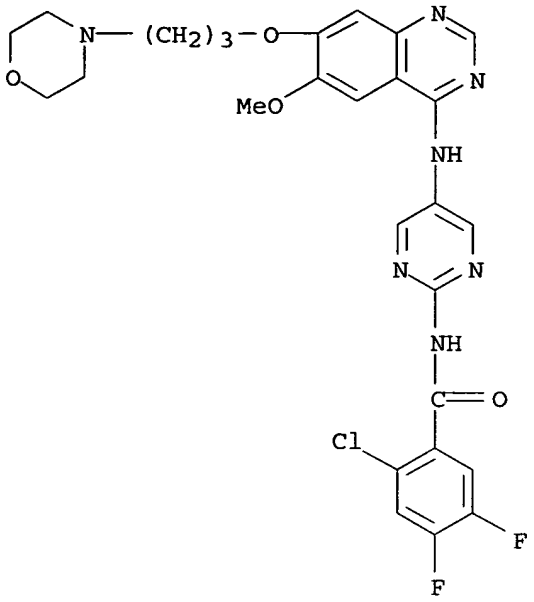
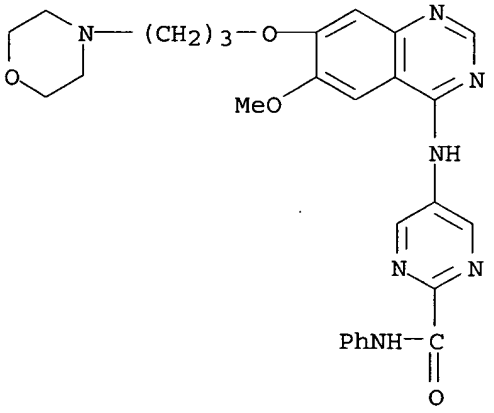
RN 331794-55-9 HCAPLUS
CN Benzamide, 4-fluoro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



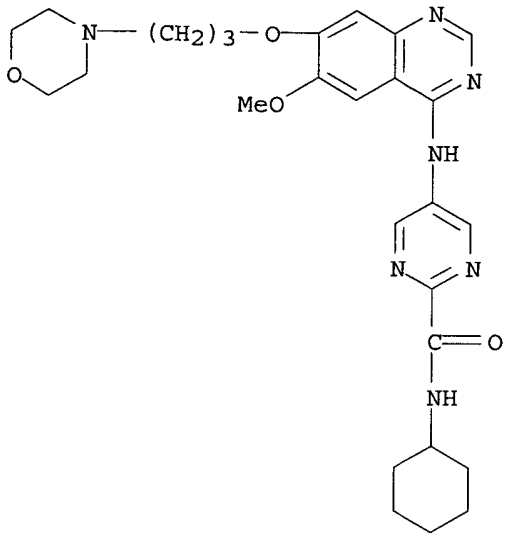
RN 331794-60-6 HCAPLUS
CN Benzamide, 2-chloro-4,5-difluoro-N-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 331794-71-9 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



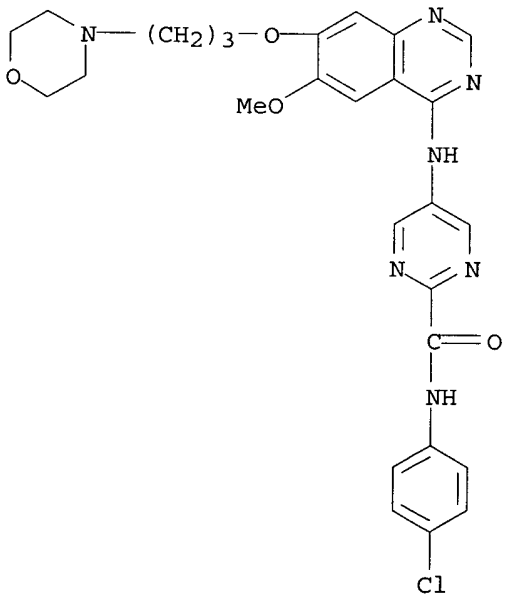
RN 331794-76-4 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-cyclohexyl-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 331794-83-3 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(4-chlorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

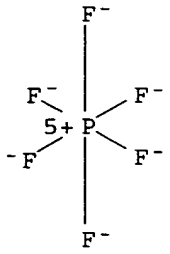
CRN 331794-82-2
CMF C27 H28 Cl N7 O4



CM 2

CRN 16940-81-1

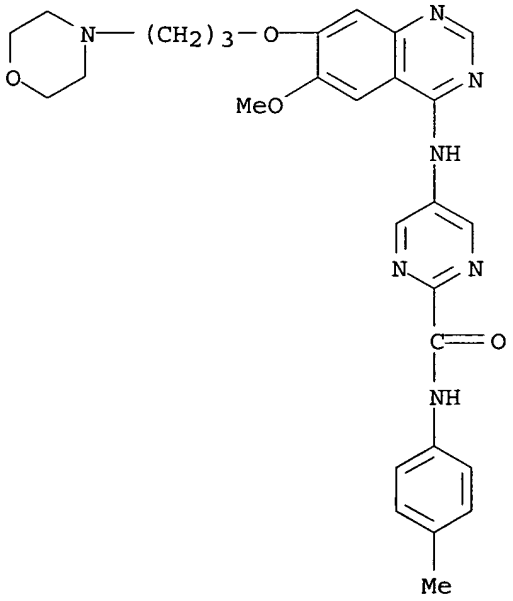
CMF F6 P . H
CCI CCS



RN 331794-89-9 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(4-methylphenyl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

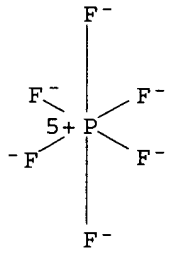
CM 1

CRN 331794-88-8
CMF C28 H31 N7 O4

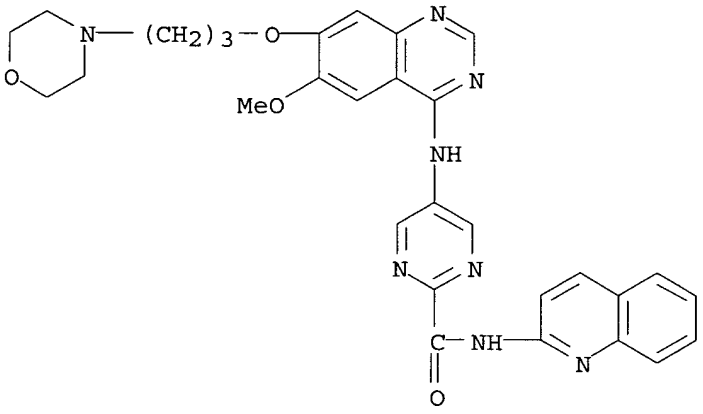


CM 2

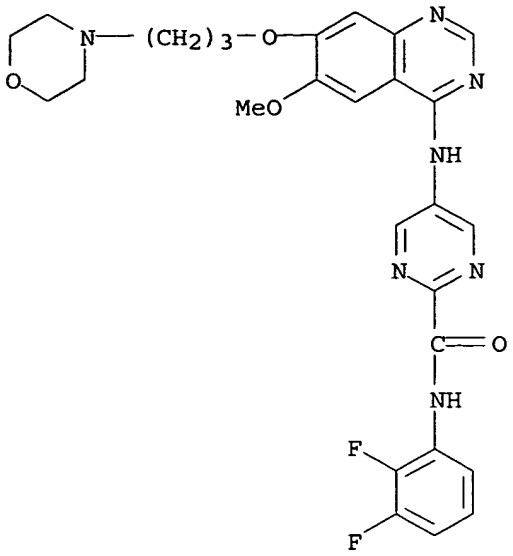
CRN 16940-81-1
CMF F6 P . H
CCI CCS



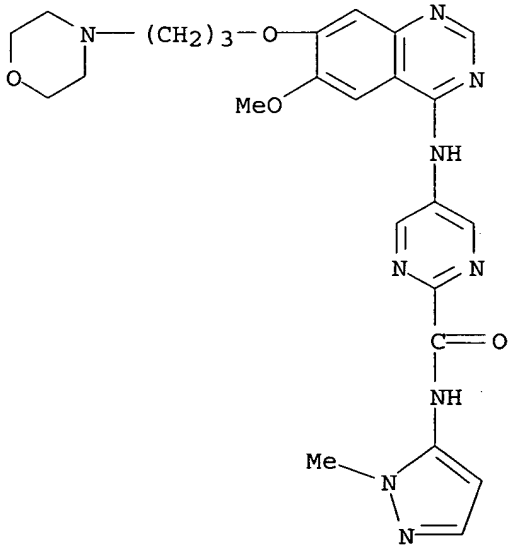
RN 331794-94-6 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)



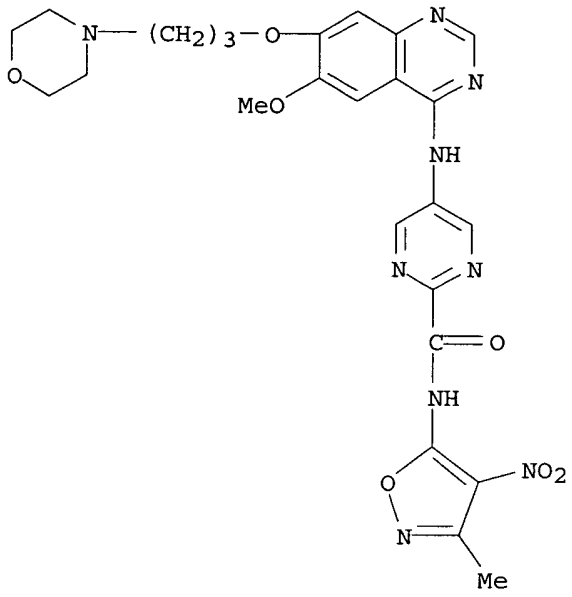
RN 331795-00-7 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(2,3-difluorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



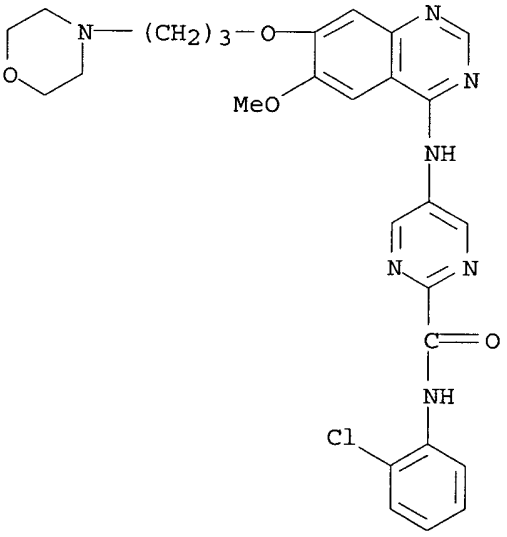
RN 331795-05-2 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(1-methyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)



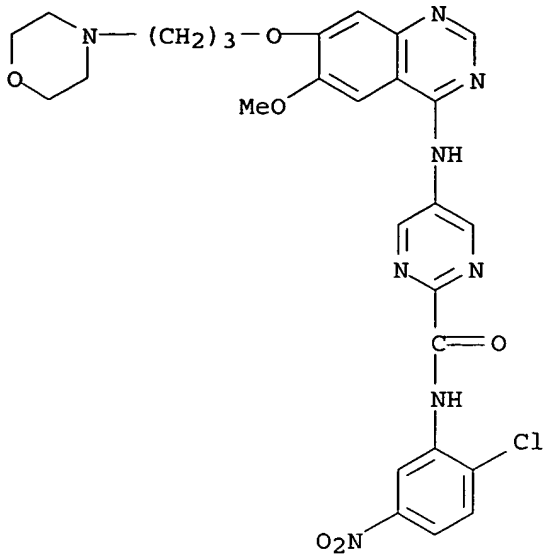
RN 331795-07-4 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(3-methyl-4-nitro-5-isoxazolyl)- (9CI) (CA INDEX NAME)



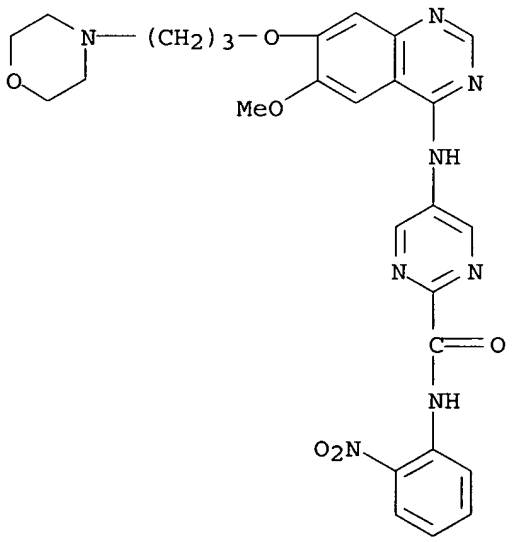
RN 331795-12-1 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(2-chlorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



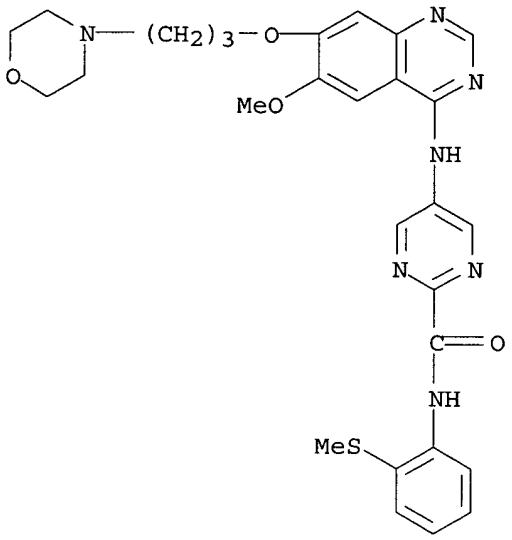
RN 331795-17-6 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(2-chloro-5-nitrophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



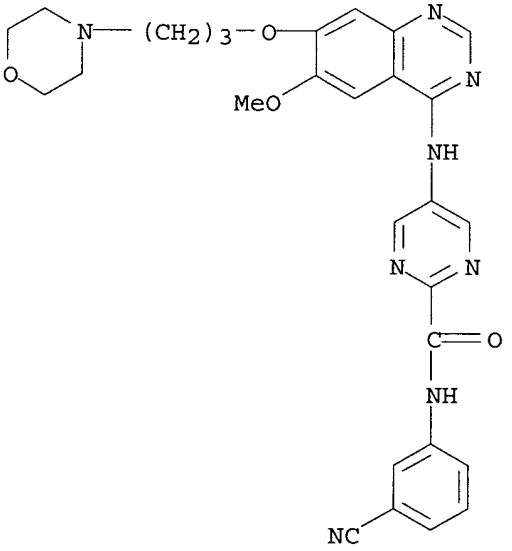
RN 331795-20-1 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



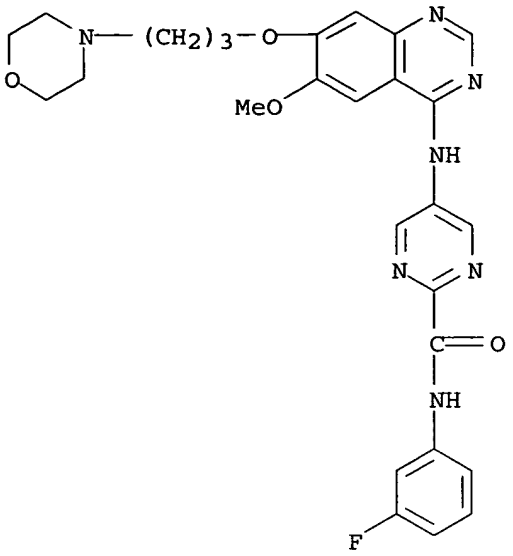
RN 331795-23-4 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[2-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



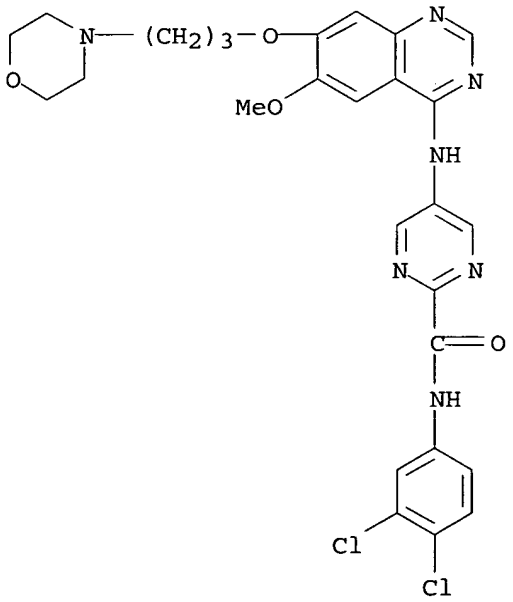
RN 331795-28-9 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(3-cyanophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



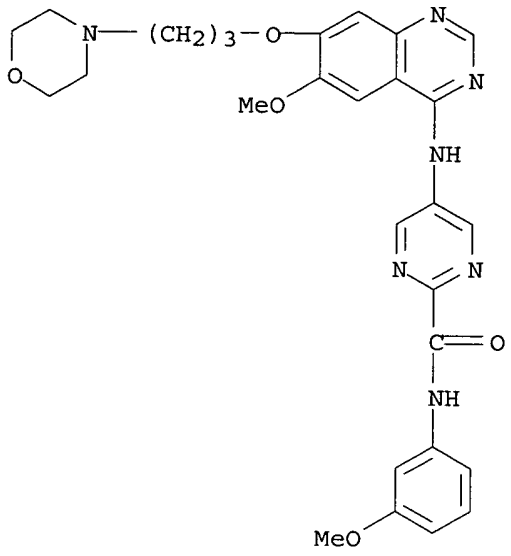
RN 331795-33-6 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(3-fluorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



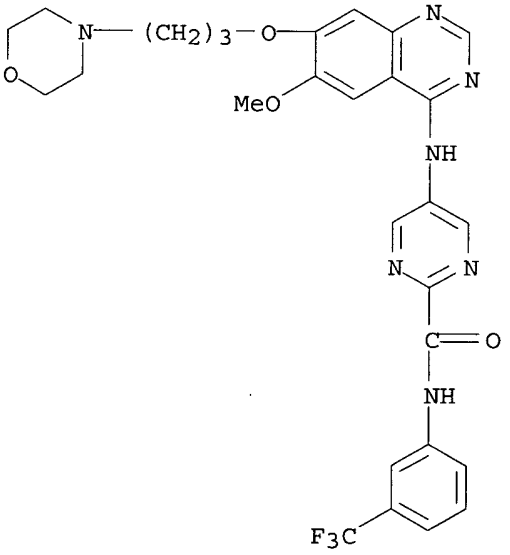
RN 331795-38-1 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(3,4-dichlorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



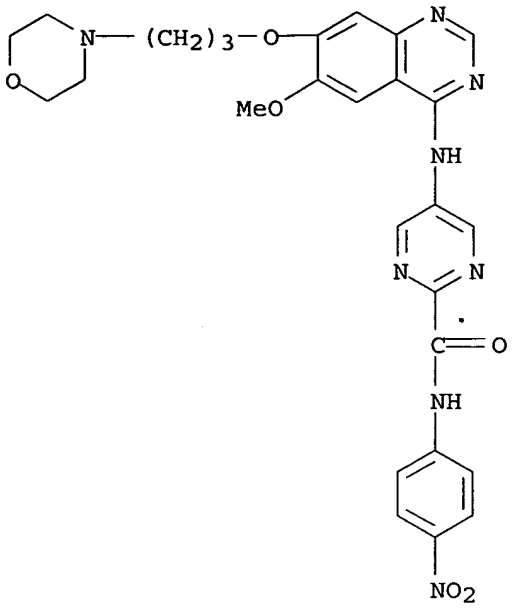
RN 331795-42-7 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



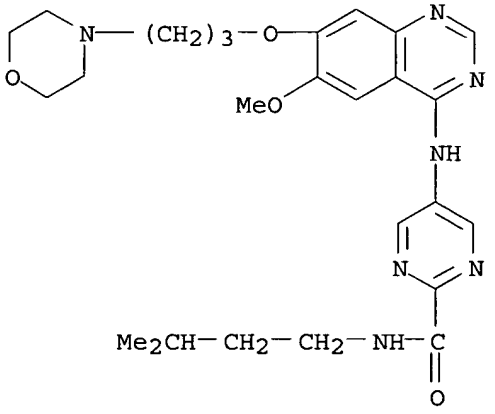
RN 331795-47-2 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



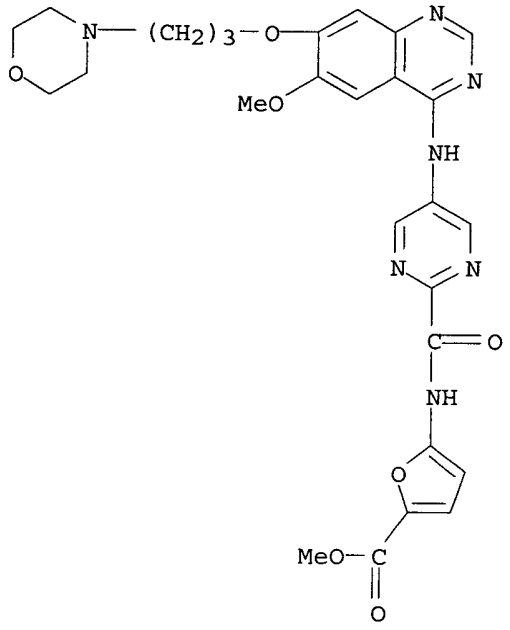
RN 331795-52-9 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



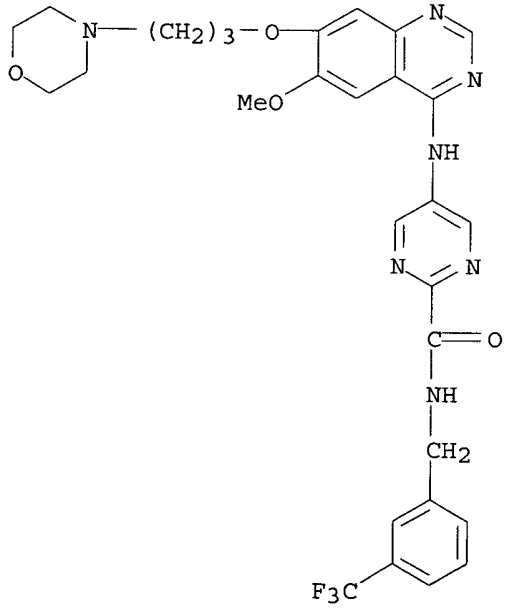
RN 331795-57-4 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)



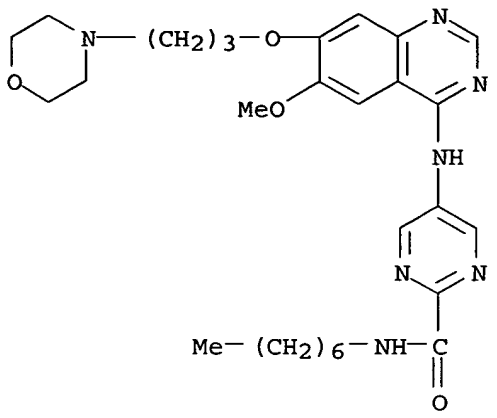
RN 331795-62-1 HCAPLUS
CN 2-Furancarboxylic acid, 5-[[[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 331795-67-6 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 331795-73-4 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-heptyl-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 331795-78-9 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

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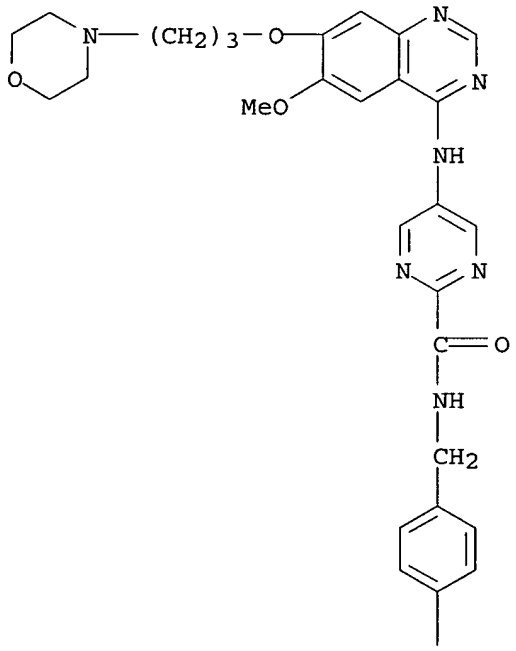

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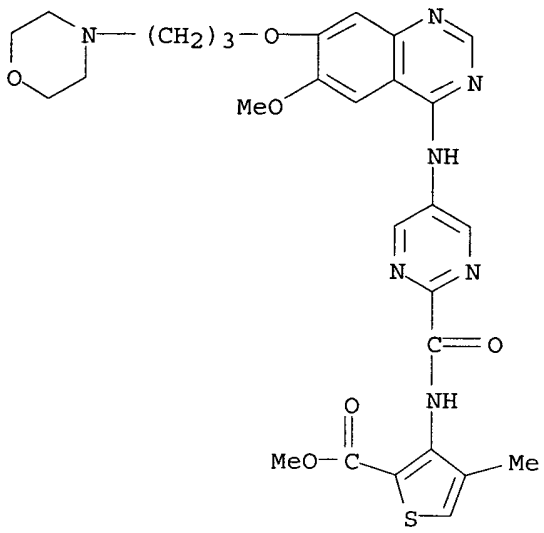
RN 331795-83-6 HCAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]carbonyl]amino]-4-

methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 331795-88-1 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-[2-(1-cyclohexen-1-yl)ethyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

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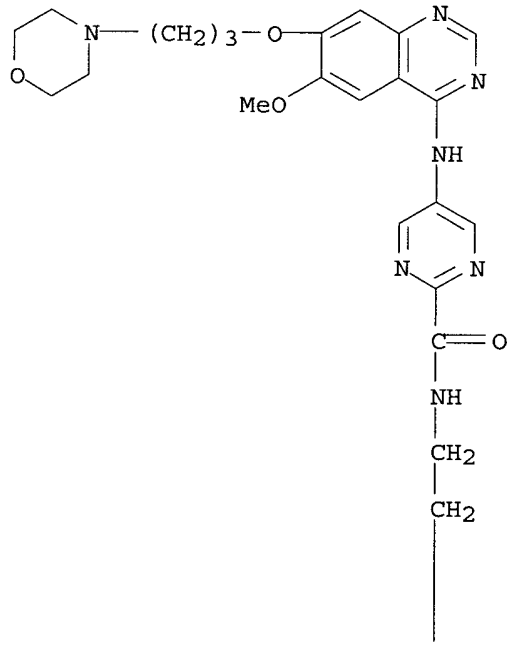
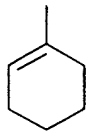
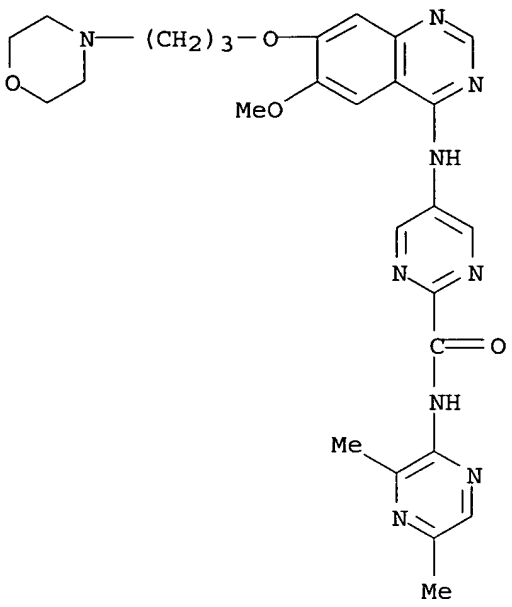


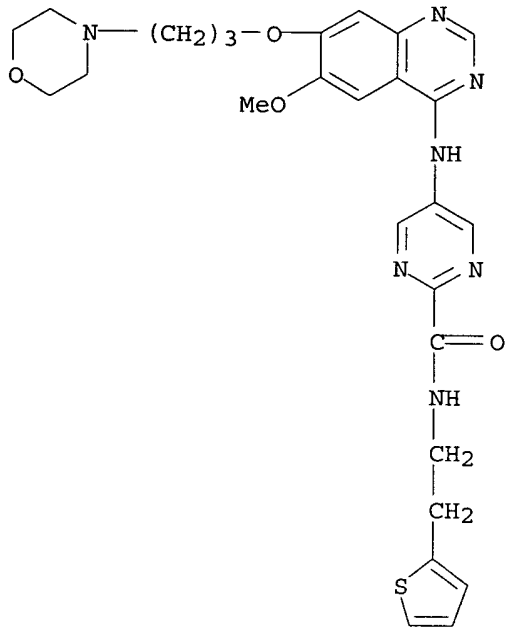
PAGE 2-A



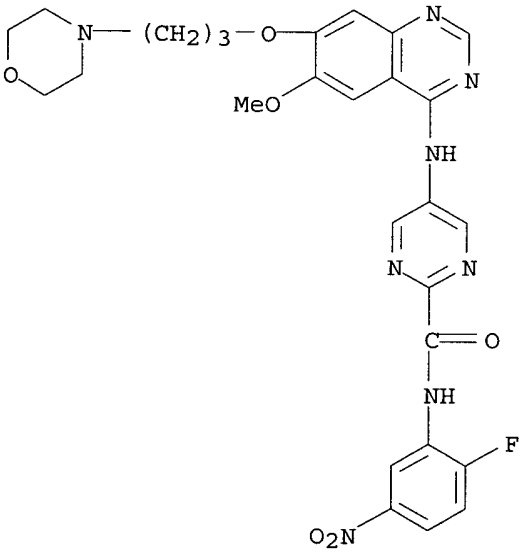
RN 331795-92-7 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(3,5-dimethylpyrazinyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



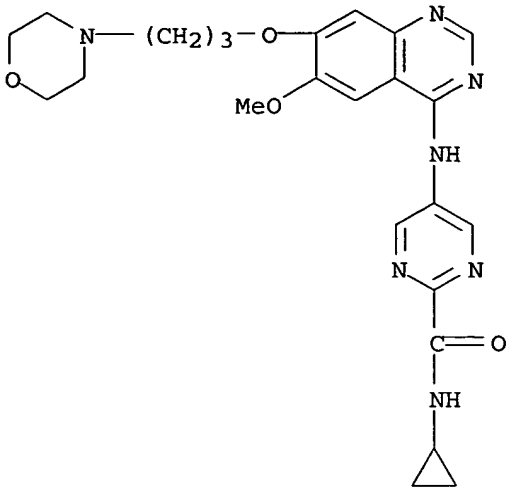
RN 331795-96-1 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



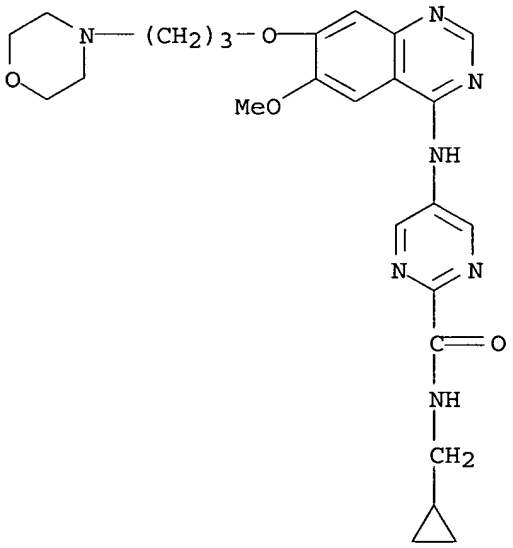
RN 331796-01-1 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(2-fluoro-5-nitrophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



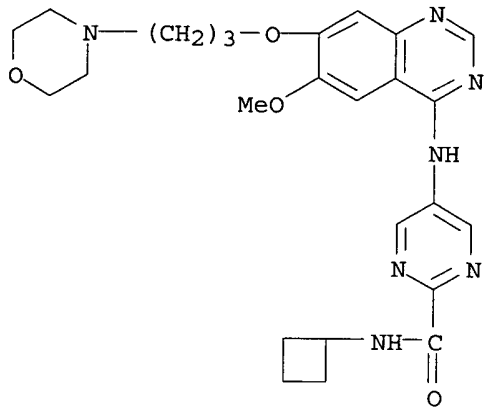
RN 331796-07-7 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-cyclopropyl-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



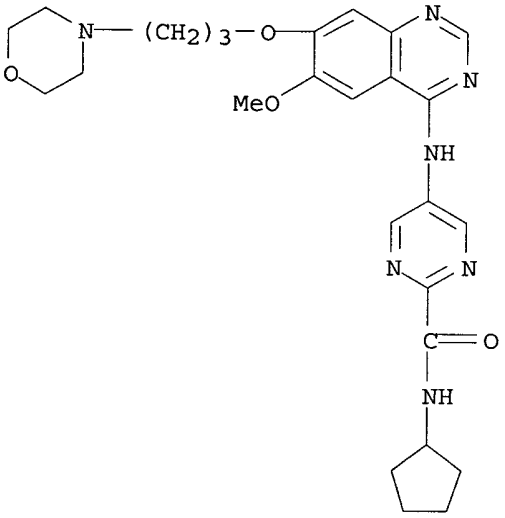
RN 331796-11-3 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(cyclopropylmethyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



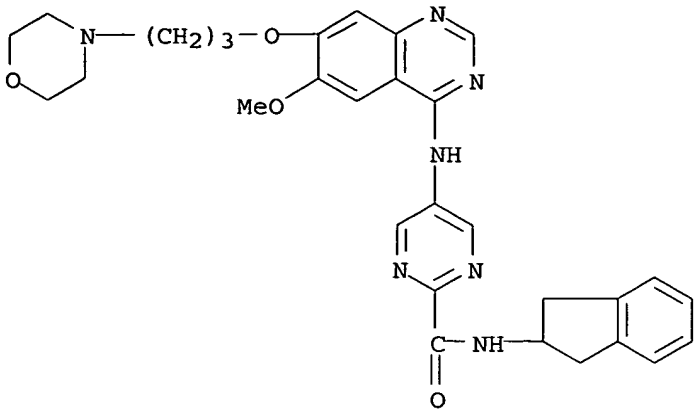
RN 331796-15-7 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-cyclobutyl-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



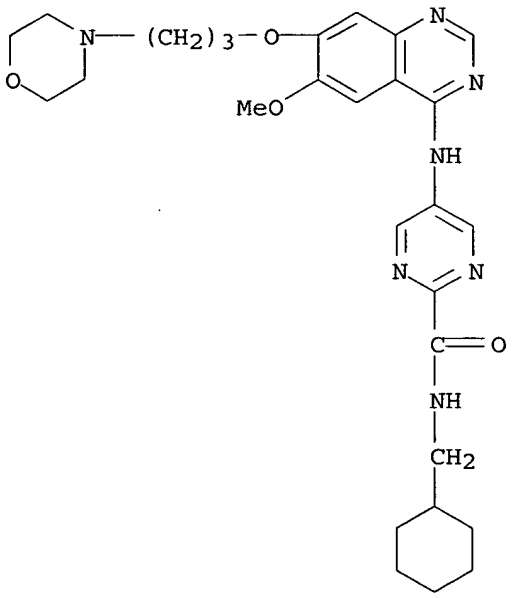
RN 331796-20-4 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-cyclopentyl-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



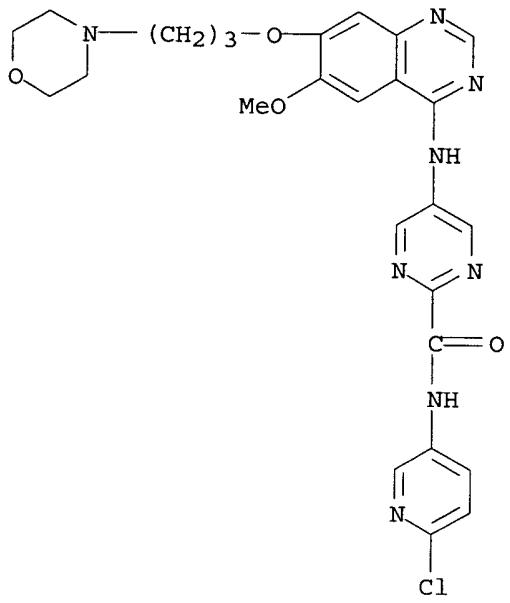
RN 331796-25-9 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(2,3-dihydro-1H-inden-2-yl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 331796-30-6 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(cyclohexylmethyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 331796-35-1 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(6-chloro-3-pyridinyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 331796-40-8 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

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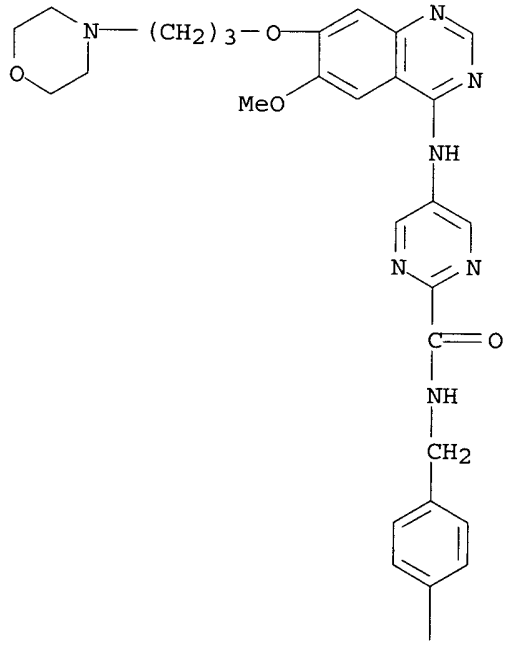

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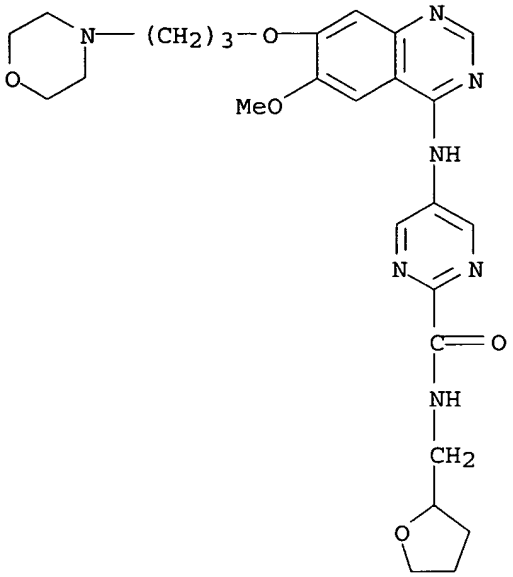
RN 331796-45-3 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



RN 331796-50-0 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[2-(5-methyl-1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

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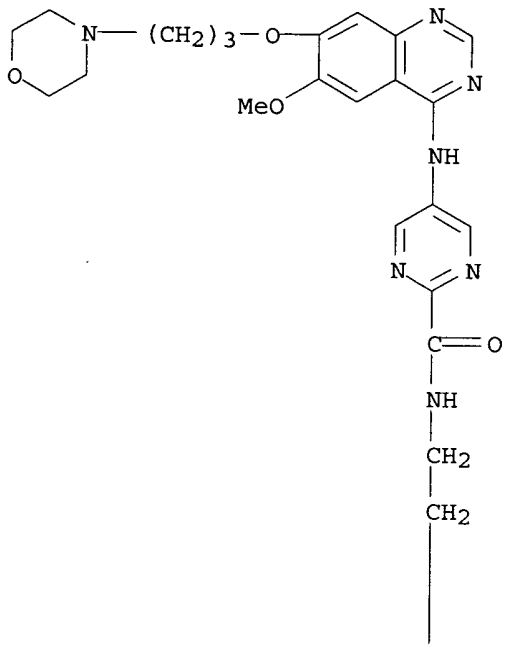

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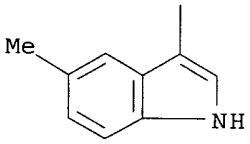
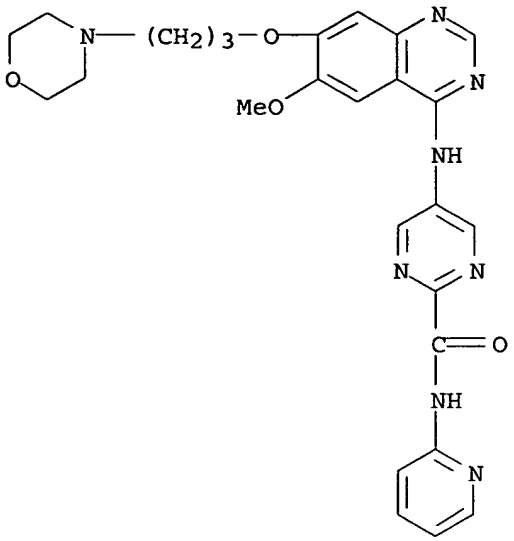
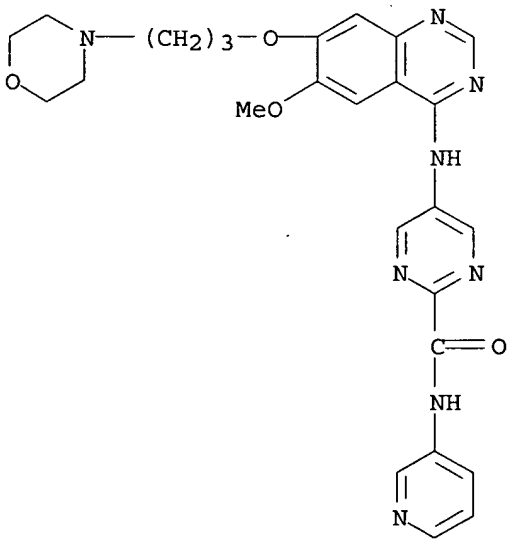


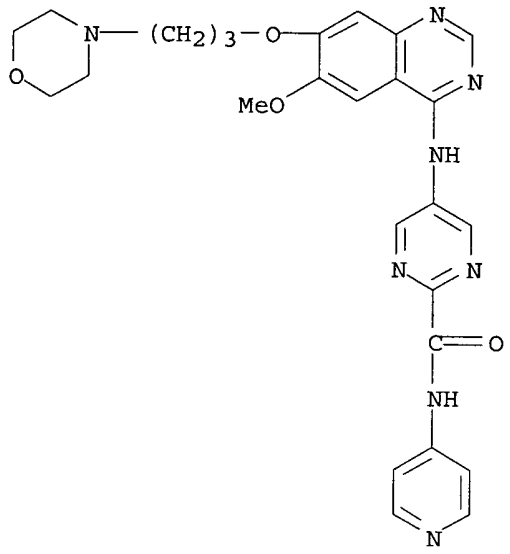
RN 331796-54-4 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



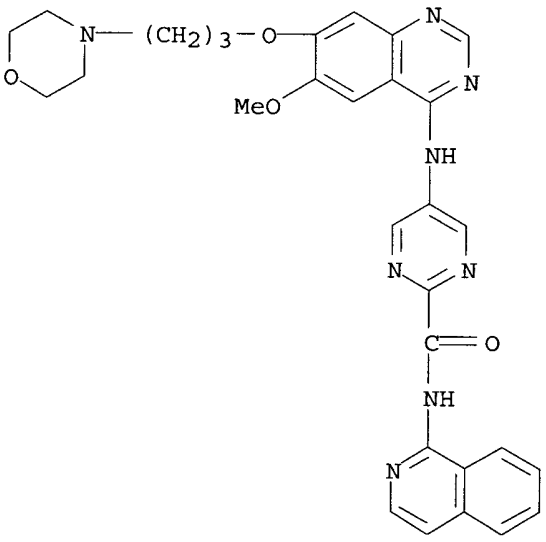
RN 331796-58-8 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)



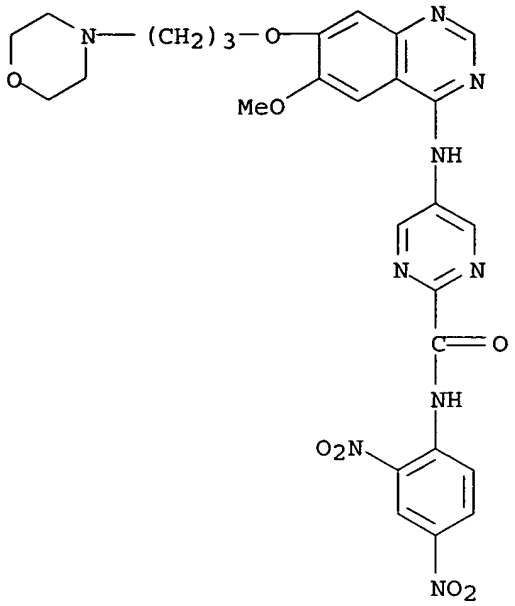
RN 331796-63-5 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



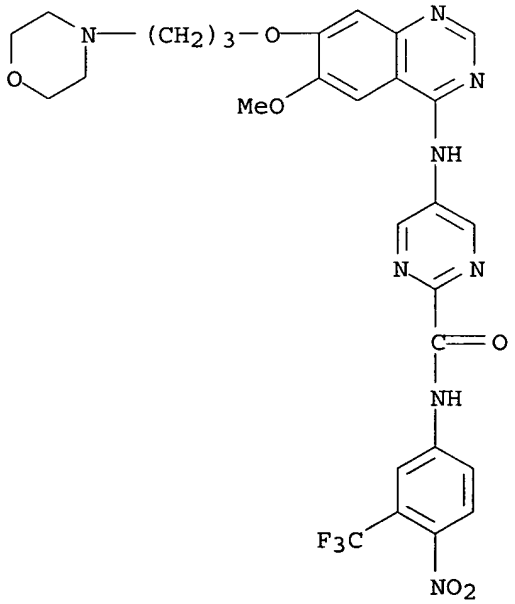
RN 331796-68-0 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-1-isoquinolinyl-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



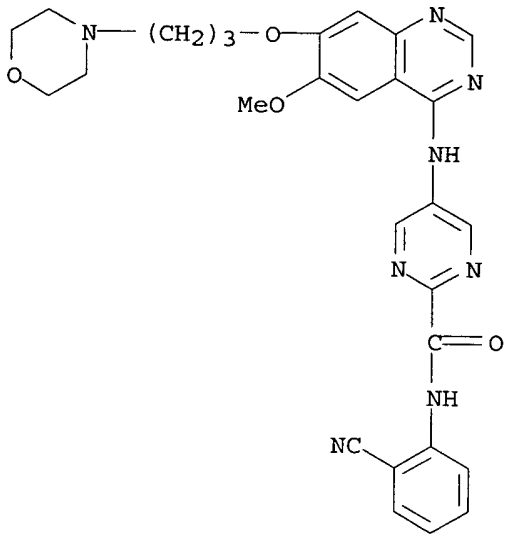
RN 331796-73-7 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(2,4-dinitrophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



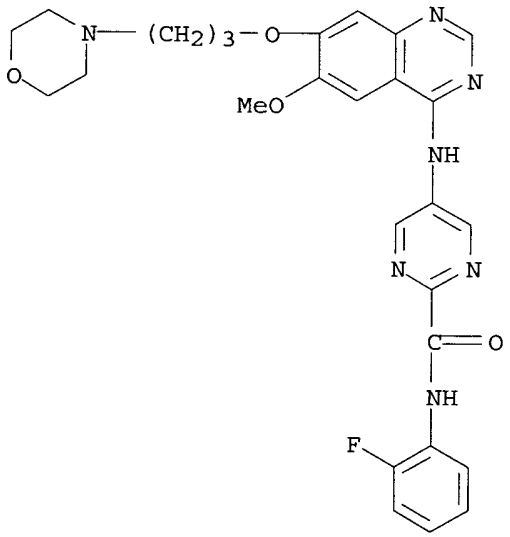
RN 331796-77-1 HCAPLUS
CN 2-Pyrimidinecarboxamide, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



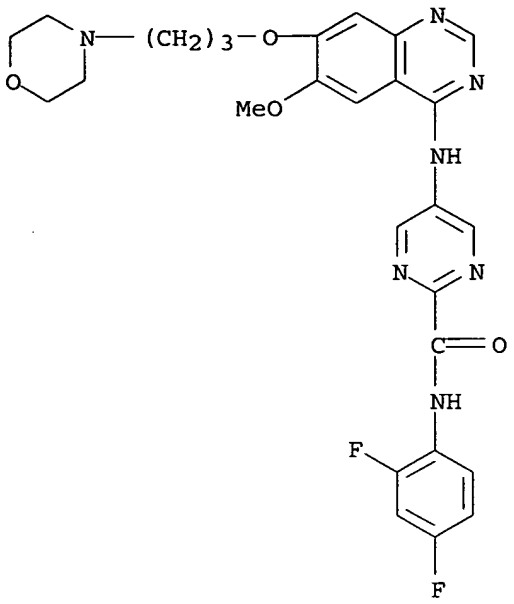
RN 331796-81-7 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(2-cyanophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 331796-86-2 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(2-fluorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 331796-91-9 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(2,4-difluorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 331796-97-5 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(3-chloro-4-fluorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331796-96-4
CMF C28 H29 Cl F N7 O4

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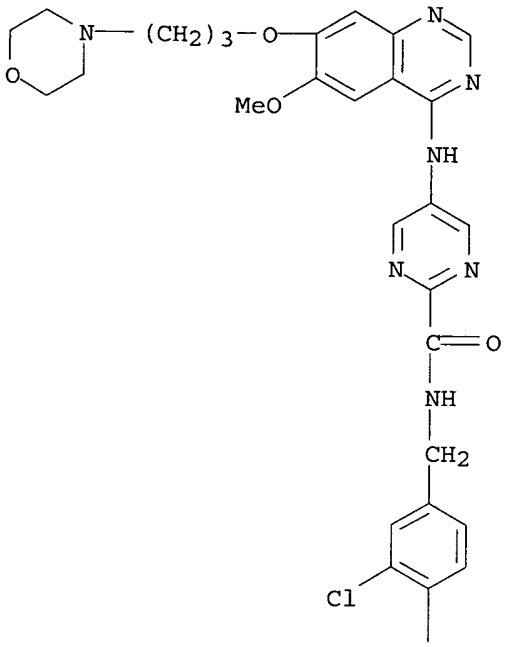

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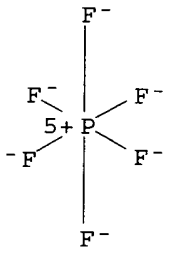
CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

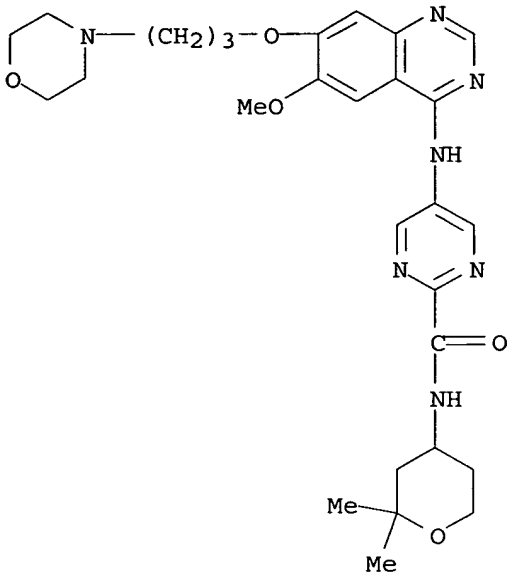


● H^+

RN 331797-03-6 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

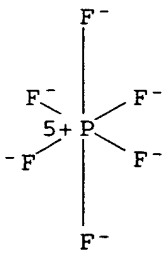
CM 1

CRN 331797-02-5
CMF C28 H37 N7 O5



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



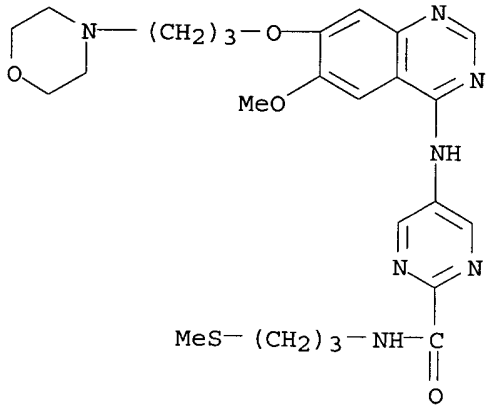
● H^+

RN 331797-09-2 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-

morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[3-(methylthio)propyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

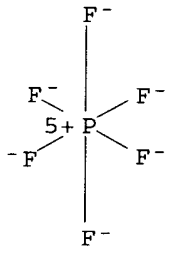
CM 1

CRN 331797-08-1
CMF C25 H33 N7 O4 S



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

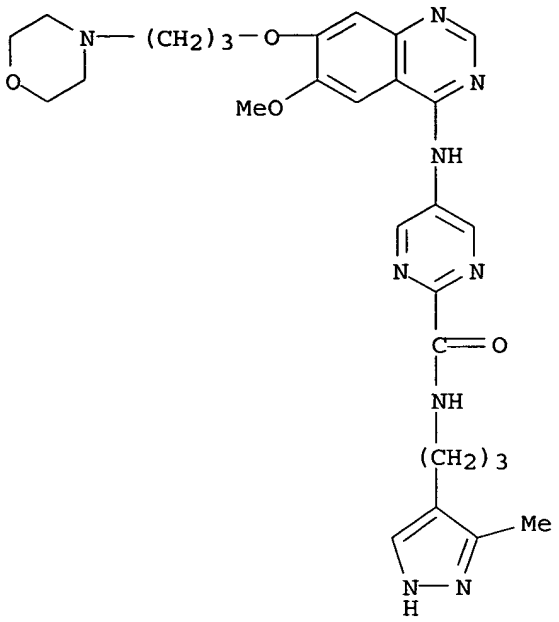


● H^+

RN 331797-15-0 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[3-(3-methyl-1H-pyrazol-4-yl)propyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

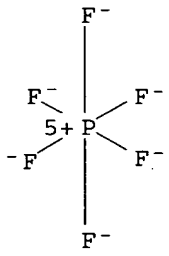
CM 1

CRN 331797-14-9
CMF C28 H35 N9 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

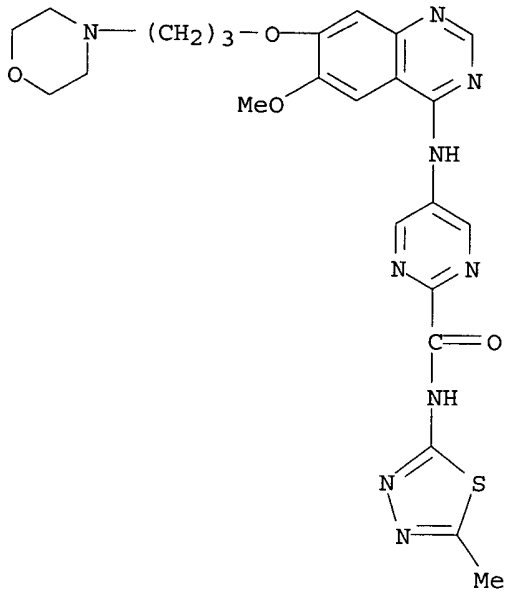


● H^+

RN 331797-21-8 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(5-methyl-1,3,4-thiadiazol-2-yl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

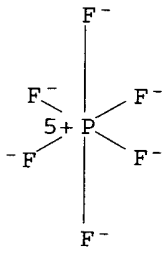
CM 1

CRN 331797-20-7
CMF C24 H27 N9 O4 S



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

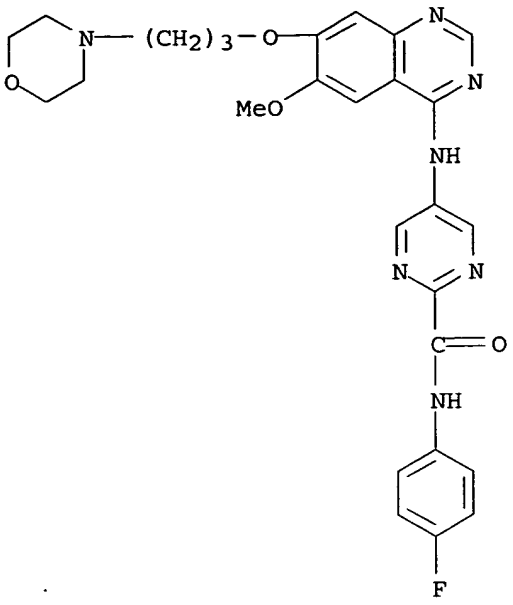


● H^+

RN 331797-27-4 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(4-fluorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

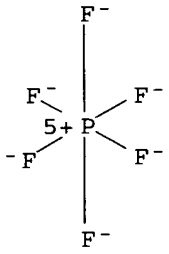
CM 1

CRN 331797-26-3
CMF C27 H28 F N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

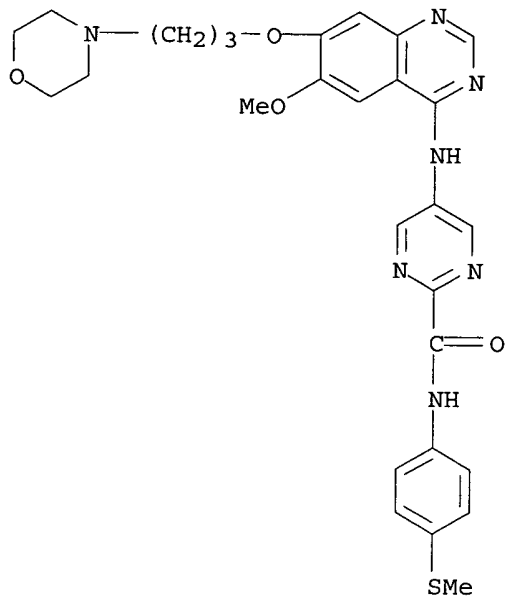


● H^+

RN 331797-33-2 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[4-(methylthio)phenyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

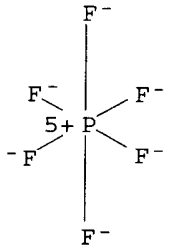
CM 1

CRN 331797-32-1
CMF C28 H31 N7 O4 S



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

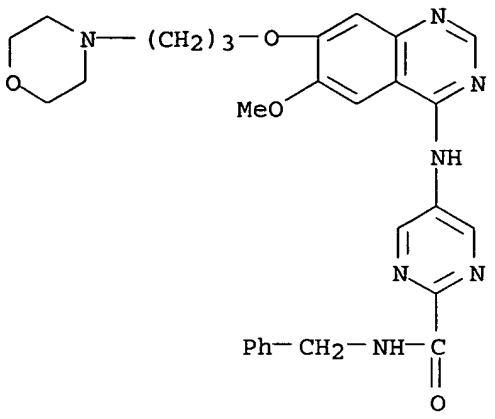


● H^+

RN 331797-40-1 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(phenylmethyl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

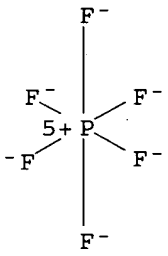
CM 1

CRN 331797-39-8
CMF C28 H31 N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

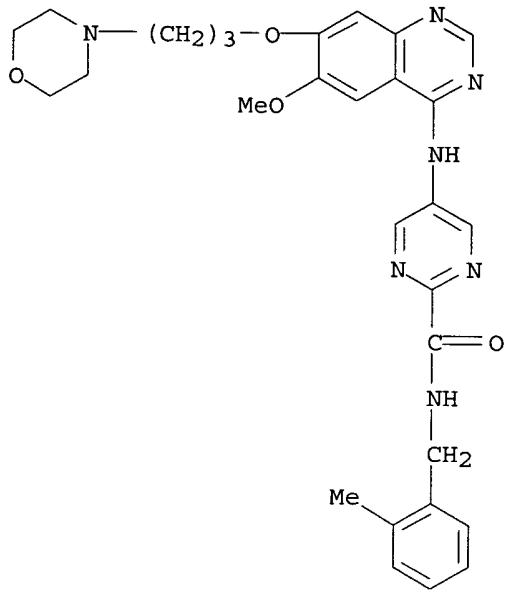


● H^+

RN 331797-46-7 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[(2-methylphenyl)methyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

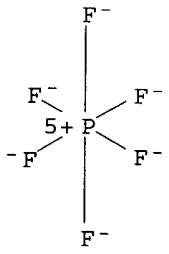
CM 1

CRN 331797-45-6
CMF C29 H33 N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



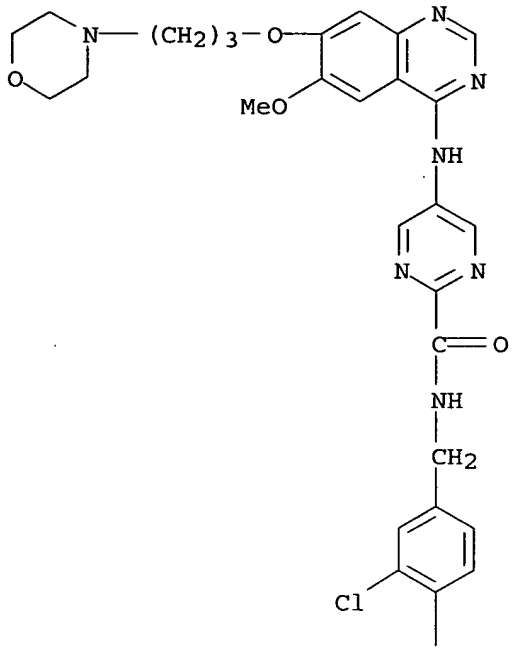
● H^+

RN 331797-52-5 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(3,4-dichlorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331797-51-4
CMF C28 H29 Cl2 N7 O4

PAGE 1-A



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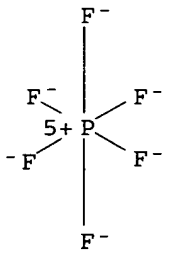
CM 2

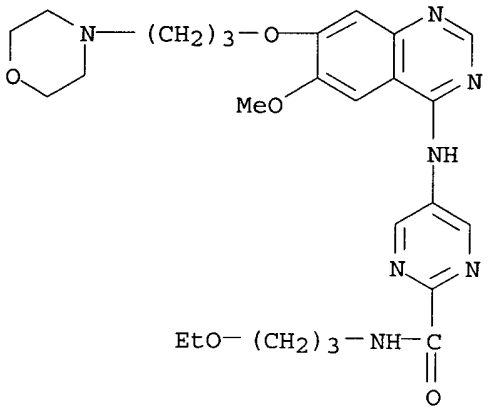
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331797-59-2 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(3-ethoxypropyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

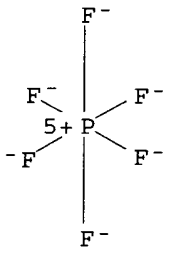
CM 1

CRN 331797-58-1
CMF C26 H35 N7 O5



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



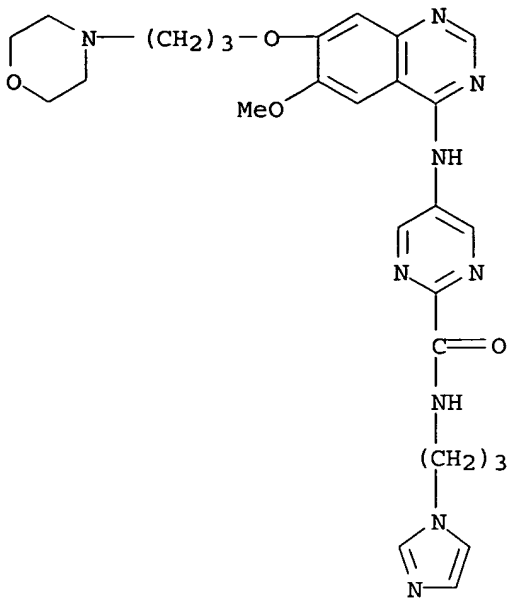
● H^+

RN 331797-65-0 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[3-(1H-imidazol-1-yl)propyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

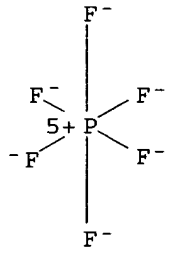
CRN 331797-64-9

CMF C27 H33 N9 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



● H^+

RN 331797-71-8 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(2,4-difluorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331797-70-7
CMF C28 H29 F2 N7 O4

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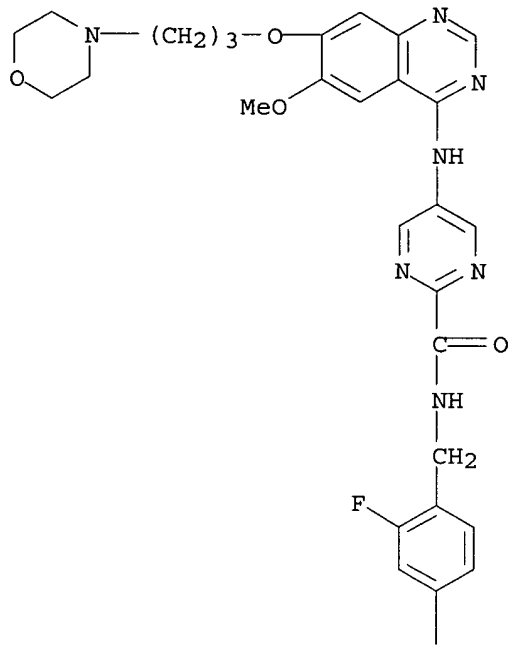

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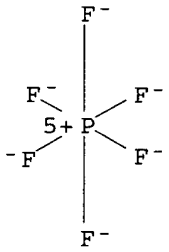
CM 2

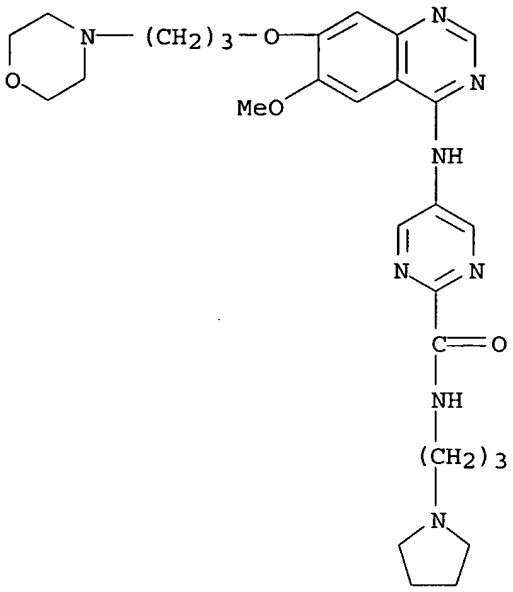
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331797-77-4 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[3-(1-pyrrolidinyl)propyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

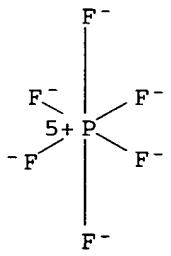
CM 1

CRN 331797-76-3
CMF C28 H38 N8 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



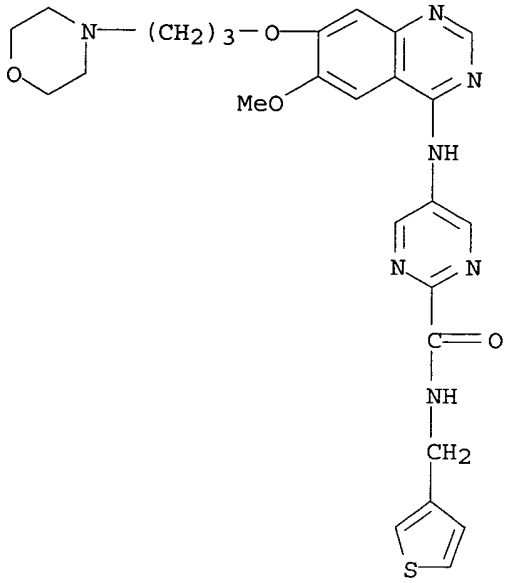
● H^+

RN 331797-82-1 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-

morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(3-thienylmethyl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

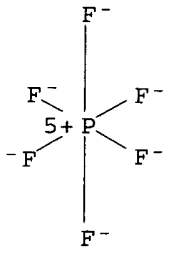
CM 1

CRN 331797-81-0
CMF C26 H29 N7 O4 S



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

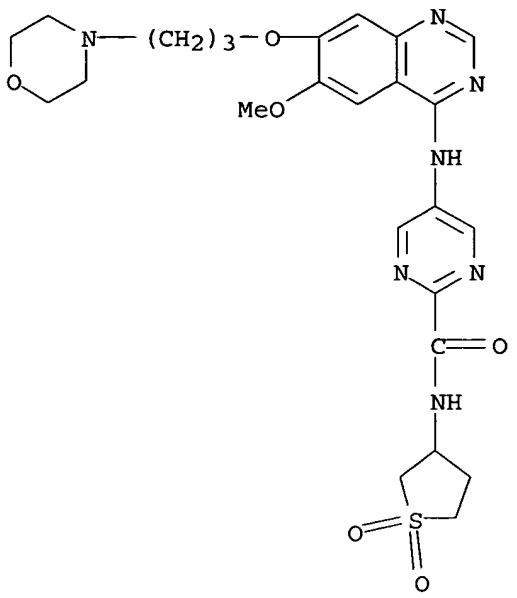


● H^+

RN 331797-88-7 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(tetrahydro-1,1-dioxido-3-thienyl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

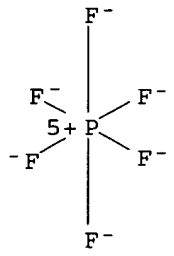
CM 1

CRN 331797-87-6
CMF C25 H31 N7 O6 S



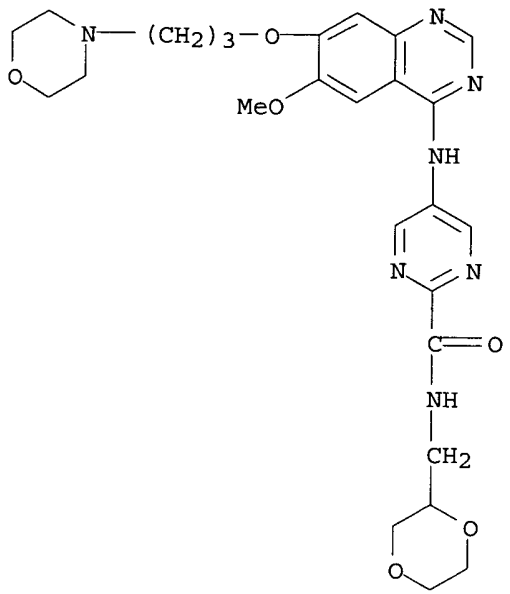
CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



● H^+

RN 331797-93-4 HCAPLUS
CN 2-Pyrimidinecarboxamide, N-(1,4-dioxan-2-ylmethyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 331797-99-0 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[[4-(dimethylamino)phenyl]methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331797-98-9
CMF C30 H36 N8 O4

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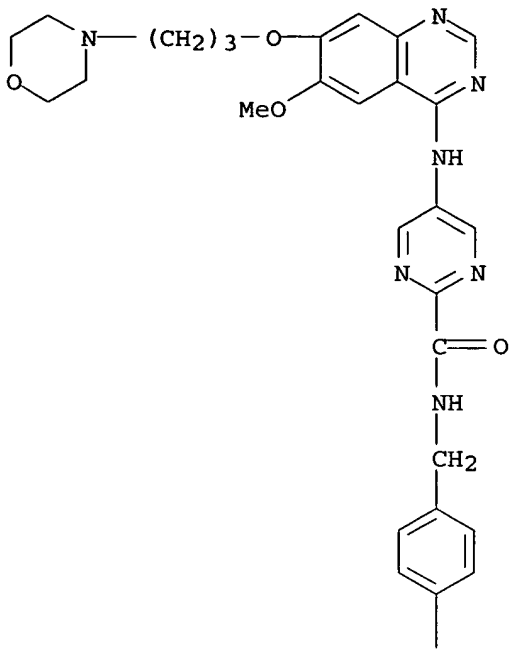

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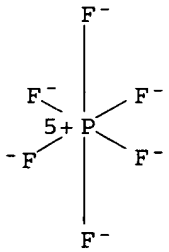
CM 2

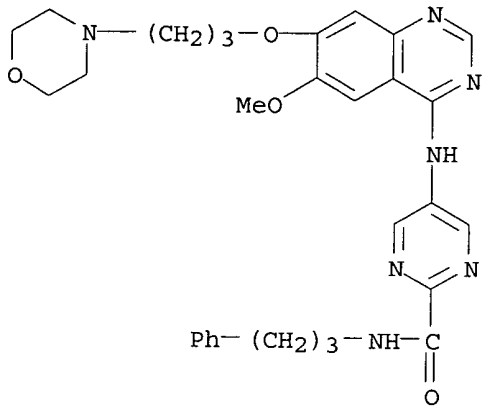
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-06-2 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(3-phenylpropyl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

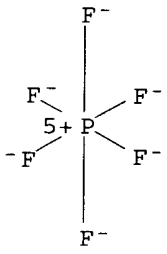
CM 1

CRN 331798-05-1
CMF C30 H35 N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



● H^+

RN 331798-11-9 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[2-(4-pyridinyl)ethyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331798-10-8

CMF C28 H32 N8 O4

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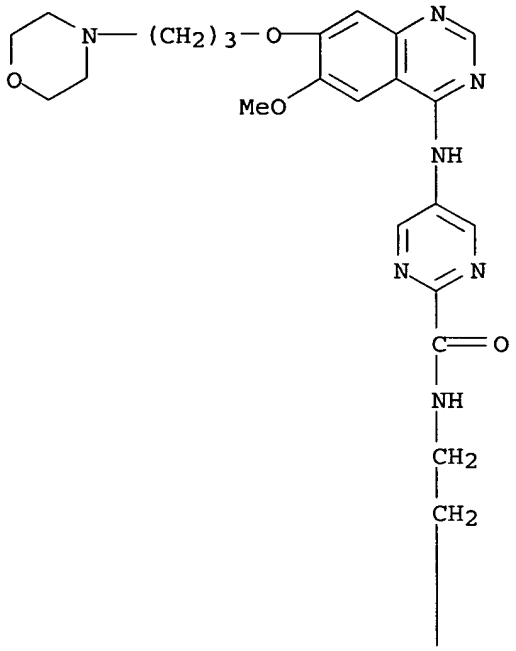

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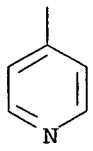
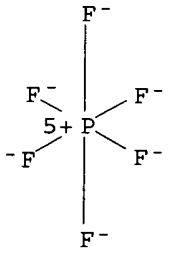


CM 2

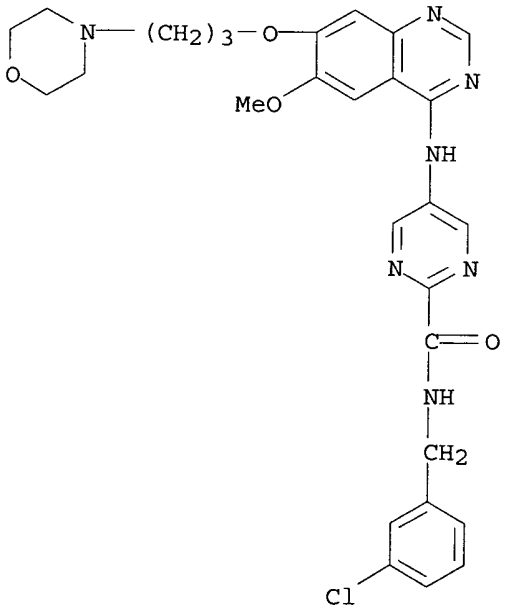
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-16-4 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(3-chlorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

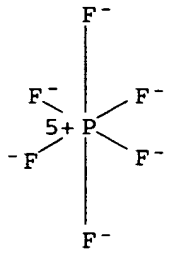
CM 1

CRN 331798-15-3
CMF C28 H30 Cl N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

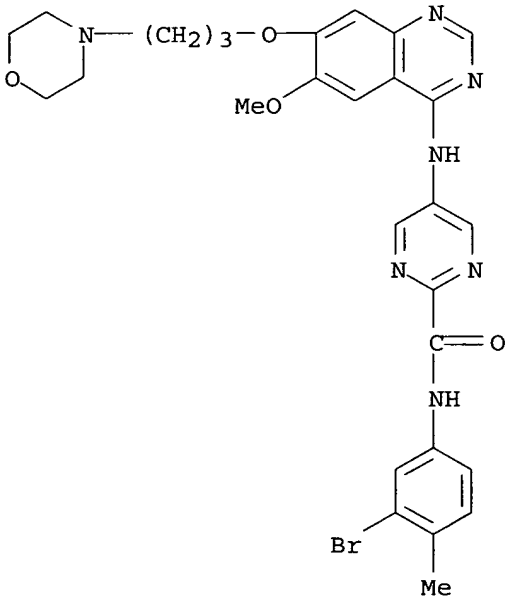


● H^+

RN 331798-21-1 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(3-bromo-4-methylphenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

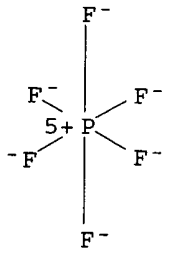
CM 1

CRN 331798-20-0
CMF C28 H30 Br N7 O4



CM 2

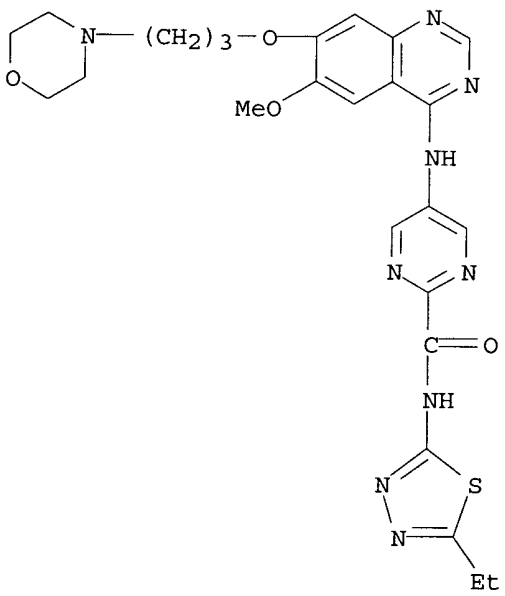
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-27-7 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(5-ethyl-1,3,4-thiadiazol-2-yl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

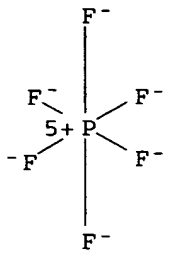
CM 1

CRN 331798-26-6
CMF C25 H29 N9 O4 S



CM 2

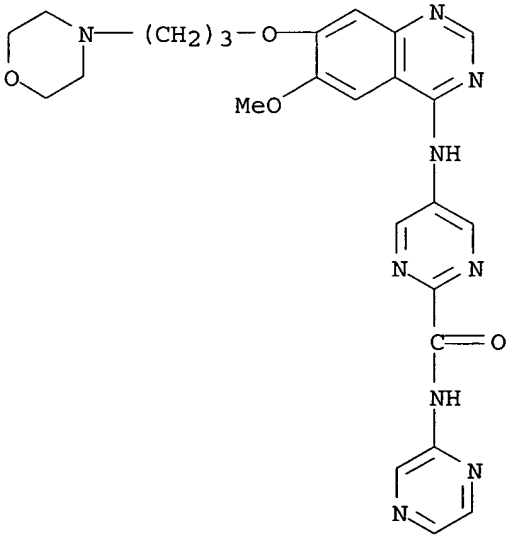
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-33-5 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-pyrazinyl-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

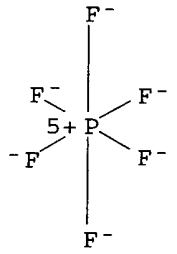
CM 1

CRN 331798-32-4
CMF C25 H27 N9 O4



CM 2

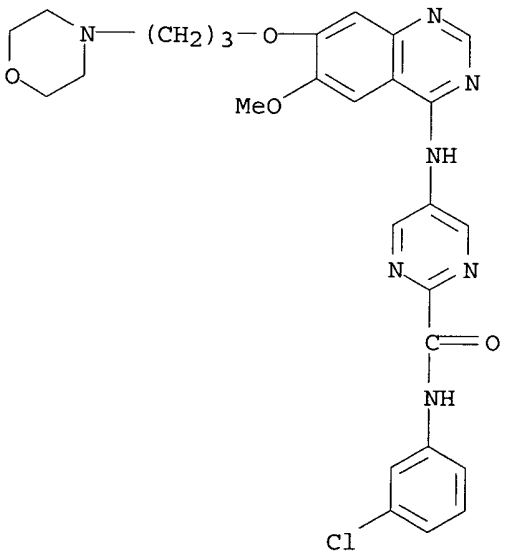
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-39-1 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(3-chlorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

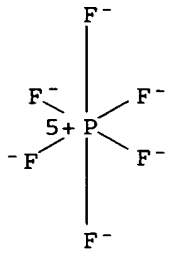
CM 1

CRN 331798-38-0
CMF C27 H28 Cl N7 O4



CM 2

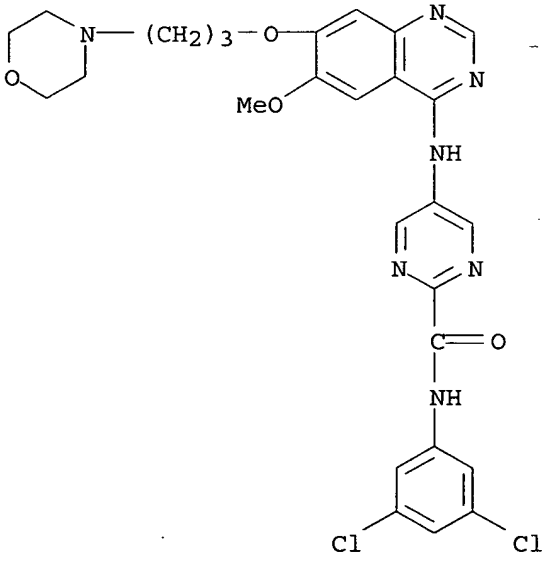
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-44-8 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(3,5-dichlorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

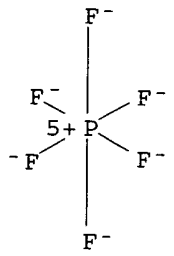
CM 1

CRN 331798-43-7
CMF C27 H27 Cl2 N7 O4



CM 2

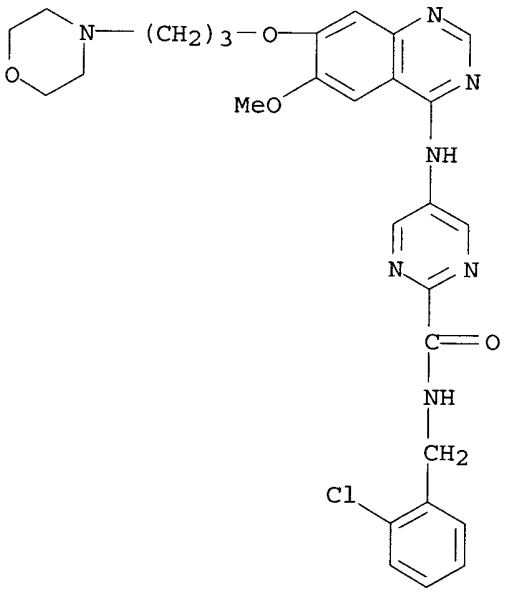
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-49-3 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(2-chlorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

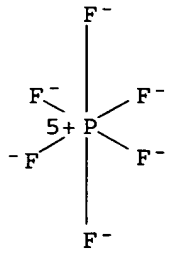
CM 1

CRN 331798-48-2
CMF C28 H30 Cl N7 O4



CM 2

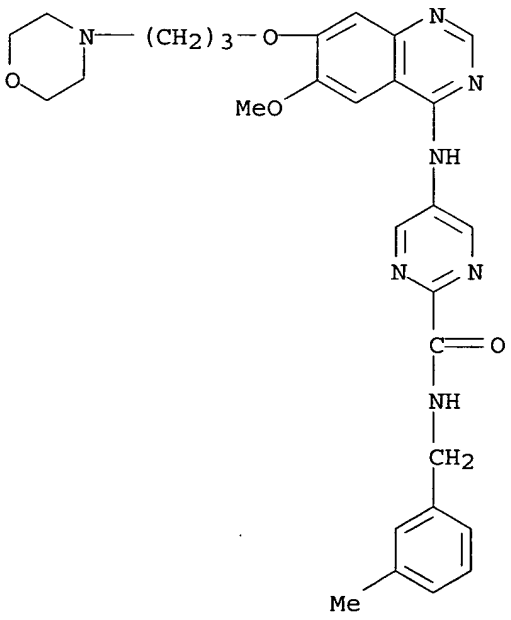
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-55-1 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[(3-methylphenyl)methyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

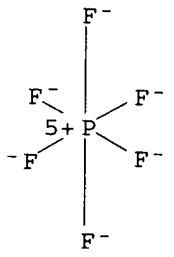
CM 1

CRN 331798-54-0
CMF C29 H33 N7 O4



CM 2

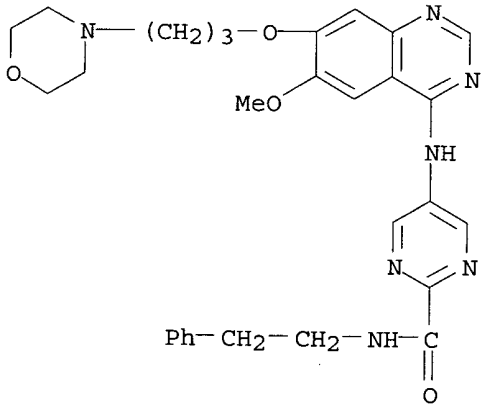
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-58-4 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(2-phenylethyl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

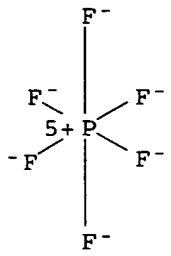
CM 1

CRN 331798-57-3
CMF C29 H33 N7 O4



CM 2

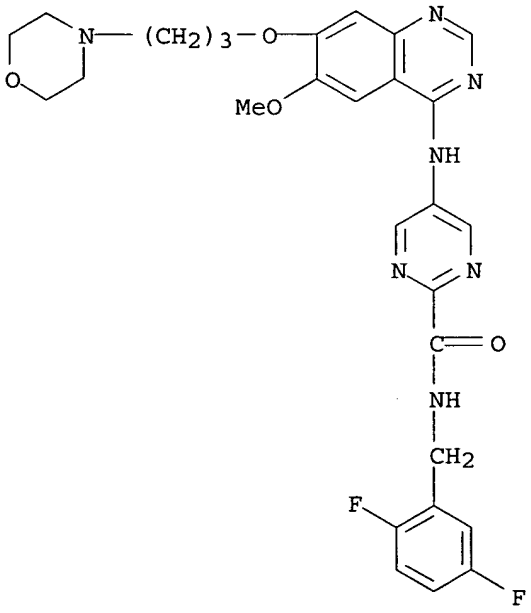
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-65-3 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(2,5-difluorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

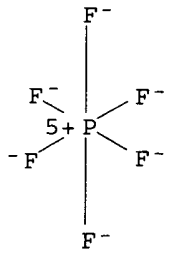
CM 1

CRN 331798-64-2
CMF C28 H29 F2 N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331798-70-0 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(3,4-difluorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331798-69-7
CMF C28 H29 F2 N7 O4

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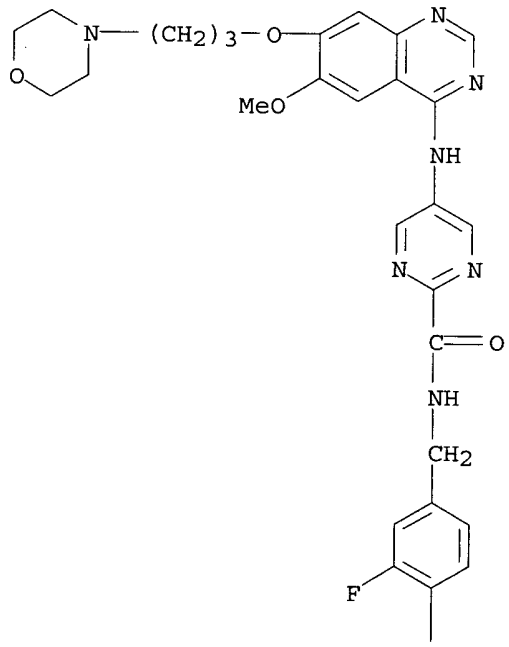

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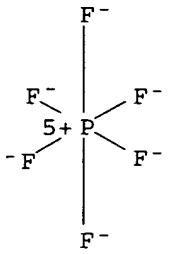
F

CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

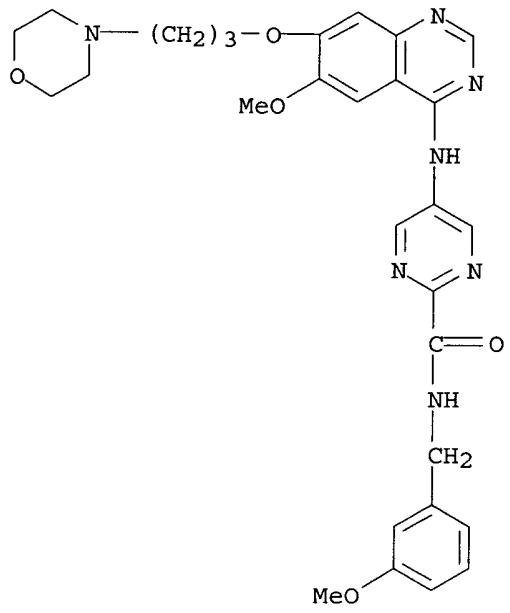


● H^+

RN 331798-76-6 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[(3-methoxyphenyl)methyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

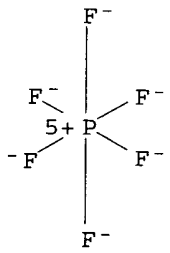
CM 1

CRN 331798-75-5
CMF C29 H33 N7 O5



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

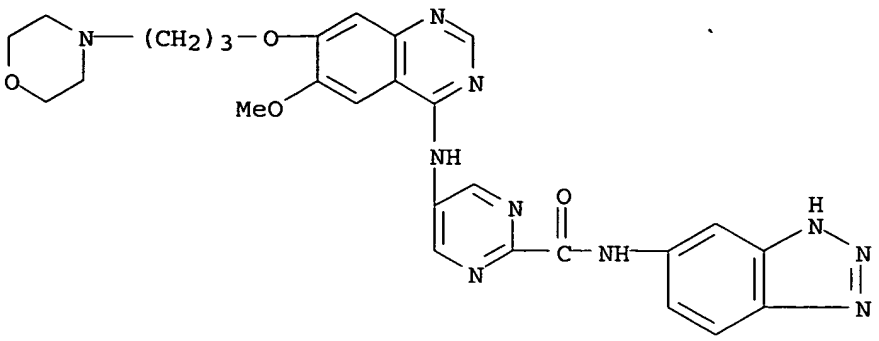


● H^+

RN 331798-81-3 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-1H-benzotriazol-5-yl-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

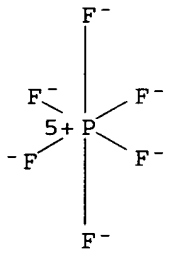
CM 1

CRN 331798-80-2
CMF C27 H28 N10 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

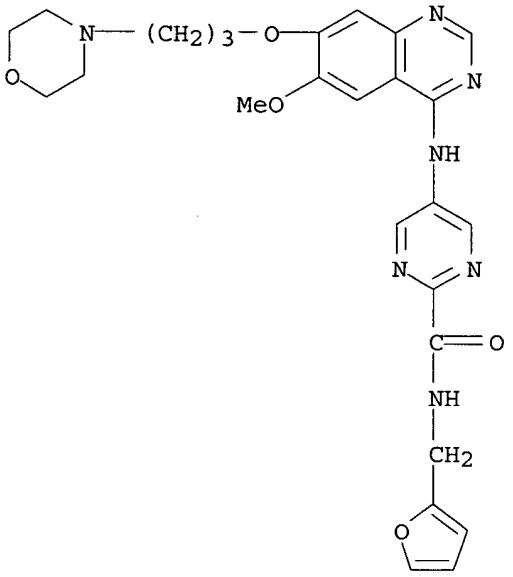


● H^+

RN 331798-87-9 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(2-furanylmethyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

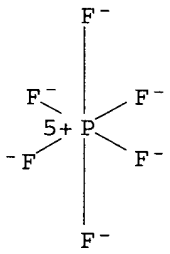
CM 1

CRN 331798-86-8
CMF C26 H29 N7 O5



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

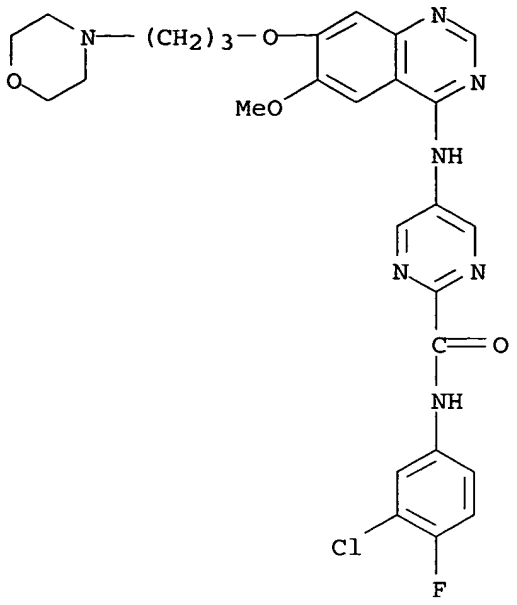


● H^+

RN 331798-93-7 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(3-chloro-4-fluorophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

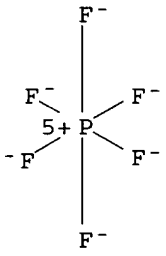
CM 1

CRN 331798-92-6
CMF C27 H27 Cl F N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

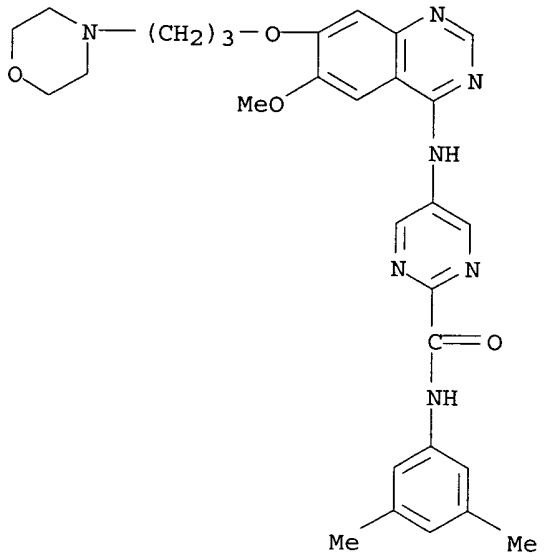


● H^+

RN 331799-08-7 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(3,5-dimethylphenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

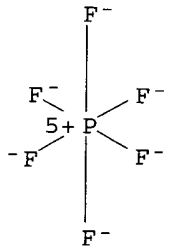
CM 1

CRN 331799-07-6
CMF C29 H33 N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

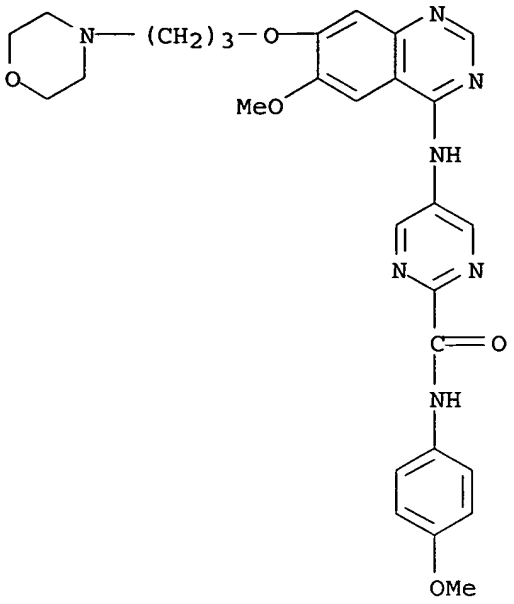


● H^+

RN 331799-18-9 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(4-methoxyphenyl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

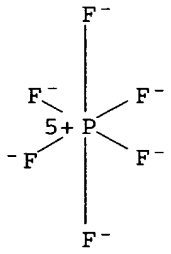
CM 1

CRN 331799-17-8
CMF C28 H31 N7 O5



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

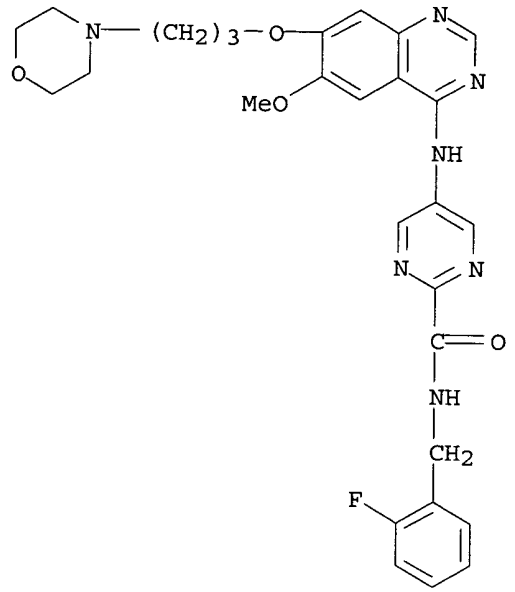


● H^+

RN 331799-25-8 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(2-fluorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

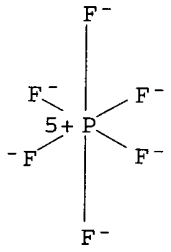
CM 1

CRN 331799-24-7
CMF C28 H30 F N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

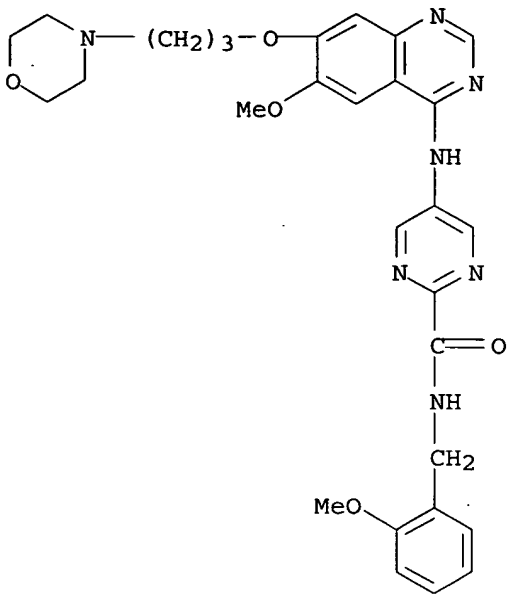


● H^+

RN 331799-32-7 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[(2-methoxyphenyl)methyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

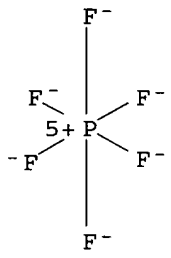
CM 1

CRN 331799-31-6
CMF C29 H33 N7 O5



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

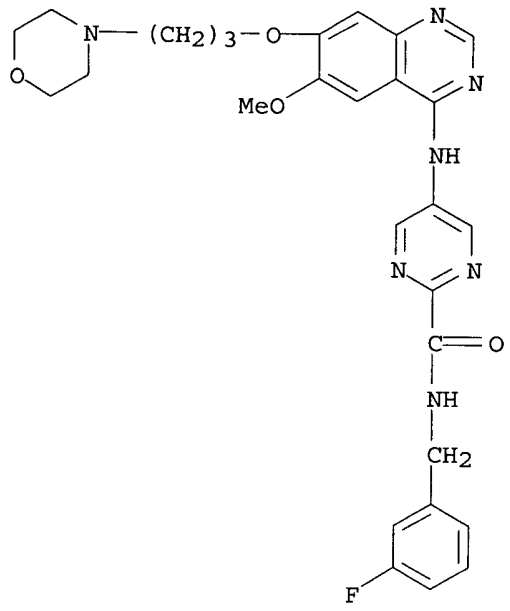


● H^+

RN 331799-39-4 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(3-fluorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

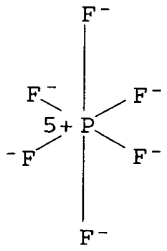
CM 1

CRN 331799-38-3
CMF C28 H30 F N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



● H^+

RN 331799-49-6 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[(4-chlorophenyl)methyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331799-48-5
CMF C28 H30 Cl N7 O4

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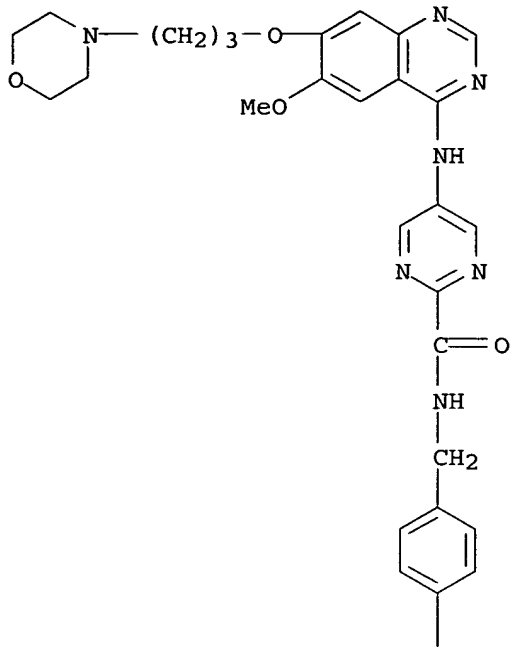

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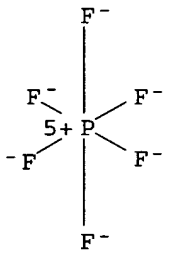
CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331799-55-4 HCAPLUS

CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[(4-methylphenyl)methyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331799-54-3
CMF C29 H33 N7 O4

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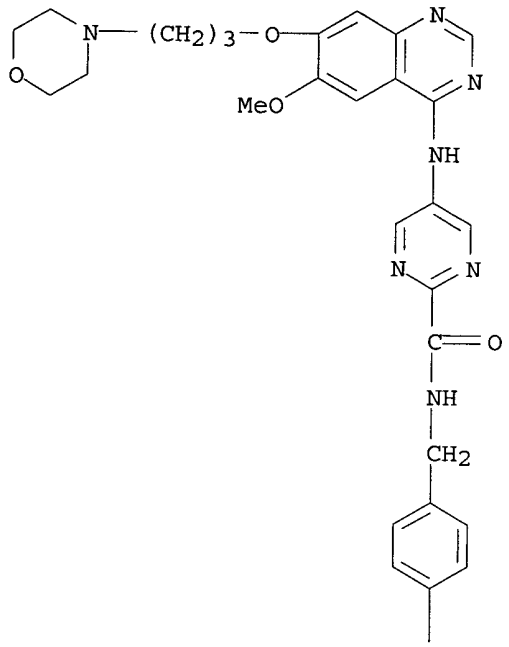

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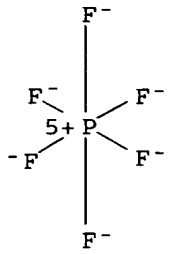
CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

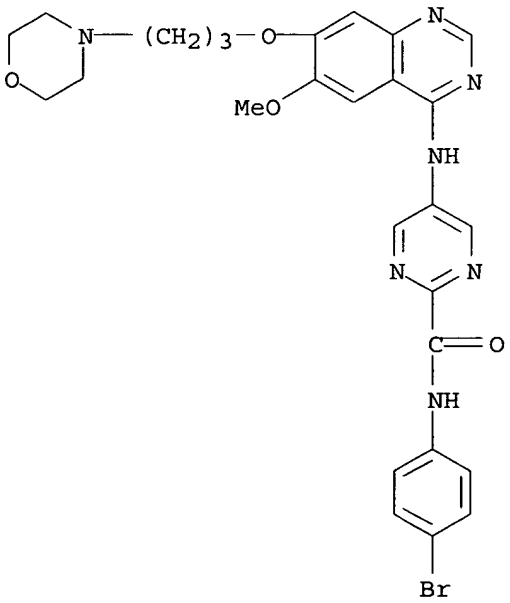


● H^+

RN 331799-61-2 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(4-bromophenyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

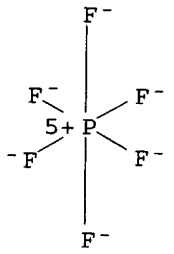
CM 1

CRN 331799-60-1
CMF C27 H28 Br N7 O4



CM 2

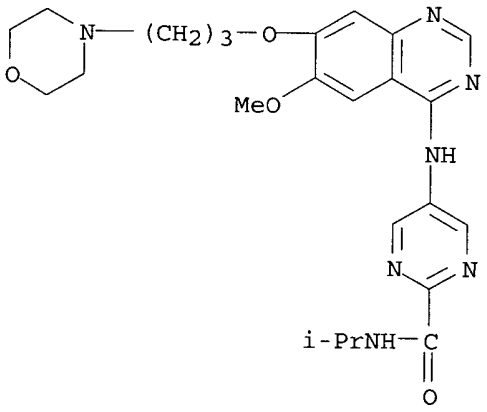
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331799-67-8 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-(1-methylethyl)-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

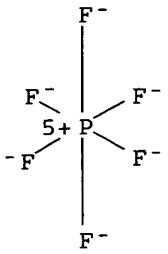
CM 1

CRN 331799-66-7
CMF C24 H31 N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

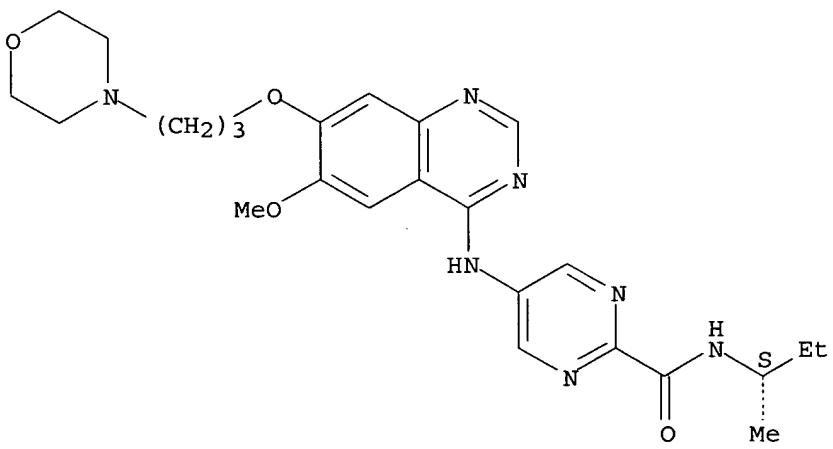


RN 331799-73-6 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[(1S)-1-methylpropyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

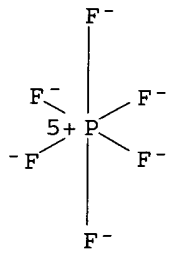
CRN 331799-72-5
CMF C25 H33 N7 O4

Absolute stereochemistry.



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

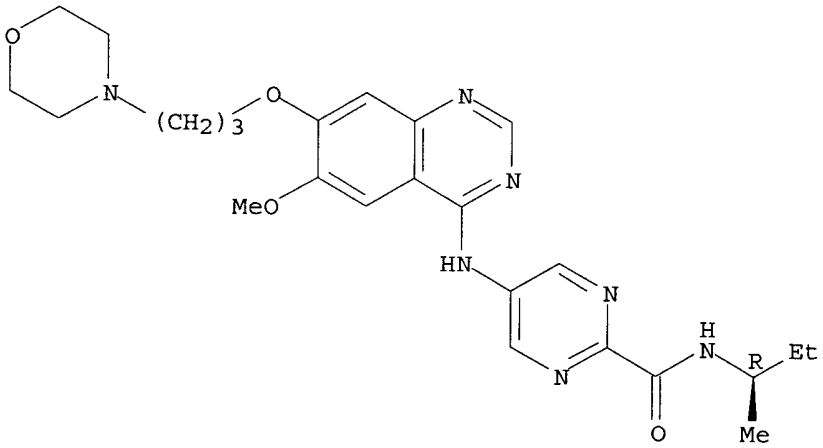


RN 331799-79-2 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-N-[(1R)-1-methylpropyl]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

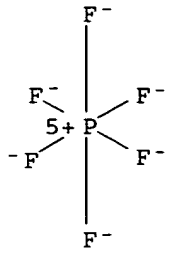
CRN 331799-78-1
CMF C25 H33 N7 O4

Absolute stereochemistry.



CM 2

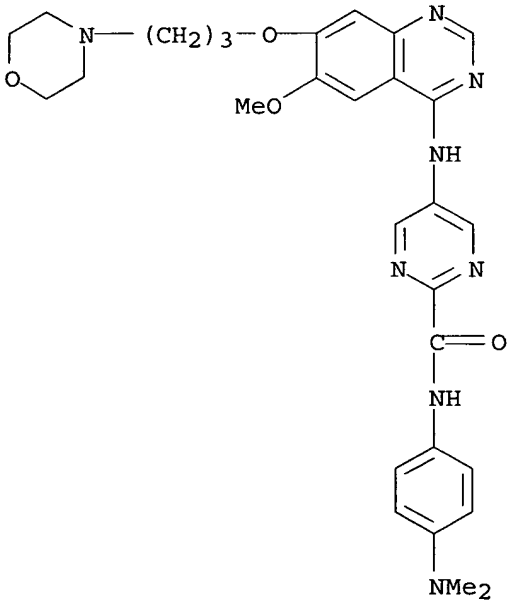
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331799-85-0 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[4-(dimethylamino)phenyl]-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

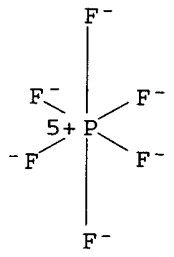
CM 1

CRN 331799-84-9
CMF C29 H34 N8 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

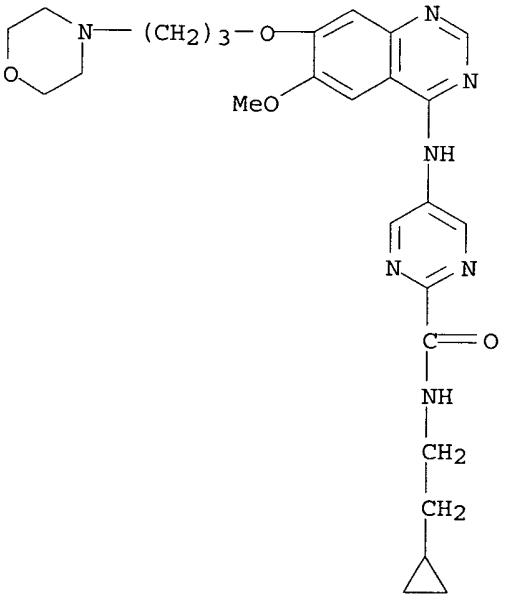


● H^+

RN 331799-91-8 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-(2-cyclopropylethyl)-5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinecarboxamide (2:1) (9CI) (CA INDEX NAME)

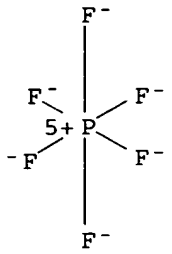
CM 1

CRN 331799-90-7
CMF C26 H33 N7 O4

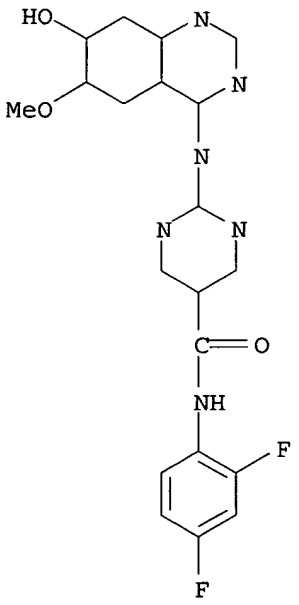


CM 2

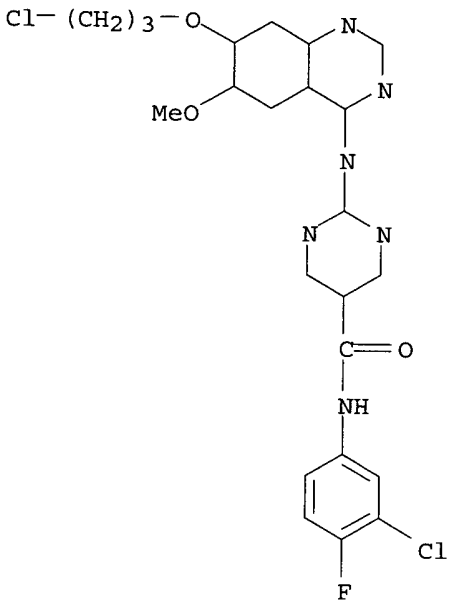
CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331800-06-7 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(2,4-difluorophenyl)-2-[(7-hydroxy-6-methoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)

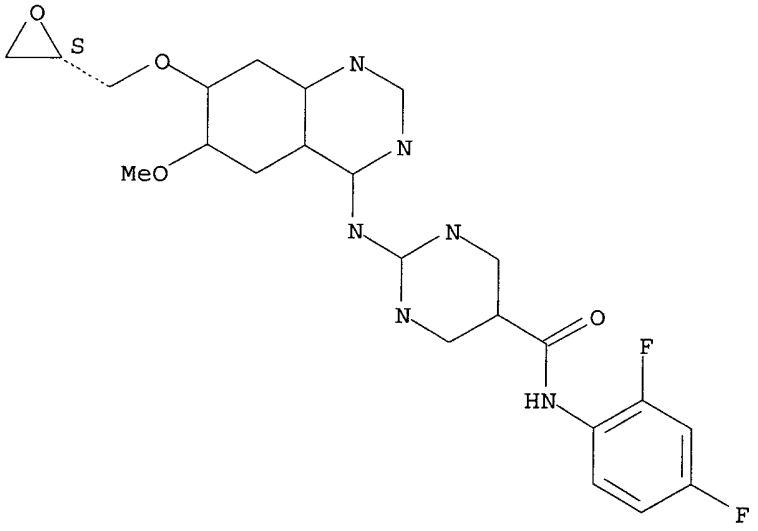


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-17-0 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(3-chloro-4-fluorophenyl)-2-[[7-(3-chloropropoxy)-6-methoxy-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

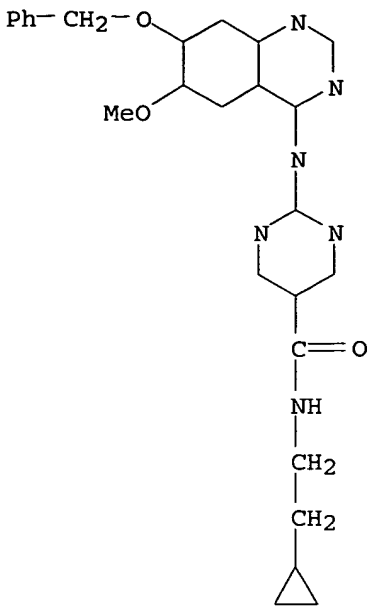


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-32-9 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(2,4-difluorophenyl)-2-[[6-methoxy-7-[(2S)-oxiranylmethoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

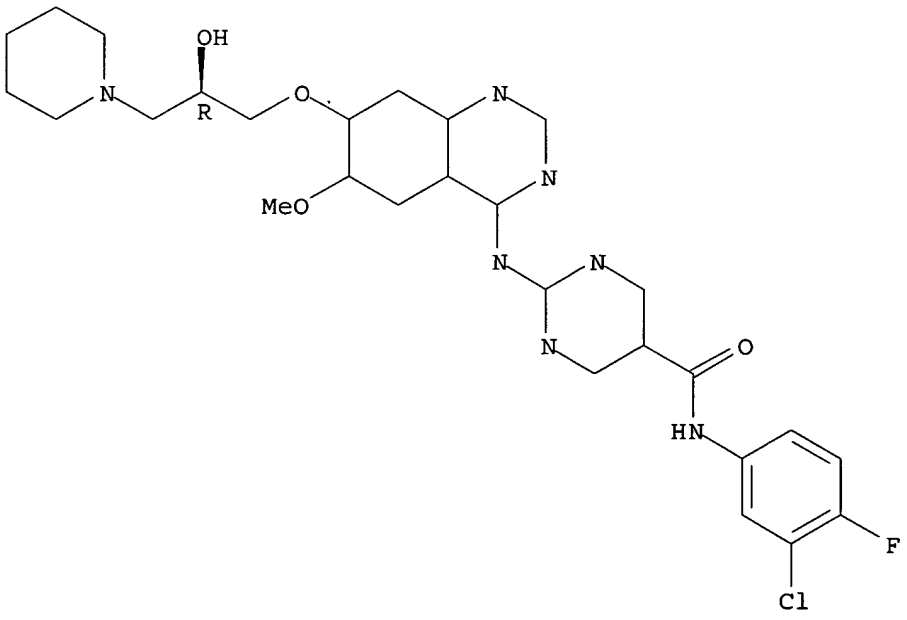


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-37-4 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(2-cyclopropylethyl)-2-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



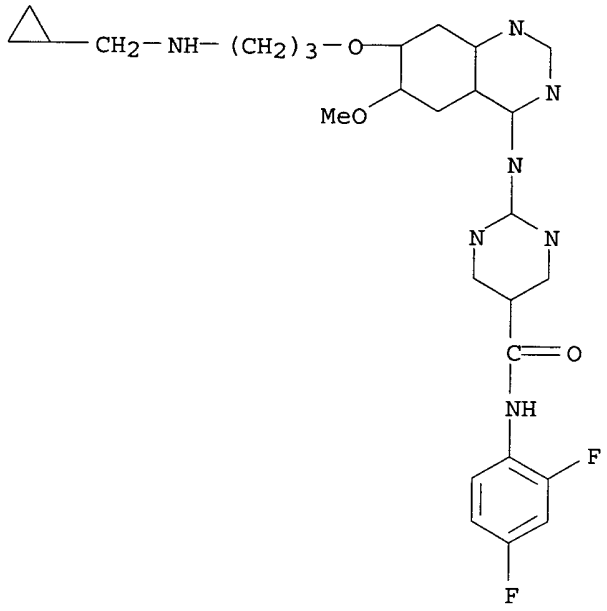
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-42-1 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(3-chloro-4-fluorophenyl)-2-[[7-[(2R)-2-hydroxy-3-(1-piperidinyl)propoxy]-6-methoxy-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331800-47-6 HCAPLUS
CN 5-Pyrimidinecarboxamide, 2-[[7-[3-[(cyclopropylmethyl)amino]propoxy]-6-

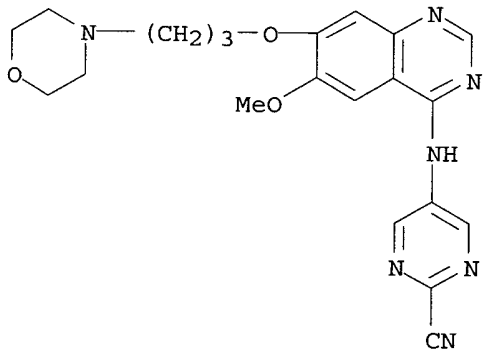
methoxy-4-quinazolinyl]amino]-N-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

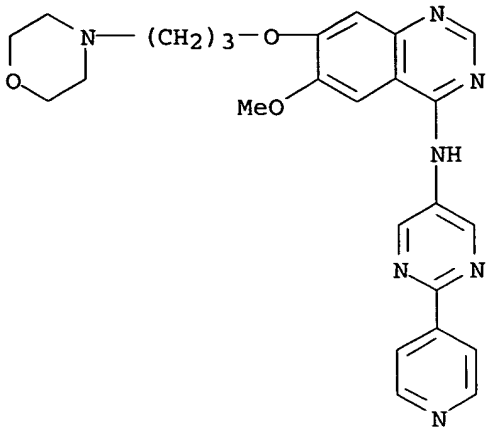
RN 331800-52-3 HCAPLUS

CN 2-Pyrimidinecarbonitrile, 5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

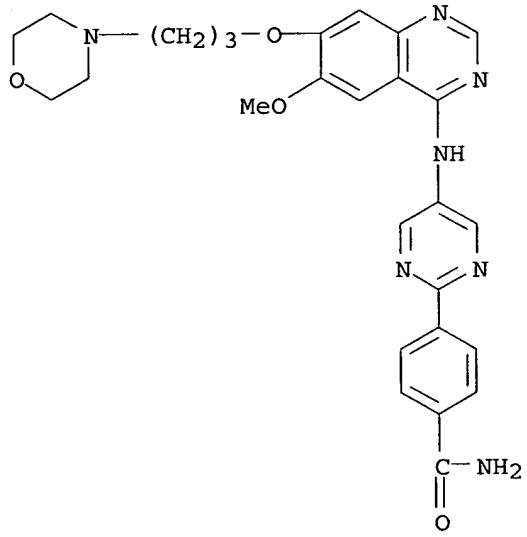


RN 331800-55-6 HCAPLUS

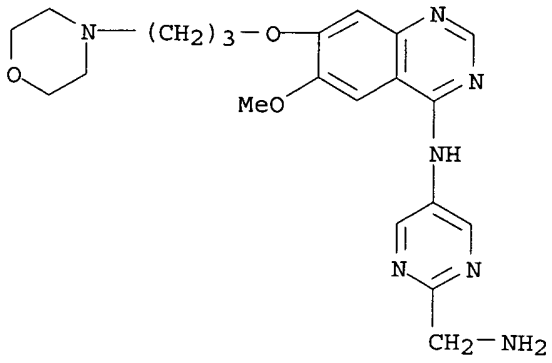
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-[2-(4-pyridinyl)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



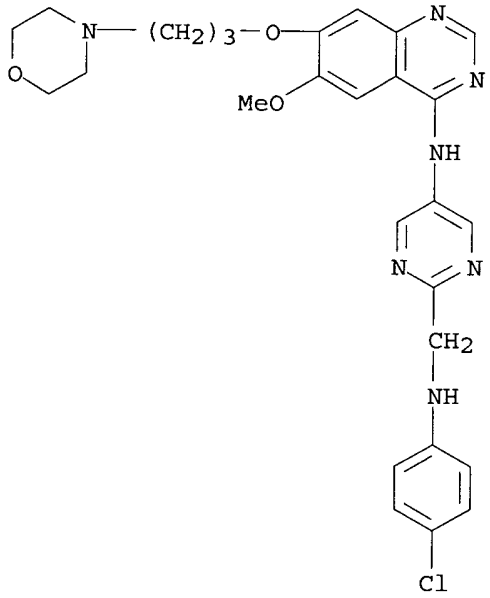
RN 331800-61-4 HCAPLUS
CN Benzamide, 4-[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



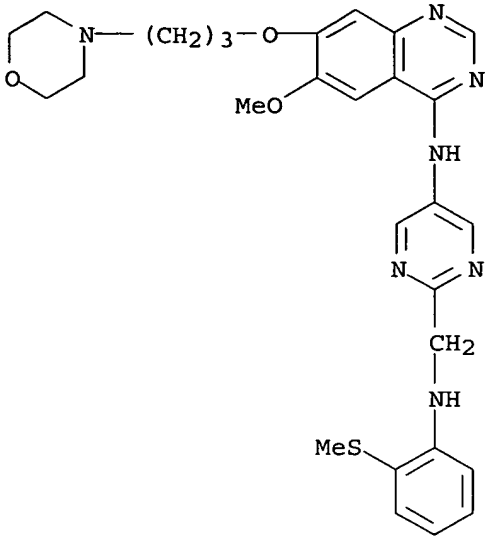
RN 331800-71-6 HCAPLUS
CN 4-Quinazolinamine, N-[2-(aminomethyl)-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



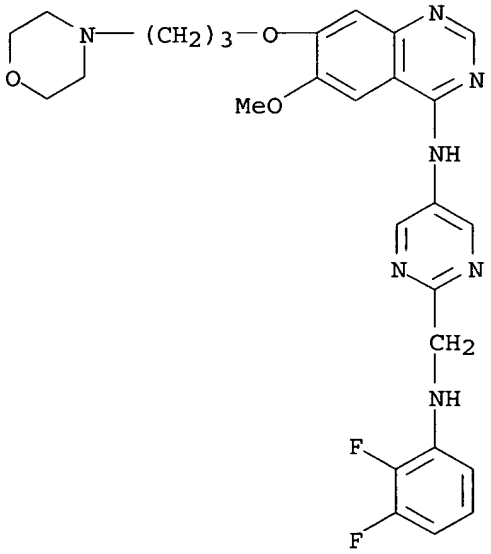
RN 331800-76-1 HCAPLUS
CN 4-Quinazolinamine, N-[2-[[(4-chlorophenyl)amino]methyl]-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



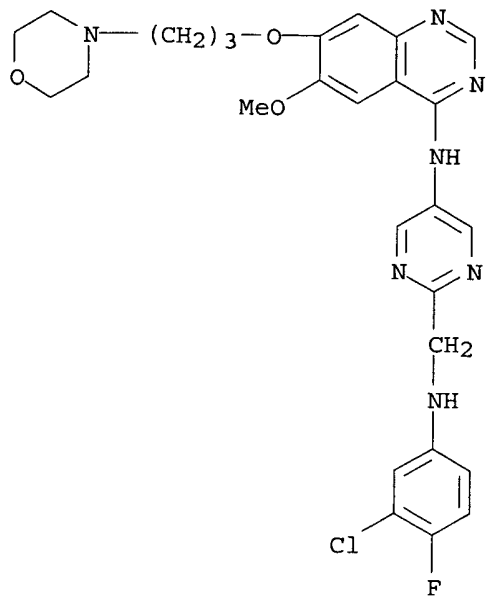
RN 331800-78-3 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-N-[2-[[[2-(methylthio)phenyl]amino]methyl]-5-pyrimidinyl]-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 331800-82-9 HCAPLUS
CN 4-Quinazolinamine, N-[2-[[(2,3-difluorophenyl)amino]methyl]-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



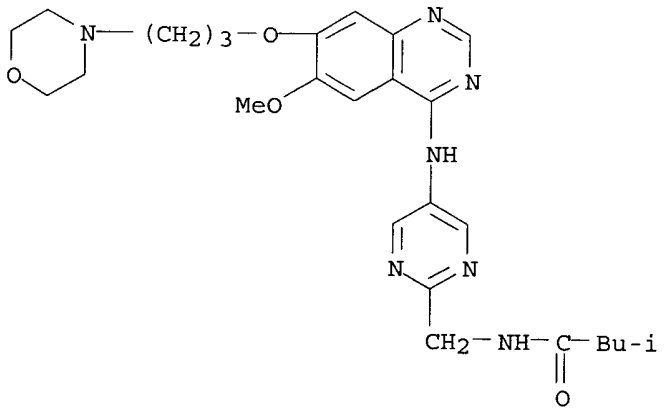
RN 331800-87-4 HCAPLUS
CN 4-Quinazolinamine, N-[2-[[(3-chloro-4-fluorophenyl)amino]methyl]-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 331800-93-2 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with N-[[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]methyl]-3-methylbutanamide (2:1) (9CI) (CA INDEX NAME)

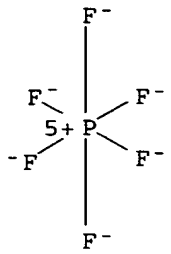
CM 1

CRN 331800-92-1
CMF C26 H35 N7 O4



CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



RN 331800-99-8 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 4-chloro-N-[[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]methyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331800-98-7
CMF C28 H30 Cl N7 O4

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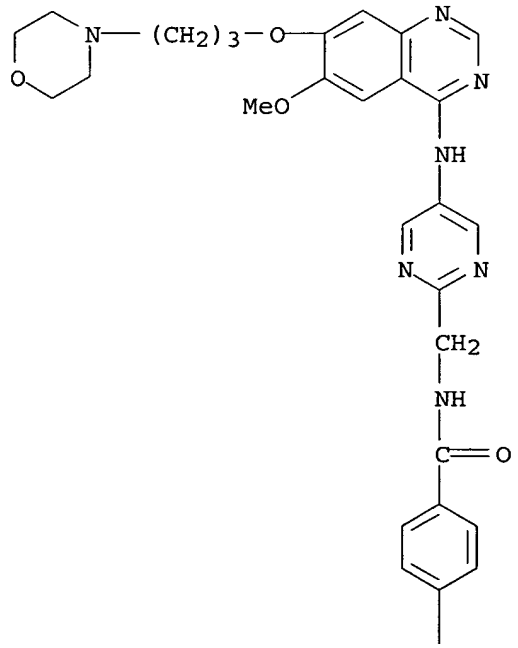

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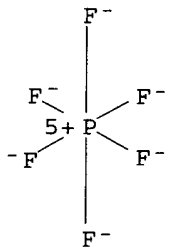
CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



● H^+

RN 331801-05-9 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 4-chloro-N-[[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]methyl]benzeneacetamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 331801-04-8
CMF C29 H32 Cl N7 O4

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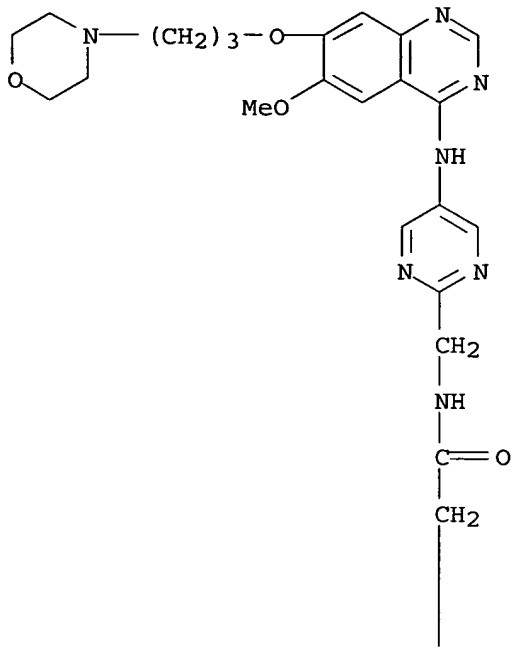

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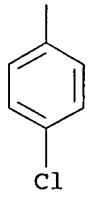
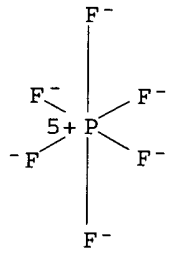


CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS

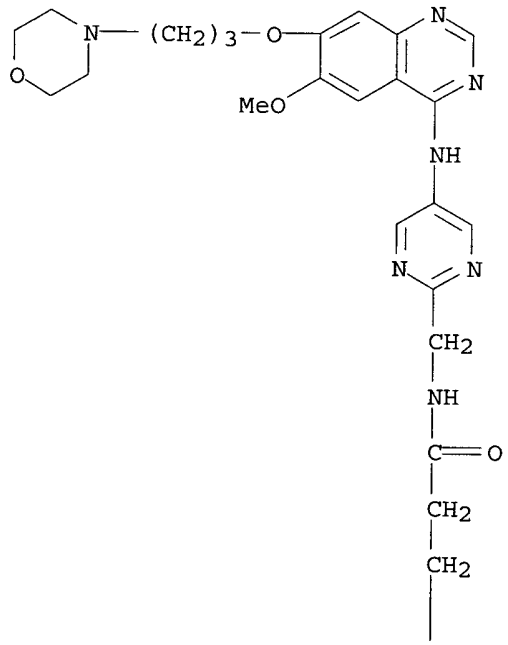


RN 331801-11-7 HCAPLUS
CN Phosphate(1-), hexafluoro-, hydrogen, compd. with 4-chloro-N-[[5-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]methyl]benzenepropanamide (2:1) (9CI) (CA INDEX NAME)

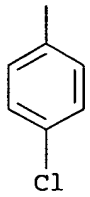
CM 1

CRN 331801-10-6
CMF C30 H34 Cl N7 O4

PAGE 1-A

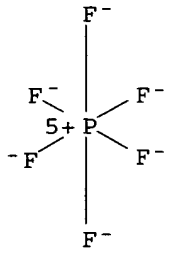


PAGE 2-A



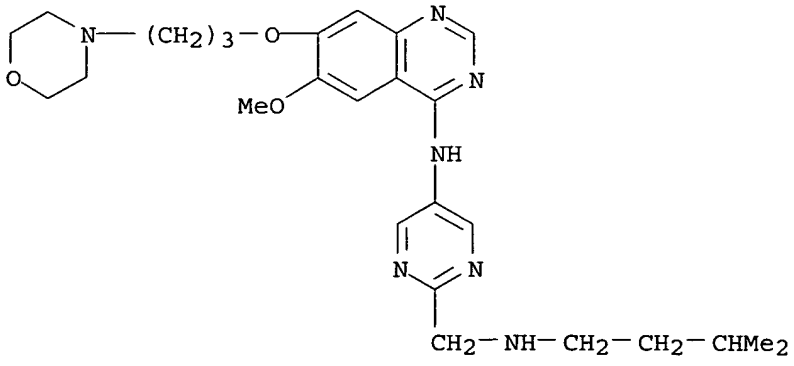
CM 2

CRN 16940-81-1
CMF F6 P . H
CCI CCS



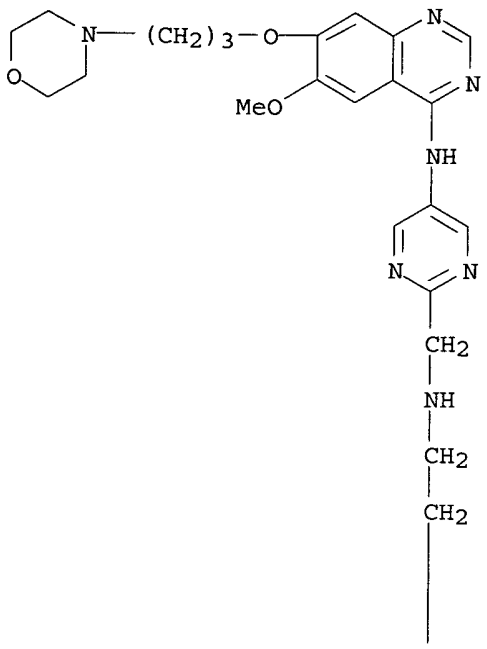
● H^+

RN 331801-16-2 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-N-[2-[[(3-methylbutyl)amino]methyl]-5-pyrimidinyl]-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 331801-22-0 HCAPLUS
CN 4-Quinazolinamine, N-[2-[[[2-(1-cyclohexen-1-yl)ethyl]amino]methyl]-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



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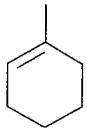
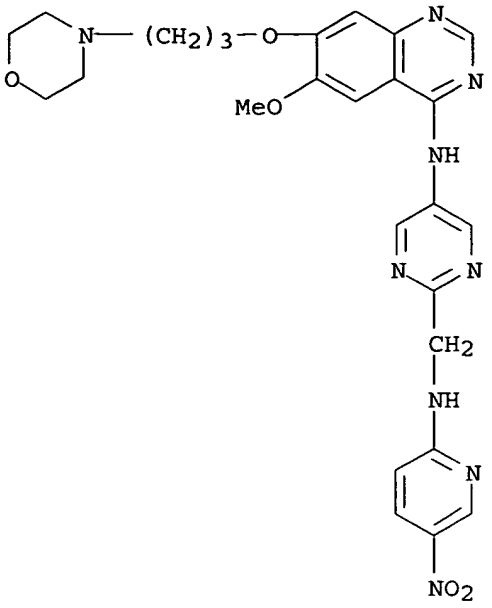
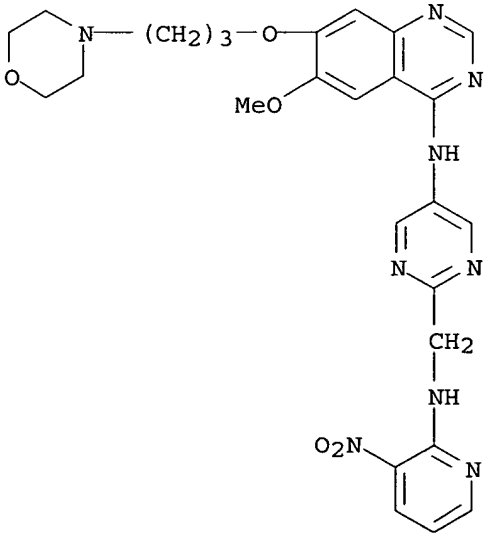


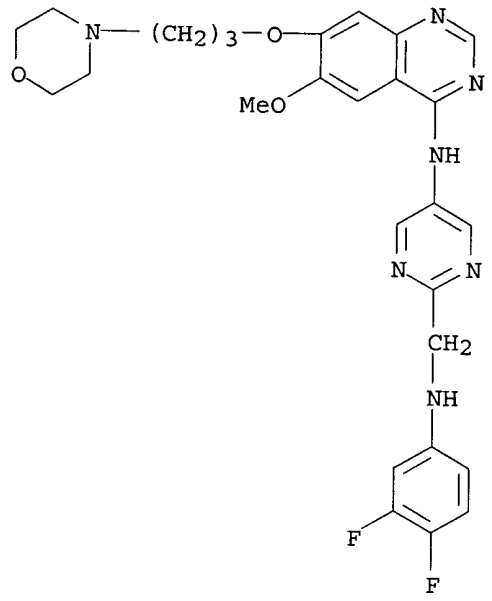
RN 331801-27-5 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-[2-[[(5-nitro-2-pyridinyl)amino]methyl]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



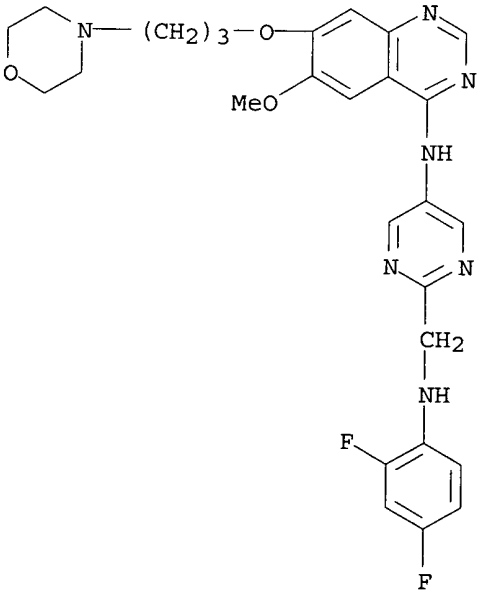
RN 331801-30-0 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-[2-[[(3-nitro-2-pyridinyl)amino]methyl]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



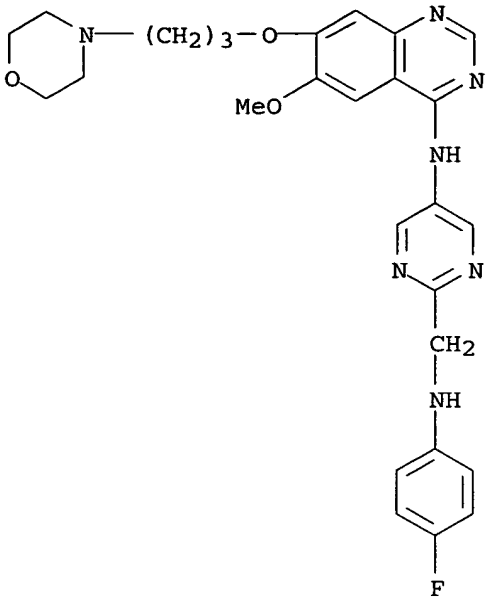
RN 331801-36-6 HCAPLUS
CN 4-Quinazolinamine, N-[2-[[(3,4-difluorophenyl)amino]methyl]-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



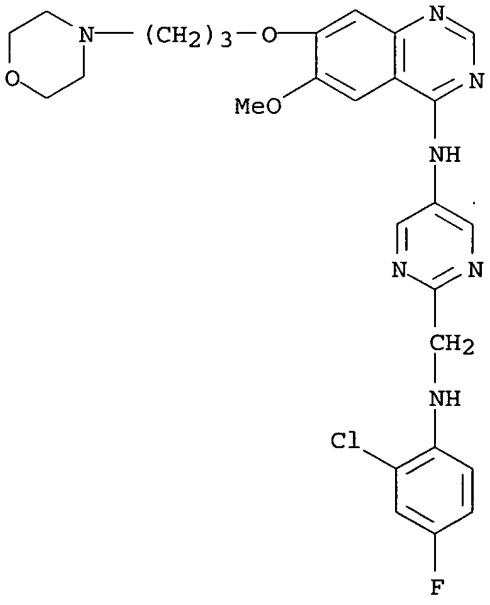
RN 331801-42-4 HCAPLUS
CN 4-Quinazolinamine, N-[2-[[(2,4-difluorophenyl)amino]methyl]-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 331801-47-9 HCAPLUS
CN 4-Quinazolinamine, N-[2-[[(4-fluorophenyl)amino]methyl]-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 331801-52-6 HCAPLUS
CN 4-Quinazolinamine, N-[2-[[(2-chloro-4-fluorophenyl)amino]methyl]-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



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331802-11-0P 331802-17-6P 331802-23-4P
331802-28-9P 331802-34-7P 331802-39-2P
331802-71-2P 331802-76-7P 331802-81-4P
331802-87-0P 331802-93-8P 331802-98-3P

331803-01-1P 331803-06-6P 331803-11-3P
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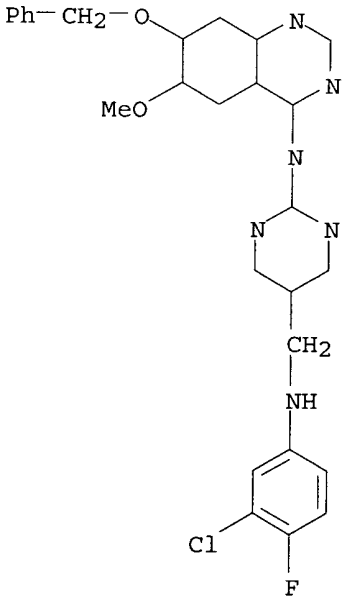
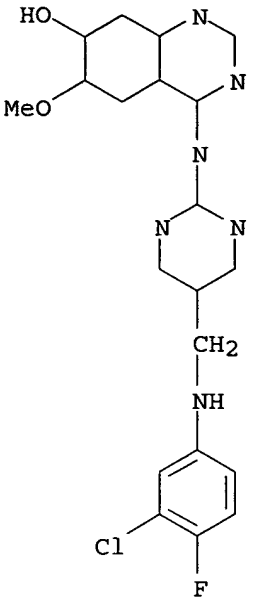
331805-65-3P 331805-71-1P 331805-76-6P
331805-82-4P 331805-87-9P 331805-92-6P
331805-96-0P 331806-01-0P 331806-06-5P
331806-40-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

RN 331801-57-1 HCAPLUS
CN 4-Quinazolinamine, N-[5-[[(3-chloro-4-fluorophenyl)amino]methyl]-2-pyrimidinyl]-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



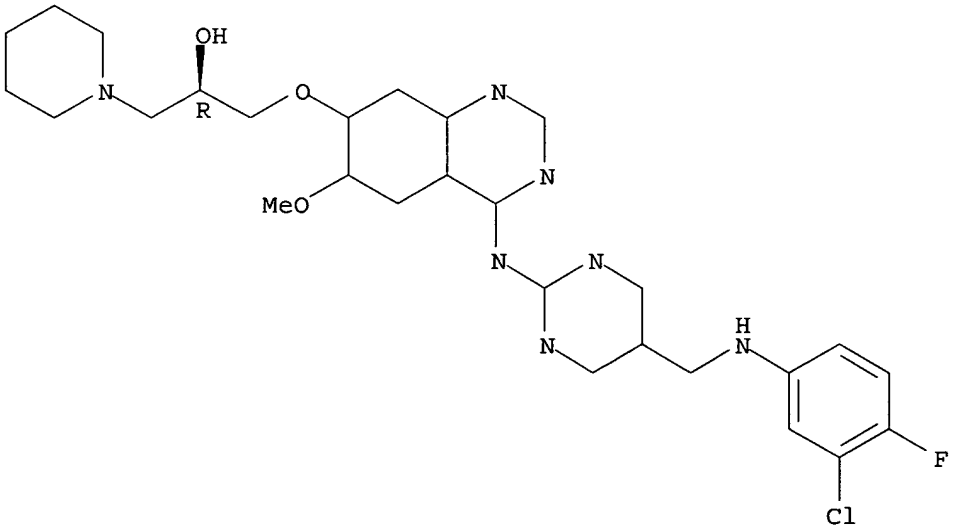
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 331801-61-7 HCAPLUS
CN 7-Quinazolinol, 4-[[5-[[(3-chloro-4-fluorophenyl)amino]methyl]-2-pyrimidinyl]amino]-6-methoxy- (9CI) (CA INDEX NAME)

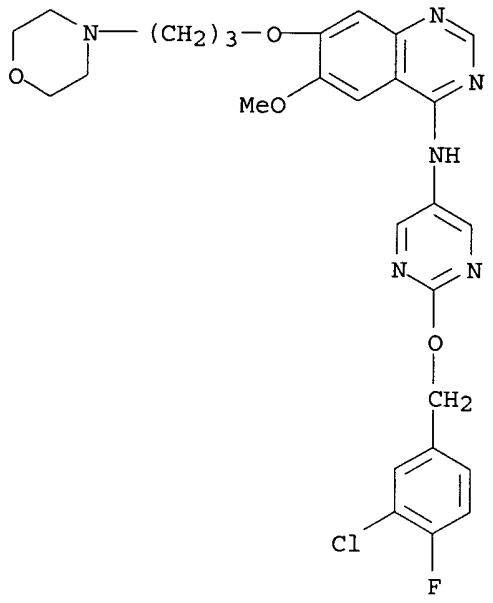


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331801-65-1 HCAPLUS
CN 1-Piperidineethanol, α-[[[4-[[5-[[(3-chloro-4-fluorophenyl)amino]methyl]-2-pyrimidinyl]amino]-6-methoxy-7-quinazolinyl]oxy]methyl]-, (αR)- (9CI) (CA INDEX NAME)

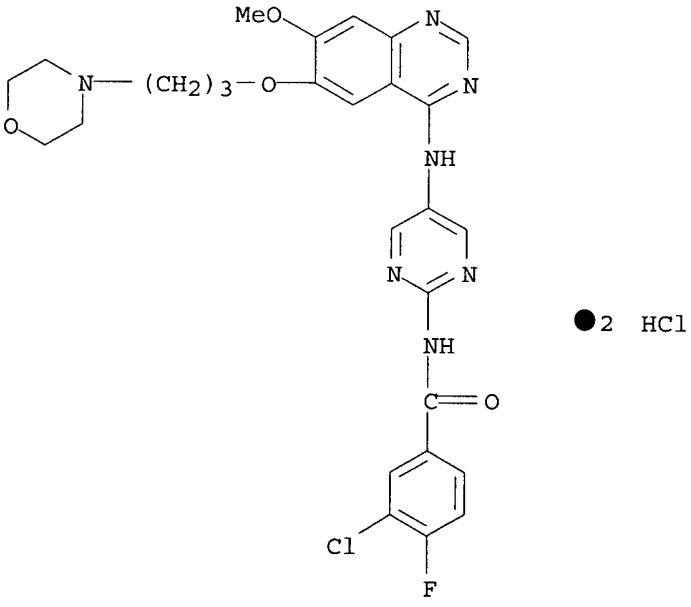
Absolute stereochemistry.



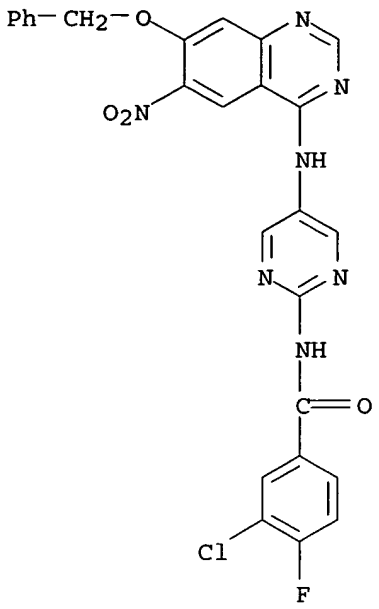
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331801-70-8 HCAPLUS
CN 4-Quinazolinamine, N-[2-[(3-chloro-4-fluorophenyl)methoxy]-5-pyrimidinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



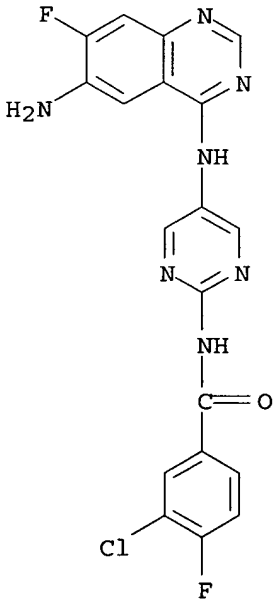
RN 331801-75-3 HCAPLUS
CN Benzamide, 3-chloro-4-fluoro-N-[5-[[7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



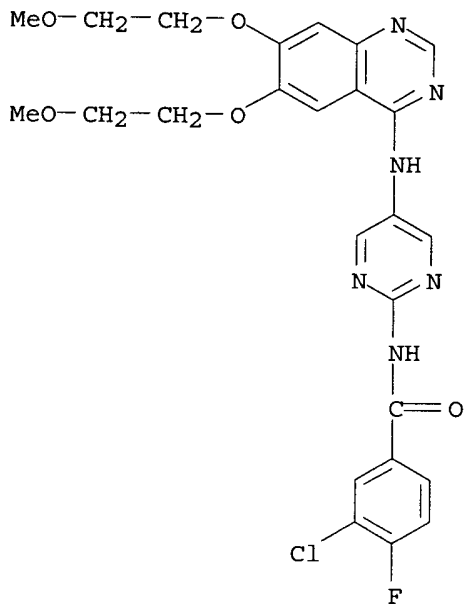
RN 331801-85-5 HCAPLUS
CN Benzamide, 3-chloro-4-fluoro-N-[5-[[6-nitro-7-(phenylmethoxy)-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



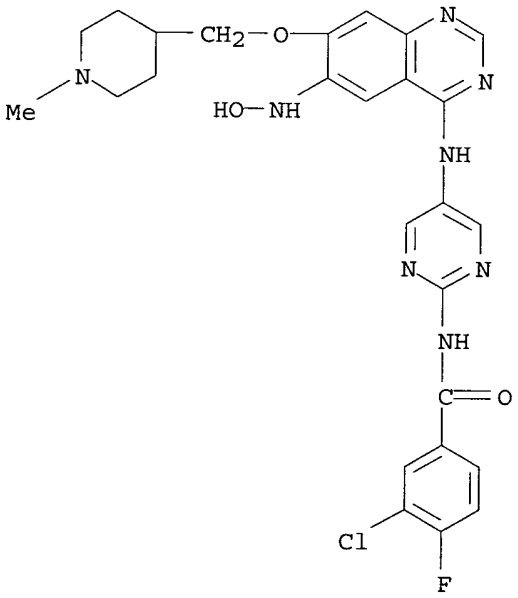
RN 331801-95-7 HCAPLUS
CN Benzamide, N-[5-[(6-amino-7-fluoro-4-quinazolinyl)amino]-2-pyrimidinyl]-3-chloro-4-fluoro- (9CI) (CA INDEX NAME)



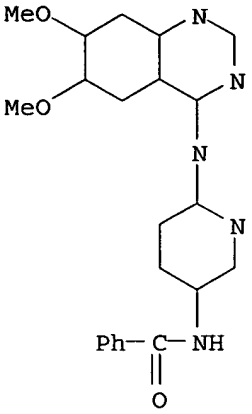
RN 331802-01-8 HCAPLUS
CN Benzamide, N-[5-[[6,7-bis(2-methoxyethoxy)-4-quinazolinyl]amino]-2-pyrimidinyl]-3-chloro-4-fluoro- (9CI) (CA INDEX NAME)



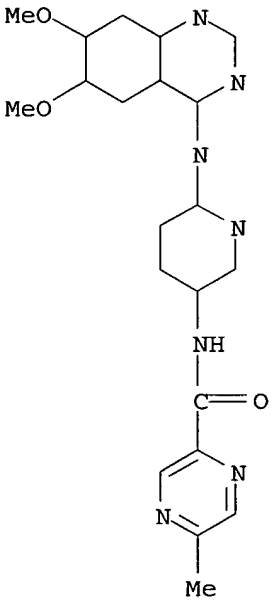
RN 331802-06-3 HCAPLUS
CN Benzamide, 3-chloro-4-fluoro-N-[5-[[6-(hydroxyamino)-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



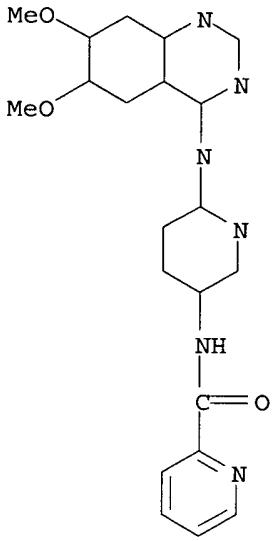
RN 331802-11-0 HCAPLUS
CN Benzamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



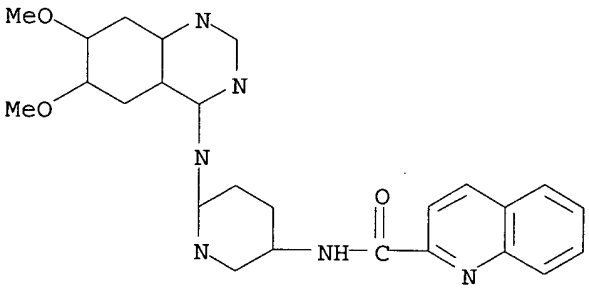
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-17-6 HCAPLUS
CN Pyrazinecarboxamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]-5-methyl- (9CI) (CA INDEX NAME)



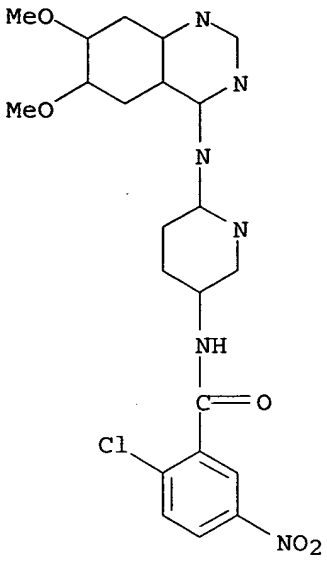
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-23-4 HCAPLUS
CN 2-Pyridinecarboxamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



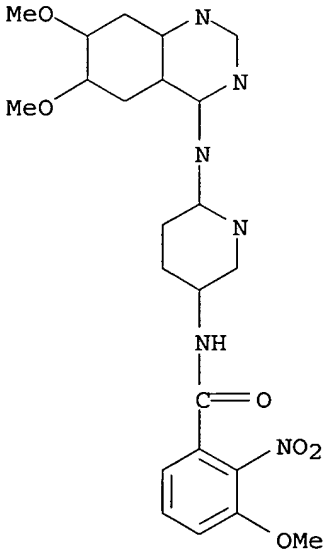
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-28-9 HCAPLUS
CN 2-Quinolinecarboxamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



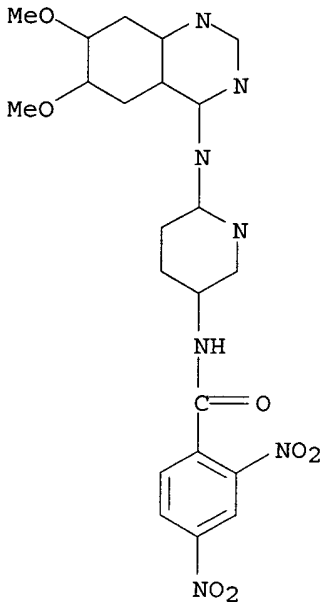
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-34-7 HCAPLUS
CN Benzamide, 2-chloro-N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]-5-nitro- (9CI) (CA INDEX NAME)



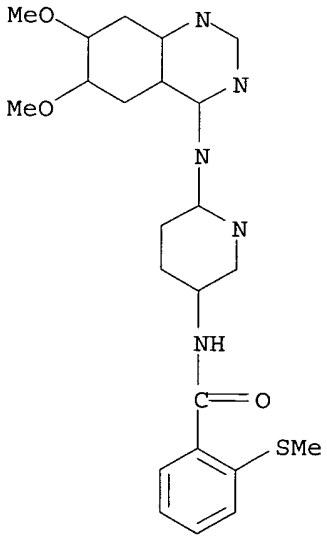
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-39-2 HCAPLUS
CN Benzamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]-3-methoxy-2-nitro- (9CI) (CA INDEX NAME)



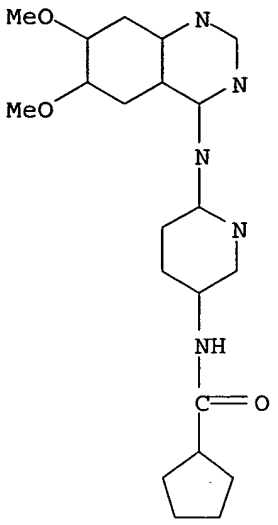
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-71-2 HCAPLUS
CN Benzamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]-2,4-dinitro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-76-7 HCAPLUS
CN Benzamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

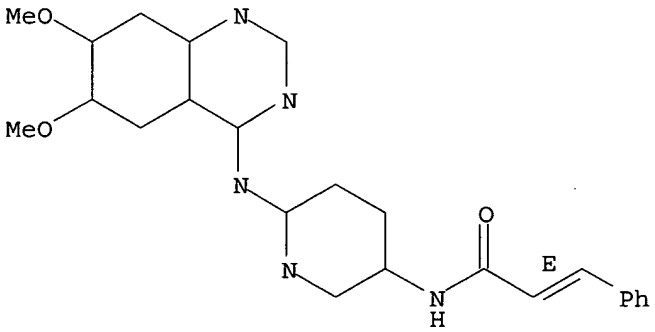


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-81-4 HCAPLUS
CN Cyclopentanecarboxamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-87-0 HCAPLUS
CN 2-Propenamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-93-8 HCAPLUS
CN Benzeneacetamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]-4-methoxy- (9CI) (CA INDEX NAME)

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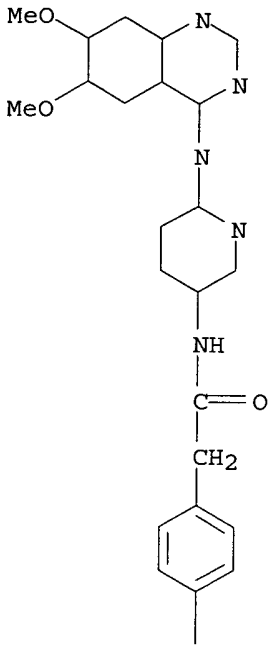

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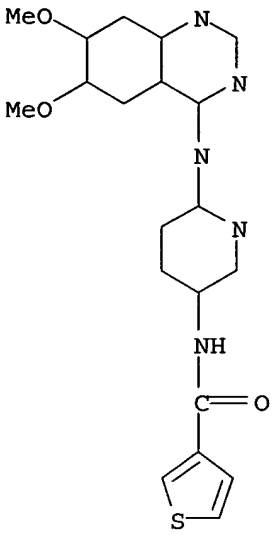
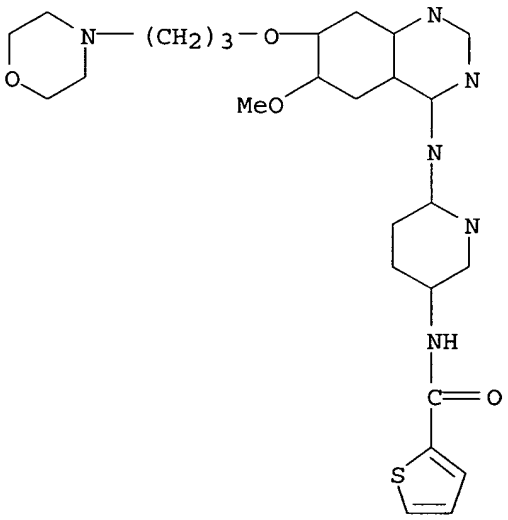
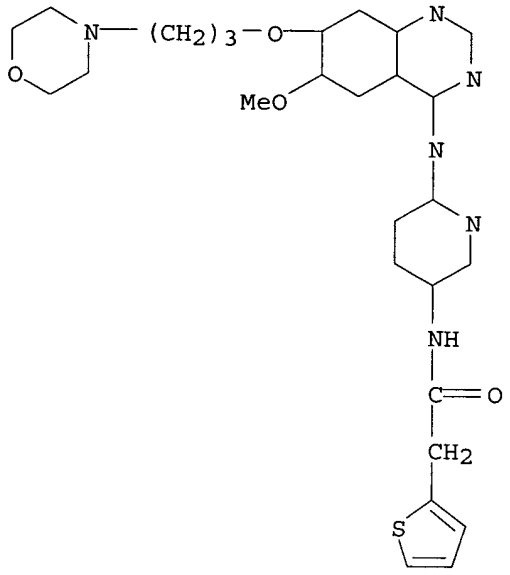
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331802-98-3 HCAPLUS
CN 3-Thiophenecarboxamide, N-[6-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



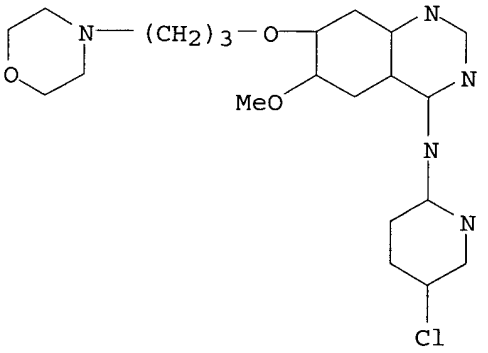
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331803-01-1 HCAPLUS
CN 2-Thiophenecarboxamide, N-[6-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



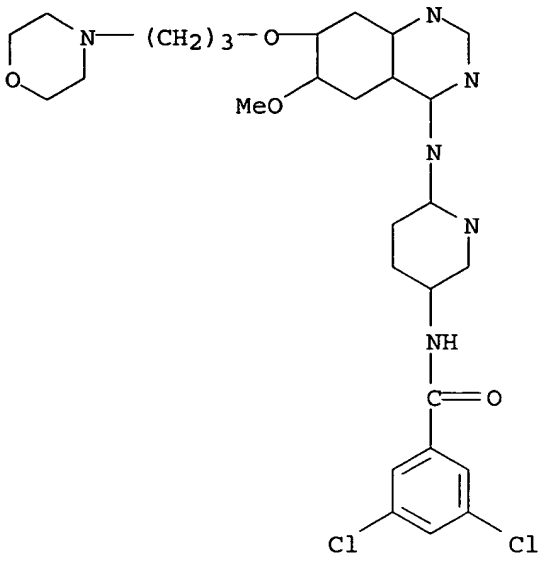
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331803-06-6 HCAPLUS
CN 2-Thiopheneacetamide, N-[6-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



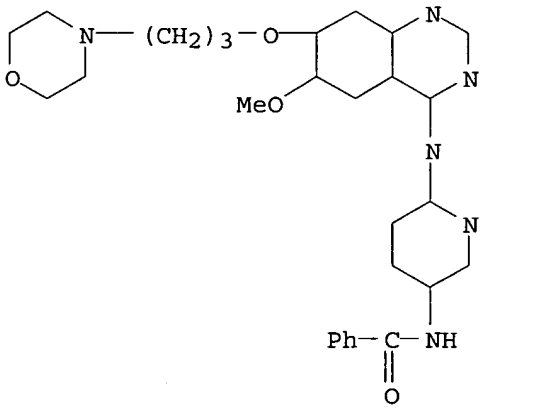
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331803-11-3 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-pyridinyl)-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



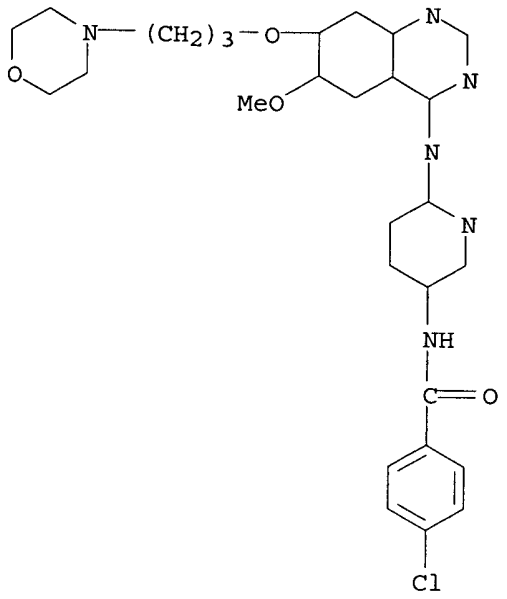
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331803-16-8 HCAPLUS
CN Benzamide, 3,5-dichloro-N-[6-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



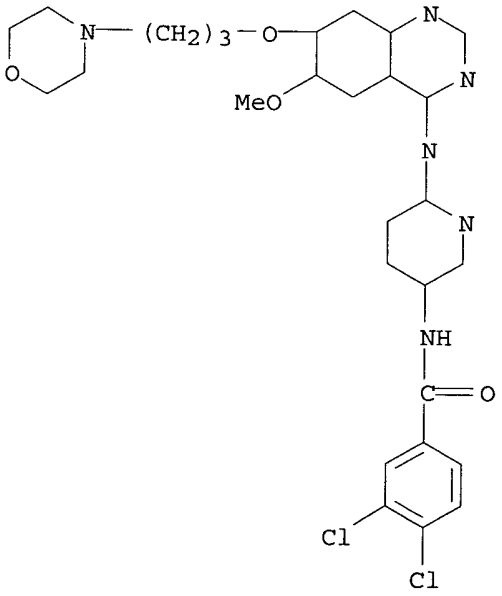
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331803-21-5 HCAPLUS
CN Benzamide, N-[6-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



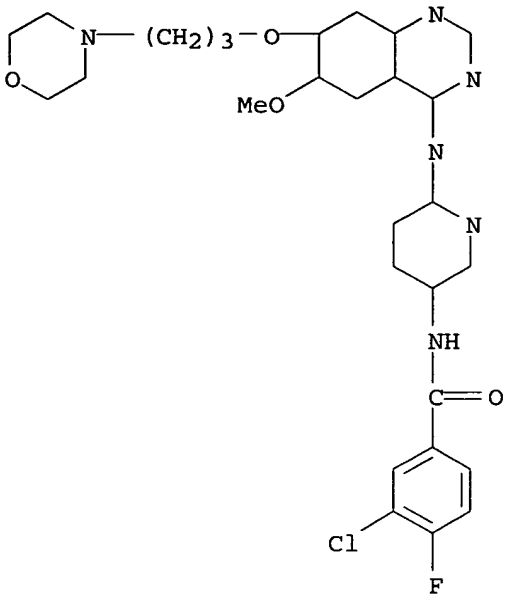
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331803-26-0 HCAPLUS
CN Benzamide, 4-chloro-N-[6-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



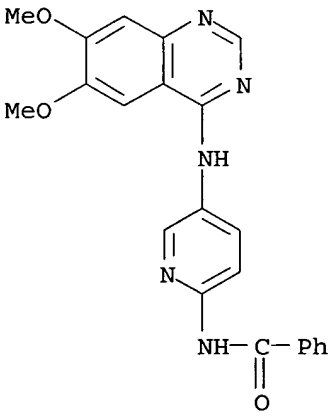
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331803-33-9 HCAPLUS
CN Benzamide, 3,4-dichloro-N-[6-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



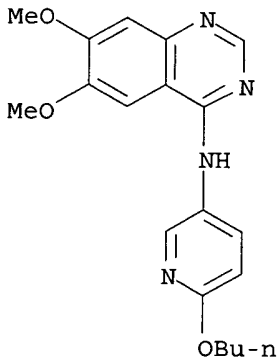
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331803-38-4 HCAPLUS
CN Benzamide, 3-chloro-4-fluoro-N-[6-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



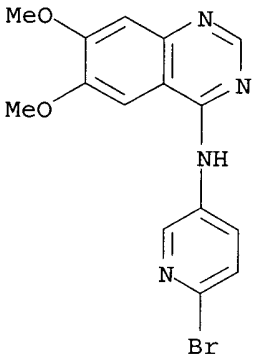
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 331803-43-1 HCAPLUS
CN Benzamide, N-[5-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-pyridinyl]- (9CI) (CA INDEX NAME)



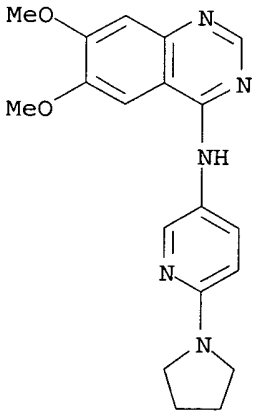
RN 331803-48-6 HCAPLUS
CN 4-Quinazolinamine, N-(6-butoxy-3-pyridinyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



RN 331803-53-3 HCAPLUS
CN 4-Quinazolinamine, N-(6-bromo-3-pyridinyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)

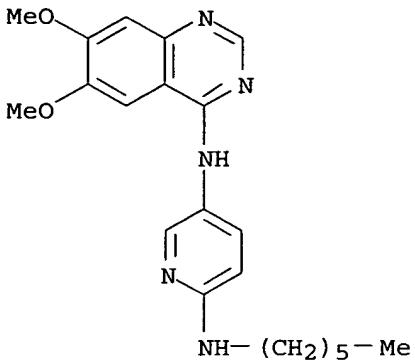


RN 331803-58-8 HCAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-[6-(1-pyrrolidinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

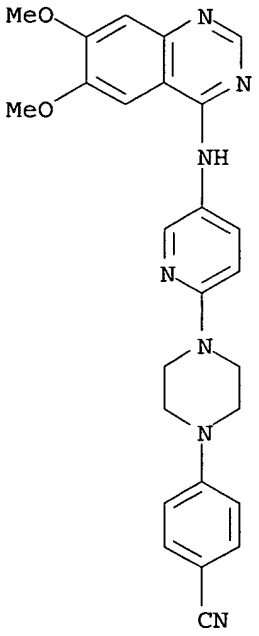


RN 331803-64-6 HCAPLUS
CN 2,5-Pyridinediamine, N5-(6,7-dimethoxy-4-quinazolinyl)-N2-hexyl- (9CI)

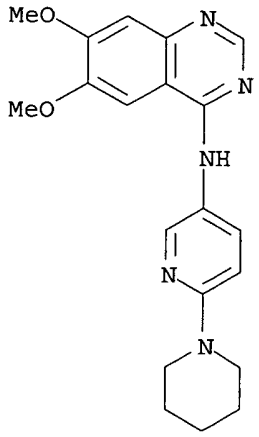
(CA INDEX NAME)



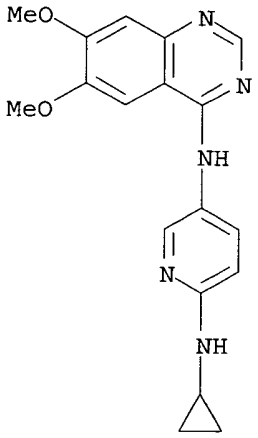
RN 331803-69-1 HCAPLUS
CN Benzonitrile, 4-[4-[5-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-pyridinyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



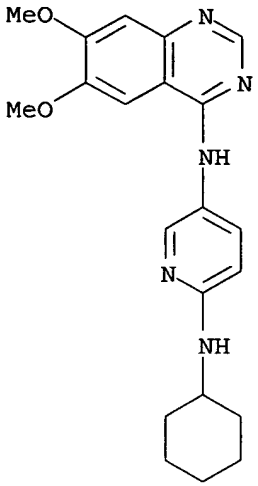
RN 331803-74-8 HCAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-[6-(1-piperidinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



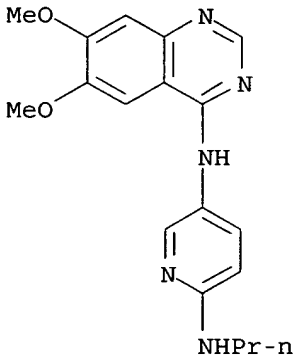
RN 331803-79-3 HCAPLUS
CN 2,5-Pyridinediamine, N2-cyclopropyl-N5-(6,7-dimethoxy-4-quinazolinyl)-(9CI) (CA INDEX NAME)



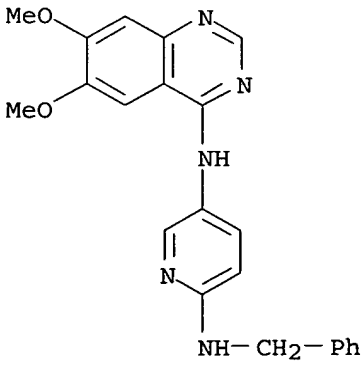
RN 331803-84-0 HCAPLUS
CN 2,5-Pyridinediamine, N2-cyclohexyl-N5-(6,7-dimethoxy-4-quinazolinyl)-(9CI) (CA INDEX NAME)



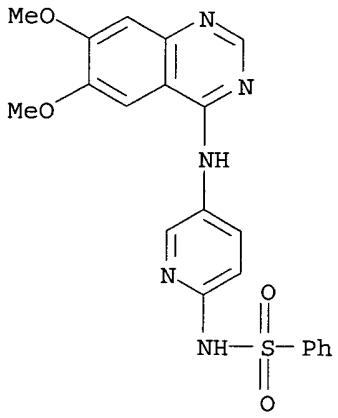
RN 331803-89-5 HCAPLUS
CN 2,5-Pyridinediamine, N5-(6,7-dimethoxy-4-quinazolinyl)-N2-propyl- (9CI) (CA INDEX NAME)



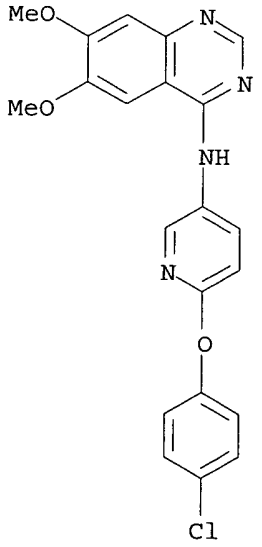
RN 331803-97-5 HCAPLUS
CN 2,5-Pyridinediamine, N5-(6,7-dimethoxy-4-quinazolinyl)-N2-(phenylmethyl)- (9CI) (CA INDEX NAME)



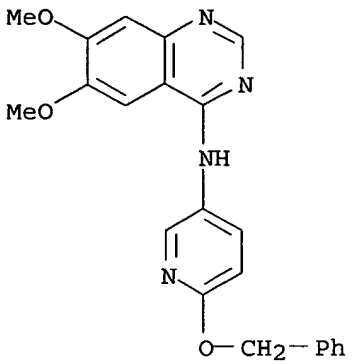
RN 331804-02-5 HCAPLUS
CN Benzenesulfonamide, N-[5-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-pyridinyl]- (9CI) (CA INDEX NAME)



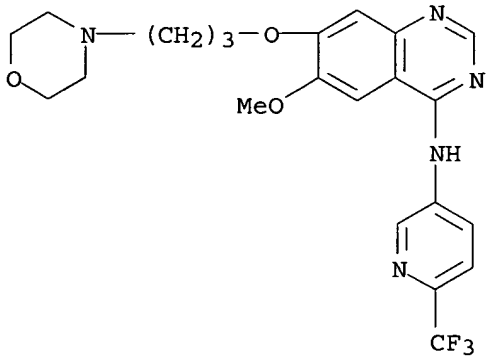
RN 331804-07-0 HCAPLUS
CN 4-Quinazolinamine, N-[6-(4-chlorophenoxy)-3-pyridinyl]-6,7-dimethoxy- (9CI) (CA INDEX NAME)



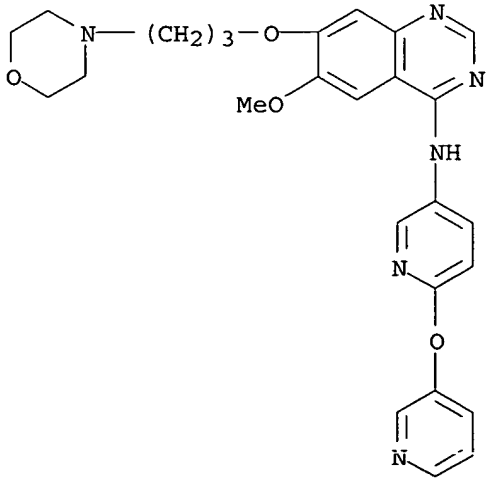
RN 331804-12-7 HCAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-[6-(phenylmethoxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



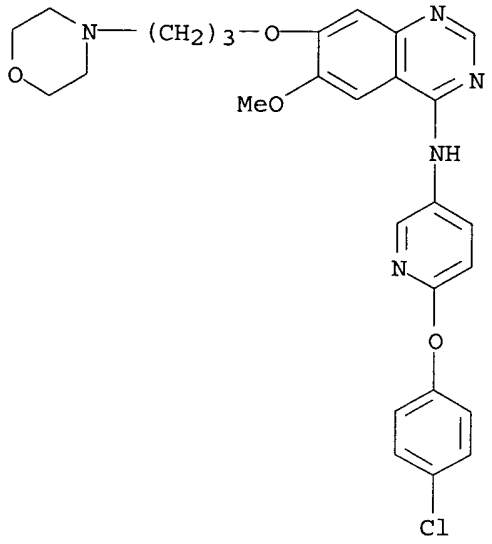
RN 331804-17-2 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-[6-(trifluoromethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



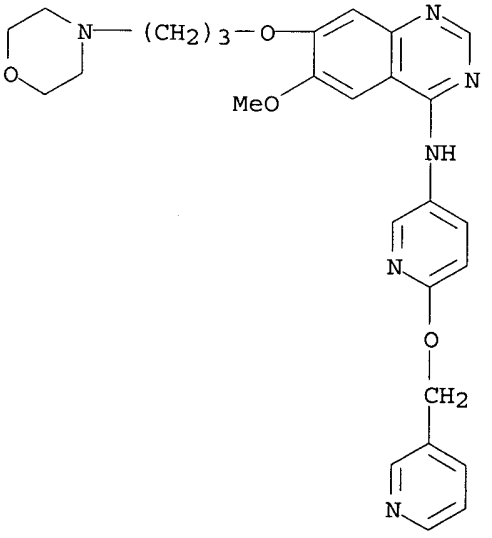
RN 331804-22-9 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-[6-(3-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



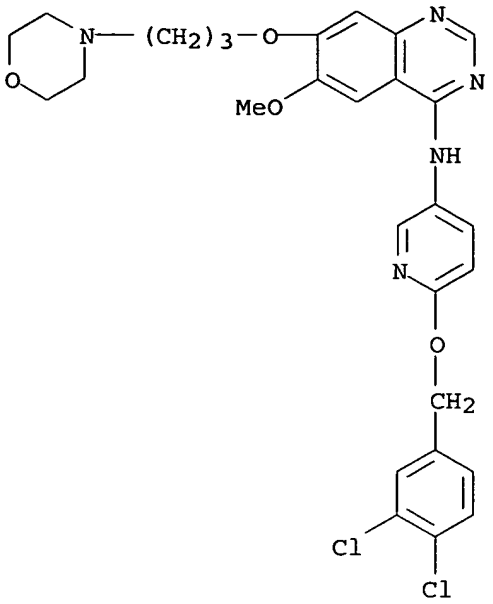
RN 331804-26-3 HCAPLUS
CN 4-Quinazolinamine, N-[6-(4-chlorophenoxy)-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



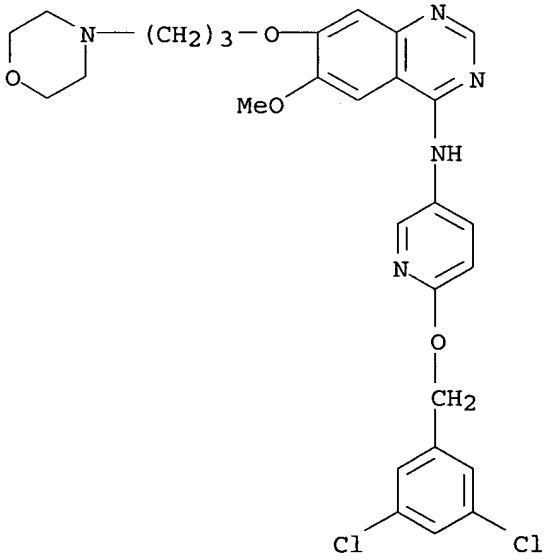
RN 331804-31-0 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-[6-(3-pyridinylmethoxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



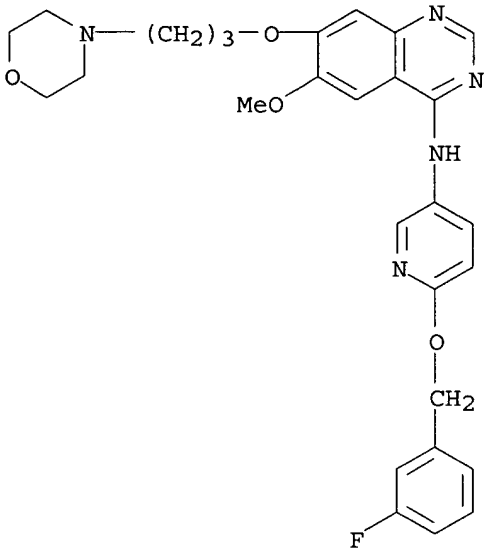
RN 331804-36-5 HCAPLUS
CN 4-Quinazolinamine, N-[6-[(3,4-dichlorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



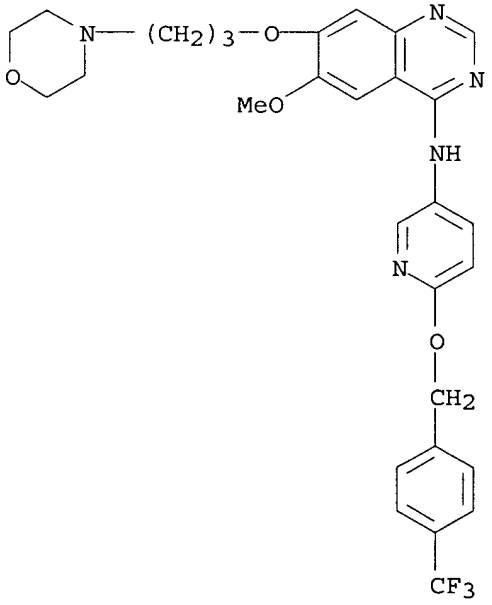
RN 331804-41-2 HCAPLUS
CN 4-Quinazolinamine, N-[6-[(3,5-dichlorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



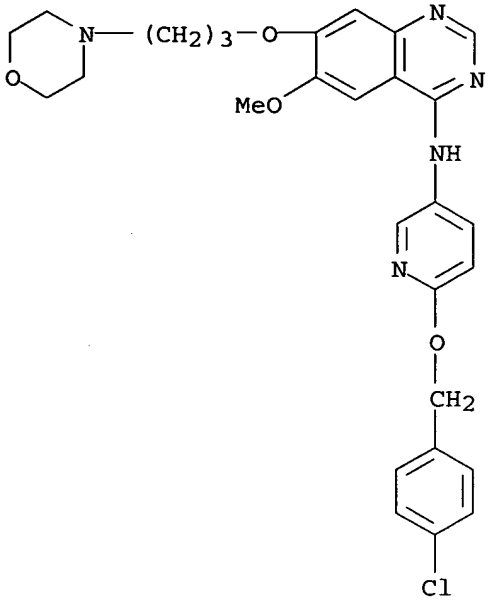
RN 331804-46-7 HCAPLUS
CN 4-Quinazolinamine, N-[6-[(3-fluorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



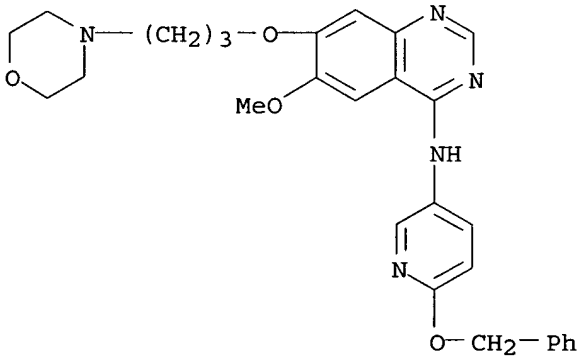
RN 331804-51-4 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-[6-[[4-(trifluoromethyl)phenyl]methoxy]-3-pyridinyl]- (9CI) (CA INDEX NAME)



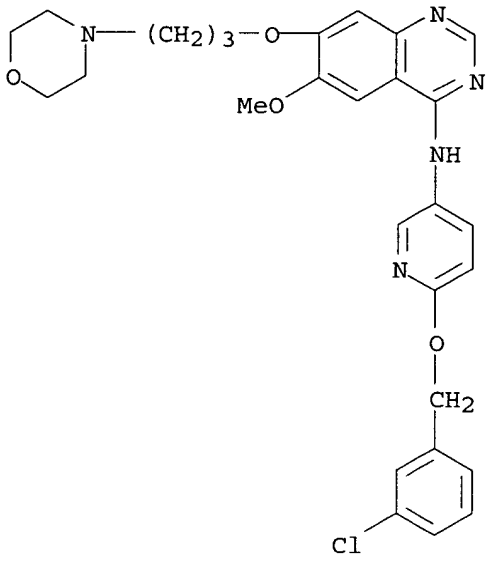
RN 331804-56-9 HCAPLUS
CN 4-Quinazolinamine, N-[6-[(4-chlorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



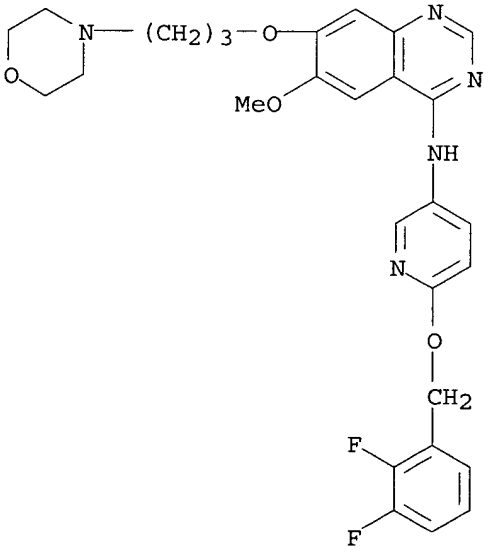
RN 331804-61-6 HCAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-[6-(phenylmethoxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



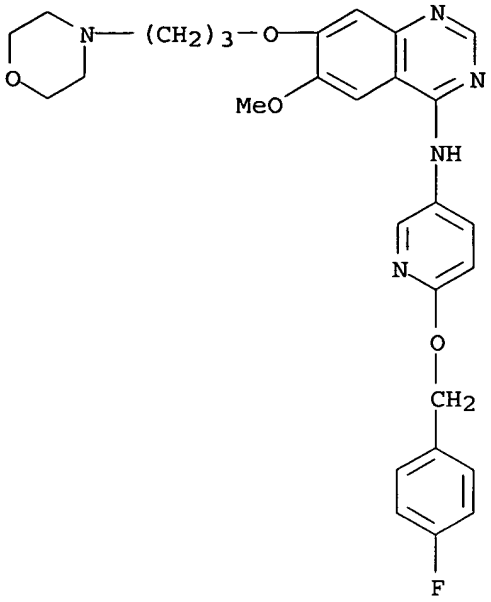
RN 331804-67-2 HCAPLUS
CN 4-Quinazolinamine, N-[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



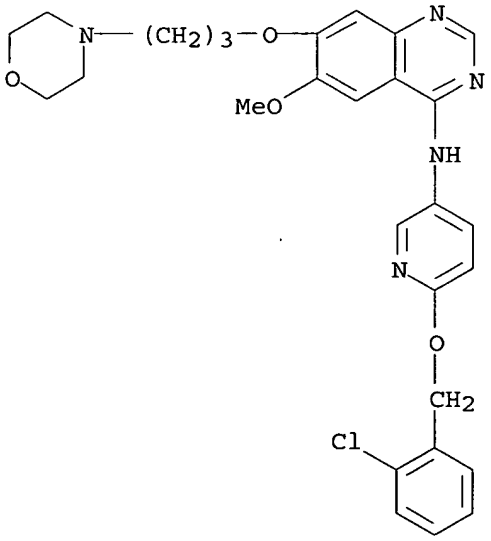
RN 331804-72-9 HCAPLUS
CN 4-Quinazolinamine, N-[6-[(2,3-difluorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 331804-78-5 HCAPLUS
CN 4-Quinazolinamine, N-[6-[(4-fluorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 331804-84-3 HCAPLUS
CN 4-Quinazolinamine, N-[6-[(2-chlorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 331804-89-8 HCAPLUS
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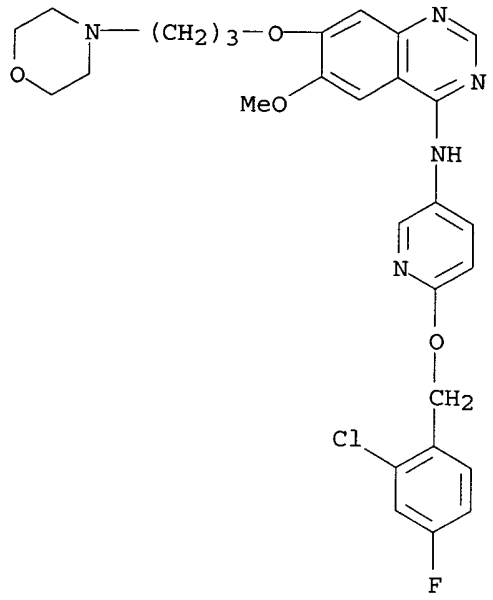

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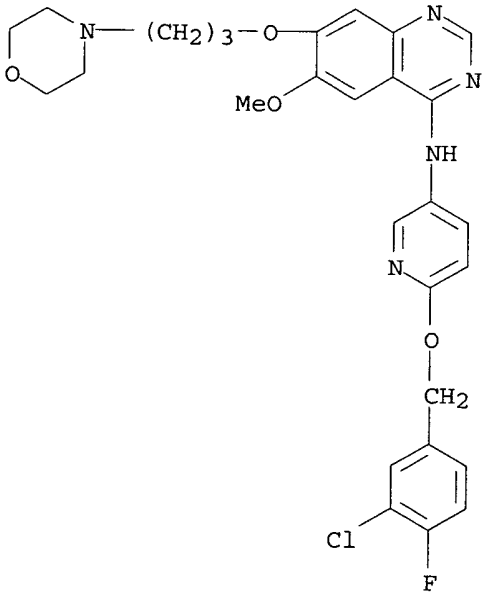

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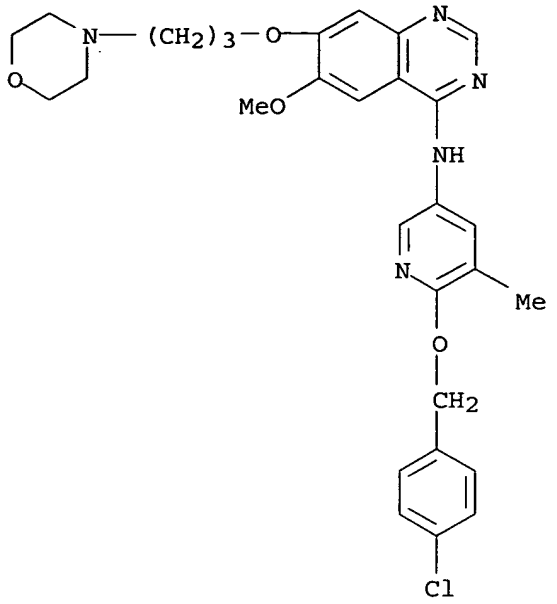

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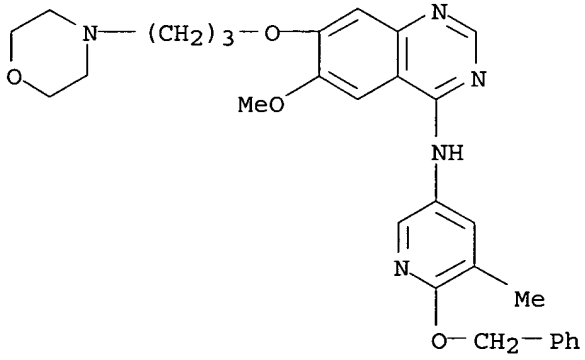

RN 331805-09-5 HCAPLUS
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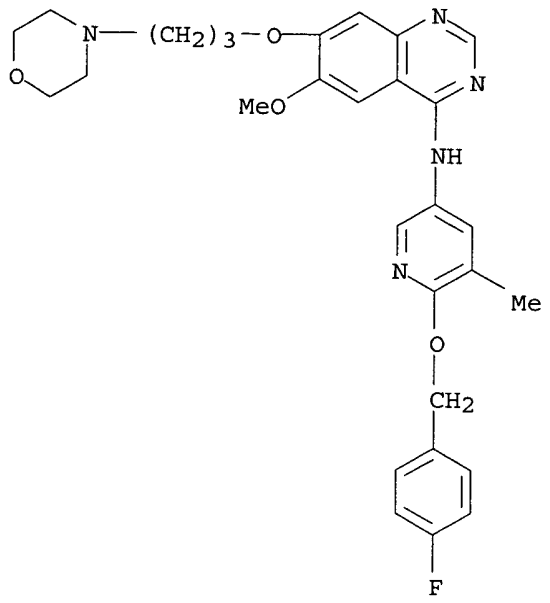

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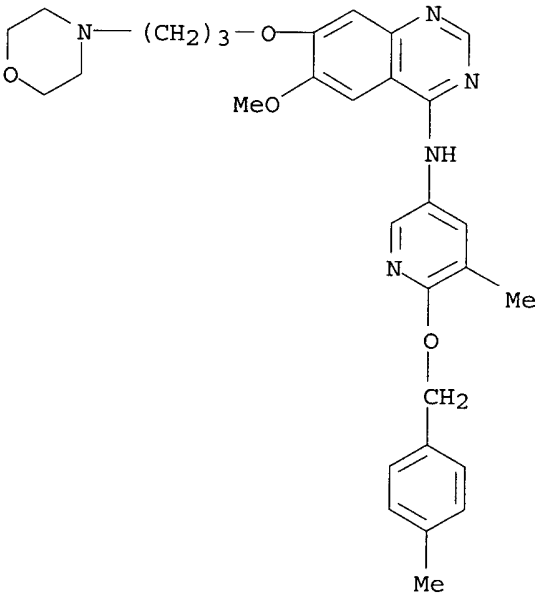

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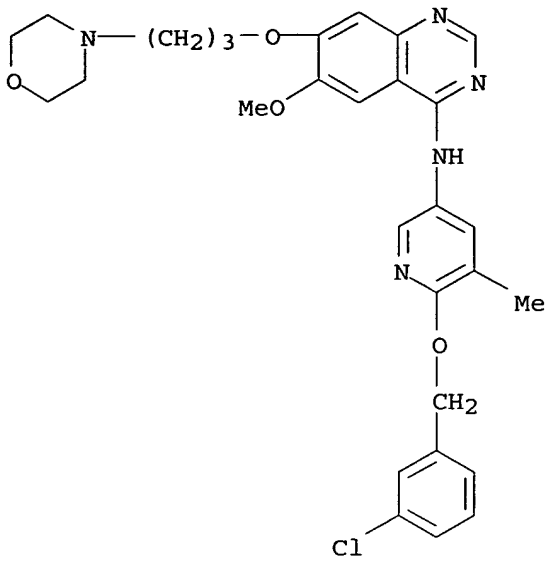

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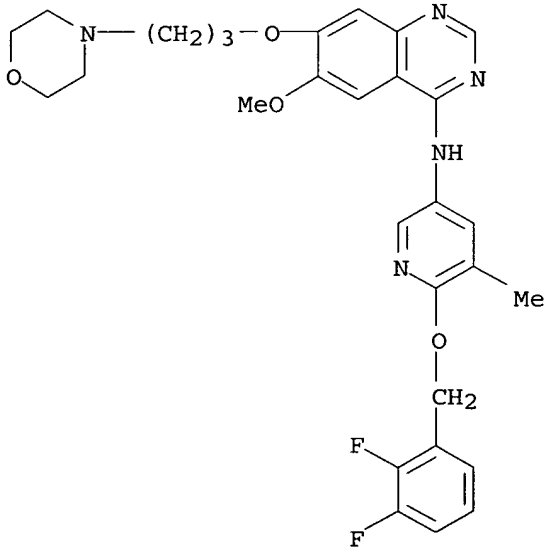

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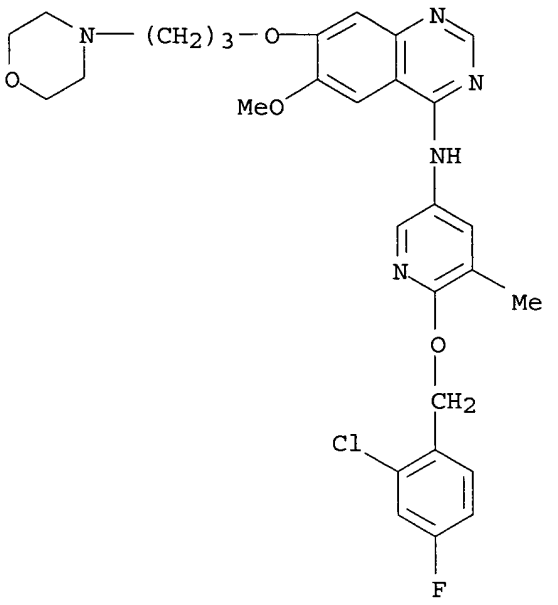
CN 4-Quinazolinamine, N-[6-[(2-chloro-4-fluorophenyl)methoxy]-5-methyl-3-pyridinyl]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



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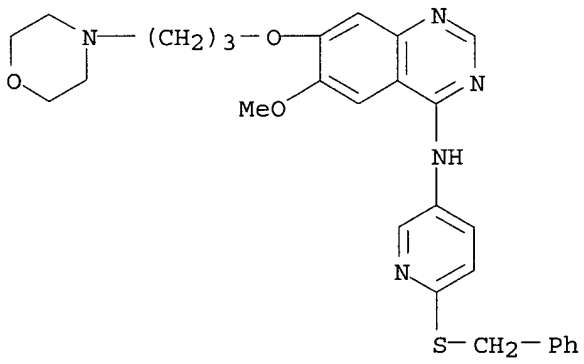

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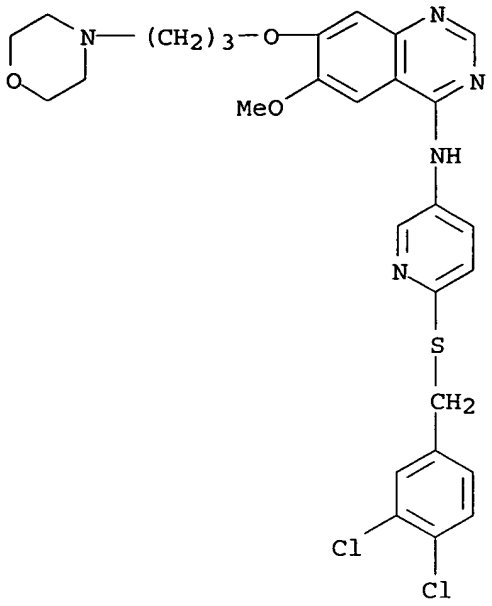

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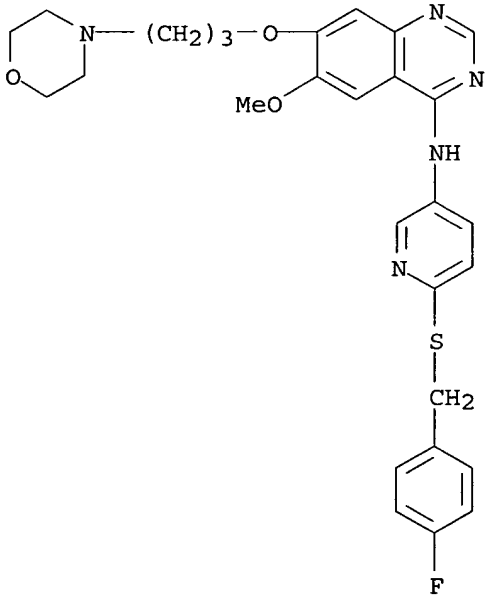

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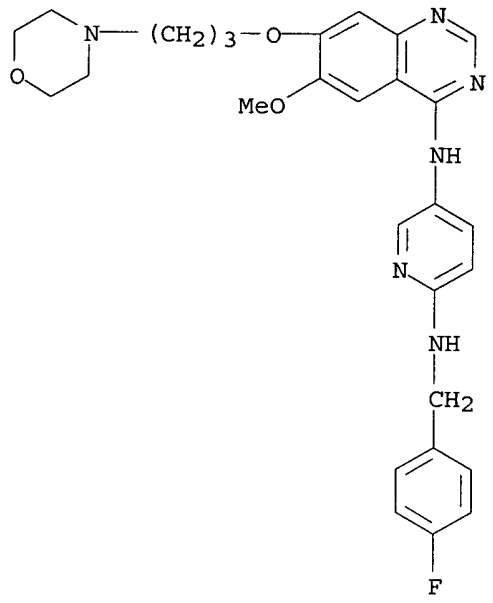
CN 2,5-Pyridinediamine, N2-[(4-fluorophenyl)methyl]-N5-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



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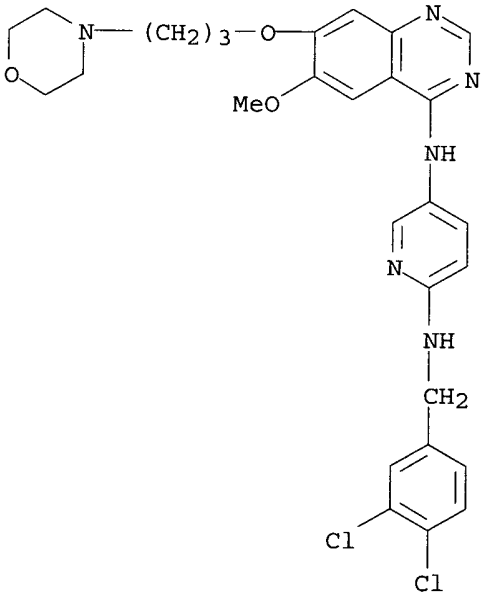
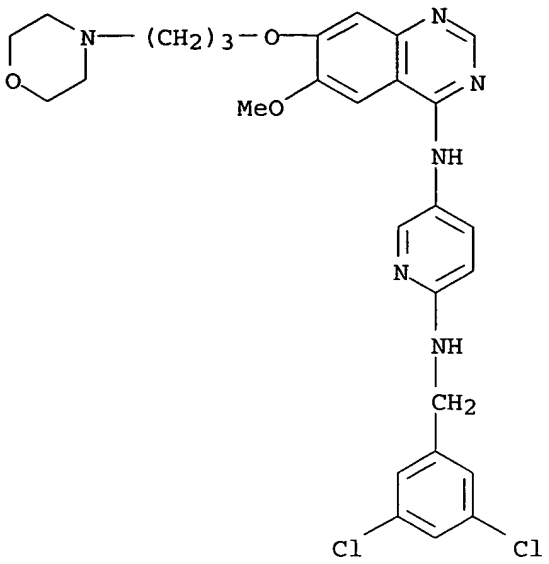
CN 2,5-Pyridinediamine, N2-[(3,4-dichlorophenyl)methyl]-N5-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 331805-60-8 HCAPLUS
CN 2,5-Pyridinediamine, N2-[(3,5-dichlorophenyl)methyl]-N5-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 331805-65-3 HCAPLUS
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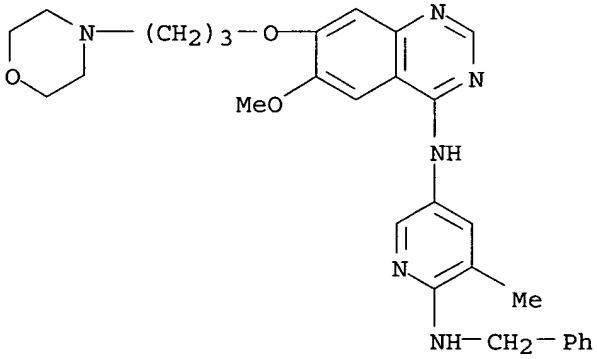

RN 331805-71-1 HCAPLUS
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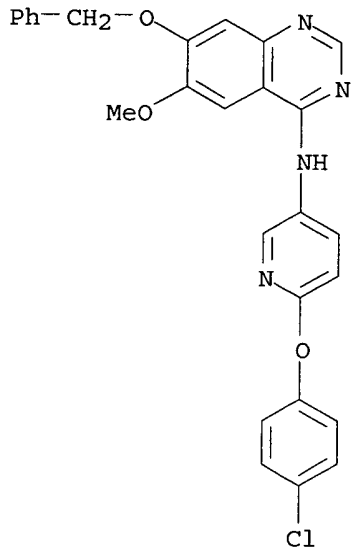

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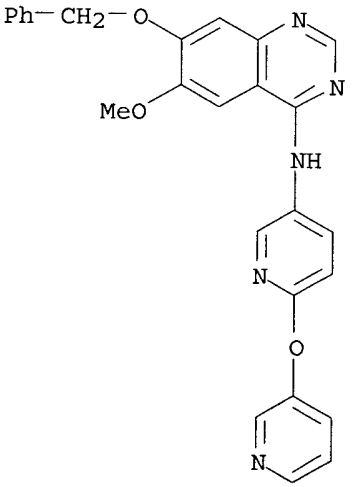

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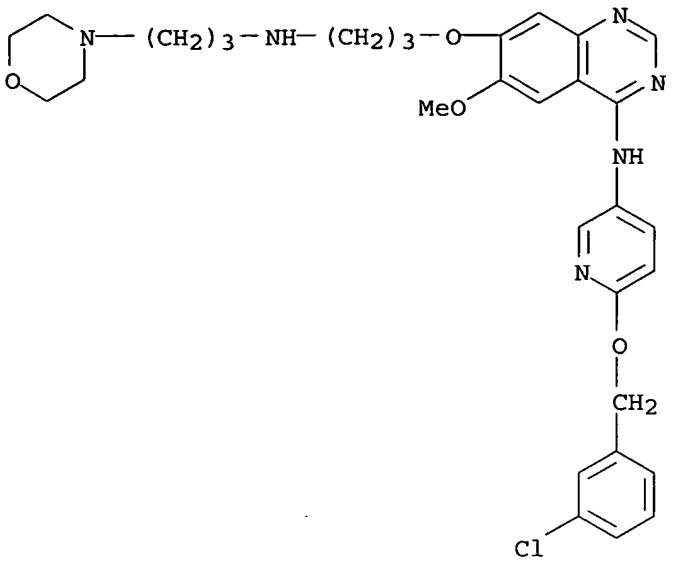
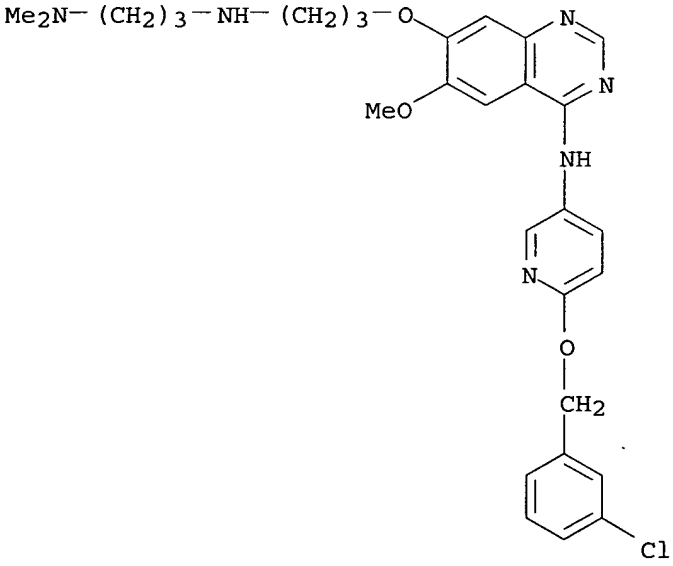
CN 4-Quinazolinamine, N-[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-[[3-(4-morpholinyl)propyl]amino]propoxy]- (9CI) (CA INDEX NAME)



RN 331805-87-9 HCAPLUS
CN 1,3-Propanediamine, N'-[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



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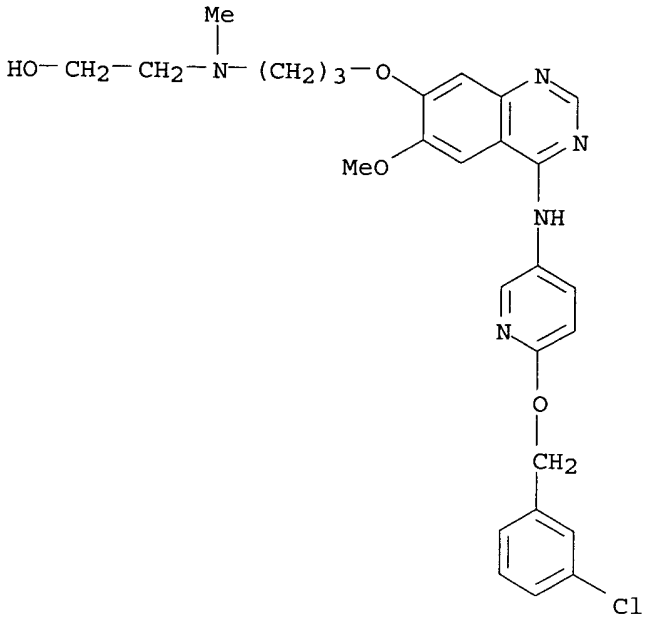
CN Ethanol, 2-[[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]methylamino]- (9CI) (CA INDEX NAME)



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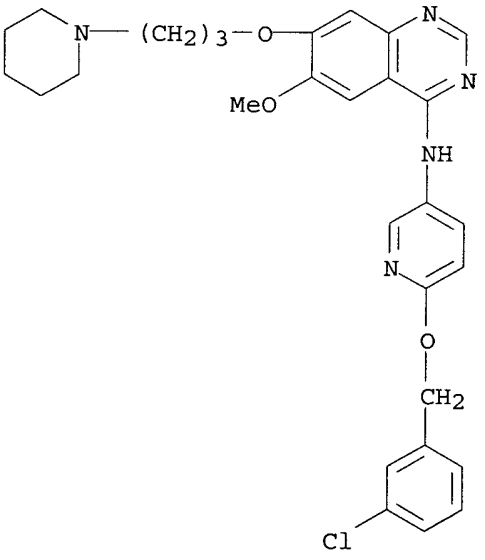

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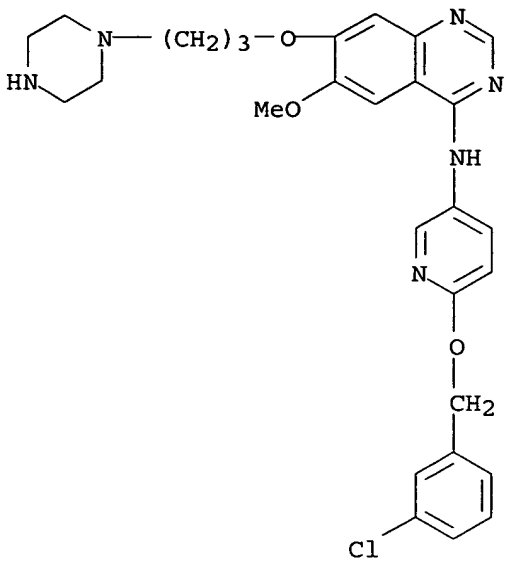

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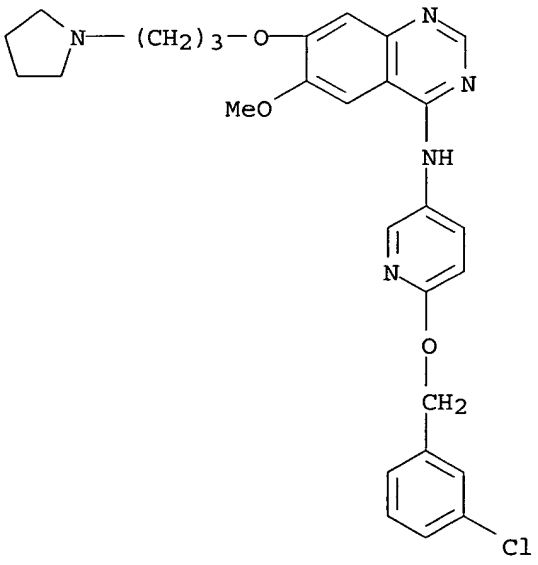

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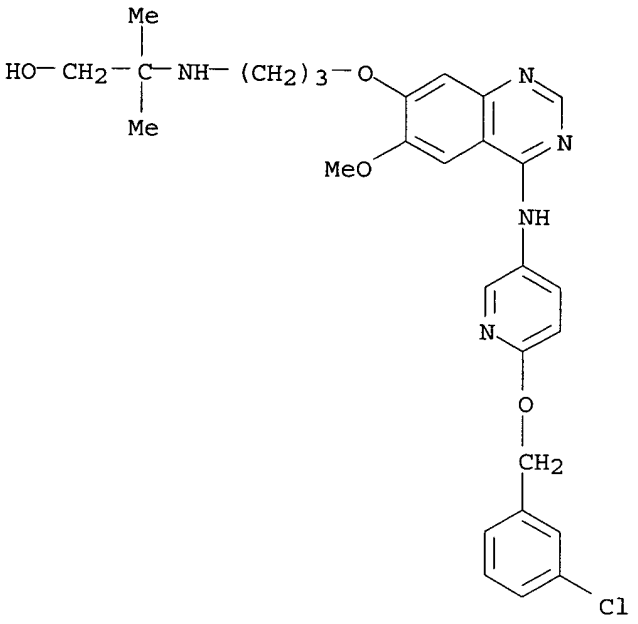

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 3 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 7
ACCESSION NUMBER: 2000:161275 HCAPLUS
DOCUMENT NUMBER: 132:194387
TITLE: Preparation of quinazolines as p38-α kinase and TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012497	A2	20000309	WO 1999-US19846	19990827 <--
WO 2000012497	A3	20000629		
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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AU 9962413	A1	20000321	AU 1999-62413	19990827 <--
AU 771947	B2	20040408		
EP 1107959	A2	20010620	EP 1999-949568	19990827 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9913648	A	20020102	BR 1999-13648			19990827 <--
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PRIORITY APPLN. INFO.:			US 1998-141916	A		19980828 <--
			WO 1999-US19846	W		19990827 <--

OTHER SOURCE(S): MARPAT 132:194387
ED Entered STN: 10 Mar 2000
AB Title compds. [I; R = ZR1; R1 = (un)substituted cyclic (hetero)aliphatic group, -(hetero)aryl; R3 = noninterfering substituent (sic); R4R5 = atoms to complete a 6-membered aromatic ring containing 0, 1, or 2 nonadjacent N atoms and noninterfering substituent(s) (sic); z = bond or linker (sic); Z3 = CR2 or N; R2 = noninterfering substituent (sic)] were prepared Thus, prepn of, e.g., 4-(4-pyridinylamino)-2-phenylquinazoline was described. Data for biol. activity of I were given.
IC ICM C07D401-00
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 259870-32-1P **259870-33-2P 259870-34-3P**
259870-35-4P 259870-36-5P **259870-37-6P**
259870-38-7P 259870-39-8P 259870-40-1P
259870-41-2P 259870-42-3P 259870-43-4P
259870-44-5P 259870-45-6P 259870-46-7P
259870-47-8P 259870-48-9P 259870-49-0P
259870-50-3P 259870-51-4P 259870-52-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as p38-α kinase and TGF-β inhibitors)
IT **259870-33-2P 259870-34-3P 259870-35-4P**
259870-37-6P 259870-38-7P 259870-39-8P
259870-40-1P 259870-41-2P 259870-42-3P
259870-43-4P 259870-44-5P 259870-45-6P
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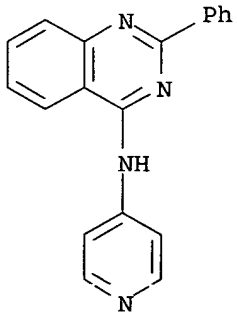
259870-49-0P 259870-50-3P 259870-51-4P
259870-52-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as p38-α kinase and TGF-β inhibitors)
RN 259870-33-2 HCAPLUS
CN 4-Quinazolinamine, 2-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



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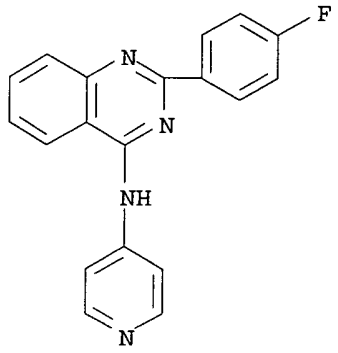

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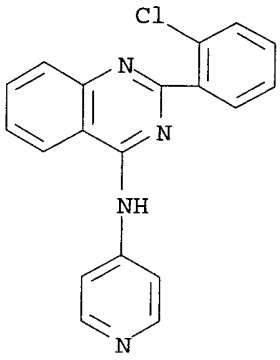
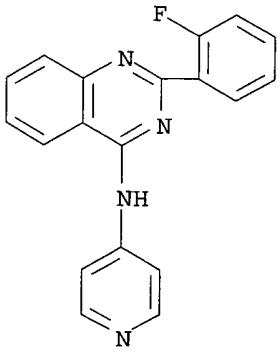
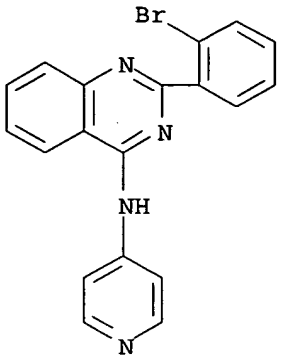


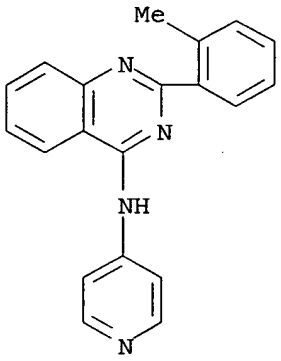
RN 259870-37-6 HCAPLUS
CN 4-Quinazolinamine, 2-(2-fluorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



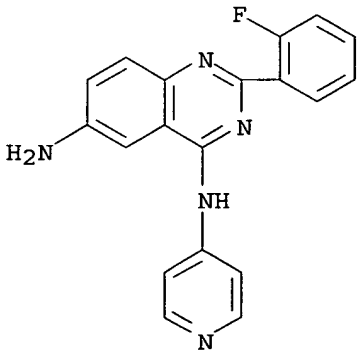
RN 259870-38-7 HCAPLUS
CN 4-Quinazolinamine, 2-(2-bromophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-39-8 HCAPLUS
CN 4-Quinazolinamine, 2-(2-methylphenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

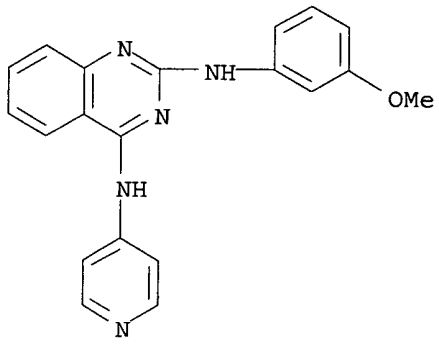


RN 259870-40-1 HCAPLUS
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

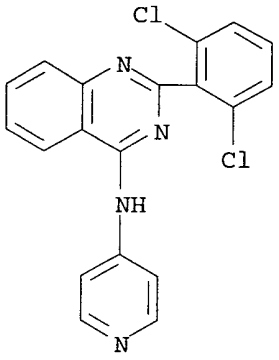


RN 259870-41-2 HCAPLUS

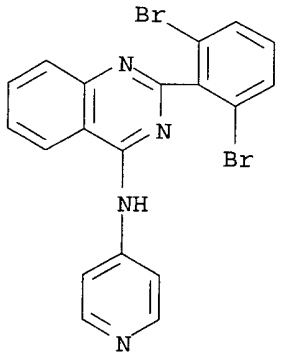
CN 2,4-Quinazolinediamine, N2-(3-methoxyphenyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-42-3 HCAPLUS
CN 4-Quinazolinamine, 2-(2,6-dichlorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

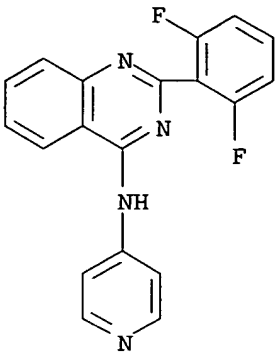


RN 259870-43-4 HCAPLUS
CN 4-Quinazolinamine, 2-(2,6-dibromophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

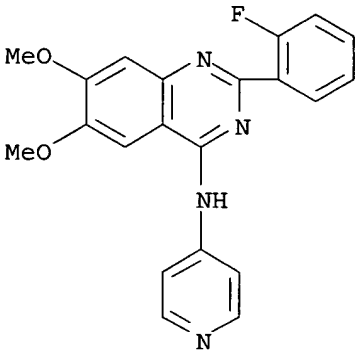


RN 259870-44-5 HCAPLUS
CN 4-Quinazolinamine, 2-(2,6-difluorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX

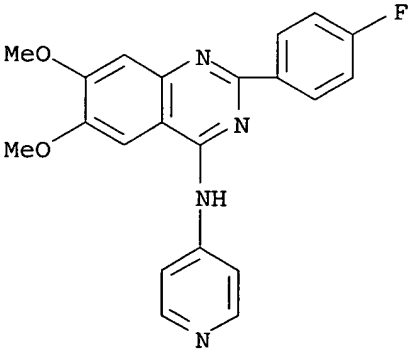
NAME)



RN 259870-45-6 HCAPLUS
CN 4-Quinazolinamine, 2-(2-fluorophenyl)-6,7-dimethoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

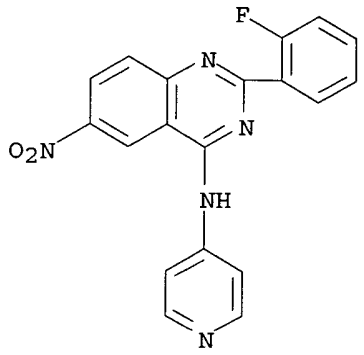


RN 259870-46-7 HCAPLUS
CN 4-Quinazolinamine, 2-(4-fluorophenyl)-6,7-dimethoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

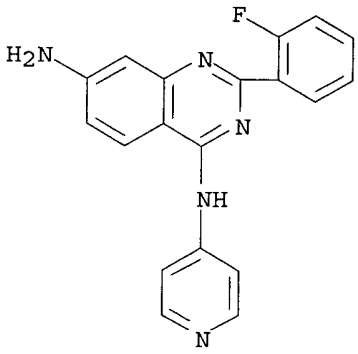


RN 259870-47-8 HCAPLUS
CN 4-Quinazolinamine, 2-(2-fluorophenyl)-6-nitro-N-4-pyridinyl- (9CI) (CA

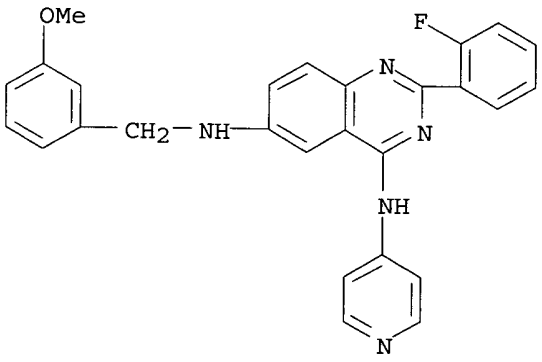
INDEX NAME)



RN 259870-48-9 HCAPLUS
CN 4,7-Quinazolinediamine, 2-(2-fluorophenyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

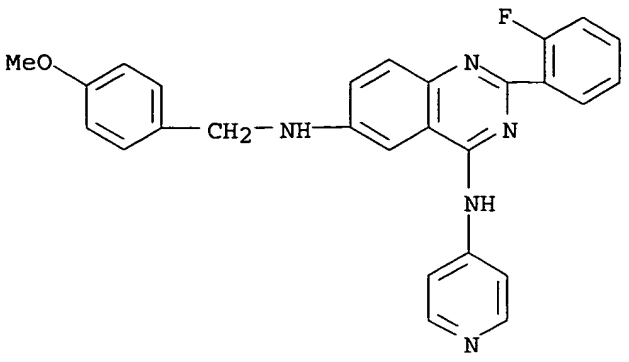


RN 259870-49-0 HCAPLUS
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-[(3-methoxyphenyl)methyl]-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

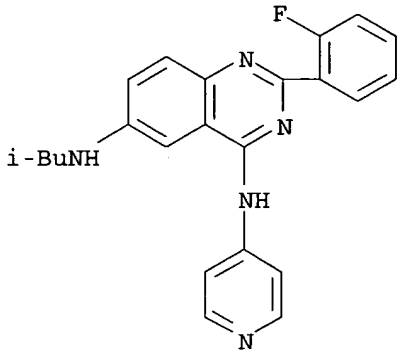


RN 259870-50-3 HCAPLUS
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-[(4-methoxyphenyl)methyl]-N4-

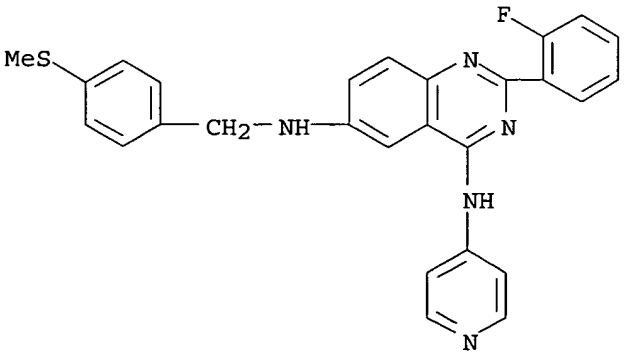
4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-51-4 HCAPLUS
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-(2-methylpropyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

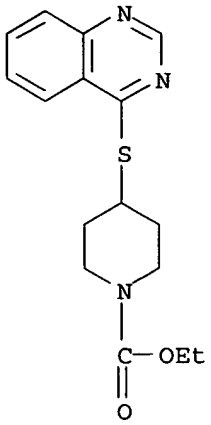


RN 259870-52-5 HCAPLUS
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-[[4-(methylthio)phenyl]methyl]-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

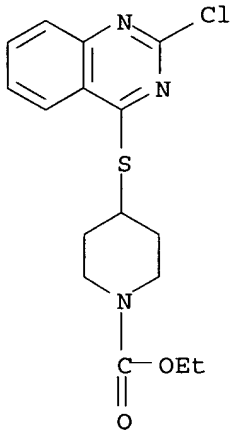


L103 ANSWER 4 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 10

ACCESSION NUMBER: 1999:410148 HCAPLUS
DOCUMENT NUMBER: 131:111116
TITLE: Synthesis and analgesic activity of some condensed analogs of anpirtoline
AUTHOR(S): Radl, Stanislav; Kovarova, Lenka; Hezky, Petr; Vosatka, Vaclav; Konigova, Otylie; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Institute Pharmacy Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (**1999**), 332(6), 208-212
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 02 Jul 1999
AB Condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, isoquinoline, quinazoline, and phthalazine nuclei, were synthesized. Their receptor binding profiles (5HT1A, 5-HT1B) and analgesic activity (hot plate, AcOH-induced writhing) were studied. The analgesic activity of 4 of the compds. are at least comparable to that of the clin. used drugs flupirtine and tramadol under the same conditions.
CC 1-7 (Pharmacology)
Section cross-reference(s): 27
IT 232618-13-2P 232618-15-4P 232618-16-5P 232618-17-6P 232618-18-7P
232618-19-8P 232618-20-1P 232618-21-2P 232618-22-3P 232618-24-5P
232618-25-6P 232618-26-7P **232618-27-8P 232618-28-9P**
232618-29-0P 232618-30-3P **232618-32-5P** 232618-33-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)
IT 232618-34-7P 232618-35-8P **232618-36-9P 232618-37-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)
IT **232618-27-8P 232618-28-9P 232618-32-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)
RN 232618-27-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI) (CA INDEX NAME)



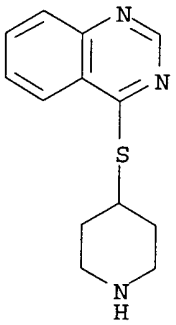
RN 232618-28-9 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-chloro-4-quinazolinyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 232618-32-5 HCAPLUS
CN Quinazoline, 4-(4-piperidinylthio)-, monoacetate (9CI) (CA INDEX NAME)

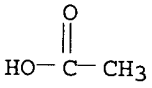
CM 1

CRN 232618-31-4
CMF C13 H15 N3 S

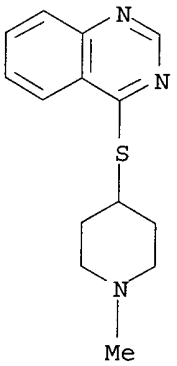


CM 2

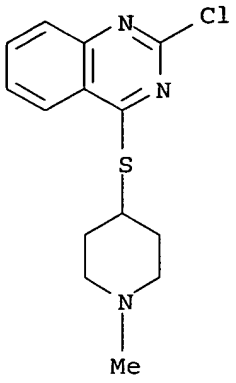
CRN 64-19-7
CMF C2 H4 O2



IT **232618-36-9P 232618-37-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)
RN 232618-36-9 HCAPLUS
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



RN 232618-37-0 HCAPLUS
CN Quinazoline, 2-chloro-4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



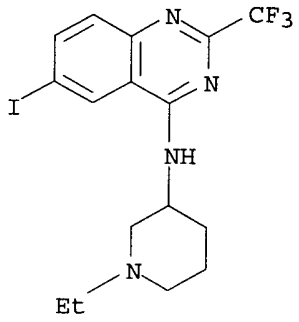
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 5 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 12
ACCESSION NUMBER: 1998:94200 HCAPLUS
DOCUMENT NUMBER: 128:229133
TITLE: Novel selective quinazoline inhibitors of endothelin converting enzyme-1
AUTHOR(S): Ahn, Kyunghye; Sisneros, Andre M.; Herman, Sarah B.; Pan, Sharon M.; Hupe, Donald; Lee, Chitase; Nikam, Sham; Cheng, Xue-Min; Doherty, Annette M.; Schroeder, Richard L.; Haleen, Stephen J.; Kaw, Semiko; Emoto, Noriaki; Yanagisawa, Masashi
CORPORATE SOURCE: Division of Warner-Lambert Company, Department of Biochemistry, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SOURCE: Biochemical and Biophysical Research Communications (**1998**), 243(1), 184-190
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 18 Feb 1998
AB PD 069185 is a highly selective and structurally novel inhibitor of endothelin converting enzyme-1 (ECE-1). PD 069185 is a trisubstituted quinazoline with an IC50 value of 0.9 μM for inhibition of human ECE-1 from the solubilized membrane fraction of CHO cells stably transfected with human ECE-1 cDNA. Kinetic anal. revealed that PD 0691 85 is best fit with a competitive inhibition model with a Ki value of 1.1 μM and binds in a reversible manner. The closely related enzyme, ECE-2, is not inhibited at up to 100 μM PD 069185. In addition, PD 069185 at 200-300 μM has little effect on other metalloproteases, such as neutral endopeptidase 24.11, stromelysin, gelatinase A, and collagenase, showing a high ECE-1 specificity. Data are also presented to show that this series of inhibitors are effective in inhibiting ECE-1 in intact cells and in attenuating the increase in perfusion pressure induced by big ET-1 in isolated rat mesentery. These non-peptidic ECE-1 inhibitors should serve as a valuable tool to study the pathophysiol. role of endothelin and the therapeutic potential of ECE-1 inhibitors.
CC 14-5 (Mammalian Pathological Biochemistry)
Section cross-reference(s): 1
IT **179598-53-9**, PD 159790 **179598-61-9**, PD 069185
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

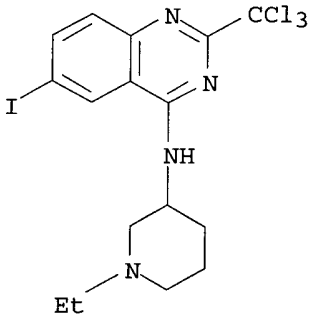
(characterization of novel selective quinazoline inhibitors of endothelin converting enzyme-1)

IT **179598-53-9**, PD 159790 **179598-61-9**, PD 069185
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of novel selective quinazoline inhibitors of endothelin converting enzyme-1)

RN 179598-53-9 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)



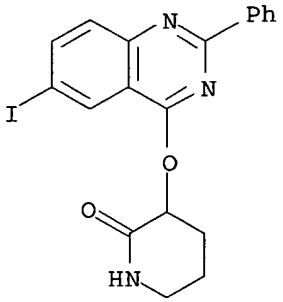
RN 179598-61-9 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

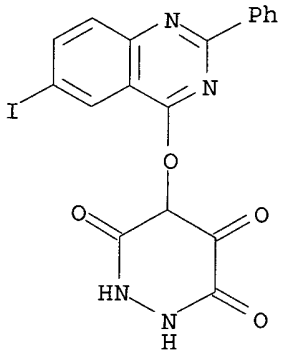
L103 ANSWER 6 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 16
ACCESSION NUMBER: 1996:304564 HCAPLUS
DOCUMENT NUMBER: 125:58435
TITLE: Synthesis and biological activities of some new heterocyclic compounds bearing 2-phenyl-6-iodoquinazolinyl-4-oxy moiety. Part I
AUTHOR(S): Abdel-Hamide, S. G.; El-Hakim, A.E.; Abdel-Rahman, R.M.
CORPORATE SOURCE: Faculty of Pharmacy, Al-Azhar University, Nasr, Egypt
SOURCE: Indian Journal of Heterocyclic Chemistry (**1996**), 5(3), 219-222
CODEN: IJCHEI; ISSN: 0971-1627

PUBLISHER: Lucknow University, Dep. of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 24 May 1996
AB New heterocyclics with a 2-phenyl-6-iodoquinazolinyl-4-oxy moiety e.g. I (R = CH2CONHNH2, 2-amino-1,3,4-thiadiazol-5-ylmethyl, 2,4-dihydroxy-3-quinolinyl, 3-mercapto-1H-1,2,4-triazol-5-ylmethyl) have been prepared from the reactions of 4-carboethoxymethyloxy-2-phenyl-6-iodoquinazoline with various nitrogen compds. followed by cyclization reactions. Some of these new heterocyclics have been tested for their bactericidal activities.
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10
IT 178206-31-0P **178206-32-1P** 178206-33-2P 178206-35-4P
178206-36-5P 178206-38-7P 178206-39-8P 178206-41-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of new 2-phenyl-6-iodoquinazolinyl-4-oxy heterocyclics)
IT **178206-32-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of new 2-phenyl-6-iodoquinazolinyl-4-oxy heterocyclics)
RN 178206-32-1 HCAPLUS
CN 2-Piperidinone, 3-[(6-iodo-2-phenyl-4-quinazolinyl)oxy]- (9CI) (CA INDEX NAME)

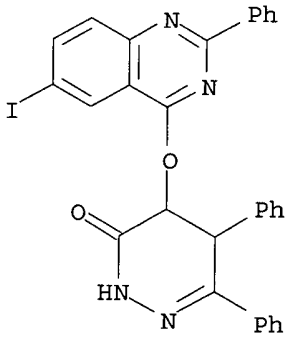


L103 ANSWER 7 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 17
ACCESSION NUMBER: 1996:304557 HCAPLUS
DOCUMENT NUMBER: 125:58433
TITLE: Synthesis and biological activities of some new heterocyclic compounds bearing 2-phenyl-6-iodo-quinazolinyl-4-oxy moiety. Part-II
AUTHOR(S): Abdel-Hamide, S.G.; El-Hakim, A.E.; Abdel-Rahman, R. M.
CORPORATE SOURCE: Faculty of Pharmacy, Al-Azhar University, Nasr, Egypt
SOURCE: Indian Journal of Heterocyclic Chemistry (1996), 5(3), 189-192
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Lucknow University, Dep. of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 24 May 1996
AB Substituted pyridazinonyl, pyrazolonyl, phthalazinyl, pyrazolinyl and triazinonyl systems bearing 2-phenyl-6-iodoquinazolinyl-4-oxy moiety have been prepared from reactions of (2-phenyl-6-iodoquinazolinyl-4-oxy)-acetic acid hydrazide with some carbonyl compds. followed by cyclization reactions. All these compds. have been characterized on the basis of spectral studies and anal. data. Some of the new heterocyclic systems

have been tested for their biol. activities.
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT **178060-00-9P** 178060-02-1P **178060-10-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activities of some new heterocyclic compds. bearing phenyliodoquinazolinyloxy moiety)
IT 178060-01-0P 178060-03-2P 178060-04-3P **178060-05-4P**
178060-06-5P 178060-07-6P 178060-08-7P 178060-09-8P
178060-12-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and biol. activities of some new heterocyclic compds. bearing phenyliodoquinazolinyloxy moiety)
IT **178060-00-9P 178060-10-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activities of some new heterocyclic compds. bearing phenyliodoquinazolinyloxy moiety)
RN 178060-00-9 HCAPLUS
CN 3,4,6(5H)-Pyridazinetrione, dihydro-5-[(6-iodo-2-phenyl-4-quinazolinyl)oxy]- (9CI) (CA INDEX NAME)



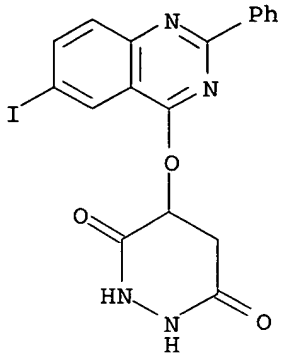
RN 178060-10-1 HCAPLUS
CN 3(2H)-Pyridazinone, 4,5-dihydro-4-[(6-iodo-2-phenyl-4-quinazolinyl)oxy]-5,6-diphenyl- (9CI) (CA INDEX NAME)



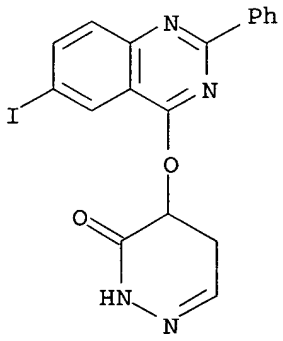
IT **178060-05-4P 178060-06-5P 178060-12-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and biol. activities of some new heterocyclic compds. bearing phenyliodoquinazolinyloxy moiety)

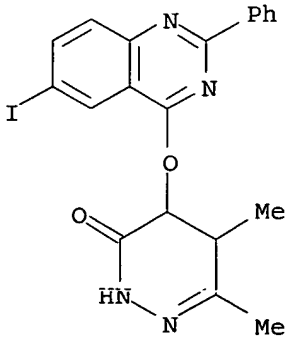
RN 178060-05-4 HCAPLUS
CN 3,6-Pyridazinedione, tetrahydro-4-[(6-iodo-2-phenyl-4-quinazolinyl)oxy]- (9CI) (CA INDEX NAME)



RN 178060-06-5 HCAPLUS
CN 3(2H)-Pyridazinone, 4,5-dihydro-4-[(6-iodo-2-phenyl-4-quinazolinyl)oxy]- (9CI) (CA INDEX NAME)

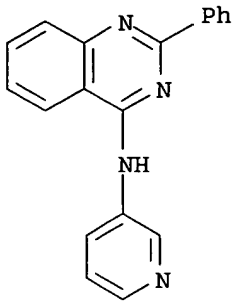


RN 178060-12-3 HCAPLUS
CN 3(2H)-Pyridazinone, 4,5-dihydro-4-[(6-iodo-2-phenyl-4-quinazolinyl)oxy]- 5,6-dimethyl- (9CI) (CA INDEX NAME)



L103 ANSWER 8 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 18
ACCESSION NUMBER: 1995:746792 HCAPLUS
DOCUMENT NUMBER: 123:132021
TITLE: Discovery of Potent Cyclic GMP Phosphodiesterase Inhibitors. 2-Pyridyl- and 2-Imidazolylquinazolines Possessing Cyclic GMP Phosphodiesterase and Thromboxane Synthesis Inhibitory Activities
AUTHOR(S): Lee, Sung J.; Konishi, Yoshitaka; Yu, Dingwei T.; Miskowski, Tamara A.; Riviello, Christopher M.; Macina, Orest T.; Frierson, Manton R.; Kondo, Kigen; Sugitani, Masafumi; et al.
CORPORATE SOURCE: Biofor Inc., Waverly, PA, 18471, USA
SOURCE: Journal of Medicinal Chemistry (**1995**), 38(18), 3547-57
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 19 Aug 1995
AB Moderate cyclic GMP phosphodiesterase (cGMP-PDE, PDE V) inhibitor 2-phenyl-4-anilinoquinazoline (I) was identified utilizing MultiCASE assisted drug design (MCADD) technol. Modification of I was conducted at the 2-, 4-, and 6-positions of the quinazoline ring for enhancement of cGMP-PDE inhibitory activity. The 6-substituted 2-(imidazol-1-yl)quinazolines are 1000 times more potent in in vitro PDE V enzyme assay than the well-known inhibitor zaprinast. The 6-substituted derivs. of 2-(3-pyridyl)quinazoline and 2-(imidazol-1-yl)quinazoline exhibited more than 1000-fold selectivity for PDE V over the other four PDE isoenzymes. In addition, 3 cGMP-PDE inhibitors were found to have an addnl. property of thromboxane synthesis inhibitory activity.
CC 1-3 (Pharmacology)
Section cross-reference(s): 28
IT 40288-71-9P 77651-73-1P 94078-51-0P 157862-70-9P 157862-72-1P
157862-74-3P 157862-78-7P 157862-79-8P 157862-85-6P 157862-89-0P
157862-93-6P 157862-97-0P 157862-99-2P 157863-01-9P 157863-02-0P
157863-03-1P 157863-04-2P 157863-10-0P 157863-12-2P 157863-24-6P
157863-31-5P 157863-33-7P 157863-35-9P 157863-36-0P 157863-40-6P
157863-41-7P 157863-42-8P 157863-46-2P 157863-47-3P 157863-70-2P
166039-18-5P 166039-19-6P 166039-20-9P 166039-21-0P 166039-22-1P
166039-23-2P 166039-24-3P 166039-25-4P 166039-26-5P
166039-27-6P 166039-28-7P 166039-29-8P 166039-30-1P
166039-31-2P 166039-32-3P 166039-33-4P 166039-34-5P 166039-35-6P
166039-36-7P 166039-37-8P 166039-38-9P 166039-39-0P 166039-40-3P
166039-41-4P 166039-42-5P 166039-43-6P 166039-44-7P 166039-45-8P
166039-46-9P 166039-47-0P 166039-48-1P 166039-49-2P 166039-50-5P
166039-51-6P 166039-52-7P 166039-53-8P 166039-54-9P 166039-55-0P
166039-56-1P 166039-57-2P 166039-58-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(pyridyl- and imidazolylquinazolines as cyclic GMP phosphodiesterase and thromboxane synthesis inhibitors)
IT **166039-27-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(pyridyl- and imidazolylquinazolines as cyclic GMP phosphodiesterase and thromboxane synthesis inhibitors)

RN 166039-27-6 HCAPLUS
CN 4-Quinazolinamine, 2-phenyl-N-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



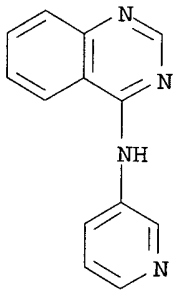
● HCl

L103 ANSWER 9 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 19
ACCESSION NUMBER: 1995:746894 HCAPLUS
DOCUMENT NUMBER: 123:256632
TITLE: Tyrosine kinase inhibitors. 5. Synthesis and structure-activity relationships for 4-[(phenylmethyl)amino]- and 4-(phenylamino)quinazolines as potent adenosine 5'-triphosphate binding site inhibitors of the tyrosine kinase domain of the epidermal growth factor receptor.
AUTHOR(S): Rewcastle, Gordon W.; Denny, William A.; Bridges, Alexander J.; Zhou, Hairong; Cody, Donna R.; McMichael, Amy; Fry, David W.
CORPORATE SOURCE: School of Medicine, University of Auckland, Auckland, N. Z.
SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3482-7
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:256632
ED Entered STN: 19 Aug 1995
AB A series of 4-substituted quinazolines and related compds. have been prepared and evaluated for their ability to inhibit the tyrosine kinase activity of the epidermal growth factor receptor on a phospholipase C-γ1-derived substrate. The results show a narrow structure-activity relationship (SAR) for the basic ring system, with quinazoline being the preferred chromophore and benzylamino and anilino the preferred side chains. 4-Chloro-7-nitroquinazoline was heated with 3-bromoaniline and 3-bromoaniline hydrochloride in Me2CHOH to give 94% 4-[(3-bromophenyl)amino]-7-nitroquinazoline. Reflux of the latter with Fe in EtOH/AcOH gave 90% 7-amino-4-[(3-bromophenyl)amino]quinazoline(I). I inhibited phosphorylation of a 14 residue fragment of phospholipase C-γ1 by epidermal growth factor receptor with IC50 = 0.1 nM.
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 21561-11-5P 22754-10-5P 34923-95-0P 47155-57-7P **70128-59-5P**
70137-93-8P 70137-95-0P 74303-57-4P 100818-54-0P 101284-88-2P
111157-71-2P 146871-70-7P 146885-14-5P 153436-54-5P 169205-52-1P
169205-53-2P 169205-54-3P 169205-55-4P 169205-56-5P 169205-57-6P
169205-58-7P 169205-59-8P 169205-60-1P 169205-61-2P 169205-62-3P
169205-63-4P 169205-64-5P 169205-65-6P 169205-66-7P 169205-67-8P
169205-68-9P 169205-69-0P 169205-70-3P 169205-71-4P 169205-72-5P
169205-73-6P 169205-74-7P 169205-75-8P 169205-76-9P 169205-77-0P
169205-78-1P 169205-79-2P 169205-80-5P 169205-81-6P 169205-82-7P
169205-83-8P 169205-84-9P 169205-85-0P 169205-86-1P 169205-87-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 4-[(phenylmethyl)amino]- and 4-(phenylamino)quinazolines and related compds. as potent binding site inhibitors of the tyrosine kinase domain of the epidermal growth factor receptor)

IT **70128-59-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 4-[(phenylmethyl)amino]- and 4-(phenylamino)quinazolines and related compds. as potent binding site inhibitors of the tyrosine kinase domain of the epidermal growth factor receptor)

RN 70128-59-5 HCAPLUS
CN 4-Quinazolinamine, N-3-pyridinyl- (9CI) (CA INDEX NAME)



L103 ANSWER 10 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 20
ACCESSION NUMBER: 1994:134510 HCAPLUS
DOCUMENT NUMBER: 120:134510
TITLE: Preparation of substituted pyrimidines as pesticides
INVENTOR(S): Schaper, Wolfgang; Preuss, Rainer; Salbeck, Gerhard; Braun, Peter; Knauf, Werner; Sachse, Burkhard; Waltersdorfer, Anna; Kern, Manfred; Luemmen, Peter; Bonin, Werner
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 55 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4208254	A1	19930916	DE 1992-4208254	19920314 <--

WO 9319050	A1	19930930	WO 1993-EP536	19930310	<--
W: AU, BG, BR, CA, CZ, FI, HU, JP, KR, LK, NO, PL, RO, RU, SD, SK, UA					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG					
AU 9337466	A1	19931021	AU 1993-37466	19930310	<--
AU 671108	B2	19960815			
EP 631575	A1	19950104	EP 1993-906495	19930310	<--
EP 631575	B1	20011004			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT					
HU 67295	A2	19950328	HU 1994-2620	19930310	<--
HU 219142	B	20010228			
JP 07506347	T2	19950713	JP 1993-516214	19930310	<--
BR 9306083	A	19971118	BR 1993-6083	19930310	<--
PL 175078	B1	19981030	PL 1993-304742	19930310	<--
CA 2131545	C	19990316	CA 1993-2131545	19930310	<--
RU 2155755	C2	20000910	RU 1994-41695	19930310	<--
AT 206403	E	20011015	AT 1993-906495	19930310	<--
ES 2164658	T3	20020301	ES 1993-906495	19930310	<--
PT 631575	T	20020328	PT 1993-906495	19930310	<--
US 5571815	A	19961105	US 1993-29889	19930311	<--
ZA 9301774	A	19930930	ZA 1993-1774	19930312	<--
IL 105042	A1	20000716	IL 1993-105042	19930312	<--
CN 1076692	A	19930929	CN 1993-102859	19930313	<--
CN 1043886	B	19990630			
KR 128270	B1	19980402	KR 1994-703189	19940913	<--
US 6596727	B1	20030722	US 1996-616667	19960315	<--
PRIORITY APPLN. INFO.:			DE 1992-4208254	A 19920314	<--
			WO 1993-EP536	A 19930310	<--
			US 1993-29889	A3 19930311	<--

OTHER SOURCE(S): MARPAT 120:134510

ED Entered STN: 19 Mar 1994

AB Title compds. [I; R = XEQ; E = bond, alkylene; Q = (substituted) C3-8 cycloalkyl, N-(hetero)aryl(carbonyl)-4-piperidyl, etc.; R1 = H, halo, (cyclo)alkyl; R2 = H, halo, (halo)alkyl, alkoxy, etc.; R3 = H, halo, (halo)alkyl, alkoxy, NH2, etc.; or R2R3 = atoms to form a ring; X = NH or O] were prepared as acaricides, agrochem. fungicides, insecticides, nematocides, etc. Thus, 4-chloro-5,6,7,8-tetrahydroquinazoline was condensed with cis-4-phenylcyclohexanol to give title compound II, which gave complete control of Pyrenophora teres on barley plants at 500 mg/L.

IC ICM C07D239-46
ICS C07D239-32; C07D239-86; C07D495-04; C07D401-12; C07D401-14; C07D405-12; A01N043-54; A01N043-90; A61K031-505

ICA C07D521-00

ICI C07D495-04, C07D239-00; C07D333-00, C07D335-00; C07D239-28, C07D211-36; C07D213-72, C07D317-72, C07D319-08

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 5

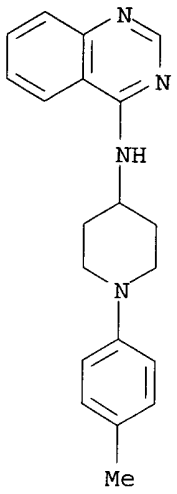
IT 152808-63-4P 152808-64-5P 152808-65-6P 152808-66-7P 152808-67-8P
152808-68-9P 152808-69-0P 152808-70-3P 152808-71-4P 152808-72-5P
152808-73-6P 152808-74-7P 152808-75-8P 152808-76-9P 152808-77-0P
152808-78-1P 152808-79-2P 152808-80-5P 152808-81-6P 152808-82-7P
152808-83-8P 152808-84-9P 152808-85-0P 152808-86-1P 152808-87-2P
152808-88-3P 152808-89-4P 152808-90-7P 152808-91-8P 152808-92-9P
152808-93-0P 152808-94-1P 152808-95-2P 152808-96-3P 152808-97-4P
152808-98-5P 152808-99-6P 152809-00-2P 152809-01-3P 152809-02-4P
152809-03-5P 152809-04-6P 152809-05-7P 152809-06-8P 152809-07-9P
152809-08-0P 152809-09-1P 152809-10-4P 152809-11-5P 152809-12-6P
152809-13-7P 152809-14-8P 152809-15-9P 152809-16-0P 152809-17-1P
152809-18-2P **152809-19-3P** 152809-20-6P 152809-21-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except

adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pesticide)

IT **152809-19-3P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pesticide)

RN 152809-19-3 HCAPLUS

CN 4-Quinazolinamine, N-[1-(4-methylphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



L103 ANSWER 11 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 21

ACCESSION NUMBER: 1982:582339 HCAPLUS

DOCUMENT NUMBER: 97:182339

TITLE: Quinazolines, their preparation and biological activity

AUTHOR(S): Schoenowsky, Hubert; Sachse, Burkhardt

CORPORATE SOURCE: Pflanzenschutzforsch.-Chem., Hoechst A.-G., Frankfurt/Main, D-6230/80, Fed. Rep. Ger.

SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (**1982**), 37B(7), 907-11
CODEN: ZNBAD2; ISSN: 0340-5087

DOCUMENT TYPE: Journal

LANGUAGE: German

ED Entered STN: 12 May 1984

AB 4-Hydroxyquinazolines (I) were prepared by cyclocondensation of 2-aminobenzoic acids with formamide and were alkylated and arylated to give alkoxy- and (aryloxy)quinazolines. 4-Chloroquinazolines were prepared by treatment of I with PCl5/POCl3 and were converted into thio and amino compds. by reaction with mercaptans and amines, resp. A number of the quinazolines showed fungicidal activity.

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5

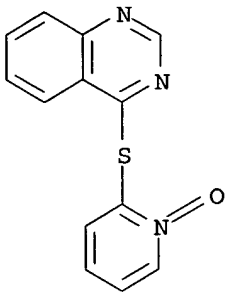
IT 6344-76-9P 25629-18-9P 81585-53-7P 81585-55-9P 83529-77-5P
83529-78-6P 83529-79-7P 83529-81-1P 83529-82-2P 83529-83-3P
83529-84-4P 83529-85-5P 83529-86-6P 83529-87-7P 83529-88-8P

83529-89-9P 83529-90-2P 83529-91-3P 83529-92-4P 83529-93-5P
83529-94-6P 83529-95-7P 83529-96-8P **83529-97-9P**
83529-99-1P 83530-00-1P 83530-02-3P 83530-03-4P 83530-04-5P
83530-05-6P 83530-06-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT **83529-97-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 83529-97-9 HCAPLUS

CN Quinazoline, 4-[(1-oxido-2-pyridinyl)thio]- (9CI) (CA INDEX NAME)



L103 ANSWER 12 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 22

ACCESSION NUMBER: 1981:57955 HCAPLUS
DOCUMENT NUMBER: 94:57955
TITLE: Synthesis and antimalarial effects of N2-aryl-N4-[(dialkylamino)alkyl]- and N4-aryl-N2-[(dialkylamino)alkyl]-2,4-quinazolinediamines
AUTHOR(S): Elslager, Edward F.; Hess, Carolyn; Johnson, Judith; Ortwine, Daniel; Chu, Vera; Werbel, Leslie M.
CORPORATE SOURCE: Pharm. Res. Div., Warner-Lambert/Parke Davis, Ann Arbor, MI, 48106, USA
SOURCE: Journal of Medicinal Chemistry (**1981**), 24(2), 127-40
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:57955
ED Entered STN: 12 May 1984
AB The title compds. I (R = H, Cl, NH2, NO2, etc.; R1 = substituted Ph, heterocyclic, or dialkylaminoalkyl; R2 = dialkylaminoalkyl, substituted heterocyclic, or substituted Ph) were prepared by stepwise reactions from either 2,4-dichloroquinazoline [607-68-1] or 2-chloro-4-quinazolinol [607-69-2], and tested in mice for antimalarial activity. N2-(3,4-Dichlorophenyl)-N4-[2-(1-methyl-2-pyrrolidinyl)ethyl]-2,4-quinazolinediamine-2HCl [76004-48-3] was among the more active compds. Structure-activity relations are discussed.
CC 1-3 (Pharmacodynamics)
Section cross-reference(s): 28
IT 76004-47-2P 76004-48-3P 76004-49-4P 76004-50-7P 76004-51-8P
76004-52-9P 76004-53-0P 76004-54-1P 76004-55-2P **76004-56-3P**
76004-57-4P 76004-58-5P 76004-59-6P 76004-60-9P
76004-61-0P 76004-62-1P 76004-63-2P 76004-64-3P 76004-65-4P
76004-66-5P 76004-67-6P 76004-68-7P 76004-69-8P 76004-70-1P

76004-71-2P 76004-72-3P 76004-73-4P 76004-74-5P 76004-75-6P
76004-76-7P 76004-77-8P 76004-78-9P 76004-79-0P 76004-80-3P
76004-81-4P 76004-82-5P 76004-83-6P 76004-84-7P 76004-85-8P
76004-86-9P 76004-87-0P **76004-88-1P 76004-89-2P**
76004-90-5P 76004-91-6P 76004-92-7P
76004-93-8P 76004-94-9P 76004-95-0P 76004-96-1P
76004-97-2P 76004-98-3P 76004-99-4P 76005-00-0P 76005-01-1P
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76005-07-7P 76005-08-8P 76005-09-9P 76005-10-2P 76005-11-3P
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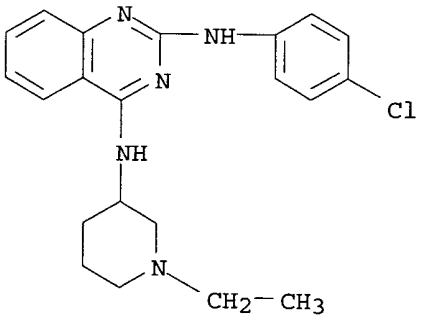
76005-32-8P 76005-33-9P 76005-34-0P 76005-35-1P 76005-36-2P
76005-37-3P 76005-38-4P 76005-39-5P 76005-40-8P 76005-41-9P
76005-42-0P 76005-43-1P 76005-53-3P 76005-54-4P 76013-30-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antimalarial activity of)

IT 76004-31-4P 76004-32-5P **76004-33-6P** 76004-34-7P
76004-35-8P 76004-36-9P 76004-37-0P 76004-38-1P **76004-39-2P**
76004-40-5P 76004-41-6P 76004-42-7P 76004-43-8P
76004-44-9P 76004-45-0P 76004-46-1P 76005-52-2P 76032-12-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation with arylamine)

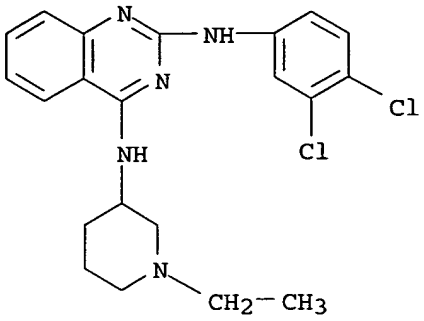
IT **76004-56-3P 76004-57-4P 76004-88-1P**
76004-89-2P 76004-90-5P 76004-91-6P
76004-92-7P 76004-93-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antimalarial activity of)

RN 76004-56-3 HCAPLUS
CN 2,4-Quinazolinediamine, N2-(4-chlorophenyl)-N4-(1-ethyl-3-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



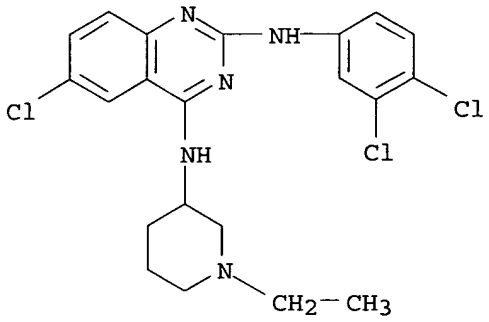
●2 HCl

RN 76004-57-4 HCAPLUS
CN 2,4-Quinazolinediamine, N2-(3,4-dichlorophenyl)-N4-(1-ethyl-3-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



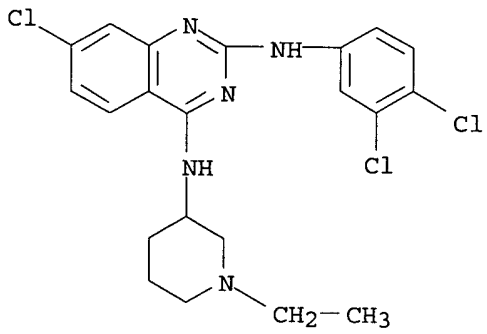
●2 HCl

RN 76004-88-1 HCAPLUS
CN 2,4-Quinazolinediamine, 6-chloro-N2-(3,4-dichlorophenyl)-N4-(1-ethyl-3-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



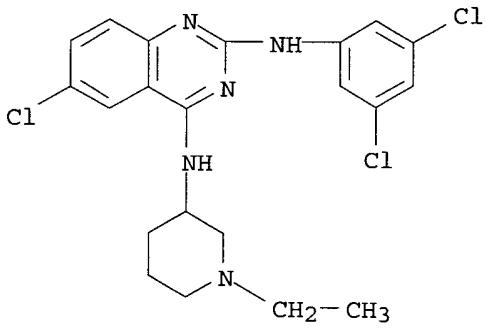
●2 HCl

RN 76004-89-2 HCAPLUS
CN 2,4-Quinazolinediamine, 7-chloro-N2-(3,4-dichlorophenyl)-N4-(1-ethyl-3-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



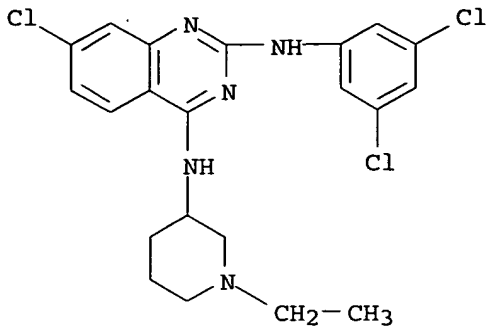
●2 HCl

RN 76004-90-5 HCAPLUS
CN 2,4-Quinazolinediamine, 6-chloro-N2-(3,5-dichlorophenyl)-N4-(1-ethyl-3-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



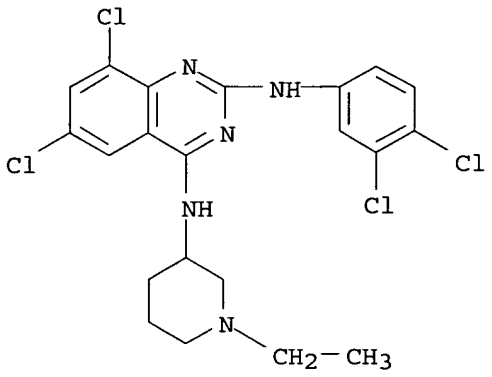
●2 HCl

RN 76004-91-6 HCAPLUS
CN 2,4-Quinazolinediamine, 7-chloro-N2-(3,5-dichlorophenyl)-N4-(1-ethyl-3-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



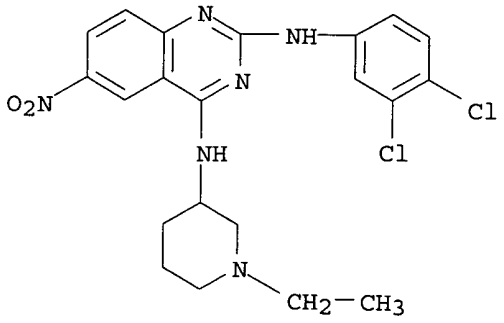
●2 HCl

RN 76004-92-7 HCAPLUS
CN 2,4-Quinazolinediamine, 6,8-dichloro-N2-(3,4-dichlorophenyl)-N4-(1-ethyl-3-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



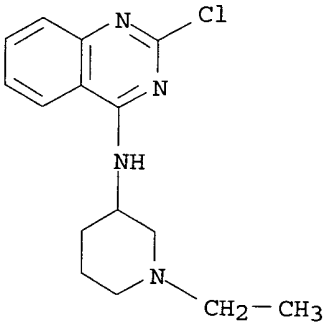
●2 HCl

RN 76004-93-8 HCAPLUS
CN 2,4-Quinazolinediamine, N2-(3,4-dichlorophenyl)-N4-(1-ethyl-3-piperidinyl)-6-nitro-, dihydrochloride (9CI) (CA INDEX NAME)



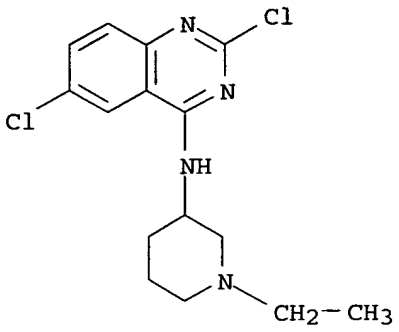
●2 HCl

IT **76004-33-6P 76004-39-2P 76004-40-5P**
76004-41-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation with arylamine)
RN 76004-33-6 HCAPLUS
CN 4-Quinazolinamine, 2-chloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



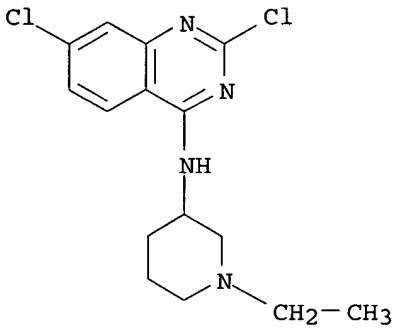
● HCl

RN 76004-39-2 HCAPLUS
CN 4-Quinazolinamine, 2,6-dichloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



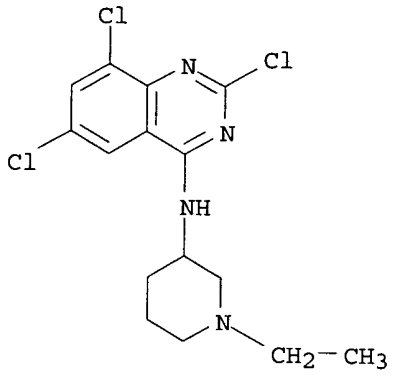
● HCl

RN 76004-40-5 HCAPLUS
CN 4-Quinazolinamine, 2,7-dichloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 76004-41-6 HCAPLUS
CN 4-Quinazolinamine, 2,6,8-trichloro-N-(1-ethyl-3-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L103 ANSWER 13 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:200102 HCAPLUS
DOCUMENT NUMBER: 140:235750
TITLE: Preparation of quinazolines as epidermal growth factor receptor (erbB) inhibitors for the treatment of proliferative diseases
INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Cox, Eric David; Bhattacharya, Samit Kumar
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1396489	A1	20040310	EP 2003-24331	19991224 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1029853	A1	20000823	EP 1999-310574	19991224 <--
EP 1029853	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2003055049	A1	20030320	US 2002-226255	20020822 <--
US 6867201	B2	20050315		
PRIORITY APPLN. INFO.:			US 1999-117341P	P 19990127 <--
			EP 1999-310574	A3 19991224 <--
			US 2000-488378	A3 20000120

ED Entered STN: 12 Mar 2004
AB Title compds. I [X = N, CH; A-B = R4-substituted fused pyridyl, pyrimidyl, furanyl, etc.; Y = NR1R3; R1, R2 = H, alkyl; R3 = -(CR1R2)m-R8 or R1 and R3 are taken together with N; R4 = -(CR1R2)p-aryl, -(CR1R2)p-heterocyclic, -(CR1R2)q-NR1R9, etc.; R8 = -(CR1R2)p-aryl, -(CR1R2)p-heterocyclic; R9 = fused or bridged bicyclic ring, spirocyclic ring with provisos; m= 0, 1; p, q = 0-5] and their pharmaceutically acceptable salts were prepared For example, coupling of compound I [X = N; A-B = -CR4=CH-CH=CH-; Y = OPh; R4 = 4-((6-hydroxymethyl-3-aza-bicyclo[3.1.0]hex-3-yl)methyl)phenyl], e.g.,

prepared from 6-iodo-4-quinazolinone in 4-steps, with 1-cyclopropylmethyl-1H-indol-5-ylamine, afforded compound I [X = N; A-B = -CR4=CH-CH=CH-; Y = 1-cyclopropylmethyl-1H-indol-5-ylamino; R4 = 4-((6-hydroxymethyl-3-aza-bicyclo[3.1.0]hex-3-yl)methyl)phenyl] in 67% yield. In c-erbB2 kinase inhibition assays, compds. I showed potent (sic.) inhibition of the erbB2 tyrosine kinase activity (no data provided). Compds. I are claimed useful for the treatment of cancer and benign proliferative diseases, e.g., psoriasis.

IC ICM C07D239-94
ICS C07D453-02; C07D451-02; C07D451-08; A61K031-505; A61P035-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 289036-76-6P, [6-[4-(6-Amino-3-azabicyclo[3.1.0]hex-3-ylmethyl)phenyl]-quinazolin-4-yl](4-phenoxyphenyl)amine 289036-77-7P, (3-[4-[4-[4-Benzylphenylamino)-quinazolin-6-yl]benzyl]-3-azabicyclo[3.1.0]hex-6-yl)methanol 289036-78-8P, (3-[4-[4-[4-Phenoxyphenylamino)-quinazolin-6-yl]benzyl]-3-azabicyclo[3.1.0]hex-6-yl)methanol 289036-79-9P, (3-[4-[4-((1-(Phenylsulfonyl)-1H-indol-5-yl)amino)-quinazolin-6-yl]benzyl]-3-azabicyclo[3.1.0]hex-6-yl)methanol
289036-80-2P 289036-81-3P 289036-82-4P 289036-83-5P 289036-84-6P
289036-85-7P 289036-86-8P 289036-87-9P 289036-88-0P 289036-89-1P
289036-90-4P 289036-91-5P 289036-92-6P 289036-93-7P 289036-94-8P
289036-95-9P 289036-96-0P 289036-97-1P 289036-98-2P 289036-99-3P
289037-00-9P 289037-01-0P 289037-02-1P 289037-03-2P
289037-05-4P 289037-06-5P 289037-07-6P 289037-08-7P 289037-09-8P
289037-19-0P, [6-[4-(6-Amino-3-azabicyclo[3.1.0]hex-3-ylmethyl)phenyl]-quinazolin-4-yl](1-phenylsulfonyl-1H-indol-5-yl)amine 289037-20-3P, [6-[4-(6-Amino-3-azabicyclo[3.1.0]hex-3-ylmethyl)phenyl]-quinazolin-4-yl](4-benzylphenyl)amine 289037-23-6P 289037-25-8P 289037-26-9P
289037-27-0P 289037-28-1P 289037-29-2P 289037-30-5P 289037-31-6P
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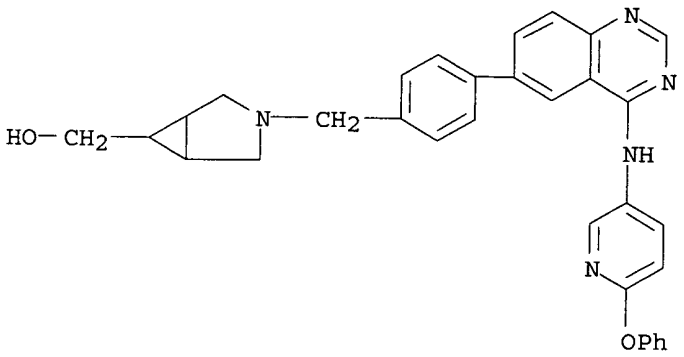
289037-37-2P 289037-38-3P 289037-39-4P 289037-40-7P 289037-41-8P
289037-42-9P 289037-43-0P 289037-44-1P 289037-45-2P 289037-46-3P
289037-47-4P 669008-73-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as erbB inhibitors for the treatment of proliferative diseases)

IT **289037-00-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as erbB inhibitors for the treatment of proliferative diseases)

RN 289037-00-9 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-methanol, 3-[[4-[4-[(6-phenoxy-3-pyridinyl)amino]-6-quinazolinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 14 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:265402 HCAPLUS
DOCUMENT NUMBER: 134:275758
TITLE: Preparation and effect of novel quinazoline derivatives as TNF-α inhibitors
INVENTOR(S): Tobe, Masanori; Isobe, Yoshiaki; Tomizawa, Hideyuki; Matsumoto, Mitsuhiro; Nagasaki, Takahiro; Obara, Fumihiro
PATENT ASSIGNEE(S): Japan Energy Corporation, Japan
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025218	A1	20010412	WO 2000-JP6666	20000927 <--
W: AU, CA, JP, NZ, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2386163	AA	20010412	CA 2000-2386163	20000927 <--
AU 2000074465	A5	20010510	AU 2000-74465	20000927 <--
AU 763033	B2	20030710		
EP 1229025	A1	20020807	EP 2000-962890	20000927 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				

PRIORITY APPLN. INFO.: JP 1999-282078 A 19991001 <--
WO 2000-JP6666 W 20000927

OTHER SOURCE(S): MARPAT 134:275758
ED Entered STN: 13 Apr 2001
AB Title compds. [I; R1 is nitro or halo; R2 and R4 are each hydrogen, C1-4 alkyl, carboxyl, or C2-5 alkoxycarbonyl; R3 is hydrogen, amino, optionally substituted C1-4 alkyl, C1-4 alkanoyl, or C2-5 alkoxycarbonyl; W is carbon or nitrogen; Y = CH2, CH2CH2, CH2CH2CH2; Z = C6H5, 4-ClC6H4, 4-FC6H4, 3,4-OCH2OC6H3, 2-thienyl, 2-furyl, 2-pyridinyl, 3-pyridinyl, 1-naphthyl; m is 0, 1, or 2] and pharmaceutically acceptable salts thereof are prepared as TNF-α inhibitors. Thus, the title compound I (R1 = NO2; R2 = H; R3 = H; R4 = H; W = N; m = 1, Y = CH2CH2; Z = 4-ClC6H4) was prepared and biol. tested.

IC ICM C07D239-94
ICS A61K031-517; C07D401-04; C07D401-12; C07D405-12; C07D409-12; A61P037-06
CC 1-6 (Pharmacology)
Section cross-reference(s): 63
IT 333399-44-3P 333399-45-4P 333399-46-5P 333399-48-7P 333399-49-8P
333399-51-2P 333399-52-3P 333399-53-4P 333399-61-4P 333399-68-1P
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333400-03-6P 333400-04-7P 333400-05-8P 333400-06-9P 333400-07-0P
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333400-69-4P 333400-70-7P 333400-71-8P 333400-72-9P 333400-73-0P
333400-74-1P 333400-75-2P 333400-76-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and effect of novel quinazoline derivs.)
IT 333399-47-6P 333399-50-1P 333399-54-5P 333399-55-6P 333399-56-7P
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333400-35-4P 333400-36-5P 333400-37-6P
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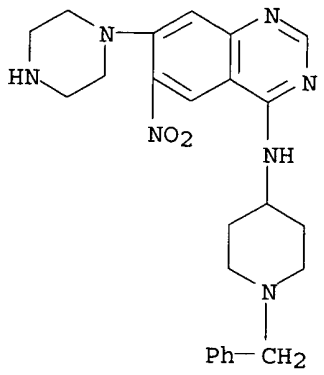
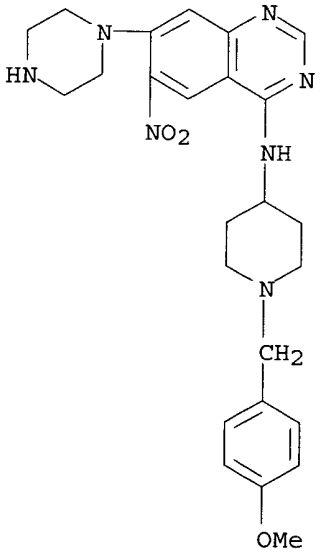
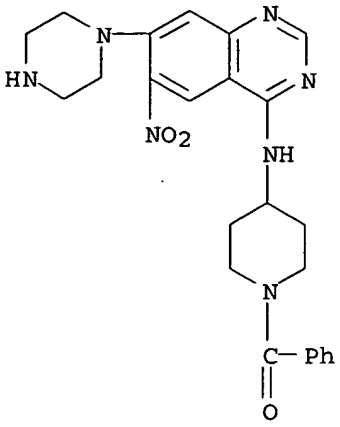
333400-85-4P 333400-86-5P 333400-87-6P 333400-88-7P 333400-89-8P
333401-49-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and effect of novel quinazoline derivs.)
IT **333400-32-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and effect of novel quinazoline derivs.)
RN 333400-32-1 HCAPLUS
CN 4-Quinazolinamine, 6-nitro-N-[1-(phenylmethyl)-4-piperidinyl]-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



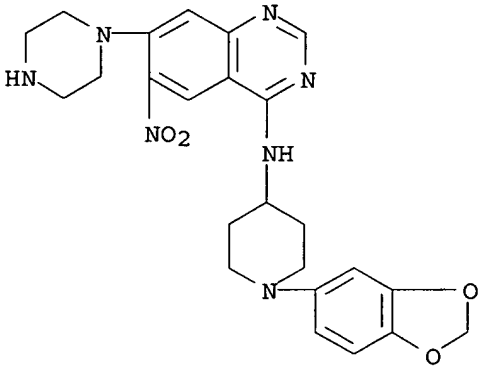
IT **333400-33-2P 333400-34-3P 333400-35-4P**
333400-36-5P 333400-37-6P 333400-38-7P
333400-39-8P 333400-40-1P 333400-41-2P
333400-42-3P 333400-43-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and effect of novel quinazoline derivs.)
RN 333400-33-2 HCAPLUS
CN 4-Quinazolinamine, N-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]-6-nitro-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 333400-34-3 HCAPLUS
CN 4-Piperidinamine, 1-benzoyl-N-[6-nitro-7-(1-piperazinyl)-4-quinazolinyl]-(9CI) (CA INDEX NAME)



RN 333400-35-4 HCAPLUS
CN 4-Quinazolinamine, N-[1-(1,3-benzodioxol-5-yl)-4-piperidinyl]-6-nitro-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 333400-36-5 HCAPLUS
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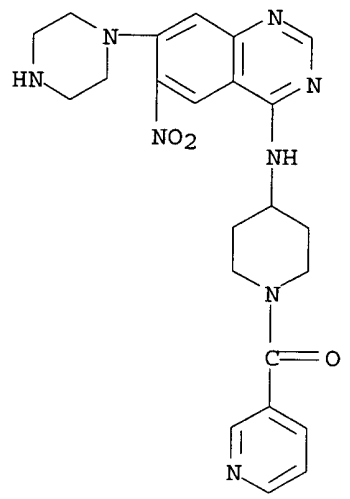
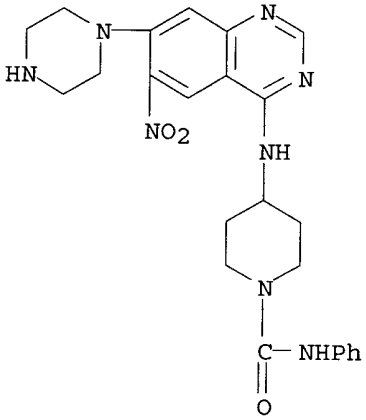
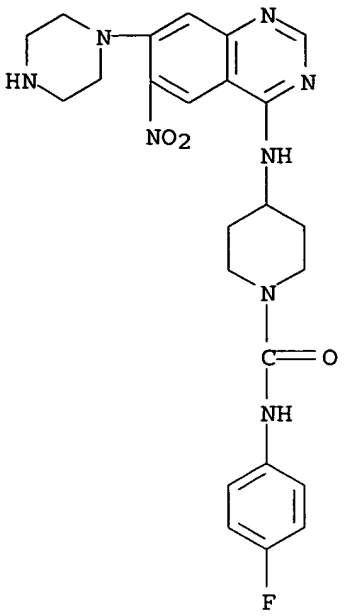


RN 333400-37-6 HCAPLUS
CN 1-Piperidinecarboxamide, 4-[[6-nitro-7-(1-piperazinyl)-4-quinazolinyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



RN 333400-38-7 HCAPLUS
CN 1-Piperidinecarboxamide, N-(4-fluorophenyl)-4-[[6-nitro-7-(1-piperazinyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 333400-39-8 HCAPLUS
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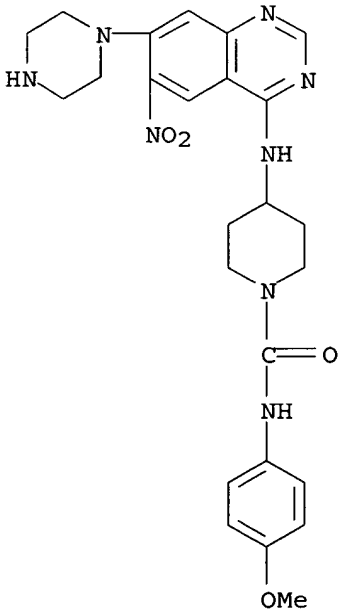
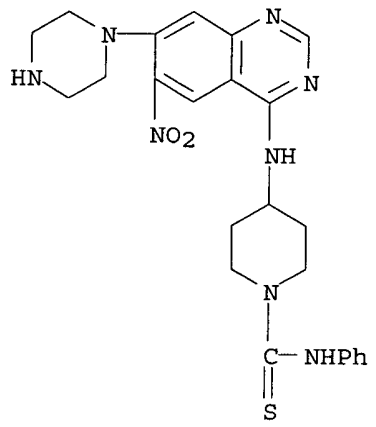
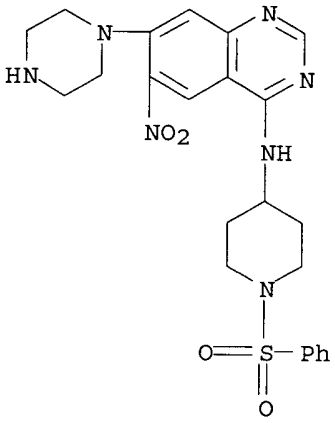


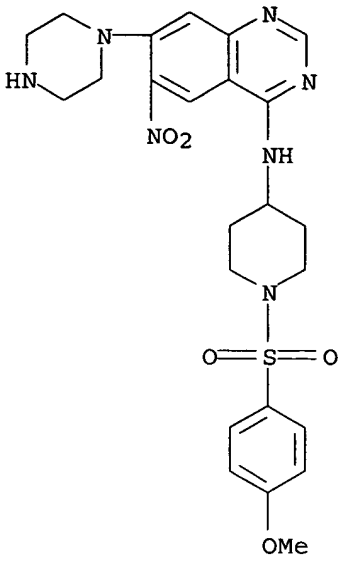
RN 333400-40-1 HCAPLUS
CN 1-Piperidinecarbothioamide, 4-[[6-nitro-7-(1-piperazinyl)-4-quinazolinyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



RN 333400-41-2 HCAPLUS
CN 4-Piperidinamine, N-[6-nitro-7-(1-piperazinyl)-4-quinazolinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 333400-42-3 HCAPLUS
CN 4-Piperidinamine, 1-[(4-methoxyphenyl)sulfonyl]-N-[6-nitro-7-(1-piperazinyl)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 333400-43-4 HCAPLUS
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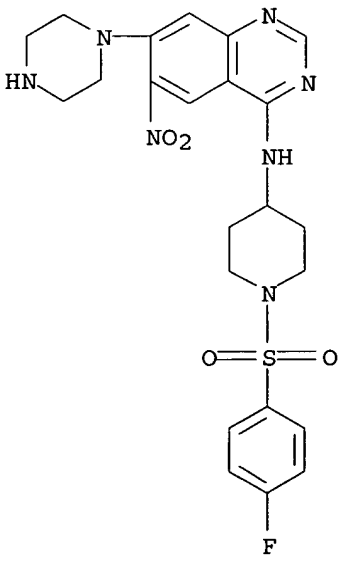

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 15 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:688226 HCAPLUS
DOCUMENT NUMBER: 133:266866
TITLE: Preparation of quinazolines as antitumor agents
INVENTOR(S): Uckun, Fatih M.; Liu, Xing-ping; Narla, Rama K.
PATENT ASSIGNEE(S): Parker Hughes Institute, USA
SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056720	A1	20000928	WO 2000-US6902	20000316 <--
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6258820	B1	20010710	US 1999-357404	19990720 <--
CA 2367861	AA	20000928	CA 2000-2367861	20000316 <--
EP 1163228	A1	20011219	EP 2000-921389	20000316 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002540103	T2	20021126	JP 2000-606581	20000316 <--
US 2001016588	A1	20010823	US 2001-779809	20010208 <--
US 6358962	B2	20020319		
US 2002137757	A1	20020926	US 2001-923903	20010807 <--
US 6638939	B2	20031028		
NO 2001004560	A	20010919	NO 2001-4560	20010919 <--
US 2004039002	A1	20040226	US 2003-454960	20030605 <--
US 2005075353	A1	20050407	US 2004-852076	20040524 <--

PRIORITY APPLN. INFO.:			
US 1999-125145P	P	19990319	<--
US 1999-125177P	P	19990319	<--
US 1999-125338P	P	19990319	<--
US 1999-357404	A	19990720	<--
WO 2000-US6902	W	20000316	
US 2001-779809	A1	20010208	
US 2001-923903	A1	20010807	
US 2003-454960	B1	20030605	

OTHER SOURCE(S): MARPAT 133:266866
ED Entered STN: 29 Sep 2000
AB The title compds. [I; Ra = I, hydroxyalkyl, methylenedioxy, etc.; n = 1-4; Rb = H, halo, OH, etc.; R1 = alkyl], useful for the treatment of cancer (e.g., leukemia and breast cancer) and for the treatment of allergic reactions, were prepared by reacting 4-chloro-6,7-dimethoxyquinazoline with the substituted aniline. Biol. data for compds. I were given.
IC ICM C07D239-94
ICS A61K031-517; A61P035-00
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 21561-09-1P 153436-53-4P 153436-54-5P 153436-63-6P 153437-55-9P
168835-91-4P 170449-18-0P 171744-94-8P 171744-95-9P 171745-23-6P
171745-24-7P 183322-24-9P 183322-45-4P 186138-06-7P 188829-39-2P
189290-58-2P 196603-53-9P 202475-49-8P 202475-55-6P 202475-57-8P
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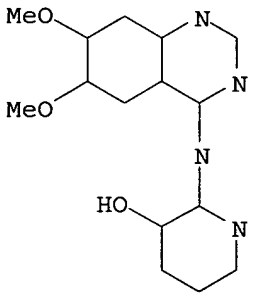
296235-20-6P 296235-21-7P 296235-22-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as antitumor agents)

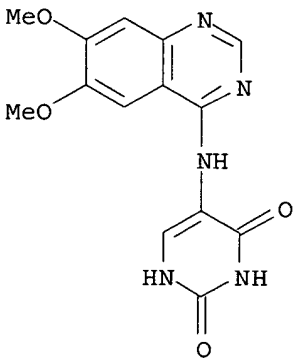
IT **296234-55-4P 296234-59-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as antitumor agents)

RN 296234-55-4 HCAPLUS
CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 296234-59-8 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 16 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:688094 HCAPLUS
DOCUMENT NUMBER: 133:271682
TITLE: Preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer
INVENTOR(S): Yiv, Seang; Li, Mingshu; Uckun, Fatih M.
PATENT ASSIGNEE(S): Parker Hughes Institute, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000056338	A1	20000928	WO 2000-US7066		20000317 <--
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2366998	AA	20000928	CA 2000-2366998		20000317 <--
EP 1162974	A1	20011219	EP 2000-914991		20000317 <--
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JP 2002539262	T2	20021119	JP 2000-606242		20000317 <--
US 2002111360	A1	20020815	US 2001-960464		20010919 <--
PRIORITY APPLN. INFO.:			US 1999-125147P	P	19990319 <--
			WO 2000-US7066	W	20000317

OTHER SOURCE(S): MARPAT 133:271682
ED Entered STN: 29 Sep 2000
AB Pharmaceutical compns. for parenteral administration of poorly soluble quinazoline compds. in the form of microemulsions or micellar solns. are described. The compns. are useful in treating patients suffering from cancer or having allergic reactions. E.g., I was prepared, its soly profile

given, and micellar solns. containing PEGylated phosphatidylethanolamines were effective in enhancing the solubilization of I.

IC ICM A61K031-517

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 28

IT 21561-09-1P 153436-53-4P 153436-54-5P 168835-91-4P 186138-06-7P
189290-58-2P 202475-49-8P 202475-55-6P 202475-57-8P 211555-04-3P
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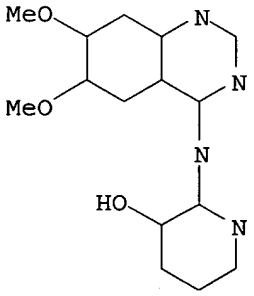
296235-02-4P 296235-03-5P 296235-04-6P 296235-05-7P 296235-13-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer)

IT **296234-55-4P 296234-59-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer)

RN 296234-55-4 HCAPLUS

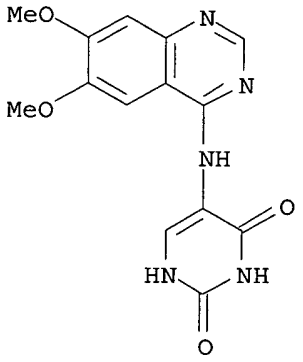
CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 296234-59-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 17 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:535121 HCAPLUS
DOCUMENT NUMBER: 133:150572
TITLE: Preparation of substituted bicyclic derivatives useful as anticancer agents
INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Liu, Zhengyu; Cox, Eric David; Bhattacharya, Samit Kumar; Morris, Joel
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044728	A1	20000803	WO 1999-IB1934	19991206 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 519541	B	20030201	TW 1999-88120466	19991123 <--
CA 2358998	AA	20000803	CA 1999-2358998	19991206 <--
EP 1147093	A1	20011024	EP 1999-956281	19991206 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916980	A	20011106	BR 1999-16980	19991206 <--
TR 200102136	T2	20011121	TR 2001-200102136	19991206 <--
EE 200100393	A	20021015	EE 2001-393	19991206 <--
JP 2002535391	T2	20021022	JP 2000-595984	19991206 <--
NZ 511707	A	20040130	NZ 1999-511707	19991206 <--
AU 775163	B2	20040722	AU 2000-12916	19991206 <--
US 6284764	B1	20010904	US 2000-488350	20000120 <--
US 2001034351	A1	20011025	US 2001-834259	20010412 <--

US 6541481	B2	20030401			
ZA 2001005867	A	20020717	ZA 2001-5867		20010717 <--
HR 2001000542	A1	20020831	HR 2001-542		20010718 <--
NO 2001003671	A	20010926	NO 2001-3671		20010726 <--
BG 105842	A	20020430	BG 2001-105842		20010824 <--
HK 1043795	A1	20050812	HK 2002-105471		20020724 <--
US 2003186995	A1	20031002	US 2003-349475		20030121 <--
JP 2005002125	A2	20050106	JP 2004-216138		20040723 <--
PRIORITY APPLN. INFO.:			US 1999-117346P	P	19990127 <--
			JP 2000-595984	A3	19991206 <--
			WO 1999-IB1934	W	19991206 <--
			US 2000-488350	A3	20000120
			US 2001-834259	A1	20010412

OTHER SOURCE(S): MARPAT 133:150572

ED Entered STN: 04 Aug 2000

AB The title compds. [I; X = N, CH; A = (un)substituted fused 5-7 membered ring optionally containing 1-4 heteroatoms selected from NR1, O, S(O)j (wherein j = 0-2); R1, R2 = H, alkyl; R3 = (CR1R2)mR8 (m = 0-1; R8 = (CR1R2)taryl, (CR1R2)theterocyclyl; t = 0-5); R1 and R3 are taken together to form (un)substituted indol-1-yl, indolin-1-yl; R4 = (CR1R2)mC.tplbond.C(CR1R2)tR9 (m = 0-3; t = 0-5; R9 = a non-aromatic mono-cyclic ring, a fused or bridged bicyclic ring, etc.), C:NOR12 (R12 = H, alkyl, CO2alkyl, etc.), X1R12 (X1 = a divalent group derived from azetidine, oxetane or carbocyclic group), etc.] and their pharmaceutically acceptable salts, useful in treating abnormal cell growth in mammals, were prepared Thus, treatment of (3-methyl-4-phenoxyphenyl)-(6-piperidin-3-ylethynylquinazolin-4-yl)amine with propionaldehyde in MeOH/H2O at pH = 5 followed by addition of NaBH3CN afforded quinazoline II.HCl. Compds. I are effective at 1-35 mg/kg/day.

IC ICM C07D239-94
ICS C07D403-06; C07D401-12; C07D403-12; C07D403-04; C07D401-06; C07D401-14; A61K031-517

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT

287188-70-9P	287188-71-0P	287188-73-2P	287188-74-3P	287188-75-4P
287188-76-5P	287188-77-6P	287188-78-7P	287188-79-8P	287188-80-1P
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287190-98-1P 287190-99-2P 287191-00-8P 287191-01-9P 287191-02-0P
287191-03-1P 287191-04-2P 287191-05-3P 287191-06-4P 287191-07-5P
287191-08-6P 287191-09-7P 287191-10-0P 287191-11-1P

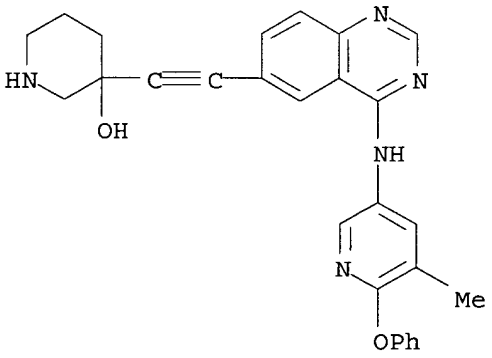
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted bicyclic derivs. useful as anticancer agents)

IT **287190-13-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted bicyclic derivs. useful as anticancer agents)

RN 287190-13-0 HCAPLUS

CN 3-Piperidinol, 3-[[4-[(5-methyl-6-phenoxy-3-pyridinyl)amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



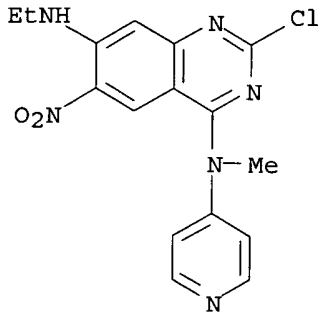
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 18 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:612064 HCAPLUS
DOCUMENT NUMBER: 133:193165
TITLE: Preparation of imidazoquinazolines and cyclic guanosine 3',5'-monophosphate-specific phosphodiesterase inhibitors
INVENTOR(S): Onoda, Yasuo; Machii, Daisuke; Nomoto, Yuji; Takai,

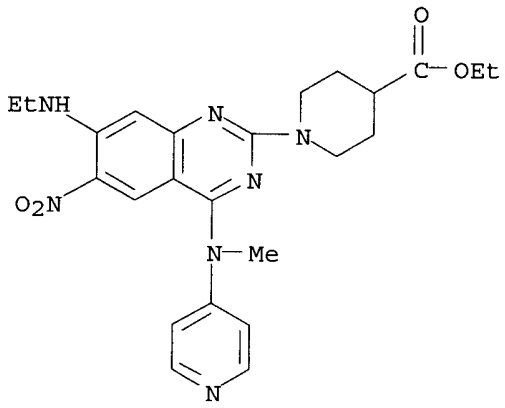
Haruki; Ono, Satoshi; Ichimura, Michiaki
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000239277	A2	20000905	JP 1999-41567	19990219 <--

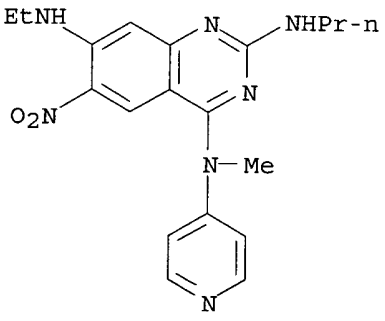
PRIORITY APPLN. INFO.: JP 1999-41567 19990219 <--
OTHER SOURCE(S): MARPAT 133:193165
ED Entered STN: 05 Sep 2000
AB Title compds. I [R1 = lower alkyl cycloalkyl, lower alkenyl, aralkyl, aryl, etc.; R2, R3 = H, alkyl, cycloalkyl, lower alkenyl, aralkyl, aryl, etc.; X = O, S; Y = OR4, SR5, NR6R7; R4, R5 = lower alkyl, cycloalkyl, lower alkenyl, aralkyl, etc.; R6, R7 = H, lower alkyl, cycloalkyl, alkenyl, aralkyl, aryl, etc.; R6R7 = N-containing heterocyclic ring]. 7-Ethylamino-6-nitro-2-propylamino-4-(4-pyridylmethylamino)quinazoline was hydrogenated with Pd/C in EtOH-THF mixture for 8 h and reacted with CS2 in the presence of Et3N in EtOH at room temperature overnight to give 65% 3-ethyl-6-propylamino-8-(4-pyridylmethylamino)-2,3-dihydro-1H-imidazo[4,5-g]quinazoline-2-thione, which was treated with HCl in AcOEt to give their HCl salt showing good antihypertensive activity.
IC ICM C07D487-04
ICS A61P007-02; A61P009-10; A61P009-12; A61P011-06; A61P015-10; A61P027-02; A61P037-08; A61P043-00; A61K031-519; A61K031-5377
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 220060-59-3P, 7-Ethylamino-6-nitro-2,4(1H,3H)-quinazolinedione
220060-66-2P **289660-30-6P** 289660-31-7P **289660-32-8P**
289660-33-9P 289660-34-0P 289660-35-1P 289660-36-2P
289660-37-3P 289660-38-4P 289660-39-5P 289660-40-8P 289660-41-9P
289660-42-0P 289660-43-1P 289660-44-2P 289660-46-4P 289660-47-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoquinazolines and cyclic guanosine monophosphate-specific phosphodiesterase inhibitors)
IT **289660-30-6P 289660-32-8P 289660-33-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoquinazolines and cyclic guanosine monophosphate-specific phosphodiesterase inhibitors)
RN 289660-30-6 HCAPLUS
CN 4,7-Quinazolinediamine, 2-chloro-N7-ethyl-N4-methyl-6-nitro-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 289660-32-8 HCAPLUS
CN 4-Piperidinecarboxylic acid, 1-[7-(ethylamino)-4-(methyl-4-pyridinylamino)-6-nitro-2-quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 289660-33-9 HCAPLUS
CN 2,4,7-Quinazolinetriamine, N7-ethyl-N4-methyl-6-nitro-N1-propyl-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



L103 ANSWER 19 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:592396 HCAPLUS
DOCUMENT NUMBER: 133:193157
TITLE: Preparation of aminoquinazolines and related compounds as anticancer drugs.

INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Cox, Eric David; Bhattacharya, Samit Kumar
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 1029853	A1	20000823	EP 1999-310574	19991224	<--
EP 1029853	B1	20040225			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2000309577	A2	20001107	JP 1999-336570	19991126	<--
JP 3270834	B2	20020402			
CA 2290918	AA	20000727	CA 2000-2290918	19991129	<--
CA 2290918	C	20040217	CA 1999-2290918	19991129	<--
EP 1396489	A1	20040310	EP 2003-24331	19991224	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY					
AT 260263	E	20040315	AT 1999-310574	19991224	<--
PT 1029853	T	20040531	PT 1999-310574	19991224	<--
ES 2214820	T3	20040916	ES 1999-310574	19991224	<--
BR 9906013	A	20000905	BR 1999-6013	19991229	<--
US 6465449	B1	20021015	US 2000-488378	20000120	<--
US 2003055049	A1	20030320	US 2002-226255	20020822	<--
US 6867201	B2	20050315			

PRIORITY APPLN. INFO.: US 1999-117341P P 19990127 <--
EP 1999-310574 A3 19991224 <--
US 2000-488378 A3 20000120

OTHER SOURCE(S): MARPAT 133:193157
ED Entered STN: 25 Aug 2000
AB Title compds. [I; X = N, CH; A = (substituted) fused 5-7 membered ring optionally containing 1-4 heteroatoms selected from NR1, O, S, SO, SO2 containing 1-3 double bonds inclusive of the bond in the pyridine or pyrimidine ring to which it is fused etc.; R1 = H, alkyl; R3 = (CR1R2)mR8; m = 0, 1; R1R3N = (substituted) 1-indolinyl, 1-indolyl; R4, R8 = (substituted) aryl(alkyl), heterocyclyl(alkyl)], were prepared as neoplasm inhibitors (no data). Thus, 3-[4-(4-phenoxy-quinazolin-6-yl)benzyl]-3-aza-bicyclo[3.1.0]hex-6-ylmethanol (preparation given), 1-cyclopropylmethyl-1H-indol-5-ylamine, pyridinium hydrochloride, and phenol were heated at 110° overnight to give 67% [3-[4-[4-(1-cyclopropylmethyl-1H-indol-5-ylamino)-quinazolin-6-yl]-benzyl]-3-azabicyclo[3.1.0]hex-6-yl]methanol.
IC ICM C07D239-94
ICS C07D453-02; C07D451-02; A61K031-505; A61P035-00
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 289036-76-6P 289036-77-7P 289036-78-8P 289036-79-9P 289036-80-2P
289036-81-3P 289036-82-4P 289036-83-5P 289036-84-6P 289036-85-7P
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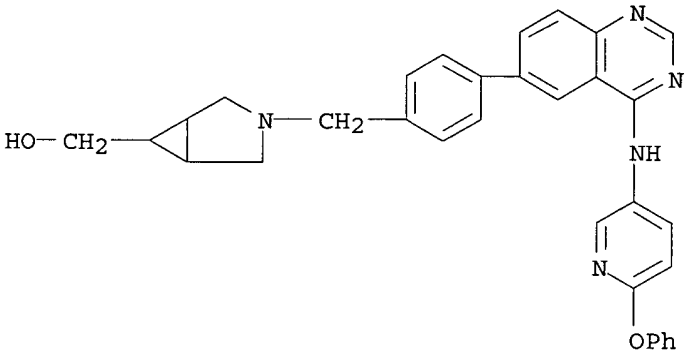
289037-46-3P 289037-47-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoquinazolines and related compds. as anticancer drugs)

IT **289037-00-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoquinazolines and related compds. as anticancer drugs)

RN 289037-00-9 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-methanol, 3-[[4-[4-[(6-phenoxy-3-pyridinyl)amino]-6-quinazolinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 20 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:409556 HCAPLUS
DOCUMENT NUMBER: 131:58845
TITLE: Substituted 2-aryl-4-amino-quinazolines
INVENTOR(S): Schindler, Ursula; Schindler, Peter; Schoenafinger, Karl; Strobel, Hartmut
PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19756388	A1	19990624	DE 1997-19756388	19971218 <--
CA 2315205	AA	19990701	CA 1998-2315205	19981211 <--
WO 9932460	A1	19990701	WO 1998-EP8097	19981211 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9922708	A1	19990712	AU 1999-22708	19981211 <--
EP 1040101	A1	20001004	EP 1998-966301	19981211 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001526273	T2	20011218	JP 2000-525397	19981211 <--
US 6613772	B1	20030902	US 2000-581763	20000616 <--
PRIORITY APPLN. INFO.:			DE 1997-19756388 A	19971218 <--
			WO 1998-EP8097 W	19981211 <--

OTHER SOURCE(S): MARPAT 131:58845

ED Entered STN: 02 Jul 1999

AB Substituted 2-aryl-4-amino-quinazolines and their use as cardiovascular agents for treatment circulatory disease, blood pressure, angina, pectoris, heart insufficiency, thrombosis or atherosclerosis and to modulate the production of cGMP. Thus, 2-(4-chlorophenyl)-4-N-benzylpiperzino-6,7,8-trimethoxyquinazoline was prepared in a multistep process from Me 2-amino-3,4,5-trimethoxybenzoate and 4-chlorobenzoyl chloride and subsequently with N-benzylpiperazine.

IC ICM C07D239-94
ICS C07D401-04; C07D403-12; C07D413-12; C07D417-04; C07D403-04; C07D401-12; A61K031-505

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 228118-58-9P 228118-59-0P 228118-60-3P 228118-61-4P 228118-62-5P
228118-63-6P 228118-69-2P 228118-70-5P **228118-71-6P**
228118-72-7P 228118-73-8P 228118-74-9P **228118-75-0P**
228118-76-1P 228118-77-2P 228118-79-4P 228118-81-8P 228118-82-9P
228118-83-0P 228118-84-1P 228118-85-2P 228118-86-3P 228118-87-4P
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228119-08-2P 228119-11-7P 228119-12-8P 228119-13-9P 228119-14-0P
228119-15-1P 228119-16-2P 228119-17-3P 228119-18-4P 228119-19-5P
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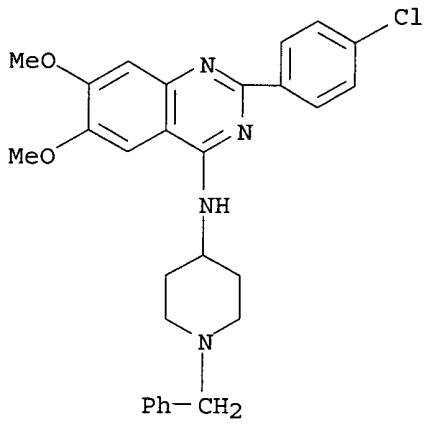
228119-30-0P 228119-31-1P 228119-32-2P 228119-33-3P 228119-34-4P
228119-35-5P 228119-36-6P 228119-37-7P 228119-38-8P 228119-39-9P
228119-40-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of arylaminoquinazolines as cardiovascular agents)

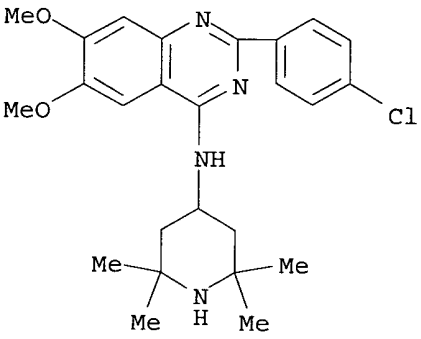
IT **228118-71-6P 228118-75-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of arylaminoquinazolines as cardiovascular agents)

RN 228118-71-6 HCAPLUS

CN 4-Quinazolinamine, 2-(4-chlorophenyl)-6,7-dimethoxy-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

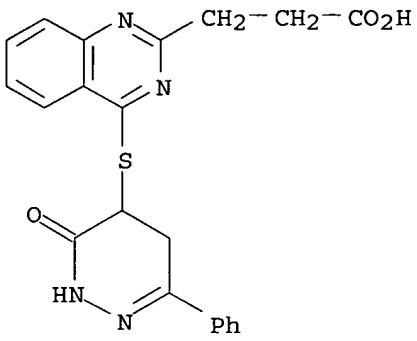


RN 228118-75-0 HCAPLUS
CN 4-Quinazolinamine, 2-(4-chlorophenyl)-6,7-dimethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

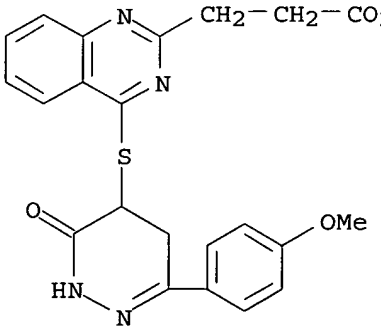


L103 ANSWER 21 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:508176 HCAPLUS
DOCUMENT NUMBER: 129:245112
TITLE: Utilization of 2-(2-carboxyethyl)-4(3H)-quinazolinethione in the synthesis of condensed and noncondensed heterocycles
AUTHOR(S): Amine, M. S.; Eissa, A. M. F.; Shaaban, A. F.; El-Sawy, A.; El-Sayed, R.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Benha University, Benha, Egypt
SOURCE: Indian Journal of Heterocyclic Chemistry (**1998**), 7(4), 289-292
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 17 Aug 1998
AB Reactions of the title compound under different reaction conditions have yielded condensed and noncondensed heterocyclic systems, e.g., I and II (R = H, OMe). Their structures have been ascertained on the basis of IR, NMR and mass spectral data. The antibacterial activity of the products was examined

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10
IT 213203-14-6P 213203-15-7P 213203-18-0P 213203-19-1P
213203-20-4P 213203-21-5P 213203-23-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(2-(2-carboxyethyl)-4(3H)-quinazolinethione in preparation of condensed and noncondensed heterocycles)
IT **213203-20-4P 213203-21-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(2-(2-carboxyethyl)-4(3H)-quinazolinethione in preparation of condensed and noncondensed heterocycles)
RN 213203-20-4 HCAPLUS
CN 2-Quinazolinepropanoic acid, 4-[(2,3,4,5-tetrahydro-3-oxo-6-phenyl-4-pyridazinyl)thio]- (9CI) (CA INDEX NAME)



RN 213203-21-5 HCAPLUS
CN 2-Quinazolinepropanoic acid, 4-[[2,3,4,5-tetrahydro-6-(4-methoxyphenyl)-3-oxo-4-pyridazinyl]thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 22 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:494195 HCAPLUS
DOCUMENT NUMBER: 125:142765
TITLE: Preparation of quinazolineamines and analogs as

endothelin converting enzyme inhibitors

INVENTOR(S): Ahn, Kyunghye; Cheng, Xue-Min; Doherty, Annette Marian; Elslager, Edward Faith; Kornberg, Brian; Lee, Chitase; Leonard, Daniele; Nikam, Sham Shribhar; Werbel, Leslie Morton

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619474	A1	19960627	WO 1995-US15366	19951127 <--
W: CA, EE, JP, LT, LV, MX, SI				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5658902	A	19970819	US 1994-363104	19941222 <--
CA 2206046	AA	19960627	CA 1995-2206046	19951127 <--
EP 799221	A1	19971008	EP 1995-941477	19951127 <--
EP 799221	B1	20021030		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
JP 10510834	T2	19981020	JP 1995-519802	19951127 <--
AT 226951	E	20021115	AT 1995-941477	19951127 <--
PT 799221	T	20030331	PT 1995-941477	19951127 <--
ES 2186734	T3	20030516	ES 1995-941477	19951127 <--
US 5773444	A	19980630	US 1997-837176	19970414 <--

PRIORITY APPLN. INFO.: US 1994-363104 A 19941222 <--
WO 1995-US15366 W 19951127 <--

OTHER SOURCE(S): MARPAT 125:142765

ED Entered STN: 20 Aug 1996

AB Title compds. [e.g., I; R = (halo)alkyl, (hetero)aryl(alkyl); R1 = substituted alkyl, heterocyclyl, etc.; R2 = H or alkyl; NR1R2 = heterocyclyl; R3-R6 = H, halo, alkyl, alkoxy, etc.] were prepared Thus, 5-iodoanthranilic acid was cyclocondensed with a trichloroacetimidate and the chlorinated product aminated by 3-amino-1-ethylpiperidine to give I (R = CCl3, R1 = 1-ethyl-3-piperidinyl, R3 = R5 = R6 = H, R4 = iodo) which had IC50 of 6.6μM in a EAhy926 cell-based assay.

IC ICM C07D401-12
ICS C07D239-94; C07D453-02; C07D403-12; A61K031-505

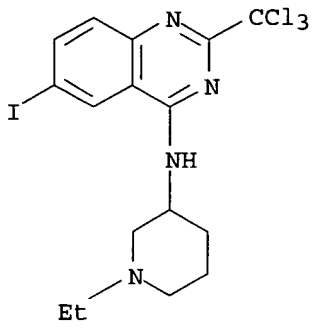
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **179598-37-9P 179598-38-0P 179598-39-1P**
179598-40-4P 179598-41-5P 179598-42-6P 179598-43-7P
179598-44-8P 179598-45-9P 179598-46-0P 179598-47-1P 179598-48-2P
179598-49-3P **179598-50-6P** 179598-51-7P 179598-52-8P
179598-53-9P 179598-54-0P 179598-55-1P 179598-56-2P
179598-57-3P **179598-58-4P 179598-59-5P**
179598-60-8P 179598-61-9P 179598-62-0P
179598-63-1P 179598-64-2P 179598-65-3P
179598-66-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolineamines and analogs as endothelin converting enzyme inhibitors)

IT **179598-37-9P 179598-38-0P 179598-39-1P**
179598-40-4P 179598-41-5P 179598-50-6P

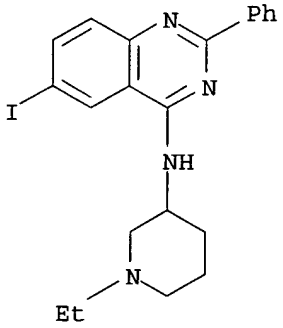
179598-53-9P 179598-58-4P 179598-59-5P
179598-60-8P 179598-61-9P 179598-62-0P
179598-63-1P 179598-64-2P 179598-65-3P
179598-66-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolineamines and analogs as endothelin converting enzyme inhibitors)

RN 179598-37-9 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

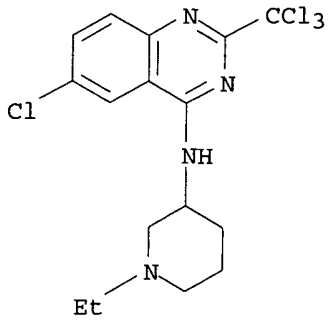


● HCl

RN 179598-38-0 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-phenyl- (9CI) (CA INDEX NAME)

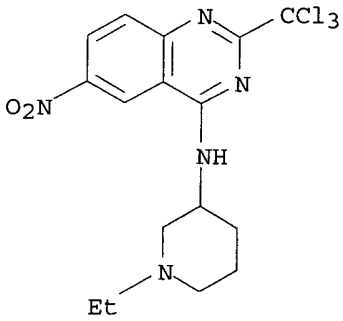


RN 179598-39-1 HCAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



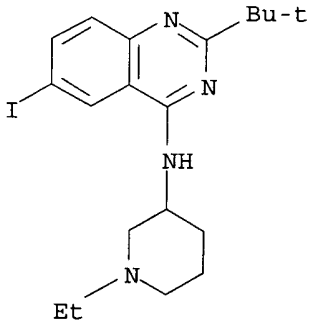
● HCl

RN 179598-40-4 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

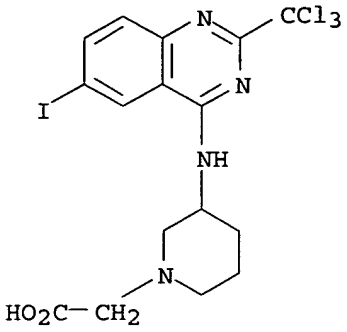


● HCl

RN 179598-41-5 HCAPLUS
CN 4-Quinazolinamine, 2-(1,1-dimethylethyl)-N-(1-ethyl-3-piperidinyl)-6-iodo- (9CI) (CA INDEX NAME)

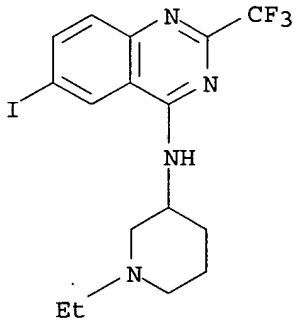


RN 179598-50-6 HCAPLUS
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-quinazolinyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)

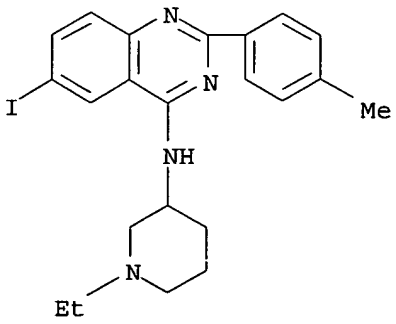


● K

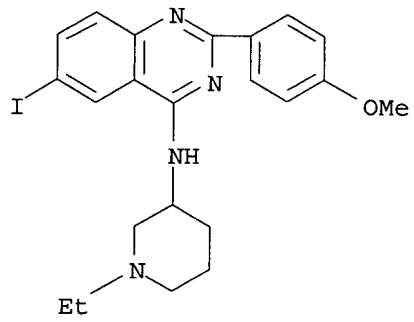
RN 179598-53-9 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)



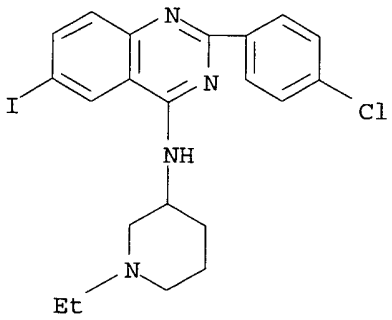
RN 179598-58-4 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(4-methylphenyl)-(9CI) (CA INDEX NAME)



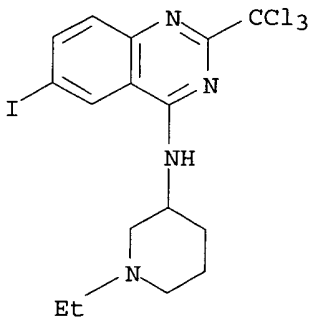
RN 179598-59-5 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(4-methoxyphenyl)-(9CI) (CA INDEX NAME)



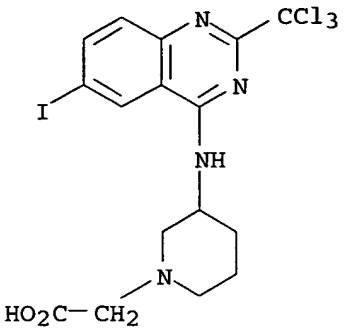
RN 179598-60-8 HCAPLUS
CN 4-Quinazolinamine, 2-(4-chlorophenyl)-N-(1-ethyl-3-piperidinyl)-6-iodo-(9CI) (CA INDEX NAME)



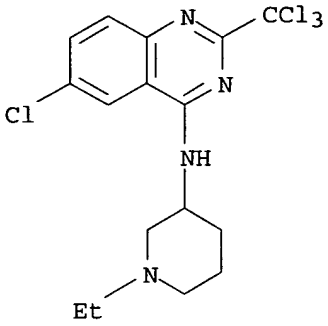
RN 179598-61-9 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



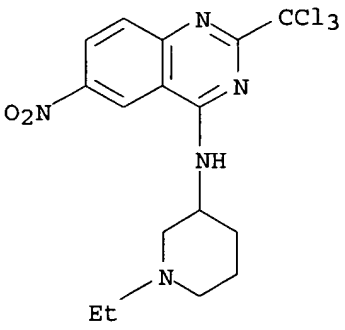
RN 179598-62-0 HCAPLUS
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



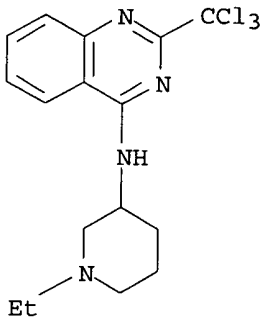
RN 179598-63-1 HCAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



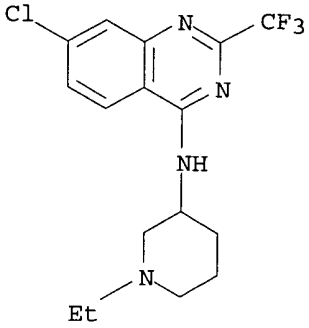
RN 179598-64-2 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



RN 179598-65-3 HCAPLUS
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)- (9CI) (CA INDEX NAME)



RN 179598-66-4 HCAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(1-ethyl-3-piperidinyl)-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)



L103 ANSWER 23 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:567069 HCAPLUS
DOCUMENT NUMBER: 125:221856
TITLE: Preparation of quinazoline derivatives as adrenergic α1C receptor antagonists
INVENTOR(S): Andrews, Robert Carl; Brown, Peter Jonathan; Deaton, David Norman; Drewry, David Harold; Foley, Michael Andrew; Garrison, Deanna T.; Marron, Brian Edward; Smalley, Terrence L.; Berman, Judd M.; Noble, Stewart Alywyn
PATENT ASSIGNEE(S): Glaxo Inc, USA
SOURCE: Brit. UK Pat. Appl., 190 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2295387	A1	19960529	GB 1994-23635	19941123 <--
PRIORITY APPLN. INFO.:			GB 1994-23635	19941123 <--

OTHER SOURCE(S): MARPAT 125:221856
ED Entered STN: 24 Sep 1996
AB Title compds. [I; R = Z1Z2 = R4; R1 = H, halo, alkyl, alkoxy, etc.; R4 =

H, (di)(alkyl)amino, phenyl(oxy), etc.; R5,R6 = H, OH, halo, alkyl, alkoxy; Z1 = NH, 2-(piperazine-1,4-diyl)ethylimino, iminopyridine-5,2-diylimino, etc.; Z2 = bond, (un)substituted alkylene] were prepared as adrenergic α1C receptor antagonists (no data). Thus, 4-chloro-2-phenylquinazoline was aminated by 4-amino-1-benzylpiperidine and the deprotected product N-alkylated by 5-(2-chloroethyl)-2-methoxybenzenesulfonamide (preparation given) to give title compound II.

IC ICM C07D239-72
ICS A61K031-505

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 181112-98-1P **181113-01-9P** 181113-04-2P 181113-07-5P
181113-11-1P **181113-13-3P** 181113-14-4P 181113-17-7P
181113-21-3P **181113-24-6P** **181113-26-8P**
181113-27-9P 181113-29-1P 181113-31-5P 181113-33-7P
181113-35-9P 181113-36-0P 181113-38-2P 181113-39-3P 181113-41-7P
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181114-01-2P 181114-03-4P 181114-05-6P 181114-07-8P 181114-08-9P
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181114-18-1P 181114-20-5P 181114-21-6P 181114-24-9P 181114-26-1P
181114-28-3P 181114-29-4P 181114-30-7P 181114-31-8P 181114-32-9P
181114-33-0P 181114-34-1P 181114-36-3P 181114-38-5P 181114-40-9P
181114-42-1P 181114-43-2P 181114-44-3P 181114-45-4P 181114-46-5P
181114-48-7P 181114-49-8P 181114-51-2P 181114-53-4P 181114-55-6P
181117-78-2P 181230-25-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as adrenergic α1C receptor antagonists)

IT 1028-96-2P 1151-70-8P 2387-20-4P 3569-21-9P, 3-(3-Indolyl)-1-propanol 4765-59-7P 5900-59-4P, 2-Amino-4-Chlorobenzamide 6484-23-7P 7012-94-4P 10061-40-2P, 2-Methylaminoethanethiol 18343-44-7P 18818-40-1P 19407-55-7P 19407-57-9P 22532-51-0P, Acetic acid, 2-(4-Methoxyphenyl)ethyl ester 26059-80-3P 37488-58-7P 41994-91-6P, 2-Nitro-4-Chlorobenzamide 59772-47-3P, 3-Chloro-2-nitrobenzamide 87542-15-2P, N-(2-Mercaptoethyl)formamide 115256-54-7P 116578-61-1P 122536-77-0P 131878-23-4P 138867-15-9P 179535-46-7P 179535-74-1P 181114-56-7P **181114-57-8P** **181114-58-9P** 181114-59-0P
181114-60-3P 181114-61-4P 181114-62-5P 181114-63-6P 181114-64-7P
181114-65-8P 181114-66-9P 181114-67-0P 181114-68-1P 181114-69-2P
181114-70-5P 181114-71-6P 181114-72-7P 181114-73-8P 181114-74-9P, 1-Benzyl-3-methylpyrrolidine-3-carboxylic acid 181114-75-0P
181114-76-1P, 1-Benzyl-3-methylpyrrolidine-3-amine 181114-77-2P
181114-78-3P 181114-79-4P 181114-80-7P 181114-81-8P 181114-82-9P
181114-83-0P 181114-84-1P 181114-85-2P 181114-86-3P 181114-87-4P
181114-88-5P 181114-89-6P 181114-90-9P 181114-91-0P 181114-92-1P
181114-93-2P 181114-94-3P 181114-95-4P 181114-96-5P 181114-97-6P
181114-98-7P 181114-99-8P 181115-00-4P 181115-01-5P, Benzeneethanol, 3-Bromo-4-methoxy- 181115-02-6P 181115-03-7P 181115-04-8P
181115-05-9P 181115-06-0P 181115-07-1P 181115-08-2P, 2-Benzyl-4-(2-bromoethyl)phenol 181115-09-3P 181115-10-6P
181115-11-7P 181115-12-8P 181115-13-9P 181115-14-0P 181115-15-1P

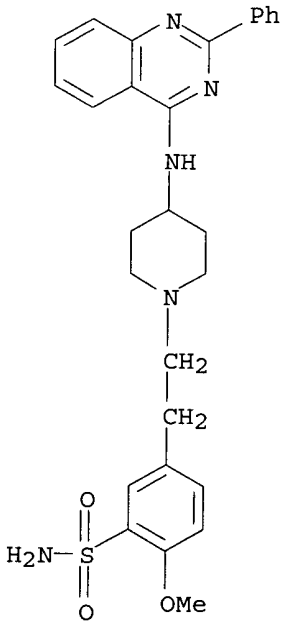
181115-16-2P 181115-17-3P 181115-18-4P 181115-19-5P 181115-20-8P
181115-21-9P 181115-22-0P 181115-23-1P 181115-24-2P 181115-25-3P
181115-27-5P 181115-29-7P 181115-30-0P 181115-31-1P 181115-32-2P
181115-33-3P 181115-34-4P 181115-35-5P 181115-36-6P 181115-37-7P
181115-38-8P 181115-39-9P 181115-40-2P 181115-42-4P 181115-44-6P
181115-45-7P 181115-46-8P 181115-47-9P 181115-48-0P 181115-50-4P
181115-55-9P 181115-56-0P 181115-57-1P 181115-58-2P 181115-59-3P
181115-60-6P 181115-61-7P 181115-62-8P 181115-63-9P 181115-64-0P
181115-66-2P 181115-67-3P 181115-68-4P 181115-69-5P 181115-70-8P
181115-71-9P 181115-72-0P 181230-26-2P 181230-27-3P 181230-28-4P
181230-29-5P 181230-30-8P 181230-31-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazoline derivs. as adrenergic α1C receptor antagonists)

IT **181113-01-9P 181113-13-3P 181113-24-6P**
181113-26-8P 181113-27-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as adrenergic α1C receptor antagonists)

RN 181113-01-9 HCAPLUS
CN Benzenesulfonamide, 2-methoxy-5-[2-[4-[(2-phenyl-4-quinazolinyl)amino]-1-piperidinyl]ethyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

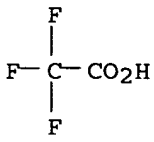
CRN 181113-00-8
CMF C28 H31 N5 O3 S



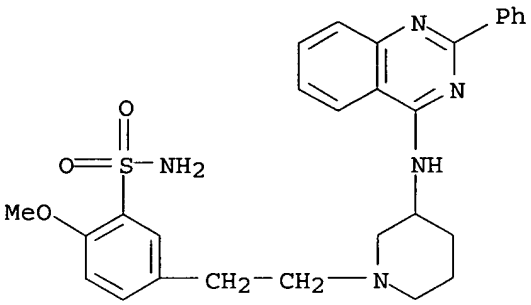
CM 2

CRN 76-05-1

CMF C2 H F3 O2



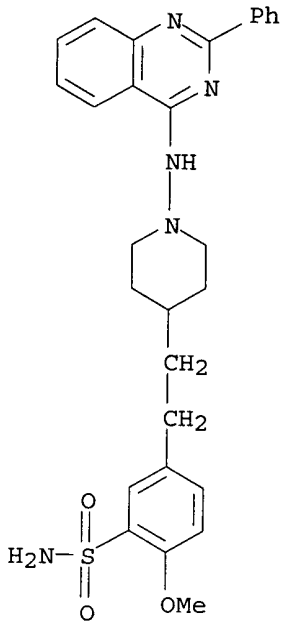
RN 181113-13-3 HCAPLUS
CN Benzenesulfonamide, 2-methoxy-5-[2-[3-[(2-phenyl-4-quinazolinyl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 181113-24-6 HCAPLUS
CN Benzenesulfonamide, 2-methoxy-5-[2-[1-[(2-phenyl-4-quinazolinyl)amino]-4-piperidinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

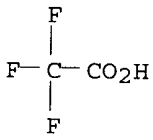
CM 1

CRN 181113-23-5
CMF C28 H31 N5 O3 S



CM 2

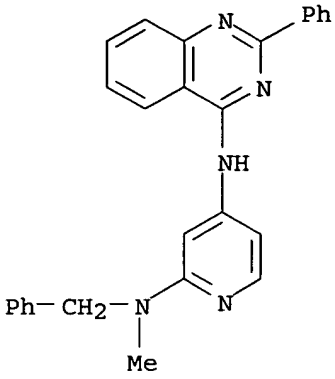
CRN 76-05-1
CMF C2 H F3 O2



RN 181113-26-8 HCAPLUS
CN 2,4-Pyridinediamine, N2-methyl-N2-(phenylmethyl)-N4-(2-phenyl-4-quinazolinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

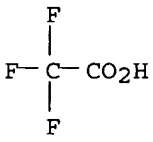
CM 1

CRN 181113-25-7
CMF C27 H23 N5

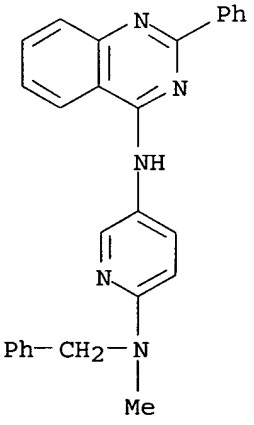


CM 2

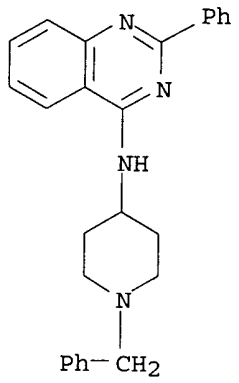
CRN 76-05-1
CMF C2 H F3 O2



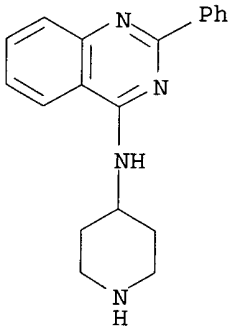
RN 181113-27-9 HCAPLUS
CN 2,5-Pyridinediamine, N2-methyl-N2-(phenylmethyl)-N5-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



IT **181114-57-8P 181114-58-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazoline derivs. as adrenergic α1C receptor antagonists)
RN 181114-57-8 HCAPLUS
CN 4-Quinazolinamine, 2-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 181114-58-9 HCAPLUS
CN 4-Quinazolinamine, 2-phenyl-N-4-piperidinyl- (9CI) (CA INDEX NAME)



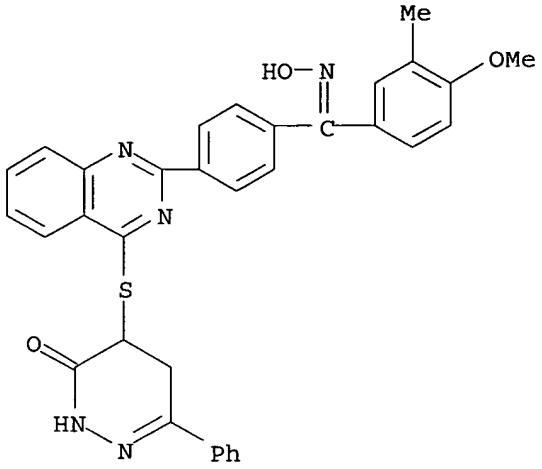
L103 ANSWER 24 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:789208 HCAPLUS
DOCUMENT NUMBER: 128:61473
TITLE: Synthesis and reactions of 2-substituted 4(3H)-quinazolinethione derivatives of possible biological activity
AUTHOR(S): El-Hashash, M. A.; Salman, A. S. S.; El-Ghaffar, N. F. Abd; Soliman, F. M. A.; Souka, L. M.; Dawood, N. T.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Ain-Shams University, Cairo, Egypt
SOURCE: Al-Azhar Bulletin of Science (**1996**), 7(1, Pt. 1), 11-18
CODEN: ABSCE7; ISSN: 1110-2535
PUBLISHER: Al-Azhar University, Faculty of Science
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 19 Dec 1997
AB Several functionally substituted thioquinazoline derivs. were synthesized from quinazolinethione I. Reaction of I with Et chloroacetate, Ph isocyanate, acrylonitrile, β-benzoylacrylic acid, copper bronze, and hydrazine hydrate were studied.
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 200121-71-7P 200121-72-8P 200121-73-9P **200121-75-1P**

200121-76-2P 200121-77-3P 200121-78-4P 200121-80-8P 200121-81-9P
200121-82-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reactions of 4(3H)-quinazolinethiones)

IT **200121-75-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reactions of 4(3H)-quinazolinethiones)

RN 200121-75-1 HCAPLUS

CN 3(2H)-Pyridazinone, 4,5-dihydro-4-[[2-[4-[(hydroxyimino)(4-methoxy-3-methylphenyl)methyl]phenyl]-4-quinazolinyl]thio]-6-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L103 ANSWER 25 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:731257 HCAPLUS
DOCUMENT NUMBER: 123:339501
TITLE: Reactions of diazines with nucleophiles. IV. The reactivity of 5-bromo-1,3,6-trimethyluracil with thiolate ions - substitution versus X-philic versus single electron transfer reactions
AUTHOR(S): Kumar, Subodh; Chimni, Swapandeep Singh; Cannoo, Deepika; Arora, Jasbir Singh
CORPORATE SOURCE: Department Chemistry, Guru Nanak Dev University, Amritsar, 143 005, India
SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(7), 891-7
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 10 Aug 1995
AB Reaction of 5-bromo-1,3,6-trimethyluracil with alkylthiolate (propane-1-, toluene-α-, allyl-, etc.) ions under phase transfer catalytic conditions follows nucleophilic substitution and X-philic (Br and S) elimination to give 5-alkylthio-1,3,6-trimethyluracils, 6-alkylthiomethyl-1,3-dimethyluracils and 1,3,6 -trimethyluracil. Reaction of 5-bromo-1,3,6-trimethyluracil with heteroarylthiolate ions (pyridine-2-, quinazoline-4-, uracil-2- and 4,6-dimethylpyrimidine-2-

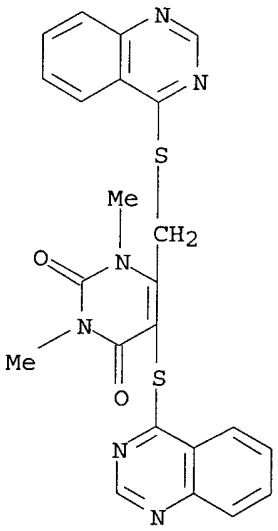
thiolate) gives only nucleophilic substitution products. However, arylthiolate (phenyl-, 4-chlorophenyl-, 2-aminophenyl-) ions follow a single electron transfer (SET) mechanism to give 5-arylthio-6-arylthiomethyl-1,3-dimethyluracils along with normal substitution products. 1,3,6-Trimethyluracil does not react with alkyl- or heteroaryl-thiolate ions but reacts with arylthiolate ions (SET) providing mainly 5-arylthio-1,3,6-trimethyluracils.

CC 26-9 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 28

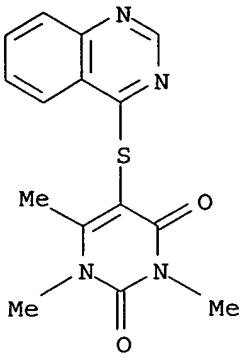
IT 142409-77-6P 142409-78-7P 142409-79-8P 143083-01-6P 143083-02-7P
143083-03-8P 143083-04-9P 143083-06-1P 143083-07-2P 143083-08-3P
143083-09-4P 154386-56-8P 154386-57-9P 154386-58-0P 170504-00-4P
170504-01-5P 170504-02-6P 170504-03-7P 170504-04-8P 170504-05-9P
170504-06-0P 170504-07-1P **170504-08-2P** 170504-09-3P
170504-10-6P **170504-11-7P** 170504-12-8P 170504-13-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of 5-bromo-1,3,6-trimethyluracil with thiolate ions)

IT **170504-08-2P 170504-11-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of 5-bromo-1,3,6-trimethyluracil with thiolate ions)

RN 170504-08-2 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,3-dimethyl-5-(4-quinazolinylthio)-6-[(4-quinazolinylthio)methyl]- (9CI) (CA INDEX NAME)



RN 170504-11-7 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,3,6-trimethyl-5-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)



L103 ANSWER 26 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:505445 HCAPLUS
DOCUMENT NUMBER: 115:105445
TITLE: Studies on cardiotonic agents. VII. Potent cardiotonic agent KF15232 with myofibrillar calcium sensitizing effect
AUTHOR(S): Nomoto, Yuji; Takai, Haruki; Ohno, Tetsuji; Kubo, Kazuhiro
CORPORATE SOURCE: Pharm. Res. Lab., Fuji, Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 411, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(4), 900-10
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 23 Sep 1991
AB A series of novel 4,5-dihydro-5-methyl-6-(4-substituted 7-quinazolinyl)-3-(2H)pyridazinones (I) was synthesized and examined for cardiotonic activity in anesthetized dogs. The 4-substituted aminoquinazolines generally showed potent and long-lasting inotropic activity. Fall in the activity was observed on the introduction of substituent at the 2-position of the quinazoline ring. The 3-substituted 4-(3H)quinazolinimines (II) generally exhibited weak activity. Ca2+ sensitizing effect of the 4-substituted amino derivs. was also examined in chemical skinned fiber from papillary muscle of guinea pig. The alkylamine derivs. exhibited small sensitizing effect, while the benzylamino derivs. exhibited large effect. Among them, KF15232 (Ix) was found to have the most potent cardiotonic and Ca2+ sensitizing activities.
CC 1-3 (Pharmacology)
Section cross-reference(s): 28
IT 124294-13-9P 124294-14-0P 124294-15-1P 124294-16-2P 124294-17-3P
124294-18-4P 124294-19-5P 124294-20-8P 124294-21-9P 124294-22-0P
124294-23-1P 124294-25-3P 124294-26-4P 124294-27-5P 124294-28-6P
124294-29-7P 124294-30-0P 124294-31-1P 124294-32-2P 124294-33-3P
124294-34-4P 124294-35-5P 124294-36-6P 124294-37-7P 124294-38-8P
124294-39-9P 124294-40-2P 124294-41-3P 124294-42-4P 124294-43-5P,
KF 15232 124294-44-6P 124294-45-7P 124294-46-8P 124294-47-9P
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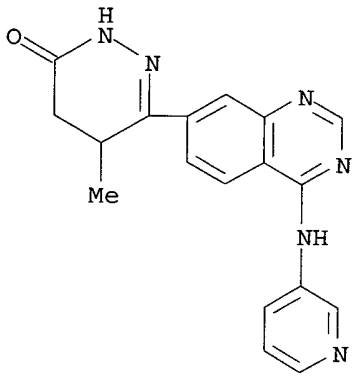
124294-53-7P 124294-54-8P 124294-55-9P 124294-56-0P 124294-58-2P
124294-59-3P 124294-60-6P 124294-61-7P 124294-62-8P 124294-63-9P
124294-64-0P 124294-65-1P 124294-66-2P 124294-67-3P 124294-68-4P
124294-69-5P 124294-70-8P 124294-71-9P 124294-72-0P 124294-73-1P
124294-74-2P 124294-75-3P 124294-76-4P 124294-77-5P 124294-78-6P

124294-79-7P 124294-80-0P 124294-81-1P 124294-82-2P 124294-87-7P
124321-61-5P 124321-62-6P 124321-63-7P 135678-10-3P 135678-11-4P
135678-12-5P **135678-13-6P** 135678-14-7P 135678-15-8P
135678-16-9P 135678-17-0P 135678-18-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and cardiotonic activity of, structure in relation to)

IT **135678-13-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and cardiotonic activity of, structure in relation to)

RN 135678-13-6 HCAPLUS
CN 3(2H)-Pyridazinone, 4,5-dihydro-5-methyl-6-[4-(3-pyridinylamino)-7-quinazolinyl]- (9CI) (CA INDEX NAME)



L103 ANSWER 27 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:112476 HCAPLUS
DOCUMENT NUMBER: 108:112476
TITLE: Preparation of phenylquinazoline derivatives as anticonvulsants and antiepileptics
INVENTOR(S): Hino, Katsuhiko; Uno, Jun; Kai, Naoyoshi; Furukawa, Kiyoshi
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62145073	A2	19870629	JP 1985-286748	19851219 <--
PRIORITY APPLN. INFO.:			JP 1985-286748	19851219 <--

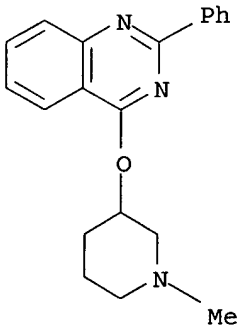
ED Entered STN: 01 Apr 1988
AB The title compds. [I; X = O, S; Ar = (un)substituted aryl, Ph, pyridyl; R1 = H, halo, alkyl, CF3, alkoxy, OH, NH2, or two R1 form alkylenedioxy; R2 = ANR3R4 or Q (A = alkylene; R3, R4 = alkyl, cycloalkyl, substituted aralkyl, etc.; R5 = H, alkyl, cycloalkyl, etc.); n, l = 1-3; m = 0-2], useful as anticonvulsants and antiepileptics (no data) were prepared A mixture of 0.57 g HO(CH2)2NMe2 and 0.18 g 60% NaH in DMF was stirred at room

temperature for 30 min. Following addition of 1 g 2-chloro-4-phenylquinaozline, the reaction mixture was stirred at room temperature for 1 h and at 50° for 1 h to give 2-[2-(dimethylamino)ethoxy]quinazoline derivative II as maleic acid salt.

IC ICM C07D239-78
ICS C07D239-82; C07D239-91; C07D239-93; C07D401-12
ICA A61K031-505
ICI C07D401-12, C07D211-00, C07D239-00
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 25
IT 113241-60-4P 113241-62-6P 113241-64-8P 113241-66-0P 113241-68-2P
113241-69-3P 113241-71-7P 113241-73-9P 113241-75-1P 113241-77-3P
113241-79-5P 113241-81-9P 113241-83-1P 113241-85-3P 113241-87-5P
113241-88-6P 113241-89-7P 113241-90-0P 113241-91-1P 113241-93-3P
113241-94-4P 113241-96-6P 113241-98-8P 113242-00-5P 113242-02-7P
113242-04-9P 113242-06-1P 113242-08-3P **113242-10-7P**
113242-11-8P 113242-13-0P 113242-15-2P 113242-17-4P 113242-19-6P
113242-21-0P 113242-23-2P 113242-25-4P 113242-27-6P 113242-29-8P
113242-31-2P 113242-36-7P 113242-37-8P 113242-39-0P 113242-40-3P
113242-41-4P 113242-42-5P 113242-43-6P 113262-83-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as anticonvulsant)
IT **113242-10-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as anticonvulsant)
RN 113242-10-7 HCAPLUS
CN Quinazoline, 4-[(1-methyl-3-piperidinyl)oxy]-2-phenyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

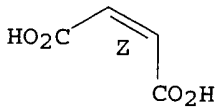
CRN 113242-09-4
CMF C20 H21 N3 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L103 ANSWER 28 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:8146 HCAPLUS
DOCUMENT NUMBER: 96:8146
TITLE: Chromogenic quinazoline compounds and their use as color constituents in pressure-sensitive or heat-sensitive recording materials
INVENTOR(S): Fletcher, Ian John
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 33716	A1	19810812	EP 1981-810019	19810126 <--
EP 33716	B1	19830525		
R: AT, BE, CH, DE, FR, GB, IT				
FI 8004067	A	19810801	FI 1980-4067	19801230 <--
FI 70036	B	19860131		
FI 70036	C	19860912		
US 4480096	A	19841030	US 1981-227294	19810122 <--
AT 3547	E	19830615	AT 1981-810019	19810126 <--
CA 1162193	A1	19840214	CA 1981-369639	19810129 <--
BR 8100571	A	19810818	BR 1981-571	19810130 <--
ES 498980	A1	19820501	ES 1981-498980	19810130 <--
JP 56120768	A2	19810922	JP 1981-12263	19810131 <--
JP 01056103	B4	19891128		
US 4435003	A	19840306	US 1982-421205	19820922 <--

PRIORITY APPLN. INFO.:

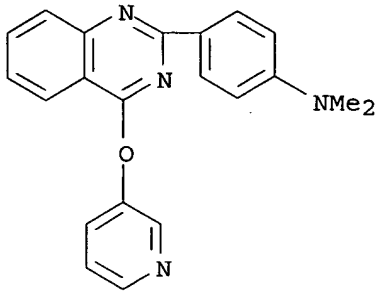
CH 1980-780	A	19800131 <--
CH 1980-5411	A	19800715 <--
US 1981-227294	A3	19810122 <--
EP 1981-810019	A	19810126 <--

ED Entered STN: 12 May 1984
AB Chromogenic compds. of general structure I are prepared, where R represents an optionally substituted p-aminophenyl or carbazol-3-yl group, R1 represents H, alkoxy, aryloxy, amino, or thio ether derivative, and ring A may be substituted. I give sublimation- and lightfast yellow, orange, or red colors when in contact with acidic developers. Thus, reaction of 4-chloro-2-[4-(dimethylamino)phenyl]quinazoline [79916-53-3] with NaOMe in refluxing MeOH gave I (R = C6H4NMe2-p, R1 = OMe) [79916-30-6], a yellow color former. Twenty other I were prepared
IC C07D239-74; C07D239-91; C07D239-93; C07D239-94; C07D403-02; C07D401-12; C09B062-20; B41M005-16; B41M005-18
CC 41-5 (Dyes, Fluorescent Brighteners, and Photographic Sensitizers)
Section cross-reference(s): 42, 43
IT 79916-30-6P 79916-31-7P 79916-32-8P 79916-33-9P 79916-35-1P
79916-36-2P 79916-37-3P 79916-38-4P 79916-39-5P 79916-40-8P
79916-41-9P 79916-42-0P 79916-43-1P 79916-44-2P 79916-45-3P

79916-46-4P 79916-47-5P **79916-48-6P** 79916-49-7P
79916-50-0P 79916-51-1P
RL: PREP (Preparation)
(manufacture of, as color former for heat- and pressure-sensitive recording materials)

IT **79916-48-6P**
RL: PREP (Preparation)
(manufacture of, as color former for heat- and pressure-sensitive recording materials)

RN 79916-48-6 HCAPLUS
CN Benzenamine, N,N-dimethyl-4-[4-(3-pyridinyloxy)-2-quinazolinyl]- (9CI) (CA INDEX NAME)

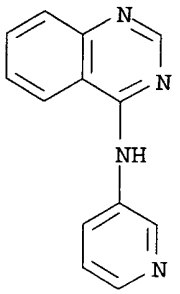


L103 ANSWER 29 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:198861 HCAPLUS
DOCUMENT NUMBER: 90:198861
TITLE: Aminoquinazolines as microbiocides
INVENTOR(S): Nakagami, Kazuto; Yokoi, Shinji; Nishimura, Kenji; Nagai, Shigeki; Honda, Takeo; Oda, Kiroku; Fujii, Katsutoshi; Kobayashi, Ryuji; Kojima, Mikio
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 54002327	A2	19790109	JP 1977-67033		19770607 <--
PRIORITY APPLN. INFO.:			JP 1977-67033	A	19770607 <--

ED Entered STN: 12 May 1984
AB Aminoquinazolines I(R = H or alkyl; X = 2-tetrahydrofuryl, pyridyl, pyrrolidinyl, etc.; Y and Z = H or halo; n = 1 or 2) are microbiocides. Synthesis of I is given. Thus, 500 ppm 6-chloro-4-furfurylaminoquinazoline [70128-50-6] controlled Cochliobolus miyabeanus infection in rice.
IC A01N009-22
CC 5-2 (Agrochemicals)
Section cross-reference(s): 28
IT 34116-16-0P 46802-47-5P 70128-50-6P 70128-51-7P 70128-52-8P
70128-53-9P 70128-55-1P 70128-56-2P 70128-57-3P 70128-58-4P
70128-59-5P 70128-60-8P 70128-62-0P 70345-12-9P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and microbiocidal activity of)
IT **70128-59-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and microbiocidal activity of)
RN 70128-59-5 HCAPLUS
CN 4-Quinazolinamine, N-3-pyridinyl- (9CI) (CA INDEX NAME)

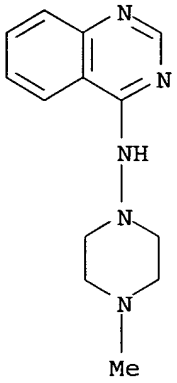


L103 ANSWER 30 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1970:90502 HCAPLUS
DOCUMENT NUMBER: 72:90502
TITLE: Stimulant and antidepressant 4-(substituted amino) quinazolines
INVENTOR(S): Hardtmann, Goetz E.; Ott, Hans
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

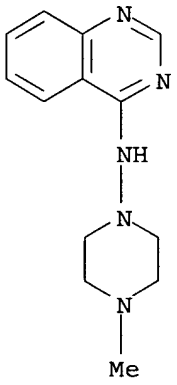
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3470182	A	19690930	US 1967-614813	19670209 <--
PRIORITY APPLN. INFO.:			US 1967-614813 A	19670209 <--

ED Entered STN: 12 May 1984
AB 4-Amino-substituted quinazolines (I) are synthesized and can be used as central nervous system stimulants and antidepressants. The compds. are prepared by reacting a 4-haloquinazoline with an appropriate amine at room or elevated temps. When a solvent is employed, it is preferably carried out in the presence of a tertiary amine, e.g. Et3N, to take up the HX liberated during the reaction. When the amine is used as solvent, then a sufficient excess is allowed to be present to react with the liberated HX. A representative formulation for oral administration is given as well as pharmaceutical data. Compds. I prepared were (R given): 4-methyl-1-piperazinyl, an oil, di-HCl salt m. 290-4°; 4-(β-hydroxyethyl)-1-piperazinyl, an oil, di-HCl salt, m. 241-43°; 4-phenyl-1-piperazinyl, an oil, di-HCl saltm. 225-30°; 1-methyl-4-piperidylamino, 179-81°; di-HCl salt m. 297-300°; [β-(2-pyridyl)ethyl]amino, m. 204-7°; 2-indanylamino, m. 204-7°; [β-(3-indolyl)ethyl]amino, m. 162-70° g.
IC C07D; A61K
INCL 260256400
CC 28 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 26731-83-9P 26731-84-0P 26731-85-1P 26731-86-2P 26731-87-3P
26731-88-4P **26731-89-5P 26731-90-8P** 26731-91-9P
26731-92-0P 26731-93-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT **26731-89-5P 26731-90-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 26731-89-5 HCAPLUS
CN Quinazoline, 4-[(4-methyl-1-piperazinyl)amino]- (8CI) (CA INDEX NAME)



RN 26731-90-8 HCAPLUS
CN Quinazoline, 4-[(4-methyl-1-piperazinyl)amino]-, dihydrochloride (8CI)
(CA INDEX NAME)



●2 HCl

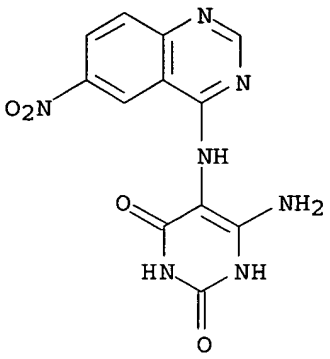
L103 ANSWER 31 OF 92 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1950:3125 HCAPLUS
DOCUMENT NUMBER: 44:3125
ORIGINAL REFERENCE NO.: 44:635h-i,636a-e
TITLE: Chemistry of simple heterocyclic systems. II.
Condensation of 4-chloro-6- and 7-nitroquinazoline

with amines
AUTHOR(S): Morley, J. S.; Simpson, J. C. E.
SOURCE: Journal of the Chemical Society, Abstracts (**1949**) 1014-17
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
ED Entered STN: 22 Apr 2001
AB cf. C.A. 43, 3420c. The condensation of 4-chloro-6-(I) and -7-nitroquinazoline (II) with a variety of primary aromatic and heterocyclic amines has been studied and the results have been correlated with the basic strength and nature of the amines. I and II do not condense with primary heterocyclic amines in which a prototropic change to an iminodihydro derivative is formally possible; condensation occurs between I and II and aromatic amines or bz-heterocyclic amines provided that the pKa values of such amines lie within the approx. range 1-5.2. Condensation does not occur if the pKa values of the amines lie on either side of this range. These results accord with the view that the reaction between chloro-heterocyclic compds. and amines is acid catalyzed. I and II did not react with 2,4-(O2N)2C6H3NH2, 1,2-O2NC10H6NH2, PhCH2NH2, 4-aminoquinazoline and its 6-NO2 derivative, 4-aminocinnoline and the 6-Cl and 6-NO2 derivs., 6-nitro-4-aminoquinazoline, and 2-aminoquinoline. In nearly all these cases, the nonoccurrence of condensation was demonstrated by the isolation of the chloro- or hydroxyquinazoline and sometimes of the amine also. The following compds. were prepared from I or II and 5-10% excess of the appropriate amine in 50% aqueous Me2CO containing 2-3 drops concentrated HCl by refluxing 0.5 hrs. 6-Nitro-4-(m-nitroanilino)quinazoline (III), yellow, m. 270-1°, 85%; 7-NO2 isomer, with 0.5 mol. H2O, pale yellow, m. 284-5°, 83%; 4-(p-nitroanilino) isomer of III, bright yellow, m. 319-20° (decomposition), 98%; 7-NO2 isomer, yellow, m. 291-2° (decomposition), 95%; 6-nitro-4-(6-methyl-3-quinolylamino)quinazoline, deep yellow, m. 294-5°, 100%; 7-NO2 isomer, bright yellow, m. 337-8° (decomposition), 100%; 7-nitro-4-(4-amino-2,6-dihydroxy-5-pyrimdylamino)quinazoline, with 0.5 mol. H2O, orange, does not m. at 340°, 81%; the 6-NO2 isomer, pale orange, does not m. at 340°, was not purified; 6-nitro-4-p-anisidinoquinazoline, orange needles (from aqueous EtOH), or bright red prisms (absolute EtOH), m. 203-5°, 100%; 7-NO2 isomer, maroon, m. 236-8°, 100%; 6-nitro-4-(5-quinolylamino)quinazoline, buff, m. 282-3° (decomposition) 96%; 7-NO2 isomer, yellow, m. 301-2° (decomposition), 95%; 6-nitro-4-(6-quinolylamino) quinazoline, yellow, m. 333-5° (decomposition), 83%; 7-NO2 isomer, as the di-HCl salt with 1 mol. H2O, pale yellow, m. 319-20° (decomposition). A characteristic reaction of the arylaminoquinazolines was the production of a deep red color on treatment with dilute aqueous-alc. alkali.
CC 10 (Organic Chemistry)
IT 16347-97-0, Quinazoline, 4-phenoxy- 159737-67-4, Quinazoline, 6-nitro-4-(6-quinolylamino)- **857475-07-1**, Quinazoline, 4-(4-amino-2,6-dihydroxy-5-pyrimidinylamino)-6-nitro- **857475-07-1**, Uracil, 6-amino-5-[6-nitro-4-quinazolinylamino]- 857759-36-5, Quinazoline, 7-nitro-4-(5-quinolylamino)- 857759-38-7, Quinazoline, 7-nitro-4-(6-quinolylamino)-, dihydrochloride **859787-01-2**, Quinazoline, 4-(4-amino-2,6-dihydroxy-5-pyrimidinylamino)-7-nitro- **859787-01-2**, Uracil, 6-amino-5-[7-nitro-4-quinazolinylamino]- 860191-71-5, Quinazoline, 4-p-anisidino-6-nitro- 860192-27-4, Quinazoline, 6-nitro-4-(5-quinolylamino)- 860192-31-0, Quinazoline, 7-nitro-4-p-nitroanilino- 860192-34-3, Quinazoline, 7-nitro-4-m-nitroanilino- 860192-36-5, Quinazoline, 6-nitro-4-p-nitroanilino- 860192-38-7, Quinazoline, 6-nitro-4-m-nitroanilino- 860192-43-4,

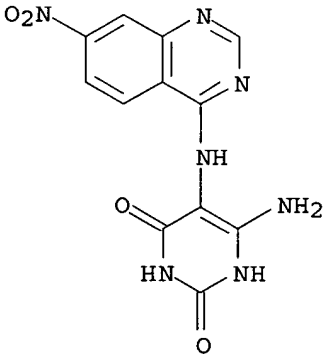
Quinazoline, 4-(6-methyl-3-quinolylamino)-7-nitro- 860192-45-6,
Quinazoline, 4-(6-methyl-3-quinolylamino)-6-nitro- 860720-52-1,
Quinazoline, 4-p-anisidino-7-nitro-
(preparation of)

IT **857475-07-1**, Quinazoline, 4-(4-amino-2,6-dihydroxy-5-pyrimidinylamino)-6-nitro- **859787-01-2**, Quinazoline, 4-(4-amino-2,6-dihydroxy-5-pyrimidinylamino)-7-nitro-
(preparation of)

RN 857475-07-1 HCAPLUS
CN Uracil, 6-amino-5-[6-nitro-4-quinazolinylamino]- (5CI) (CA INDEX NAME)



RN 859787-01-2 HCAPLUS
CN Uracil, 6-amino-5-[7-nitro-4-quinazolinylamino]- (5CI) (CA INDEX NAME)



=> d ibib ab hitstr 32
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS, CANCERLIT, DRUGU, SCISEARCH' - CONTINUE? (Y)/N:y

L103 ANSWER 32 OF 92 USPATFULL on STN DUPLICATE 1
ACCESSION NUMBER: 2003:79122 USPATFULL
TITLE: Heteroaromatic bicyclic derivatives useful as anticancer agents
INVENTOR(S): Kath, John Charles, Waterford, CT, UNITED STATES
Tom, Norma Jacqueline, Waterford, CT, UNITED STATES

Cox, Eric David, Mystic, CT, UNITED STATES
Bhattacharya, Samit Kumar, Groton, CT, UNITED STATES
PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003055049	A1	20030320
	US 6867201	B2	20050315
APPLICATION INFO.:	US 2002-226255	A1	20020822 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-488378, filed on 20 Jan 2000, GRANTED, Pat. No. US 6465449

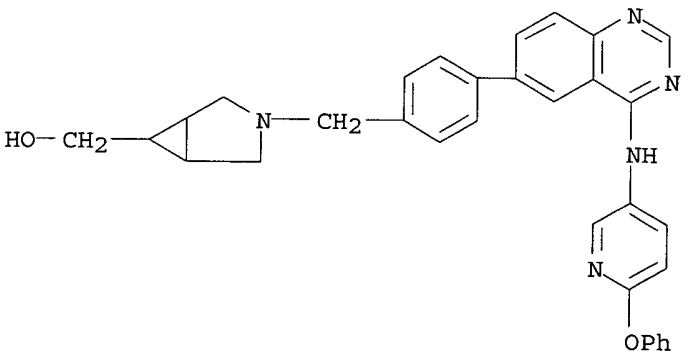
	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-117341P	19990127 (60) <--

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 1694
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to compounds of the formula 1 ##STR1##

and to pharmaceutically acceptable salts and solvates thereof, wherein A, X, R.sup.1, R.sup.3 and R.sup.4 are as defined herein. The invention also relates to methods of treating abnormal cell growth in mammals by administering the compounds of formula 1 and to pharmaceutical compositions for treating such disorders which contain the compounds of formula 1. The invention also relates to methods of preparing the compounds of formula 1.

IT **289037-00-9P**
(preparation of aminoquinazolines and related compds. as anticancer drugs)
RN 289037-00-9 USPATFULL
CN 3-Azabicyclo[3.1.0]hexane-6-methanol, 3-[[4-[4-[(6-phenoxy-3-pyridinyl)amino]-6-quinazolinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



=> d ibib ab hitstr 33-50
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS, CANCERLIT, DRUGU, SCISEARCH' - CONTINUE? (Y)/N:y

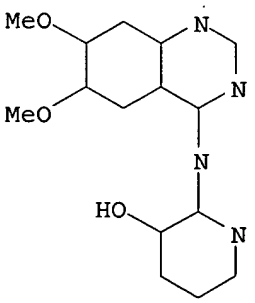
L103 ANSWER 33 OF 92 USPATFULL on STN DUPLICATE 3
ACCESSION NUMBER: 2002:251804 USPATFULL
TITLE: Quinazolines and therapeutic use thereof
INVENTOR(S): Uckun, Fatih M., White Bear Lake, MN, UNITED STATES
Liu, Xing-Ping, Minneapolis, MN, UNITED STATES
Narla, Rama Krishna, St. Paul, MN, UNITED STATES
PATENT ASSIGNEE(S): Parker Hughes Institute, Roseville, MN, UNITED STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002137757	A1	20020926
	US 6638939	B2	20031028
APPLICATION INFO.:	US 2001-923903	A1	20010807 (9)

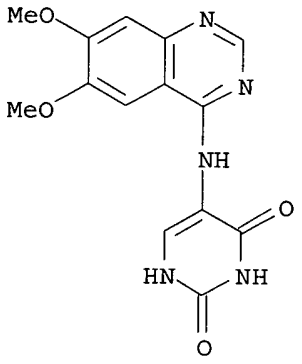
RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-779809, filed on 8 Feb 2001, PENDING Continuation of Ser. No. US 1999-357404, filed on 20 Jul 1999, GRANTED, Pat. No. US 6258820

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-125338P	19990319 (60)	<--
	US 1999-125145P	19990319 (60)	<--
	US 1999-125177P	19990319 (60)	<--

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MERCHANT & GOULD PC, P.O. BOX 2903, MINNEAPOLIS, MN, 55402-0903
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 11 Drawing Page(s)
LINE COUNT: 1903
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Quinazoline compounds and methods for the treatment of cancer and for the treatment of allergic reactions.
IT **296234-55-4P 296234-59-8P**
(preparation of quinazolines as antitumor agents)
RN 296234-55-4 USPATFULL
CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 296234-59-8 USPATFULL
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



L103 ANSWER 34 OF 92 USPATFULL on STN DUPLICATE 5
ACCESSION NUMBER: 2001:188717 USPATFULL
TITLE: Substituted bicyclic derivatives useful as anticancer agents
INVENTOR(S): Kath, John Charles, Waterford, CT, United States
Tom, Norma Jacqueline, Waterford, CT, United States
Liu, Zhengyu, Waterford, CT, United States
Cox, Eric David, Mystic, CT, United States
Morris, Joel, East Lyme, CT, United States
Bhattacharya, Samit Kumar, Groton, CT, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001034351	A1	20011025
	US 6541481	B2	20030401
APPLICATION INFO.:	US 2001-834259	A1	20010412 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-488350, filed on 20 Jan 2000, PENDING

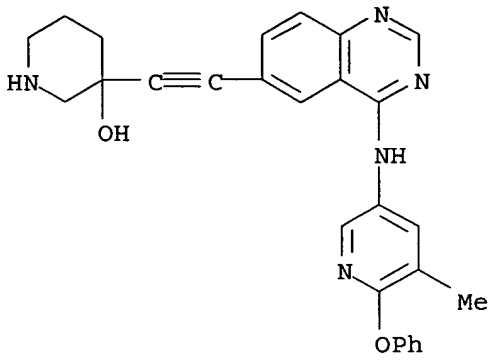
	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-117346P	19990127 (60) <--

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Paul H. Ginsburg, Pfizer Inc, 235 East 42nd Street, 20th Floor, New York, NY, 10017-5755
NUMBER OF CLAIMS: 35
EXEMPLARY CLAIM: 1
LINE COUNT: 3214
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to compounds of the formula 1 ##STR1##

and to pharmaceutically acceptable salts and solvates thereof, wherein A, X, R.sup.1, R.sup.3 and R.sup.4 are as defined herein. The invention also relates to methods of treating abnormal cell growth in mammals with administering the compounds of formula 1 and to pharmaceutical compositions for treating such disorders which contain the compounds of formula 1. The invention also relates to methods of preparing the compounds of formula 1.

IT **287190-13-0P**
(preparation of substituted bicyclic derivs. useful as anticancer agents)
RN 287190-13-0 USPATFULL

CN 3-Piperidinol, 3-[[4-[(5-methyl-6-phenoxy-3-pyridinyl)amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



L103 ANSWER 35 OF 92 USPATFULL on STN DUPLICATE 6

ACCESSION NUMBER:	2001:139546 USPATFULL
TITLE:	Quinazolines and therapeutic use thereof
INVENTOR(S):	Uckun, Fatih M., White Bear Lake, MN, United States Liu, Xing-Ping, Minneapolis, MN, United States Narla, Rama Krishna, St. Paul, MN, United States
PATENT ASSIGNEE(S):	Hughes Institute, Roseville, MN, United States, 55113 (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001016588	A1	20010823
	US 6358962	B2	20020319
APPLICATION INFO.:	US 2001-779809	A1	20010208 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-357404, filed on 20 Jul 1999, PENDING

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-125338P	19990319 (60)	<--
	US 1999-125145P	19990319 (60)	<--
	US 1999-125177P	19990319 (60)	<--

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	Attention: Brian C. Whipps, MERCHANT & GOULD P.C., P.O. Box 2903, Minneapolis, MN, 55402-0903
NUMBER OF CLAIMS:	27
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	18 Drawing Page(s)
LINE COUNT:	1920

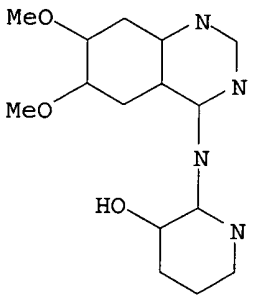
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Quinazoline compounds and methods for the treatment of cancer and for the treatment of allergic reactions.

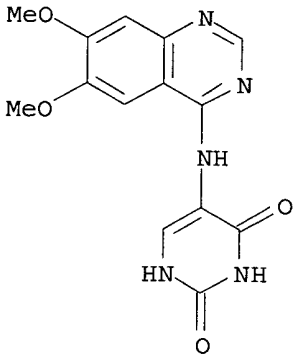
IT **296234-55-4P 296234-59-8P**
(preparation of quinazolines as antitumor agents)

RN 296234-55-4 USPATFULL

CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 296234-59-8 USPATFULL
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



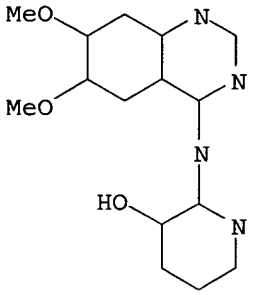
L103 ANSWER 36 OF 92 USPATFULL on STN
ACCESSION NUMBER: 2005:87888 USPATFULL
TITLE: Quinazolines and therapeutic use thereof
INVENTOR(S): Uckun, Fatih M., White Bear Lake, MN, UNITED STATES
Liu, Xing-Ping, Minneapolis, MN, UNITED STATES
Narla, Rama Krishna, St. Paul, MN, UNITED STATES
PATENT ASSIGNEE(S): Parker Hughes Institute, Roseville, MN (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005075353	A1	20050407
APPLICATION INFO.:	US 2004-852076	A1	20040524 (10)

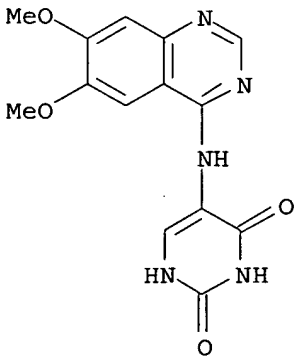
RELATED APPLN. INFO.: Continuation of Ser. No. US 2003-454960, filed on 5 Jun 2003, ABANDONED Continuation of Ser. No. US 2001-923903, filed on 7 Aug 2001, GRANTED, Pat. No. US 6638939 Continuation of Ser. No. US 2001-779809, filed on 8 Feb 2001, GRANTED, Pat. No. US 6358962 Continuation of Ser. No. US 1999-357404, filed on 20 Jul 1999, GRANTED, Pat. No. US 6258820

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-125177P	19990319 (60)	<--
	US 1999-125338P	19990319 (60)	<--

US 1999-125145P 19990319 (60) <--
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Denise M. Kettelberger, Ph.D., MERCHANT & GOULD P.C., P.O. Box 2903, Minneapolis, MN, 55402-0903
NUMBER OF CLAIMS: 30
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 18 Drawing Page(s)
LINE COUNT: 1857
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Quinazoline compounds and methods for the treatment of cancer and for the treatment of allergic reactions.
IT **296234-55-4P 296234-59-8P**
(preparation of quinazolines as antitumor agents)
RN 296234-55-4 USPATFULL
CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 296234-59-8 USPATFULL
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



L103 ANSWER 37 OF 92 USPATFULL on STN
ACCESSION NUMBER: 2004:51571 USPATFULL
TITLE: 6,7-Dimethoxyquinazolines and therapeutic use thereof
INVENTOR(S): Uckun, Fatih M., White Bear Lake, MN, UNITED STATES
Liu, Xing-Ping, Minneapolis, MN, UNITED STATES
Narla, Rama Krishna, St. Paul, MN, UNITED STATES

PATENT ASSIGNEE(S): Parker Hughes Institute, St. Paul, MN (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004039002	A1	20040226
APPLICATION INFO.:	US 2003-454960	A1	20030605 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-923903, filed on 7 Aug 2001, PENDING Continuation of Ser. No. US 2001-779809, filed on 8 Feb 2001, GRANTED, Pat. No. US 6358962 Continuation of Ser. No. US 1999-357404, filed on 20 Jul 1999, GRANTED, Pat. No. US 6258820

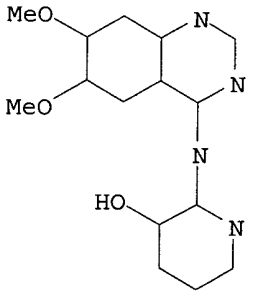
	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-125177P	19990319 (60)	<--
	US 1999-125338P	19990319 (60)	<--
	US 1999-125145P	19990319 (60)	<--

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Attention: Anna M. Nelson, MERCHANT & GOULD P.C., P.O. Box 2903, Minneapolis, MN, 55402-0903
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 18 Drawing Page(s)
LINE COUNT: 1886
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Quinazoline compounds and methods for the treatment of cancer and for the treatment of allergic reactions.

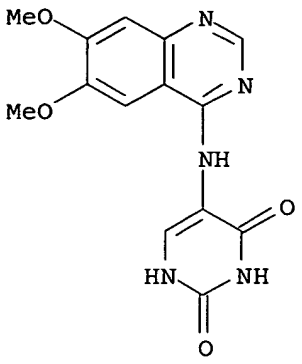
IT **296234-55-4P 296234-59-8P**
(preparation of quinazolines as antitumor agents)

RN 296234-55-4 USPATFULL
CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 296234-59-8 USPATFULL
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



L103 ANSWER 38 OF 92 USPATFULL on STN
ACCESSION NUMBER: 2003:265978 USPATFULL
TITLE: Substituted bicyclic derivatives useful as anticancer agents
INVENTOR(S): Kath, John Charles, Waterford, CT, UNITED STATES
Jacqueline, Tom Norma, Waterford, CT, UNITED STATES
Zhengyu, Liu, Waterford, CT, UNITED STATES
Cox, Eric David, Mystic, CT, UNITED STATES
Morris, Joel, East Lyme, CT, UNITED STATES
Bhattacharya, Samit Kumar, Groton, CT, UNITED STATES
PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003186995	A1	20031002
APPLICATION INFO.:	US 2003-349475	A1	20030121 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-834259, filed on 12 Apr 2001, GRANTED, Pat. No. US 6541481 Division of Ser. No. US 2000-488350, filed on 20 Jan 2000, GRANTED, Pat. No. US 6284764

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-117346P	19990127 (60)

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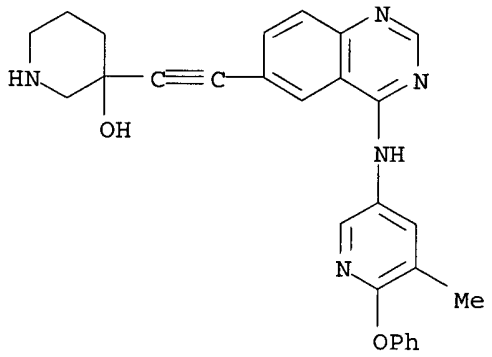
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612
NUMBER OF CLAIMS: 35
EXEMPLARY CLAIM: 1
LINE COUNT: 3796
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to compounds of the formula 1 ##STR1##

and to pharmaceutically acceptable salts and solvates thereof, wherein A, X, R.sup.1, R.sup.3 and R.sup.4 are as defined herein. The invention also relates to methods of treating abnormal cell growth in mammals with administering the compounds of formula 1 and to pharmaceutical compositions for treating such disorders which contain the compounds of formula 1. The invention also relates to methods of preparing the compounds of formula 1.

IT **287190-13-0P**
(preparation of substituted bicyclic derivs. useful as anticancer agents)

RN 287190-13-0 USPATFULL
CN 3-Piperidinol, 3-[[4-[(5-methyl-6-phenoxy-3-pyridinyl)amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



L103 ANSWER 39 OF 92 USPATFULL on STN
ACCESSION NUMBER: 2003:234790 USPATFULL
TITLE: Substituted 2-aryl-4-amino-chinazolines, method for the production and use thereof as medicaments
INVENTOR(S): Schindler, Ursula, Bad Soden, GERMANY, FEDERAL REPUBLIC OF
Schonafinger, Karl, Alzenau, GERMANY, FEDERAL REPUBLIC OF
Strobel, Hartmut, Liederbach, GERMANY, FEDERAL REPUBLIC OF
Schindler, Peter, Bad Soden, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Frankfurt am Main, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 6613772	B1	20030902		
	WO 9932460		19990701		<--
APPLICATION INFO.:	US 2000-581763		20000616	(9)	<--
	WO 1998-EP8097		19981211		<--

	NUMBER	DATE	
PRIORITY INFORMATION:	DE 1997-19756388	19971218	<--

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Ford, John M.
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1352
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of the formula I ##STR1##

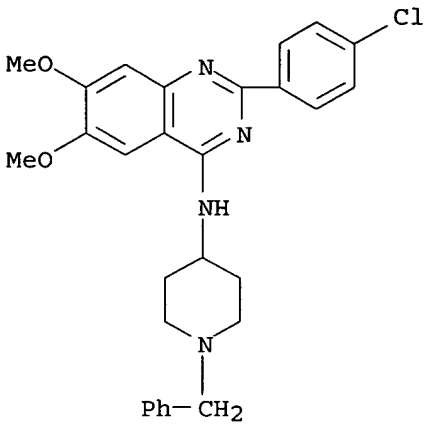
in which R.sup.1, R.sup.2, R.sup.3 and Ar have the meanings indicated in the claims, are suitable for the production of pharmaceuticals, for example for the prophylaxis and therapy of cardiovascular diseases such

as high blood pressure, angina pectoris, cardiac insufficiency, thromboses or atherosclerosis. The compounds of the formula I have the ability to modulate the endogenous production of cyclic guanosine monophosphate (cGMP) and are generally suitable for the therapy and prophylaxis of disease states which are associated with a disturbed cGMP balance.

IT **228118-71-6P 228118-75-0P**
(preparation of arylaminoquinazolines as cardiovascular agents)

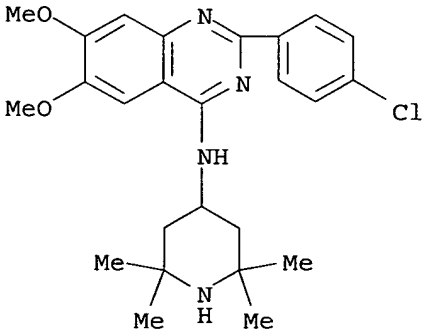
RN 228118-71-6 USPATFULL

CN 4-Quinazolinamine, 2-(4-chlorophenyl)-6,7-dimethoxy-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 228118-75-0 USPATFULL

CN 4-Quinazolinamine, 2-(4-chlorophenyl)-6,7-dimethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



L103 ANSWER 40 OF 92 USPATFULL on STN

ACCESSION NUMBER: 2003:197149 USPATFULL

TITLE: Substituted pyrimidines, processes for their preparation, and their use as pesticides and fungicides

INVENTOR(S): Schaper, Wolfgang, Diedorf, GERMANY, FEDERAL REPUBLIC OF
Preuss, Rainer, Hofheim am Taunus, GERMANY, FEDERAL REPUBLIC OF
Salbeck, Gerhard, late of Kriftel/Taunus, GERMANY, FEDERAL REPUBLIC OF deceasedess

Gisela Salbeck, United States heir
Braun, Peter, Mainz, GERMANY, FEDERAL REPUBLIC OF
Knauf, Werner, Eppstein/Taunus, GERMANY, FEDERAL REPUBLIC OF
Sachse, Burkhard, Kelkheim, GERMANY, FEDERAL REPUBLIC OF
Waltersdorfer, Anna, Frankfurt am Main, GERMANY, FEDERAL REPUBLIC OF
Kern, Manfred, Lorzweiler, GERMANY, FEDERAL REPUBLIC OF
Lummen, Peter, Niedernhausen, GERMANY, FEDERAL REPUBLIC OF
Bonin, Werner, Kelkheim, GERMANY, FEDERAL REPUBLIC OF

PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Frankfurt am Main, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6596727	B1	20030722	
APPLICATION INFO.:	US 1996-616667		19960315 (8)	<--
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-29889, filed on 11 Mar 1993, now patented, Pat. No. US 5571815			

	NUMBER	DATE	
PRIORITY INFORMATION:	DE 1992-4208254	19920314	<--

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Ford, John M.
LEGAL REPRESENTATIVE: Frommer Lawrence & Haug LLP
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2386
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted 4-amino- and 4-alkoxy-cycloalkylpyrimidines, processes for their preparation, and their use as pesticides and fungicides

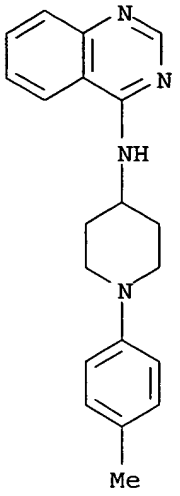
The invention relates to compounds of the formula ##STR1##

in which R.sup.1, R.sup.2, R.sup.3 and Q are as defined in the description, X is NH or oxygen and E is a bond or a 1- to 4-membered carbon chain, to a process for their preparation, to agents containing them, and to their use in the control of pests and as fungicides.

IT **152809-19-3P**
(preparation of, as pesticide)

RN 152809-19-3 USPATFULL

CN 4-Quinazolinamine, N-[1-(4-methylphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



L103 ANSWER 41 OF 92 USPATFULL on STN

ACCESSION NUMBER:	2002:206662 USPATFULL
TITLE:	Quinazoline formulations and therapeutic use thereof
INVENTOR(S):	Yiv, Seang H., Encinitas, CA, UNITED STATES Li, Mingshu, St. Paul, MN, UNITED STATES Uckun, Fatih M., White Bear Lake, MN, UNITED STATES
PATENT ASSIGNEE(S):	PARKER HUGHES INSTITUTE (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002111360	A1	20020815
APPLICATION INFO.:	US 2001-960464	A1	20010919 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 2000-US7066, filed on 17 Mar 2000, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-125147P	19990319 (60)

<--

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	MERCHANT & GOULD PC, P.O. BOX 2903, MINNEAPOLIS, MN, 55402-0903
NUMBER OF CLAIMS:	65
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	6 Drawing Page(s)
LINE COUNT:	2297

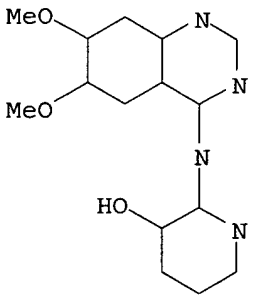
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical compositions for parenteral administration of poorly soluble quinazoline compounds in the form of microemulsions or micellar solutions are described. The compositions are useful in treating patients suffering from cancer or having allergic reactions.

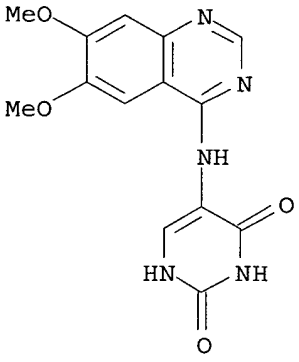
IT **296234-55-4P 296234-59-8P**
(preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer)

RN 296234-55-4 USPATFULL

CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 296234-59-8 USPATFULL
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



L103 ANSWER 42 OF 92 USPATFULL on STN

ACCESSION NUMBER:	2002:268748 USPATFULL
TITLE:	Heteroaromatic bicyclic derivatives useful as anticancer agents
INVENTOR(S):	Kath, John Charles, Waterford, CT, United States Tom, Norma Jacqueline, Waterford, CT, United States Cox, Eric David, Mystic, CT, United States Bhattacharya, Samit Kumar, Groton, CT, United States
PATENT ASSIGNEE(S):	Pfizer Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6465449	B1	20021015	
APPLICATION INFO.:	US 2000-488378		20000120	(9)

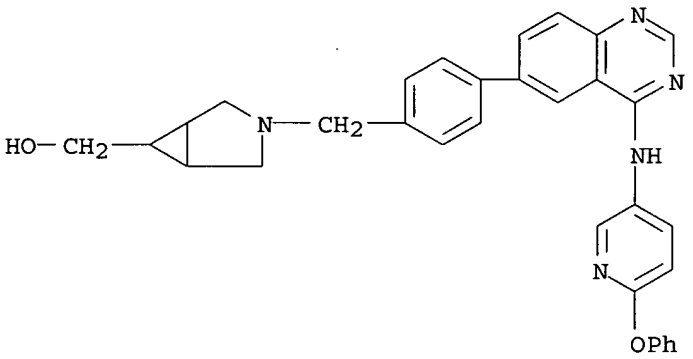
	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-117341P	19990127 (60)	<--

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Shah, Mukund J.
ASSISTANT EXAMINER:	Patel, Sudhaker B.
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Looney, Adrian

G.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1529
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to compounds of the formula 1 ##STR1##

and to pharmaceutically acceptable salts and solvates thereof, wherein A, X, R.sup.1, R.sup.3 and R.sup.4 are as defined herein. The invention also relates to methods of treating abnormal cell growth in mammals by administering the compounds of formula 1 and to pharmaceutical compositions for treating such disorders which contain the compounds of formula 1. The invention also relates to methods of preparing the compounds of formula 1.

IT **289037-00-9P**
(preparation of aminoquinazolines and related compds. as anticancer drugs)
RN 289037-00-9 USPATFULL
CN 3-Azabicyclo[3.1.0]hexane-6-methanol, 3-[[4-[4-[(6-phenoxy-3-pyridinyl)amino]-6-quinazolinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



L103 ANSWER 43 OF 92 USPATFULL on STN
ACCESSION NUMBER: 2001:147971 USPATFULL
TITLE: Substituted bicyclic derivatives useful as anticancer agents
INVENTOR(S): Kath, John Charles, Waterford, CT, United States
Tom, Norma Jacqueline, Waterford, CT, United States
Liu, Zhengyu, Waterford, CT, United States
Cox, Eric David, Mystic, CT, United States
Morris, Joel, East Lyme, CT, United States
Bhattacharya, Samit Kumar, Groton, CT, United States
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6284764	B1	20010904
APPLICATION INFO.:	US 2000-488350		20000120 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-488350, filed on 20 Jan 2000

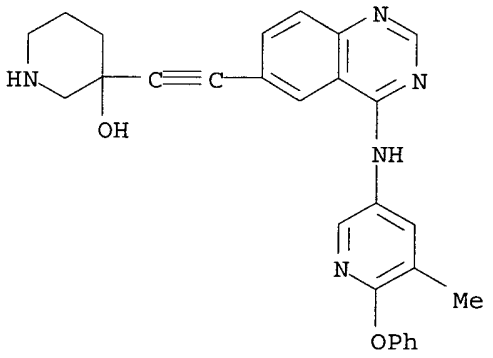
	NUMBER	DATE

PRIORITY INFORMATION: US 1999-117346P 19990127 (60) <--
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Raymond, Richard L.
ASSISTANT EXAMINER: Patel, Sudhaker B.
LEGAL REPRESENTATIVE: Richardson, Peter C., Ginsburg, Paul H., Looney, Adrian G.
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 3493
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to compounds of the formula 1 ##STR1##

and to pharmaceutically acceptable salts and solvates thereof, wherein A, X, R.sup.1, R.sup.3 and R.sup.4 are as defined herein. The invention also relates to methods of treating abnormal cell growth in mammals with administering the compounds of formula 1 and to pharmaceutical compositions for treating such disorders which contain the compounds of formula 1. The invention also relates to methods of preparing the compounds of formula 1.

IT **287190-13-0P**
(preparation of substituted bicyclic derivs. useful as anticancer agents)
RN 287190-13-0 USPATFULL
CN 3-Piperidinol, 3-[[4-[(5-methyl-6-phenoxy-3-pyridinyl)amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



L103 ANSWER 44 OF 92 USPATFULL on STN
ACCESSION NUMBER: 2001:107902 USPATFULL
TITLE: Synthesis and anti-tumor activity of 6,7-dialkoxy-4-phenylamino-quinazolines
INVENTOR(S): Uckun, Faith M., White Bear Lake, MN, United States
Liu, Xing-Ping, Minneapolis, MN, United States
Narla, Rama Krishna, St. Paul, MN, United States
PATENT ASSIGNEE(S): Parker Hughes Institute, Roseville, MN, United States (U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 6258820	B1	20010710		
APPLICATION INFO.:	US 1999-357404		19990720	(9)	<--

	NUMBER	DATE

PRIORITY INFORMATION: US 1999-125338P 19990319 (60) <--
US 1999-125145P 19990319 (60) <--
US 1999-125177P 19990319 (60) <--
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: McKenzie, Thomas
LEGAL REPRESENTATIVE: Merchant & Gould P.C.
NUMBER OF CLAIMS: 36
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 42 Drawing Figure(s); 18 Drawing Page(s)
LINE COUNT: 2044
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of the formula: ##STR1##

wherein:

R.sup.a is iodo, (C.sub.1 -C.sub.4)hydroxyalkyl, benzyloxy, OCF.sub.3, SCF.sub.3, SO.sub.3 H, SO.sub.2 F, SO.sub.2 NR.sup.2 R.sup.3 where R.sup.2 is hydrogen or (C.sub.1 -C.sub.4)alkyl and R.sup.3 is hydrogen, (C.sub.1 -C.sub.4)alkyl, or phenyl, NR.sup.2 R.sup.4 where R.sup.2 is hydrogen or (C.sub.1 -C.sub.4)alkyl and R.sup.4 is phenyl; or a group of the formula ##STR2##

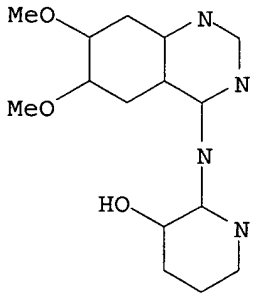
wherein R.sup.5 and R.sup.6 are each independently, hydrogen, (C.sub.1 -C.sub.4)alkyl, or (C.sub.1 -C.sub.4) perfluoroalkyl, and R.sup.7 is hydrogen, halo, hydroxy, (C.sub.1 -C.sub.4)alkyl, (C.sub.1 -C.sub.4)alkoxy, (C.sub.1 -C.sub.4)hydroxyalkyl, or N(R.sup.2).sub.2, where R.sup.2 is hydrogen or (C.sub.1 -C.sub.4)alkyl;

n is an integer of 1-4;

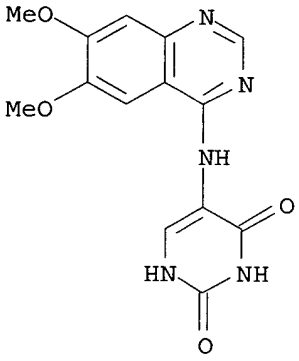
R.sup.b is each, independently, hydrogen, halo, hydroxy, mercapto, (C.sub.1 -C.sub.4)alkyl, (C.sub.1 -C.sub.4)alkoxy, (C.sub.1 -C.sub.4)thioalkyl, (C.sub.1 -C.sub.4)hydroxyalkyl, nitro, cyano, methylenedioxy, ethylenedioxy, COCH.sub.3, CF.sub.3, OCF.sub.3, SCF.sub.3, COOH, SO.sub.3 H, SO.sub.2 F, phenyl, or phenyl substituted by a group selected from halo, hydroxy, mercapto, (C.sub.1 -C.sub.4)alkyl, (C.sub.1 -C.sub.4) alkoxy, (C.sub.1 -C.sub.4)thioalkyl, (C.sub.1 -C.sub.4)hydroxyalkyl, amino, nitro, cyano, CF.sub.3, COOH, SO.sub.3 H, SO.sub.2 NR.sup.2 R.sup.3, SO.sub.2 F where R.sup.2 is H or (C.sub.1 -C.sub.4)alkyl and R.sup.3 is H, (C.sub.1 -C.sub.4)alkyl, phenyl, or phenyl substituted by a group as defined above; benzyloxy or benzyloxy substituted on the phenyl portion by a group defined above; NR.sup.2 R.sup.3 where R.sup.2 is H or (C.sub.1 -C.sub.4)alkyl and R.sup.3 is H, (C.sub.1 -C.sub.4)alkyl, phenyl, or phenyl substituted by a group as defined above; and

R.sup.1 is (C.sub.1 -C.sub.4)alkyl or a pharmaceutically acceptable salt thereof; and methods for the treatment of cancer and for the treatment of allergic reactions.

IT **296234-55-4P 296234-59-8P**
(preparation of quinazolines as antitumor agents)
RN 296234-55-4 USPATFULL
CN 3-Pyridinol, 2-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 296234-59-8 USPATFULL
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



L103 ANSWER 45 OF 92 USPATFULL on STN
ACCESSION NUMBER: 2001:18473 USPATFULL
TITLE: Quinazoline derivatives as inhibitors of P-38 α
INVENTOR(S): Chakravarty, Sarvajit, Sunnyvale, CA, United States
Perumattam, John J., Los Altos, CA, United States
Schreiner, George F., Los Altos Hills, CA, United States
Liu, David Y., Palo Alto, CA, United States
Lewicki, John A., Los Gatos, CA, United States
PATENT ASSIGNEE(S): Scios Inc., Sunnyvale, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 6184226	B1	20010206		
APPLICATION INFO.:	US 1998-141916		19980828	(9)	<--
DOCUMENT TYPE:	Utility				
FILE SEGMENT:	Granted				
PRIMARY EXAMINER:	Shah, Mukund J.				
ASSISTANT EXAMINER:	Truong, Tamthom N.				
LEGAL REPRESENTATIVE:	Morrison & Foerster LLP				
NUMBER OF CLAIMS:	17				
EXEMPLARY CLAIM:	1				
LINE COUNT:	785				

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention describes compounds of the formula ##STR1##

and the pharmaceutically acceptable salts thereof

and the pharmaceutically acceptable salts thereof

wherein each R.sup.2 is independently a noninterfering substituent;

m is an integer of 0-4;

Z is CH or N;

R.sup.1 is H, alkyl (1-6C) or arylalkyl optionally substituted on the aryl group with 1-3 substituents independently selected from alkyl (1-6C), halo, OR, NR.sub.2, SR, --OOCR, --NROCR, RCO, --COOR, --CONR.sub.2, --SO.sub.2 NR.sub.2, CN, CF.sub.3, and NO.sub.2, wherein each R is independently H or lower alkyl (1-4C);

n is 0, 1 or 2;

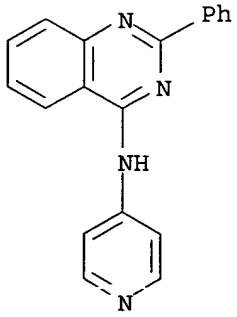
Ar is phenyl, pyridyl, indolyl, or pyrimidyl, each optionally substituted with a group selected from the group consisting of optionally substituted alkyl (1-6C), halo, OR, NR.sub.2, SR, --OOCR, --NROCR, RCO, --COOR, --CONR.sub.2, SO.sub.2 NR.sub.2, CN, CF.sub.3, and NO.sub.2, wherein each R is independently H or lower alkyl (1-4C); and

R.sup.3 is a branched or cyclic alkyl group (5-7C) or is phenyl optionally substituted with 1-2 substituents which substituents are selected from the group consisting of alkyl (1-6C), halo, OR, NR.sub.2, SR, --OOCR, --NROCR, RCO, --COOR, --CONR.sub.2, --SO.sub.2 NR.sub.2, CN, CF.sub.3, and NO.sub.2, wherein each R is independently H or lower alkyl (1-4C) which are useful as antiinflammatories and in treating cardiac disorders.

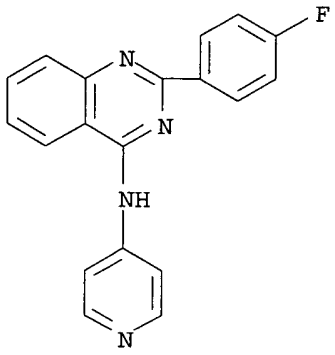
IT **259870-33-2P 259870-34-3P 259870-35-4P**
259870-37-6P 259870-38-7P 259870-39-8P
259870-40-1P 259870-41-2P 259870-42-3P
259870-43-4P 259870-44-5P 259870-45-6P
259870-46-7P 259870-47-8P 259870-48-9P
259870-49-0P 259870-50-3P 259870-51-4P
259870-52-5P
(preparation of quinazolines as p38-α kinase and TGF-β inhibitors)

RN 259870-33-2 USPATFULL

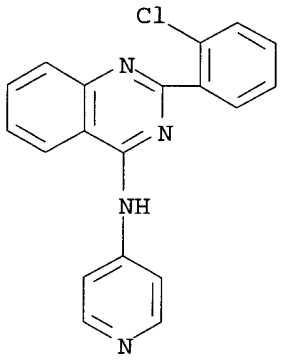
CN 4-Quinazolinamine, 2-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



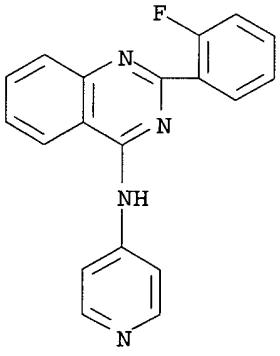
RN 259870-34-3 USPATFULL
CN 4-Quinazolinamine, 2-(4-fluorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



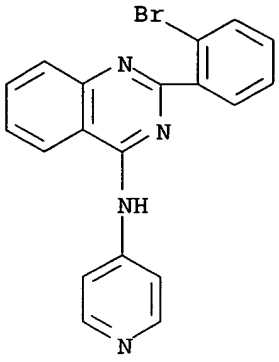
RN 259870-35-4 USPATFULL
CN 4-Quinazolinamine, 2-(2-chlorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



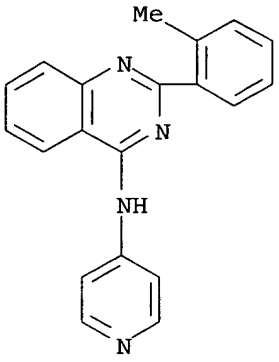
RN 259870-37-6 USPATFULL
CN 4-Quinazolinamine, 2-(2-fluorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



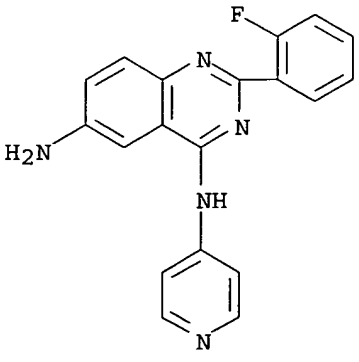
RN 259870-38-7 USPATFULL
CN 4-Quinazolinamine, 2-(2-bromophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-39-8 USPATFULL
CN 4-Quinazolinamine, 2-(2-methylphenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

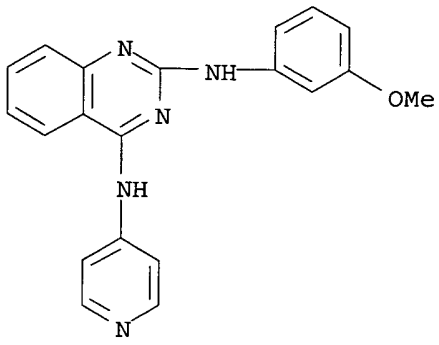


RN 259870-40-1 USPATFULL
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

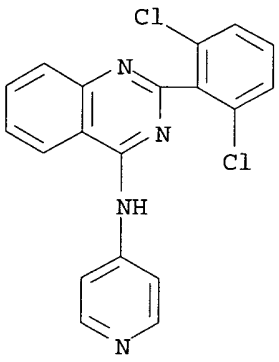


RN 259870-41-2 USPATFULL

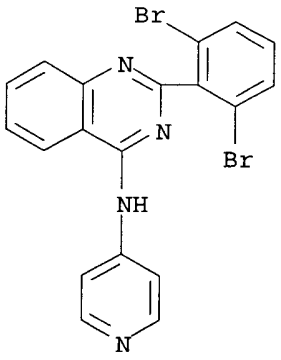
CN 2,4-Quinazolinediamine, N2-(3-methoxyphenyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-42-3 USPATFULL
CN 4-Quinazolinamine, 2-(2,6-dichlorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

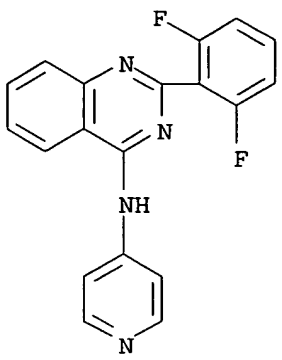


RN 259870-43-4 USPATFULL
CN 4-Quinazolinamine, 2-(2,6-dibromophenyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

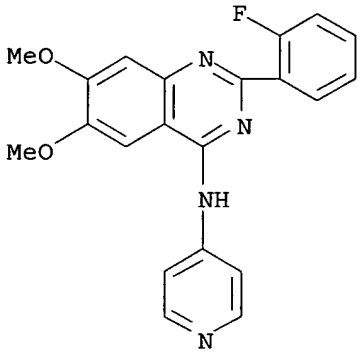


RN 259870-44-5 USPATFULL
CN 4-Quinazolinamine, 2-(2,6-difluorophenyl)-N-4-pyridinyl- (9CI) (CA INDEX

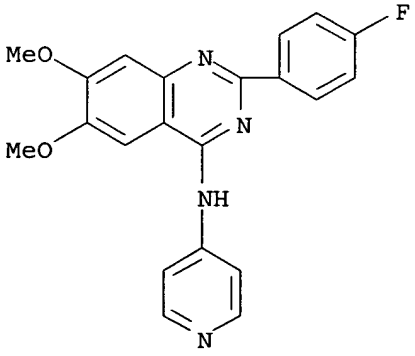
NAME)



RN 259870-45-6 USPATFULL
CN 4-Quinazolinamine, 2-(2-fluorophenyl)-6,7-dimethoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

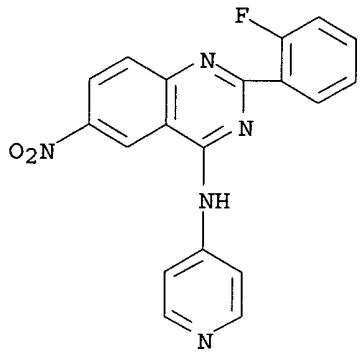


RN 259870-46-7 USPATFULL
CN 4-Quinazolinamine, 2-(4-fluorophenyl)-6,7-dimethoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

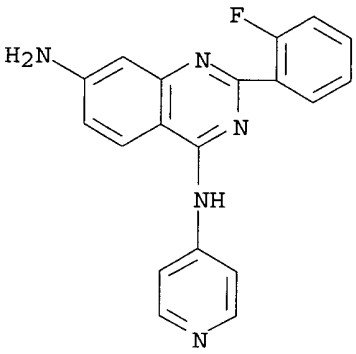


RN 259870-47-8 USPATFULL
CN 4-Quinazolinamine, 2-(2-fluorophenyl)-6-nitro-N-4-pyridinyl- (9CI) (CA

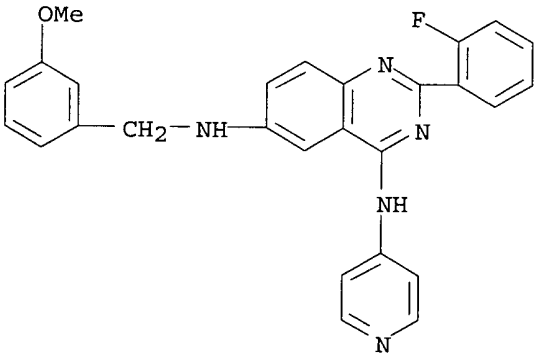
INDEX NAME)



RN 259870-48-9 USPATFULL
CN 4,7-Quinazolinediamine, 2-(2-fluorophenyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

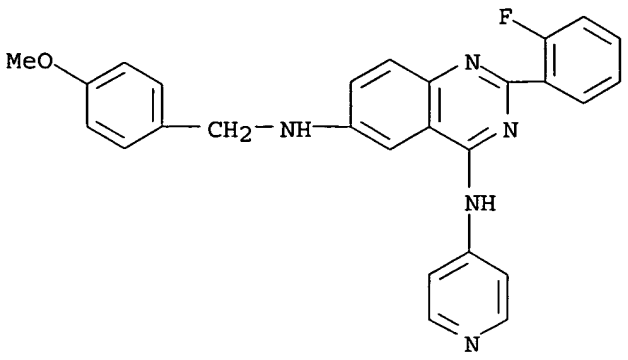


RN 259870-49-0 USPATFULL
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-[(3-methoxyphenyl)methyl]-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

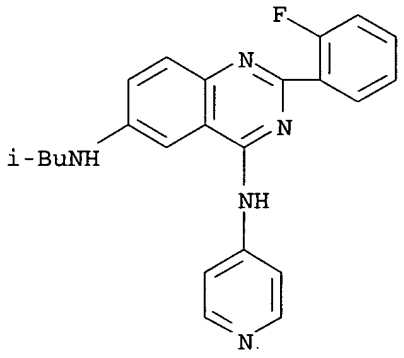


RN 259870-50-3 USPATFULL
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-[(4-methoxyphenyl)methyl]-N4-

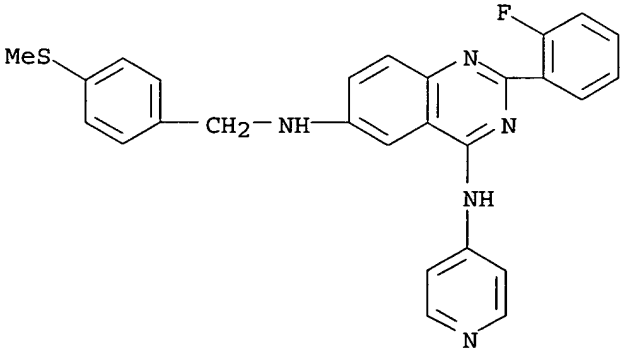
4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-51-4 USPATFULL
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-(2-methylpropyl)-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 259870-52-5 USPATFULL
CN 4,6-Quinazolinediamine, 2-(2-fluorophenyl)-N6-[[4-(methylthio)phenyl]methyl]-N4-4-pyridinyl- (9CI) (CA INDEX NAME)



L103 ANSWER 46 OF 92 USPATFULL on STN

ACCESSION NUMBER: 1998:75590 USPATFULL
TITLE: Quinazolines as inhibitors of endothelin converting enzyme
INVENTOR(S): Ahn, Kyunghye, Ann Arbor, MI, United States
Cheng, Xue-Min, Ann Arbor, MI, United States
Doherty, Annette Marian, Ann Arbor, MI, United States
Elslager, Edward Faith, Ann Arbor, MI, United States
Kornberg, Brian, Ann Arbor, MI, United States
Lee, Chitase, Ann Arbor, MI, United States
Leonard, Daniele, Ann Arbor, MI, United States
Nikam, Sham, Ann Arbor, MI, United States
Werbel, Leslie Morton, Ann Arbor, MI, United States
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

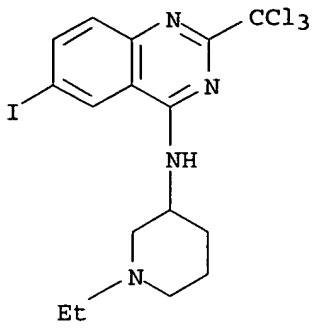
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5773444		19980630	<--
APPLICATION INFO.:	US 1997-837176		19970414 (8)	<--
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-363104, filed on 22 Dec 1994, now patented, Pat. No. US 5658902			

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Criares, Theodore J.
LEGAL REPRESENTATIVE: Tinney, Francis J.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 1838
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel quinazoline inhibitors of endothelin converting enzyme are described, as well as methods for the preparation and pharmaceutical compositions of the same, which are useful in treating elevated levels of endothelin and in controlling hypertension, myocardial infarction and ischemia, metabolic, endocrinological, and neurological disorders, congestive heart failure, endotoxic and hemorrhagic shock, septic shock, subarachnoid hemorrhage, arrhythmias, asthma, acute and chronic renal failure, cyclosporin-A induced nephrotoxicity, angina, gastric mucosal damage, ischemic bowel disease, cancer, pulmonary hypertension, preeclampsia, atherosclerotic disorders including Raynaud's disease and restenosis, cerebral ischemia and vasospasm, and diabetes.

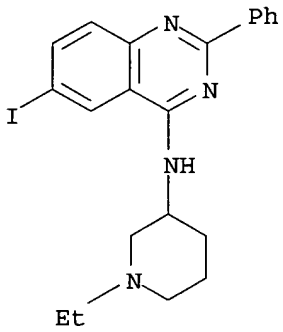
IT **179598-37-9P 179598-38-0P 179598-39-1P**
179598-40-4P 179598-41-5P 179598-50-6P
179598-53-9P 179598-58-4P 179598-59-5P
179598-60-8P 179598-61-9P 179598-62-0P
179598-63-1P 179598-64-2P 179598-65-3P
179598-66-4P
(preparation of quinazolineamines and analogs as endothelin converting enzyme inhibitors)

RN 179598-37-9 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

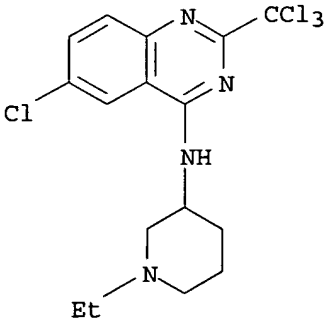


● HCl

RN 179598-38-0 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-phenyl- (9CI) (CA INDEX NAME)

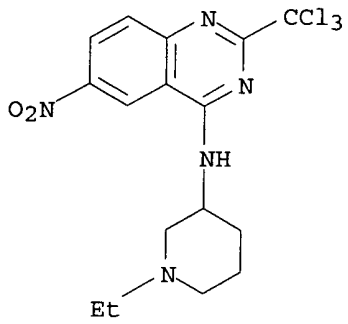


RN 179598-39-1 USPATFULL
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



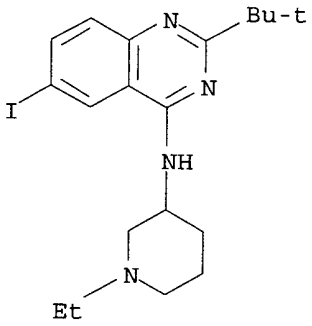
● HCl

RN 179598-40-4 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

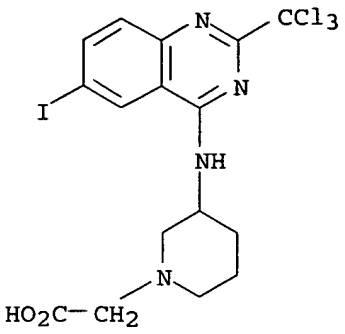


● HCl

RN 179598-41-5 USPATFULL
CN 4-Quinazolinamine, 2-(1,1-dimethylethyl)-N-(1-ethyl-3-piperidinyl)-6-iodo- (9CI) (CA INDEX NAME)

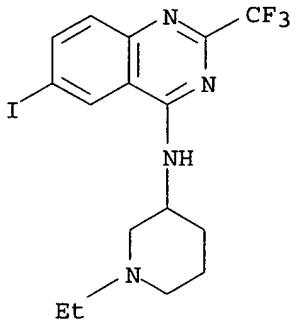


RN 179598-50-6 USPATFULL
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-quinazolinyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)

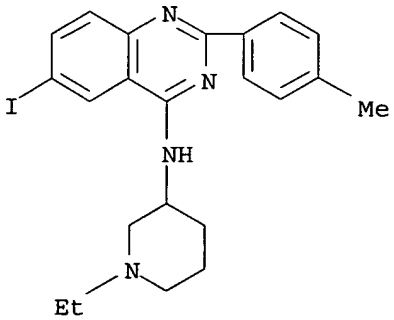


● K

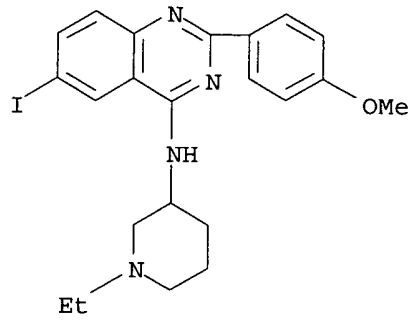
RN 179598-53-9 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)



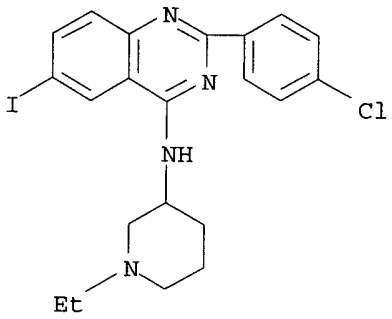
RN 179598-58-4 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(4-methylphenyl)-(9CI) (CA INDEX NAME)



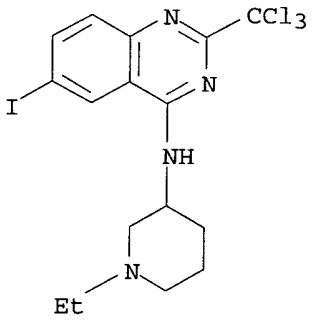
RN 179598-59-5 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(4-methoxyphenyl)-(9CI) (CA INDEX NAME)



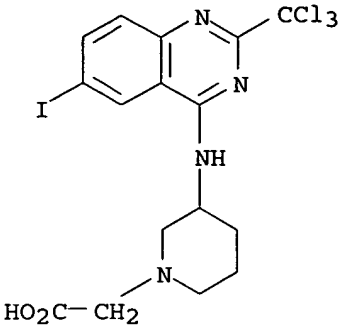
RN 179598-60-8 USPATFULL
CN 4-Quinazolinamine, 2-(4-chlorophenyl)-N-(1-ethyl-3-piperidinyl)-6-iodo- (9CI) (CA INDEX NAME)



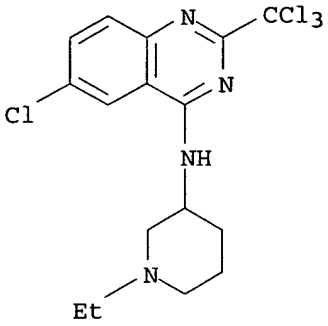
RN 179598-61-9 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)- (9CI) (CA INDEX NAME)



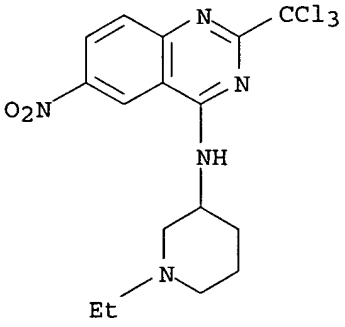
RN 179598-62-0 USPATFULL
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



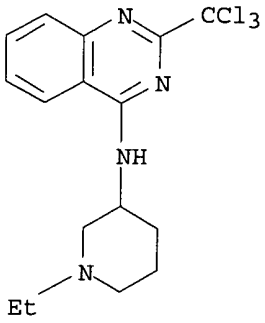
RN 179598-63-1 USPATFULL
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



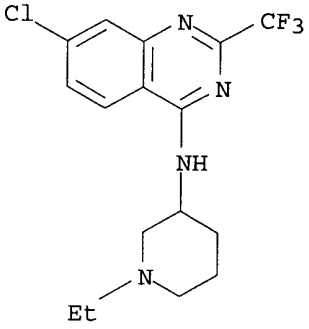
RN 179598-64-2 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



RN 179598-65-3 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)- (9CI) (CA INDEX NAME)



RN 179598-66-4 USPATFULL
CN 4-Quinazolinamine, 7-chloro-N-(1-ethyl-3-piperidinyl)-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)



L103 ANSWER 47 OF 92 USPATFULL on STN
ACCESSION NUMBER: 97:73609 USPATFULL
TITLE: Quinazolines as inhibitors of endothelin converting enzyme
INVENTOR(S): Ahn, Kyunghye, Ann Arbor, MI, United States
Cheng, Xue-Min, Ann Arbor, MI, United States
Doherty, Annette Marian, Ann Arbor, MI, United States
Elslager, Edward Faith, Ann Arbor, MI, United States
Kornberg, Brian, Ann Arbor, MI, United States
Lee, Chitase, Ann Arbor, MI, United States
Leonard, Daniele, Ann Arbor, MI, United States
Nikam, Sham, Ann Arbor, MI, United States
Werbel, Leslie Morton, Ann Arbor, MI, United States
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

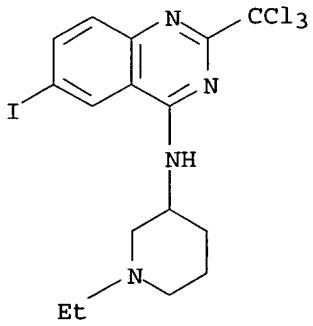
	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 5658902		19970819		<--
APPLICATION INFO.:	US 1994-363104		19941222	(8)	<--
DOCUMENT TYPE:	Utility				
FILE SEGMENT:	Granted				
PRIMARY EXAMINER:	Shah, Mukund J.				
ASSISTANT EXAMINER:	Wong, King Lit				
LEGAL REPRESENTATIVE:	Tinney, Francis J.				

NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
LINE COUNT: 1896
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel quinazoline inhibitors of endothelin converting enzyme are described, as well as methods for the preparation and pharmaceutical compositions of the same, which are useful in treating elevated levels of endothelin and in controlling hypertension, myocardial infarction and ischemia, metabolic, endocrinological, and neurological disorders, congestive heart failure, endotoxic and hemorrhagic shock, septic shock, subarachnoid hemorrhage, arrhythmias, asthma, acute and chronic renal failure, cyclosporin-A induced nephrotoxicity, angina, gastric mucosal damage, ischemic bowel disease, cancer, pulmonary hypertension, preeclampsia, atherosclerotic disorders including Raynaud's disease and restenosis, cerebral ischemia and vasospasm, and diabetes.

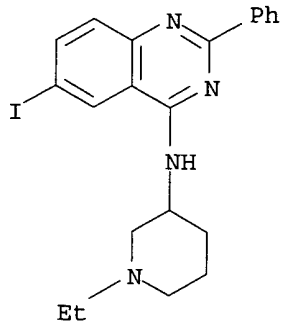
IT **179598-37-9P 179598-38-0P 179598-39-1P**
179598-40-4P 179598-41-5P 179598-50-6P
179598-53-9P 179598-58-4P 179598-59-5P
179598-60-8P 179598-61-9P 179598-62-0P
179598-63-1P 179598-64-2P 179598-65-3P
179598-66-4P
(preparation of quinazolineamines and analogs as endothelin converting enzyme inhibitors)

RN 179598-37-9 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

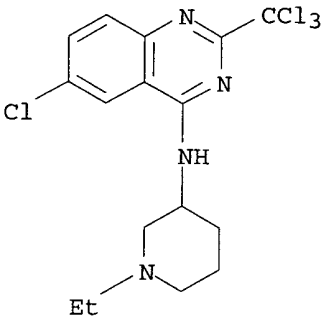


● HCl

RN 179598-38-0 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-phenyl- (9CI) (CA INDEX NAME)

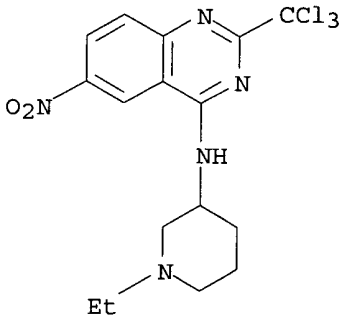


RN 179598-39-1 USPATFULL
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



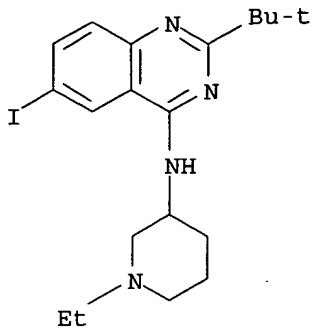
● HCl

RN 179598-40-4 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

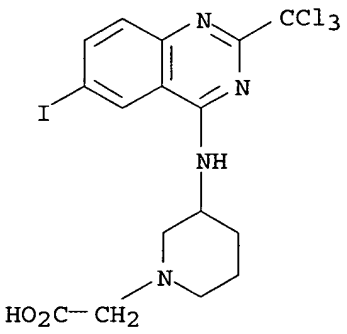


● HCl

RN 179598-41-5 USPATFULL
CN 4-Quinazolinamine, 2-(1,1-dimethylethyl)-N-(1-ethyl-3-piperidinyl)-6-iodo-
(9CI) (CA INDEX NAME)

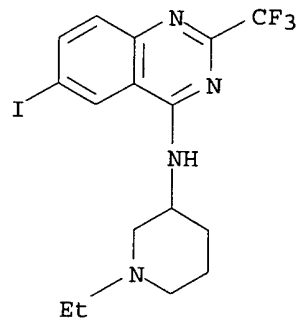


RN 179598-50-6 USPATFULL
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-
quinazolinyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)

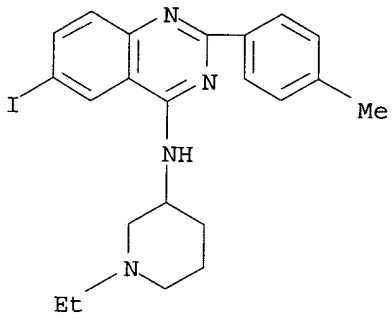


● K

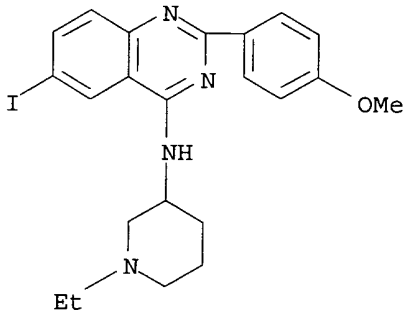
RN 179598-53-9 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



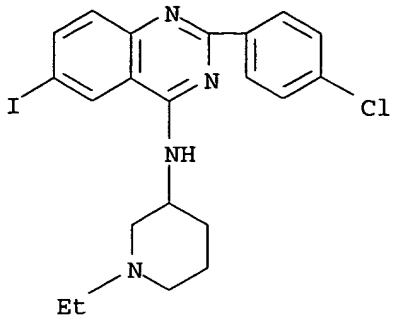
RN 179598-58-4 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(4-methylphenyl)-
(9CI) (CA INDEX NAME)



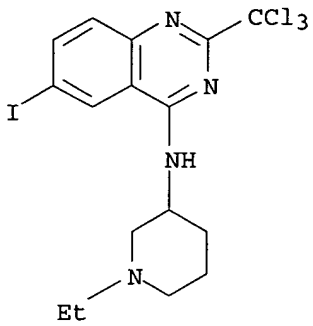
RN 179598-59-5 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(4-methoxyphenyl)-
(9CI) (CA INDEX NAME)



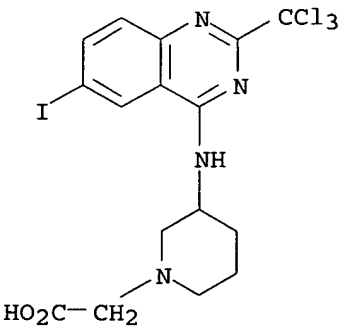
RN 179598-60-8 USPATFULL
CN 4-Quinazolinamine, 2-(4-chlorophenyl)-N-(1-ethyl-3-piperidinyl)-6-iodo-
(9CI) (CA INDEX NAME)



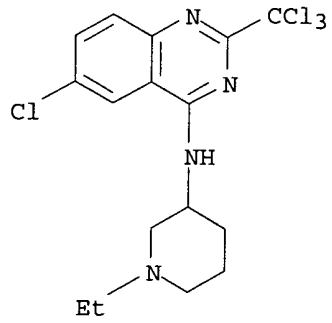
RN 179598-61-9 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-iodo-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



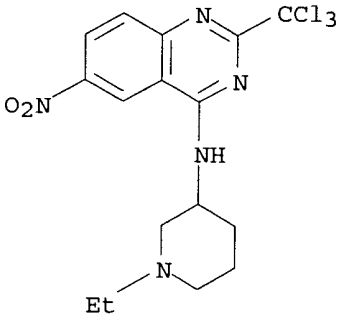
RN 179598-62-0 USPATFULL
CN 1-Piperidineacetic acid, 3-[[6-iodo-2-(trichloromethyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



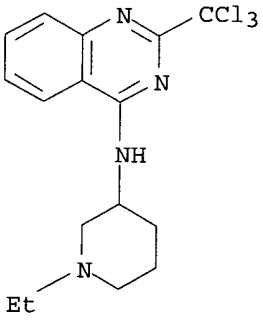
RN 179598-63-1 USPATFULL
CN 4-Quinazolinamine, 6-chloro-N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)-(9CI) (CA INDEX NAME)



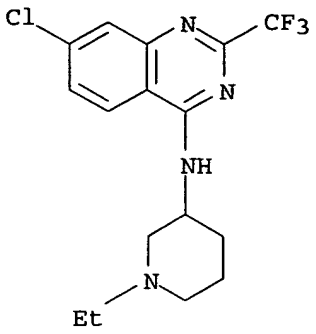
RN 179598-64-2 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-6-nitro-2-(trichloromethyl)- (9CI) (CA INDEX NAME)



RN 179598-65-3 USPATFULL
CN 4-Quinazolinamine, N-(1-ethyl-3-piperidinyl)-2-(trichloromethyl)- (9CI) (CA INDEX NAME)



RN 179598-66-4 USPATFULL
CN 4-Quinazolinamine, 7-chloro-N-(1-ethyl-3-piperidinyl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L103 ANSWER 48 OF 92 USPATFULL on STN
ACCESSION NUMBER: 96:101581 USPATFULL
TITLE: Substituted pyrimidines, process for their preparation, and their use as pesticides and fungicides
INVENTOR(S): Schaper, Wolfgang, Diedorf, Germany, Federal Republic of
Preuss, Rainer, Hofheim am Taunus, Germany, Federal Republic of
Salbeck, deceased, Gerhard, late of Kriftel/Taunus, Germany, Federal Republic of by Gisela Salbeck, heiress
Braun, Peter, Mainz, Germany, Federal Republic of
Knauf, Werner, Eppstein/Taunus, Germany, Federal Republic of
Sachse, Burkhard, Kelkheim, Germany, Federal Republic of
Waltersdorfer, Anna, Frankfurt am Main, Germany, Federal Republic of
Kern, Manfred, L orzweiler, Germany, Federal Republic of
L ummen, Peter, Niedernhausen, Germany, Federal Republic of
Bonin, Werner, Kelkheim, Germany, Federal Republic of
PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 5571815		19961105		<--
APPLICATION INFO.:	US 1993-29889		19930311	(8)	<--

	NUMBER	DATE	
PRIORITY INFORMATION:	DE 1992-4208254	19920314	<--

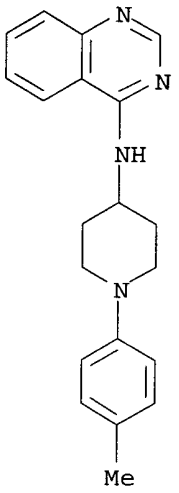
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ford, John M.
LEGAL REPRESENTATIVE: Curtis, Morris & Safford, PC
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 2286
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to compounds of the formula ##STR1## in which R.sup.1, R.sup.2, R.sup.3 and Q are as defined in the description, X is

NH or oxygen and E is a bond or a 1- to 4-membered carbon chain, to a process for their preparation, to agents containing them, and to their use in the control of pests and as fungicides.

IT **152809-19-3P**
(preparation of, as pesticide)

RN 152809-19-3 USPATFULL

CN 4-Quinazolinamine, N-[1-(4-methylphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



L103 ANSWER 49 OF 92 USPATFULL on STN

ACCESSION NUMBER:	84:61048 USPATFULL			
TITLE:	Chromogenic quinazolines			
INVENTOR(S):	Fletcher, Ian J., Magden, Switzerland			
PATENT ASSIGNEE(S):	Ciba-Geigy Corporation, Ardsley, NY, United States (U.S. corporation)			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4480096		19841030	<--
APPLICATION INFO.:	US 1981-227294		19810122 (6)	<--
	NUMBER		DATE	
PRIORITY INFORMATION:	CH 1980-780		19800131	<--
	CH 1980-5411		19800715	<--
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			
PRIMARY EXAMINER:	Daus, Donald G.			
ASSISTANT EXAMINER:	Turnipseed, James H.			
LEGAL REPRESENTATIVE:	Roberts, Edward McC.			
NUMBER OF CLAIMS:	8			
EXEMPLARY CLAIM:	1			
LINE COUNT:	676			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

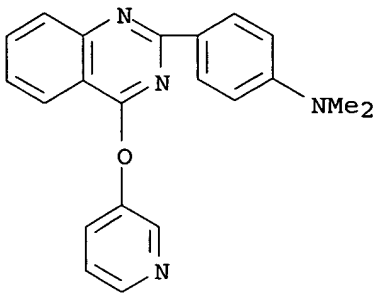
AB Chromogenic quinazolines of the formula ##STR1## wherein Y is an amino-substituted phenyl radical of the formula ##STR2## or a 3-carbazolyl radical of the formula ##STR3## and Z is hydrogen, R.sub.1, --OR.sub.1 ', --SR.sub.1 ' or --NR.sub.2 R.sub.3,

These compounds are particularly suitable for use as color formers in pressure-sensitive or heat-sensitive recording materials and give lightfast yellow, orange and red colorations.

IT **79916-48-6P**
(manufacture of, as color former for heat- and pressure-sensitive recording materials)

RN 79916-48-6 USPATFULL

CN Benzenamine, N,N-dimethyl-4-[4-(3-pyridinyloxy)-2-quinazolinyl]- (9CI) (CA INDEX NAME)



L103 ANSWER 50 OF 92 USPATFULL on STN

ACCESSION NUMBER:	84:12511 USPATFULL
TITLE:	Chromogenic quinazolines
INVENTOR(S):	Fletcher, Ian J., Magden, Switzerland
PATENT ASSIGNEE(S):	Ciba-Geigy Corporation, Ardsley, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4435003		19840306	<--
APPLICATION INFO.:	US 1982-421205		19820922 (6)	<--
RELATED APPLN. INFO.:	Division of Ser. No. US 1981-227294, filed on 22 Jan 1981, now Defensive Publication No.			

	NUMBER	DATE	
PRIORITY INFORMATION:	CH 1980-780	19800131	<--
	CH 1980-5411	19800715	<--

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Hess, Bruce H.
LEGAL REPRESENTATIVE:	Roberts, Edward McC.
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1
LINE COUNT:	640

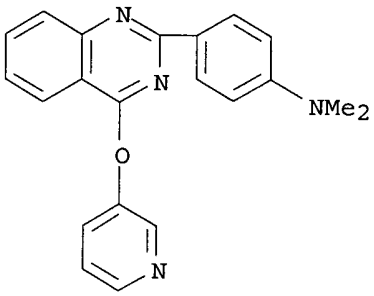
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Chromogenic quinazolines are disclosed. These compounds are particularly suitable for use as color formers in pressure-sensitive or heat-sensitive recording materials and give lightfast yellow, orange and red colorations.

IT **79916-48-6P**
(manufacture of, as color former for heat- and pressure-sensitive recording materials)

RN 79916-48-6 USPATFULL

CN Benzenamine, N,N-dimethyl-4-[4-(3-pyridinyloxy)-2-quinazolinyl]- (9CI) (CA INDEX NAME)



=> d iall abeq tech abex 51-71
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS, CANCERLIT, DRUGU, SCISEARCH' - CONTINUE? (Y)/N:y

L103 ANSWER 51 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2001-328085 [34] WPIX
DOC. NO. CPI: C2001-100593
TITLE: Quinazoline derivatives used in the preparation of a medicament for use in the inhibition of aurora 2 kinase diseases such as cancer.
DERWENT CLASS: B02
INVENTOR(S): BREWSTER, A G; JUNG, F H; KEEN, N J; MORTLOCK, A A
PATENT ASSIGNEE(S): (ASTR) ASTRAZENECA AB; (ASTR) ASTRAZENECA UK LTD
COUNTRY COUNT: 95
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2001021596	A1	20010329	(200134)*	EN	306	C07D239-94<--
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TZ UG ZW						
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW						
AU 2000073010	A	20010424	(200141)			C07D239-94<--
BR 2000014116	A	20020521	(200238)			C07D239-94<--
NO 2002001399	A	20020430	(200238)			C07D239-94<--
CZ 2002001009	A3	20020612	(200251)			C07D239-94<--
EP 1218354	A1	20020703	(200251)	EN		C07D239-94<--
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI						
KR 2002032612	A	20020503	(200270)			A61K031-517
SK 2002000382	A3	20021008	(200276)			C07D239-94<--
JP 2003509499	W	20030311	(200319)		456	C07D239-88<--
CN 1391562	A	20030115	(200330)			C07D239-94<--
ZA 2002002234	A	20030827	(200362)		316	C07D000-00
MX 2002003058	A1	20020801	(200367)			A61K031-517
HU 2003000059	A2	20030728	(200379)			C07D239-94<--

IN 2002000293 P3 20050318 (200548) EN C07D239-94<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001021596	A1	WO 2000-GB3580	20000918
AU 2000073010	A	AU 2000-73010	20000918
BR 2000014116	A	BR 2000-14116	20000918
		WO 2000-GB3580	20000918
NO 2002001399	A	WO 2000-GB3580	20000918
		NO 2002-1399	20020320
CZ 2002001009	A3	WO 2000-GB3580	20000918
		CZ 2002-1009	20000918
EP 1218354	A1	EP 2000-960840	20000918
		WO 2000-GB3580	20000918
KR 2002032612	A	KR 2002-703704	20020320
SK 2002000382	A3	WO 2000-GB3580	20000918
		SK 2002-382	20000918
JP 2003509499	W	WO 2000-GB3580	20000918
		JP 2001-524975	20000918
CN 1391562	A	CN 2000-816011	20000918
ZA 2002002234	A	ZA 2002-2234	20020319
MX 2002003058	A1	WO 2000-GB3580	20000918
		MX 2002-3058	20020320
HU 2003000059	A2	WO 2000-GB3580	20000918
		HU 2003-59	20000918
IN 2002000293	P3	WO 2000-GB9100	20000918
		IN 2002-MN293	20020308

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2000073010	A Based on	WO 2001021596
BR 2000014116	A Based on	WO 2001021596
CZ 2002001009	A3 Based on	WO 2001021596
EP 1218354	A1 Based on	WO 2001021596
SK 2002000382	A3 Based on	WO 2001021596
JP 2003509499	W Based on	WO 2001021596
MX 2002003058	A1 Based on	WO 2001021596
HU 2003000059	A2 Based on	WO 2001021596

PRIORITY APPLN. INFO: **GB 1999-22170 19990921; GB 1999-22154 19990921**

INT. PATENT CLASSIF.:

MAIN: A61K031-517; C07D000-00; **C07D239-88**; **C07D239-94**

SECONDARY: A61K031-5377; A61K031-541; A61K031-55; A61K031-551; A61K031-661; A61P027-02; A61P035-00; A61P043-00; **C07D401-12**; **C07D403-12**; C07D405-12; C07D409-12; C07D413-12; C07D417-12; C07F009-6512

BASIC ABSTRACT:

WO 200121596 A UPAB: 20010620

NOVELTY - The use of quinazoline derivatives (I), their salts, esters, amides or prodrugs are new.

DETAILED DESCRIPTION - The use of quinazoline derivatives of formula (I), their salts, esters, amides or prodrugs in the preparation of a medicament for use in the inhibition of aurora 2 kinase are new.

X = O, S, S(O), S(O)2NH, or NR12;
R12 = H or 1-6C alkyl;
R5 = NHC(O)OR9, NHC(O)R9, NHS(O)2R9, COR9, C(O)OR9, SOR9, S(O)OR9, S(O)2OR9, C(O)NR10R11, S(O)NR10R11 or S(O)ONR10R11;
R9, R10, R11 = H, optionally substituted hydrocarbyl or optionally substituted heterocyclyl; and
NR10, R11 may additionally form = optionally substituted heterocyclic ring which optionally contains further heteroatoms;
R6 = H, optionally substituted hydrocarbyl or optionally substituted heterocycyl;
R7, R8 = H, halo, 1-4C alkyl, 1-4C alkoxy, 1-4C alkoxymethyl, di(1-4C alkoxy)methyl, 1-4C alkanoyl, trifluoromethyl, cyano, amino, 2-5C alkenyl, 2-5C alkynyl, phenyl, benzyl, or a 5-6-membered heterocyclic group with 1-3 heteroatoms selected from O, S and N, and may bear on one or more ring C atoms up to five substituents selected from OH, halogeno, 1-3C alkyl,1-3C alkoxy, 1-3C alkanoyloxy, trifluoromethyl, cyano, amino, NO2, 2-4C alkanoyl, 1-4C alkanoylamino, 1-4C alkoxycarbonyl, 1-4C alkylsulfanyl, 1-4C alkylsulfinyl, 1-4C alkylsulfonyl, carbamoyl, N-(1-4C) alkylcarbamoyl, N,N-di(1-4C) alkylcarbamoyl, aminosulfonyl, N-(1-4C) alkylaminosulfonyl, N,N-di(1-4C) alkylaminosulfonyl, 1-4C alkylsulfonylamino, or a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, imidazolidinyl or pyrazolidinyl, which may bear one or two substituents selected from oxo, hydroxy, halogeno, 1-3C alkyl, 1-3C alkoxy, 1-3C alkanoyloxy, trifluoromethyl, cyano, amino, NO2 or 1-4C alkoxycarbonyl;
R1, R2, R3, R4 = halogeno, CN, NO2, 1-3C alkylsulfanyl, N(OH)R13 or R15X1;
R13 = H or 1-3C alkyl;
X1 = direct bond, O, CH2, OCO, carbonyl, S, SO, SO2, NR16CO, CONR16, SO2NR16, NR17SO2 or NR18;
R16, R17, R18 = H, 1-3C alkyl, or 1-3C alkoxy(2-3C)alkyl; and
R15 = H, optionally substituted hydrocarbyl, optionally substituted alkoxy or optionally substituted heterocycyl.
INDEPENDENT CLAIMS are included for compounds of formulae (IIB), (IID), (VIA) and a method of inhibiting aurora 2 kinase in a warm blooded animal by administering (I) or its salt, in vivo hydrolyzable esters, amide or prodrug.
Z = CO, SO2;
R65 = R9, OR9 or NR10, R11;
R64 = optionally substituted hydrocarbyl or optionally substituted heterocycyl; and
R2', R3' = R2 and R3; provided that preferably R3' is a group of sub-formula X1-R15 and R 15' is not methyl.
ACTIVITY - Cytostatic; Anticancer.
MECHANISM OF ACTION - Aurora 2 kinase inhibitor.
4(N-phenylamido-(4-aminoanilino))-6,7-dimethoxyquinazoline gave 50% of enzyme inhibition at a concentration of 0.374 micro M
USE - (I) is useful in the treatment of proliferative diseases such as cancer, and in particular cancers where aurora 2 is upregulated such as colon or breast cancer.
Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-D06; B14-D06; B14-H01B
TECH UPTX: 20010620
TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation: (IIB) may be prepared by reacting a compound of formula (VIII) with a compound of formula (IX).
R85 = leaving group; and
R86 = NHZR64 or Y(O)R65.
ABEX UPTX: 20010620

ADMINISTRATION - A dosage of 0.5-75 mg per kg body weight is administered in divided doses. For intravenous administration, a dose in the range of 0.5-30 mg is used and for inhalation, 0.5-25 mg is used.
EXAMPLE - 2-Furoyl chloride (44 mg) was added to a solution of 4-(4-aminoanilino)-6,7-dimethoxyquinazoline (100 mg) and triethylamine (0.052 ml) in dichloromethane at room temperature under inert atmosphere. The reaction was stirred for 2 hours at room temperature, more furoyl chloride was added (15 mg), the reaction was stirred for a further 30 minutes and the volatiles removed in vacuo. Purification of the crude compound by flash chromatography on silica gel, eluting with 5% methanol in dichloromethane gave 4(N-2-furanamido-(4-aminoanilino))-6,7-dimethoxyquinazoline (Ia), (70 mg, 53% yield).

DEFINITIONS - Preferred Definitions:
R1 = H;
R4 = H, halo, 1-4C alkyl, or 1-4C alkoxy;
R3 = X1R15;
X1 = O; and
R15 = methylene group directly adjacent to X1.

L103 ANSWER 52 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1999-620064 [53] WPIX
DOC. NO. CPI: C1999-180924
TITLE: Novel heterocycles useful as antagonists of integrin cell surface receptors, used for treatment of eg. angiogenic disorders, inflammation or bone degradation.
DERWENT CLASS: B02 B03
INVENTOR(S): JADHAV, P K; PITTS, W J
PATENT ASSIGNEE(S): (DUPO) DU PONT PHARM CO; (JADH-I) JADHAV P K; (PITT-I) PITTS W J; (BRIM) BRISTOL-MYERS SQUIBB PHARMA CO
COUNTRY COUNT: 44
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 9950249	A2	19991007	(199953)*	EN	337	C07D239-48<--
RW: AT BE CH CY DE DK EA ES FI FR GB GR IE IT LU MC NL PT SE						
W: AU BR CA CN CZ EE HU IL IN JP KR LT LV MX NO NZ PL RO SG SI UA VN ZA						
AU 9932137	A	19991018	(200010)			<--
EP 1054871	A2	20001129	(200063)	EN		C07D239-48
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LT LU LV NL PT RO SE SI						
US 2001044535	A1	20011122	(200176)			C07D239-47
US 6489333	B2	20021203	(200301)			C07D403-02
JP 2003504301	W	20030204	(200320)		419	C07D239-48

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
WO 9950249	A2		WO 1999-US6827	19990329	<--
AU 9932137	A		AU 1999-32137	19990329	<--
EP 1054871	A2		EP 1999-914248	19990329	<--
			WO 1999-US6827	19990329	<--
US 2001044535	A1	Provisional	US 1998-80242P	19980401	<--
		Div ex	US 1999-282496	19990331	<--
			US 2001-828751	20010409	
US 6489333	B2	Provisional	US 1998-80242P	19980401	<--
		Div ex	US 1999-282496	19990331	<--
			US 2001-828751	20010409	

JP 2003504301	W	WO 1999-US6827	19990329	<--
		JP 2000-541154	19990329	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9932137	A	Based on	WO 9950249
EP 1054871	A2	Based on	WO 9950249
JP 2003504301	W	Based on	WO 9950249

PRIORITY APPLN. INFO: **US 1998-80242P**
19980401

INT. PATENT CLASSIF.:
MAIN: C07D239-47; C07D239-48; C07D403-02
SECONDARY: A61K031-416; A61K031-505; A61K031-506; A61K031-519; A61K031-52; A61K031-522; A61K031-53; A61P007-02; A61P009-00; A61P009-10; A61P019-08; A61P025-00; A61P027-02; A61P035-04; A61P043-00; C07D239-42; **C07D239-94**; C07D251-16; C07D251-18; C07D251-42; C07D403-06; **C07D403-12**; C07D413-12; C07D471-04; C07D473-30; C07D473-34; C07D487-04

BASIC ABSTRACT:

WO 9950249 A UPAB: 19991215

NOVELTY - Compounds of formula (I), their salts and prodrugs are new.

DETAILED DESCRIPTION - G-T (I)

T = integrin antagonist template;

G = guanidine mimic of formula;

D1 = H, NR2R4, OR3, SR3, F, Cl, Br, CF3 or 1-4C alkyl;

R2 = H, OR3, 1-6C alkyl, 1-6C alkylcarbonyl, 1-6C alkoxycarbonyl, 0-6C alkylaminocarbonyl, 3-6C alkenyl, 3-7C cycloalkyl(0-4C alkyl), 3-7C cycloalkyl(0-4C alkylcarbonyl), 3-7C cycloalkyl(0-4C alkoxycarbonyl), aryl(0-6C alkyl), heteroaryl(0-6C alkyl), aryl(0-6C alkylcarbonyl), heteroaryl(0-6C alkylcarbonyl), 1-6C alkylsulphonyl, aryl(0-6C alkylsulphonyl), heteroaryl(0-6C alkylsulphonyl), aryl(1-6C alkoxycarbonyl) or heteroaryl(1-6C alkoxycarbonyl) in which each aryl or heteroaryl are optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3 or NO2;

R3 = H, 1-6C alkyl, 1-6C alkylcarbonyl, 1-6C alkoxycarobnyl, 0-6C alkylaminocarbonyl, 3-6C alkenyl, 3-7C cycloalkyl(0-4C alkyl), 3-7C cycloalkyl(0-4C alkylcarbonyl), cycloalkyl(0-4C alkoxycarbonyl), aryl(0-6C alkyl), heteroaryl(0-6C alkyl), aryl(0-6C alkylcarbonyl), heteroaryl(0-6C alkylcarbonyl), aryl(1-6C alkoxycarbonyl) or heteroaryl(1-6C alkoxycarbonyl) in which each aryl or heteroaryl are optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3 or NO2;

R4 = H, 1-6C alkyl, 1-6C alkylcarbonyl, 1-6C alkoxycarbonyl, 3-7C cycloalkyl(0-4C alkyl), 3-7C cycloalkyl(0-4C alkylcarbonyl), cycloalkyl(0-4C alkoxycarbonyl), aryl(0-6C alkyl), heteroaryl(0-6C alkyl), aryl(0-6C alkylcarbonyl), heteroaryl(0-6C alkylcarbonyl), aryl(1-6C alkoxycarbonyl) or heteroaryl(1-6C alkoxycarbonyl) in which each aryl or heteroaryl are optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3 or NO2 or R2-N-R4 = 1-aziridinyl, 1-azetidinyl, 1-piperidinyl, 1-morpholinyl, 1-pyrrolidinyl, thiamorpholinyl, thiazolidinyl or 1-piperazinyl all optionally substituted by up to 3 of oxo, 1-6C alkyl, 3-7C cycloalkyl(0-4C alkyl), 1-6C alkylcarbonyl, 3-7C cycloalkyl(0-5C alkylcarbonyl), 1-6C alkoxycarbonyl, 3-7C cycloalkyl(0-5C alkoxycarbonyl), aryl(0-5C alkyl), heteroaryl(0-5C alkyl), aryl(1-5C alkoxycarbonyl), heteroaryl(1-5C alkoxycarbonyl), 1-6C alkylsulphonyl, arylsulphonyl or heteroarylsulphonyl;

R5 = H, NR2R4, OR3, NO2, NO, 1-6C alkyl, 3-7C cycloalkyl(0-4C

alkyl), aryl(0-6C alkyl) or heteroaryl(0-6C alkyl) in which each aryl or heteroaryl are optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3 or NO2 or R2-N-C-C-R5 = 5- to 7-membered heterocyclic ring containing 1 to 3 N-atoms and optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3, NO2 or aryl (optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3 or NO2);

R6 = H, NR2R4, OR3, 1-6C alkyl, aryl(0-5C alkyl), heteroaryl(0-5C alkyl), CF3, F, Cl or Br in which each aryl or heteroaryl are optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3 or NO2 or R5-C-C-R6 = 5- to 7-membered heterocyclic ring containing 1 to 3 N-atoms or a 5- to 7-membered carbocyclic ring, both optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3, NO2 or aryl (optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3 or NO2);

R7 = H, 1-4C alkyl, 3-6C alkenyl, 3-6C alkynyl, aryl(0-4C alkyl) or heteroaryl(0-4C alkyl) in which each aryl or heteroaryl are optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3 or NO2 or R2N+R7 = 5- to 7-membered heterocyclic ring containing 2 or 3 N-atoms and optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3, NO2 or aryl (optionally substituted by up to 2 of 1-4C alkyl, 1-4C alkoxy, F, Cl, Br, CF3 or NO2);

U1 = -(CH2)n-, -Q1-(CH2)m-, -(CH2)m-Q2-, -(CH2)t-Q2-CH2-, -CH2-Q2-(CH2)t-, -(CH2)t-NR3-CO-, -(CH2)t-NR3-SO2-, -(CH2)t-CO-NR3-, -(CH2)t-SO2-NR3-, -CO-NR4-(CH2)t-, -NR4-, -NR4-(CH2)q-Q2-, -NR4-CO-(CH2)r- or -NR4-(CH2)t-CO-;

U2 = -(CH2)h-, -Q1-(CH2)r-, -(CH2)r-Q2-, -(CH2)i-NR3-CO-, -(CH2)i-NR3-SO2-, -(CH2)i-CO-NR3-, -(CH2)i-SO2-NR3-, -(CH2)i-Q2-CH2-, -CH2-Q2-(CH2)i-, -CO-NR4-(CH2)i-, -NR4-, -NR4-(CH2)2-Q2-, -NR4-CO-(CH2)i- or -NR4-(CH2)t-CO-;

U3 = -(CH2)h-, -(CH2)q-Q2-, -(CH2)q-NR3-CO-, -(CH2)t-CO-NR3-, -(CH2)q-SO2-NR3-, -(CH2)q-NR3-SO2-, -(CH2)q-NR3-CH2-, -(CH2)q-O-CH2-, -(CH2)h-CO-, -CO-(CH2)r- or -CO-NR4-(CH2)p-;

U4 = -(CH2)h-, -(CH2)2-Q2-, -(CH2)2-O-CH2-, -(CH2)r-CO-, -CO-(CH2)r- or -CO-NR4-(CH2)r-;

Q1 = O, S or NR4;

Q2 = O, S, SO, SO2 or NR3;

h = 0 to 4;
i = 0 to 2;
m = 1 to 4;
n = 0 to 5;
q = 2 to 3;
r = 0 to 3;
t = 1 to 3;
p = 0 to 2

provided that when R6 = H then D1 is not H.

INDEPENDENT CLAIMS are also included for the following:

(1) compositions comprising (I); and

(2) a method for treating conditions mediated by cell adhesion, angiogenic disorders, inflammation, cancer metastasis, diabetic retinopathy, neovascular glaucoma, thrombosis, restenosis, osteoporosis or macular degeneration comprising administration of (I).

ACTIVITY - Cell adhesion inhibitor; anti-inflammatory; anti-cancer; antithrombotic.

MECHANISM OF ACTION - Integrin antagonist.

USE - (I) are useful for the treatment of conditions mediated by cell adhesion, angiogenic disorders, inflammation, cancer metastasis, diabetic retinopathy, neovascular glaucoma, thrombosis, restenosis, osteoporosis and macular degeneration.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-D06; B14-C03; B14-F02; B14-F04; B14-H01; B14-N01; B14-N03

TECH UPTX: 19991215
TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation: e.g.

ABEX UPTX: 19991215
SPECIFIC COMPOUNDS - 29 Compounds (I) are claimed, e.g. 2-((S)-((2,4,6-trimethylphenyl)sulphonyl)amino)-3-(4-(2-(2-aminopyrimid-4-one-6-yl)ethylphenylcarbonyl)-aminopropionic acid (Ia).

ADMINISTRATION - 0.001 to 10mg/kg/day, administered orally or parenterally.

EXAMPLE - A suspension of L-asparagine (20g) in THF (130ml) and water (250ml) was treated with Et3N (49g) and mesitylenesulphonyl chloride (49.7g) for 3 hours. Work up gave 34g of N-(2,4,6-trimethylphenyl)sulphonyl-L-asparagine. Br2 (19.2g) was added dropwise to a solution of NaOH (32g) in water (200ml) at 0degreesC. The mixture was stirred for 15 mins and the above compound (31.44g) was added in portions over 10 mins. The mixture was heated to 85degreesC for 1 hour and worked up to give 23.9g of 3-amino-2-(S)-N-(2,4,6-trimethylphenyl)-sulphonylaminopropionic acid. A solution of the above compound (11.45g) in dioxane (170ml) was treated with H2SO4 (11ml) and cooled in dry ice/acetone. Isobutylene (185ml) was added and the mixture was sealed in a bottle and agitated for 114 hours. Work up gave 8.64g of tert-butyl-3-amino-2-(S)-N-(2,4,6-trimethylphenyl)-sulphonylaminopropionate. A suspension of 4-iodobenzoic acid (25g) in dioxane (200ml) and H2SO4 (14ml) was treated with isobutylene (200ml) for 3 days. Work up gave 18g of 4-iodobenzoic acid tert-butyl ester. A solution of the above compound (10.5g) in DMF (30ml) was treated with tetra-n-butylammonium chloride monohydrate (9.56g), NaHCO3 (9.32g), methyl acrylate (5.92g) and palladium acetate (155mg) at 30degreesC overnight. Work up gave 9.0g of 4-(tert-butyloxycarbonyl)-trans-cinnamic acid methyl ester. A solution of the above compound (14g) in MeOH was treated with 10% Pd/C (2.2g) and ammonium formate (18g) at reflux for 3 hours. Work up gave 14g of 4-(tert-butyloxycarbonyl)-hydrocinnamic acid methyl ester. A solution of the above compound (14g) in THF (90ml) was treated with 1N LiOH (90ml) for 20 mins. Work up gave 13g of 4-(tert-butyloxycarbonyl)hydrocinnamic acid. A solution of the above compound (5.2g) in DMF (10ml) was treated with N,O-dimethylhydroxylamine hydrochloride (2.60g), N-methylmorpholine (6.73g) and benzotriazole-1-yloxy-tris(dimethylamino)phosphonium hexafluorophosphate (11.78g) overnight. Work up gave 4.2g of 4-(tert-butyloxycarbonyl)-hydrocinnamic acid N-methyl-O-methylamide. A solution of 1M lithium bis(trimethylsilyl)amide in hexane (12ml) in THF 912ml) was cooled to -78degreesC and MeCN (492mg) was added dropwise. After 15 mins the above compound (2.93g) in THF (3ml) was added dropwise and the mixture was stirred at -78degreesC for 3 hours and 0degreesC for 1 hour. Work up gave 2.7g of 5-(4-(tert-butyloxycarbonyl)phenyl)-3-oxopentanitrile. A solution of the above compound (2.70g) in MeOH (50ml) and MeCN (50ml) was treated with diisopropylethylamine (1.53g) and 2M trimethylsilyldiazomethane in hexane (30ml) overnight. Work up gave 5-(4-(tert-butyloxycarbonyl)phenyl)-3-methoxy-2-pentenitrile. A solution of the above compound (1.0g) in EtOH was treated with guanidine hydrochloride (1.09g) and KOtBu (1.38g) at reflux overnight. The mixture was concentrated and heated at 160degreesC for 1 hour. Work up gave 270mg of 4-(2-(2,4-diaminopyrimidin-6-yl)ethyl)benzoic acid. A mixture of the above compound (65mg) and tert-butyl-3-amino-2-(S)-N-(2,4,6-trimethylphenyl)-sulphonylaminopropionate (103mg) in DMF (1ml) was treated with

benzotriazole-1-yloxy-tris(dimethylamino)phosphonioum hexafluorophosphate (133mg) and N-methylmorpholine (76.3mg) overnight. Work up gave 145mg of 2-((S)-((2,4,6-trimethylphenyl)sulphonyl)amino)-3-(4-(2-(2-aminopyrimid-4-one-6-yl)ethylphenylcarbonyl)-aminopropionic acid tert-butyl ester. A solution of the above compound (144mg) was stirred in trifluoroacetic acid (2ml) for 1 hour and concentrated. The residue was purified by chromatography to give (Ia) as the trifluoroacetate salt (m.pt. 145 - 150degreesC).

L103 ANSWER 53 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1998-159085 [14] WPIX
DOC. NO. CPI: C1998-051240
TITLE: Aryl 4-arylamino quinoline(s), quinazoline(s), and related compounds - are protein tyrosine kinase and cell proliferation inhibitors, useful in treating cancers and psoriasis.
DERWENT CLASS: B02
INVENTOR(S): CARTER, M C; COCKERILL, G S; GUNTRIP, S B; SMITH, K J
PATENT ASSIGNEE(S): (GLAX) GLAXO GROUP LTD; (CART-I) CARTER M C; (COCK-I) COCKERILL G S; (GUNT-I) GUNTRIP S B; (SMIT-I) SMITH K J; (SMIK) SMITHKLINE BEECHAM CORP
COUNTRY COUNT: 80
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 9802434	A1	19980122	(199814)*	EN	118	C07D405-04<--
RW: AT BE CH DE DK EA ES FI FR GB GH GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG ZW						
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH HU IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW						
AU 9737668	A	19980209	(199823)			C07D405-04<--
ZA 9706147	A	19990331	(199918)		115	C07D000-00<--
EP 912559	A1	19990506	(199922)	EN		C07D405-04<--
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE						
JP 2000514806	W	20001107	(200059)		142	C07D239-94<--
US 6391874	B1	20020521	(200239)			A61K031-506
US 2002147214	A1	20021010	(200269)			A61K031-47
EP 912559	B1	20021106	(200281)	EN		C07D405-04
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE						
DE 69716916	E	20021212	(200306)			C07D405-04
ES 2186908	T3	20030516	(200337)			C07D405-04
US 6828320	B2	20041207	(200481)			A61K031-535

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
WO 9802434	A1		WO 1997-EP3672	19970711	<--
AU 9737668	A		AU 1997-37668	19970711	<--
ZA 9706147	A		ZA 1997-6147	19970710	<--
EP 912559	A1		EP 1997-934458	19970711	<--
			WO 1997-EP3672	19970711	<--
JP 2000514806	W		WO 1997-EP3672	19970711	<--
			JP 1998-505596	19970711	<--
US 6391874	B1		WO 1997-EP3672	19970711	<--
			US 1998-214267	19981231	<--
US 2002147214	A1	Cont of	WO 1997-EP3672	19970711	<--

	Cont of	US 1998-214267	19981231	<--
		US 2002-62647	20020131	
EP 912559	B1	EP 1997-934458	19970711	<--
		WO 1997-EP3672	19970711	<--
DE 69716916	E	DE 1997-616916	19970711	<--
		EP 1997-934458	19970711	<--
		WO 1997-EP3672	19970711	<--
ES 2186908	T3	EP 1997-934458	19970711	<--
US 6828320	B2 Cont of	WO 1997-EP3672	19981231	<--
	Cont of	US 1998-214267	19981231	<--
		US 2002-62647	20020131	

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9737668	A	Based on	WO 9802434
EP 912559	A1	Based on	WO 9802434
JP 2000514806	W	Based on	WO 9802434
US 6391874	B1	Based on	WO 9802434
US 2002147214	A1	Cont of	US 6391874
EP 912559	B1	Based on	WO 9802434
DE 69716916	E	Based on	EP 912559
		Based on	WO 9802434
ES 2186908	T3	Based on	EP 912559
US 6828320	B2	Cont of	US 6391874

PRIORITY APPLN. INFO: **GB 1996-25458 19961207; GB 1996-14755 19960713**

INT. PATENT CLASSIF.:

MAIN: A61K031-47; A61K031-506; A61K031-535; C07D000-00; **C07D239-94**; C07D405-04

SECONDARY: A61K031-4709; A61K031-495; A61K031-505; A61K031-517; A61K031-5355; A61P017-06; A61P035-00; C07D215-02; C07D265-30; C07D401-04; C07D401-14; C07D403-04; **C07D403-12**; C07D403-14; C07D405-14; C07D409-04; C07D409-14; C07D413-04; C07D413-14

BASIC ABSTRACT:

WO 9802434 A UPAB: 20030101

Aryl 4-arylamino (aryloxy, arylthio) quinolines, quinazolines, and related compounds of formula (I) and their salts and solvates are new. X = N or CH; Y = WCH2, CH2W or W; W = O, S(O)m or NRa; Ra = H or 1-8C alkyl; m = 0-2; U = phenyl or a 5-10 membered mono- or bi-cyclic system, in which one or more C atoms is optionally replaced by a heteroatom from N, O, and S(O)m (both substituted by R6, and optionally substituted by R4); R1 = phenyl or Het (both optionally substituted by R3); Het = 5 or 6 membered heterocyclyl, containing 1-4 heteroatoms from N, O, and S(O)m, provided that the ring does not contain two adjacent O or S(O)m groups, and when N is the only heteroatom, then the linkage to the main ring system is through C; R2 = H, halo, CF3, or 1-4C alkyl or alkoxy; R3 = H, halogeno-Q, NO2, amino-Q, hydroxy-Q, carboxy-J, formyl, CN, CF3, OCF3, carbamoyl-J, ureido, guanidino, 1-8C alkyl, alkoxy, or alkylthio, (1-4C alkoxy or alkylthio)Q, 3-8C cycloalkoxy, 4-8C cycloalkoxyalkyl, 2-9C alkylcarbonyl or alkoxycarbonyl, hydroxyamino, 1-4C alkoxyamino, 2-4C alkanoyloxyamino, mono- or di- (1-4C alkyl)amino-Q, mono- or di- (1-4C alkyl)carbamoyl-J, mono- or di- (1-4C alkyl)amino 1-4C alkylene (1-4C alkyl)amino, hydroxy 1-4C alkylene (1-4C alkyl)amino phenyl-J, phenoxy-Q, phenylthio-Q, anilino-Q, (4-pyridon-1-yl)Q, (pyrrolidon-1-yl)Q, (imidazol-1-yl)Q, piperidino-Q, morpholino-Q, thiomorpholino-Q and its 4-oxide and

4,4-dioxide, (piperazin-1-yl)-Q, (4-(1-4C alkyl)piperazin-1-yl)Q, dioxolanyl, arylthio, 1-4C alkylsulphinyl or alkylsulphonyl, arylsulphinyl, arylsulphonyl, 2-4C alkanoyloxy 1-4C alkyl, 1-4C (alkoxy, alkylthio, alkylsulphinyl, or alkylsulphonyl) 1-4C alkyl, formyl 1-4C alkyl, (2-5C alkoxycarbonyl)J, hydroxy 2-4C (alkoxy, alkylthio, or alkylamino) 1-4C alkyl, 1-4C alkoxy 2-4C (alkoxy, alkylthio, or alkylamino) 1-4C alkyl, 2-4C alkanoyloxy 2-4C alkoxy, 2-4C alkanoylamino, 2-5C alkoxycarbonylamino, 1-4C alkylsulphonylamino or alkylsulphinylamino, benzamido, benzenesulphonamido, 3-phenylureido, 2-oxopyrrolidin-1-yl, or 2,5-dioxopyrrolidin-1-yl; and in which any benzamido, benzenesulphonamido, anilino, phenoxy, phenyl, or heterocyclic group in R3 is optionally substituted by 1 or 2 halo, or 1-4C alkyl or alkoxy, and the heterocycle is also optionally substituted by 1 or 2 oxo or thio; or R3 = M1-M2-M3-M4, M1-M5, or M1-M2-M7-M6; or two adjacent R3 = methylenedioxy or ethylenedioxy (both optionally substituted); Q = a bond, 1-4C alkyl, or 2-4C alkoxy, alkylamino, or alkanoylamino; J = a bond, 1-4C alkyl, alkoxy, or alkylamino, or 2-4C alkanoylamino; M1 = 1-4C alkylene (optionally having a CH2 replaced by CO); M2 = NR12 or CR12R13; M3 = 1-4C alkylene; M7 = a bond, or 1-4C alkylene; M4 = CN, NR12S(O)mR13, S(O)mNR14R15, CONR14R15, S(O)mR13, or COOR13; M5 = NR14R15 or an azacyclyl carboxy group (a); t = 2-4; M6 = 3-6C cycloalkyl, NR14R15, or 5 or 6 membered heterocyclyl, containing 1-4 of N, O, S; p = 0-3; R4 = H, OH, halo, CN, NO2, CF3, or 1-4C alkyl, alkoxy, alkylthio, alkylsulphinyl, or alkylsulphonyl, mono- or di-(1-4C alkyl)amino, 2-5C alkylcarbonyl or alkoxycarbonyl, carbamoyl, mono- or di-(1-4C alkyl)carbamoyl; R6 = Z(CH2)qR7 or Z'R7; Z = V(CH2), V(CF2), (CH2)V, (CF2)V, V(CRR'), V(CHR), or V; R, R' = 1-4C alkyl; V = a bond, 1 or 2C hydrocarbyl, CO, COCO, CH(OH), CH(CN), sulphonamide, amide, S(O)m, or NRb; Rb = H or 1-4C alkyl; R7 = 3-6C cycloalkyl, 5-10 membered carbocyclyl or 5-10 membered heterocyclyl (all optionally substituted); Z' = NRb; NRbR7 = 5-10 membered carbocyclyl or 5-10 membered heterocyclyl; R12-R15 = H or 1-4C alkyl; or NR14R15 = 5 or 6 membered azacyclyl, optionally containing 1 or 2 other heteroatoms selected from N, O, or S(O)m, and any ring N optionally alkylated with 1-4C alkyl, and optionally substituted by 1 or 2 oxo or thio; and R16 = OH, 1-4C alkoxy or NR14R15.

USE - (I) are potent inhibitors of protein tyrosine kinases such as EGFr, c-erB-2, c-erB-4, c-met, tie-2, PDGFr, c-src, lck, Zap 70 and fyn. (I) inhibit cell proliferation driven by these kinases. (I) are of use in treatment of malignancies, including breast, non-small cell lung, ovary, stomach and pancreatic tumours, especially those driven by EGFr or c-erB-2. Certain compounds (I) are selective for c-erB-2 in preference to EGFr an may be used for treating c-erb-2 driven tumours; others are highly active against both receptors allowing a treatment of a broader range of tumours. (I) may also be used for treating neck tumours psoriasis.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-H; B14-D06; B14-H01; B14-N17C

L103 ANSWER 54 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1998-232350 [21] WPIX
DOC. NO. CPI: C1998-072580
TITLE: New aryl-substituted 4-amino-quinazoline derivatives - useful for treating hyper-proliferative disorders e.g. cancer, psoriasis, prostate hyperplasia.
DERWENT CLASS: B02
INVENTOR(S): ARNOLD, L D; SOBOLOV-JAYNES, S B; JAYNES, S B S
PATENT ASSIGNEE(S): (PFIZ) PFIZER INC; (PFIZ) PFIZER CORP
COUNTRY COUNT: 28
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
EP 837063	A1	19980422	(199821)*	EN	33	C07D403-12<--
R: AL AT BE CH DE DK ES FI FR GB GR IE IT LI LT LU LV MC NL PT RO SE SI						
JP 10152477	A	19980609	(199833)		29	C07D239-94<--
CA 2218945	A	19980417	(199927)			C07D401-00<--
BR 9705088	A	19990720	(199940)			C07D239-74<--
MX 9707980	A1	19980401	(200004)			C07C211-00<--
US 6225318	B1	20010501	(200126)			C07D401-14
JP 3457164	B2	20031014	(200369)		27	C07D239-94<--
MX 212865	B	20030210	(200412)			A61K031-505

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 837063	A1	EP 1997-307724	19971001	<--
JP 10152477	A	JP 1997-284872	19971017	<--
CA 2218945	A	CA 1997-2218945	19971015	<--
BR 9705088	A	BR 1997-5088	19971017	<--
MX 9707980	A1	MX 1997-7980	19971016	<--
US 6225318	B1 Provisional	US 1996-28881P	19961017	<--
	CIP of	US 1997-953078	19971017	<--
		US 1999-449855	19991126	<--
JP 3457164	B2	JP 1997-284872	19971017	<--
MX 212865	B	MX 1997-7980	19971016	<--

FILING DETAILS:

PATENT NO	KIND	PATENT NO
JP 3457164	B2 Previous Publ.	JP 10152477

PRIORITY APPLN. INFO: **US 1996-28881P 19961017; US 1997-953078 19971017; US 1999-449855 19991126**

INT. PATENT CLASSIF.:

MAIN: A61K031-505; C07C211-00; C07D239-74; **C07D239-94** ; C07D401-00; C07D401-14; **C07D403-12**

SECONDARY: A61K031-381; A61K031-404; A61K031-535; **C07D239-88** ; C07D239-91; C07D401-04; C07D401-06; C07D403-00; C07D403-04; C07D403-10; C07D403-14; C07D405-14; C07D409-14; C07D413-14; C07D417-14; C07D475-00; C07D487-04; C07D491-056

ADDITIONAL: A61K031-517; A61P035-00

INDEX: C07D209:00, C07D239:00, **C07D403-12**

BASIC ABSTRACT:

EP 837063 A UPAB: 19980528

4-Amino-quinazoline derivatives of formula (I) and their salts are new. R3 = H; R4 = Q2 or Ph (optionally substituted by 1-3 R5 groups); or NR3R4 = a group of formula (a) or (b); R5 = CH2F, CHF2, CF3, halo, NO2, OH, NH2, N3, isothiocyano, alkyl, Ar, thienyl, alkoxy, OAr, OCH2Ar, alkenyl, alkynyl, 1-4C alkylenedioxy, CN, NHCOAr, NHCOCF3, alkanoylamino, alkanoyl, mono- or di-alkylamino, alkylsulphonylamino, NHSO2CF3, alkylthio, alkylsulphinyl, alkylsulphonyl, pyrrol-1-yl, piperidin-1-yl or pyrrolidin-1-yl; Ar = Ph (optionally mono-substituted by halo, NO2, CF3, OH or alkyl); or R5+R5

complete imidazolyl, pyrrolo or pyrazolyl; R6 = COOH, alkyl (optionally substituted by OH, alkoxy, NH2, mono- or di- alkylamino, morpholino, 4-alkyl-piperazin-1-yl, COOH, SO3H or pyridyl) or alkylcarbonyl; and when R6 is on C not adjacent to N, R6 may also be OH, NH2, mono- or di-alkylamino, SO3H or alkoxy; q, n = 0-3; o = 0-2; Q2 = 9- or 10-membered bicyclic heteroaryl (optionally hydrogenated) containing 1-2 N and optionally further containing a further N, O or S (optionally ring substituted by 1-2 of halo, OH, oxo, amino, NO2, CONH2, alkyl, alkoxy, mono- or di- alkylamino, 2-4C alkanoylamino, 2-4C alkenyl or 2-4C alkynyl; Q = XYAr; Ar = mono or bicyclic aryl or heteroaryl (e.g. Ph, naphthyl, pyridyl, pyrimidyl, furanyl, thiophenyl, pyrrolyl, oxazolyl, thiazolyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, pyranyl, pyrazinyl, thiazinyl, indolyl, isoindolyl, benzofuranyl, benzothienyl, quinazolinyl or isoquinolinyl) all optionally substituted by 1-3 R2; m = 1-2; X = bond, CH=CH or CC; Y = (CH2)p (optionally with 1-2 CH2 replaced by O, S, SO2, CO, NH or NMe); p = 0-5; R1 = R1a, R1b or R1c; R1a = R11-substituted alkyl or R11; R11 = CF3, halo, NO2, OH, NH2, CN, alkyl, alkoxy, alkoxycarbonyl, thio, alkanoyloxy, alkanoylamino, COOH, OAr', OCH2Ar', CONH2, mono- or di-alkylcarbamoyl, mono- or di- alkylamino, mono- or di- (2-4C hydroxyalkyl)amino, mono- or di- (alkoxy-2-4C alkyl)amino, anilino, pyrrolidin-1-yl, piperidin-1-yl, morpholino, piperazin-1-yl, 4-alkylpiperazin-1-yl, alkylthio or SAr'; R1b = (2-4C hydroxyalkoxy)-alkyl, (alkoxy-2-4C alkoxy)-alkyl, (hydroxy-2-4C alkylthio)-alkyl, (alkoxy-2-4C alkylthio)-alkyl, NH2OH, NHCOAr', (mono- or di-alkylcarbamoyl)methylamino, carbamoylmethylamino, alkoxycarbonylamino, alkanoylamino, carboxymethylamino, alkoxycarbonylmethylamino, alkoxyamino, 2-4C alkanoyloxyamino, (Ar'-substituted alkyl)amino, alkylsulphonylamino, NHSO2Ar', NHCONHAr', 2-oxopyrrolidin-1-yl, 2,5-dioxopyrrolidin-1-yl, NHCONH2, (alkoxy-alkyl)carbonylamino, alkylsulphinyl, alkylsulphonyl, alkoxy-2-4C alkylthio, mono-, di- or trifluoromethoxy, alkylenedioxy, OCH1Ar', N3, guanidino, aminocarbonyl, (mono- or di- alkyl)aminocarbonyl, Ar'-substituted alkoxy, OCH2COOH, alkoxycarbonyl-methoxy, OCH2CONH2, (mono- or di-alkylcarbamoyl)methoxy, (mono- or di- (2-4C) hydroxyalkyl)carboxamido, (mono- or di- alkoxy-(2-4C)-alkyl)carboxamido or bis 1-4C alkanesulphonylamido; R1c = 2-4C alkoxy, 2-4C alkylthio, 2-4C alkanoyloxy, 2-4C alkylamino, alkyl-substituted alkylenedioxy or 2-4C alkanoylamino (all optionally substituted by 1-2 of NH2, halo, OH, 2-4C alkanoyloxy, alkoxy, mono- or di- alkylamino, (mono- or di- (2-4C) hydroxyalkyl)amino, mono- or di- (alkoxy-(2-4C) alkyl)amino, alkanoylamino, OAr', NHAr', imidazol-1-yl, SAr', piperidino, morpholino, piperazin-1-yl, 4-alkylpiperazin-1-yl, COOH, alkoxycarbonyl, CONH2, mono- or di- alkylcarbamoyl, carboxamido, mono- or di- alkylcarboxamido or mono- or di- (2-4C hydroxyalkyl)carboxamido; Ar' = Ph (optionally substituted by 1-2 of halo, NO2, CF3, OH, alkoxy or alkyl); R2 = R1a or R1b; provided that: (1) Q is at position 6 and/or 7 of the quinazoline ring; (2) Ar is not unsubstituted Ph; (3) m+n is not greater than 4; (4) when R4 is 1H-indol-5-yl, n is 0 or 1, m is 1 and Q is 2-(Ra-substituted phenyl)ethen-1-yl at position 7, then: (a) Ar (sic) is not 1,1-dimethyl-4,4-dimethyl-1,2,3,4-tetrahydronaphth-1-yl; and (b) when n =0, then Ra is not 3-NO2, 4-OMe, 4-Br, 3,4-dimethoxy, 3-Br, 4-CH2OH, 2,3,4,5,6-pentafluoro, 3,5-methoxy (sic), 1-aminoethyl, 3-oxo-4-methyl, 2-OMe, 3-nitro-4-methylcarbonylamino or 3-methoxy-4-benzyloxy; and when n = 1, then Ra is not 3-NO2, 3-Br, 4-Br or 2,3,4,5,6-pentafluoro. Alkyl, alkoxy, alkanoyl, alkylene have 1-4C and alkenyl, alkynyl have 2-6C unless specified otherwise.

Also claimed are intermediates of formula (II), provided that Ar in Q is not phenyl.

USE - The compounds are useful for treating hyperproliferative disease, especially cancer (including brain, lung, squamous cell, bladder, gastric, pancreatic, breast, head, neck, oesophagus, gynaecological or

thyroid cancer) or benign hyperplasia of the skin or prostate (claimed) including psoriasis, leukaemia and lymphoid malignancies, Hodgkin's disease, cutaneous or intraocular melanoma, cancer of the intestine or endocrine system, sarcomas of soft tissues, or neoplasms of the CNS. (I) act by inhibiting protein tyrosine kinases.

Dosage is 0.001-100 (preferably 1-35) mg/kg/day, by oral, intraduodenal, parenteral and topical routes etc.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-H; B14-H01

L103 ANSWER 55 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1997-394536 [37] WPIX
DOC. NO. CPI: C1997-126938
TITLE: New (aromatic acylated amino- or hydrazino-)pyrimidine - useful as animal pesticides in agriculture, forestry, materials protection and hygiene.
DERWENT CLASS: B03 C02 D21 D22 E13 F09
INVENTOR(S): BRETSCHNEIDER, T; ERDELEN, C; KLEEFELD, G; STENZEL, K; WERNTHALER, K
PATENT ASSIGNEE(S): (FARB) BAYER AG
COUNTRY COUNT: 42
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 19603576	A1	19970807	(199737)*		28	C07D239-42<--
WO 9728133	A1	19970807	(199737)	GE	72	C07D239-42<--
RW: AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL OA PT SE						
W: AU BB BG BR BY CA CN CZ HU IL JP KR KZ LK MX NO NZ PL RO RU SK TR UA US						
AU 9715932	A	19970822	(199801)			C07D239-42<--
EP 880505	A1	19981202	(199901)	GE		C07D239-42<--
R: DE ES FR GB IT						
JP 2000503998	W	20000404	(200027)		69	C07D239-42

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
DE 19603576	A1	DE 1996-1003576	19960201	<--
WO 9728133	A1	WO 1997-EP240	19970120	<--
AU 9715932	A	AU 1997-15932	19970120	<--
		WO 1997-EP240	19970120	<--
EP 880505	A1	EP 1997-902189	19970120	<--
		WO 1997-EP240	19970120	<--
JP 2000503998	W	JP 1997-527274	19970120	<--
		WO 1997-EP240	19970120	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9715932	A	Based on	WO 9728133
EP 880505	A1	Based on	WO 9728133
JP 2000503998	W	Based on	WO 9728133

PRIORITY APPLN. INFO: **DE 1996-19603576**
19960201

REFERENCE PATENTS: 2.Jnl.Ref; DE 4417163; EP 313512; EP 370704; EP 467760; EP 606011; EP 649855; GB 963924; US 2956998; WO 9518795
INT. PATENT CLASSIF.:
MAIN: C07D239-42
SECONDARY: A01N037-22; A01N043-54; A01N043-90; **C07D239-94**; C07D401-06; **C07D401-12**; C07D403-06; C07D405-06; C07D405-12; C07D409-06; C07D473-34

BASIC ABSTRACT:

DE 19603576 A UPAB: 19970915

Acylated amino- or hydrazino-)pyrimidine compounds of formula (I) are new. R1, R2 = H (not both H), halo, NO2, CN, NH2, alkylamino, dialkylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkyl aminothiocarbonyl, dialkyl aminothiocarbonyl, optionally substituted cycloalkyl or optionally substituted phenyl, or (all optionally halo-substituted) alkyl, alkoxyalkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl; or CR1R2 = optionally substituted, optionally unsaturated ring that may contain heteroatoms; A = NR3 or NR3-NR4; R3, R4 = H, alkyl, alkoxyalkyl, alkylcarbonyl, Ar' or COAr'; Ar = optionally substituted aryl or optionally substituted heteroaryl; Ar' = optionally substituted aryl; X = O or S; Y = bond, alkenylidene or (all optionally substituted) alkylidene, alkylideneoxy or alkylidenethio. 4-(NR3H or NR3-NR4H)-5-chloro-6-ethyl-pyrimidine (IIa) are new.

USE - (I) are useful as animal pesticides (killing insects, arachnids and nematodes) and fungicides in agriculture, forestry, materials protection and hygiene, especially as plant protectants. (I) are active against Plasmodiomycetes, Oomycetes, Chytridiomycetes, Zygomycetes, Ascomycetes, Basidiomycetes, Deuteromycetes, Pseudomonadaceae, Rhizobiaceae, Enterobacteriaceae, Corynebacteriaceae and Streptomycetaceae, on fruit and vegetables.

ADVANTAGE - (I) have a broad spectrum of activity, low toxicity to warm-blooded animals, and are well tolerated by plants.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-H; B07-D12; B14-A04; B14-B03A; B14-B04; C06-H; C07-D12; C14-A01C; C14-A04; C14-A06; C14-B03A; C14-B04; D09-A01C; E06-H; E07-D12; F05-B01

L103 ANSWER 56 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1996-201383 [21] WPIX
DOC. NO. CPI: C1996-063666
TITLE: New (pyridyl or pyrimidyl) oxy- or amino spiro-alkane or analogue - useful in agriculture, veterinary medicine and as preservative to control insects, ticks, nematodes, arachnids, and fungi.
DERWENT CLASS: A60 B03 C02 D22 E13 F09 G02 H01 H07 H08 M21
INVENTOR(S): BONIN, W; BRAUN, P; KERN, M; KNAUF, W; LINKIES, A; PREUSS, R; REUSCHLING, D; SACHSE, B; SANFT, U; SCHAPER, W; WALTERSDORFER, A; LINKIES, A H; REUSCHLING, D B; REUSHLING, D; KANUF, W
PATENT ASSIGNEE(S): (AGRE) HOECHST-SCHERING AGREVO GMBH
COUNTRY COUNT: 68
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 4436509	A1	19960418	(199621)*		70	C07D405-10<--
WO 9611924	A1	19960425	(199622)	GE	124	C07D405-12<--

RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE SZ UG
W: AL AM AU BB BG BR BY CA CN CZ EE FI GE HU IS JP KG KP KR KZ LK LR

LT LV MD MG MK MN MX NO NZ PL RO RU SG SI SK TJ TM TT UA UZ VN

ZA 9508594	A	19960731	(199635)		108	C07D000-00<--
AU 9538039	A	19960506	(199636)			C07D405-12<--
EP 785934	A1	19970730	(199735)	GE		C07D405-12<--
R: AT BE CH DE DK ES FR GB GR IE IT LI NL PT SE						
BR 9509308	A	19971104	(199751)			C07D405-12<--
HU 77203	T	19980302	(199821)			C07D405-12<--
MX 9702690	A1	19970601	(199825)			C07D405-12<--
JP 10507187	W	19980714	(199838)		113	C07D213-74<--
KR 97706278	A	19971103	(199844)			C07D405-12<--
US 5859009	A	19990112	(199910)			C07D405-12<--
CN 1161037	A	19971001	(200308)			C07D405-12<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
DE 4436509	A1	DE 1994-4436509	19941013	<--
WO 9611924	A1	WO 1995-EP3927	19951005	<--
ZA 9508594	A	ZA 1995-8594	19951012	<--
AU 9538039	A	AU 1995-38039	19951005	<--
EP 785934	A1	EP 1995-935903	19951005	<--
		WO 1995-EP3927	19951005	<--
BR 9509308	A	BR 1995-9308	19951005	<--
		WO 1995-EP3927	19951005	<--
HU 77203	T	WO 1995-EP3927	19951005	<--
		HU 1997-1850	19951005	<--
MX 9702690	A1	MX 1997-2690	19970411	<--
JP 10507187	W	WO 1995-EP3927	19951005	<--
		JP 1996-512898	19951005	<--
KR 97706278	A	WO 1995-EP3927	19951005	<--
		KR 1997-702364	19970411	<--
US 5859009	A	US 1995-540987	19951011	<--
CN 1161037	A	CN 1995-195636	19951005	<--
		WO 1995-EP3927	19951005	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9538039	A	Based on	WO 9611924
EP 785934	A1	Based on	WO 9611924
BR 9509308	A	Based on	WO 9611924
HU 77203	T	Based on	WO 9611924
JP 10507187	W	Based on	WO 9611924
KR 97706278	A	Based on	WO 9611924
CN 1161037	A	Based on	WO 9611924

PRIORITY APPLN. INFO: **DE 1994-4436509**
19941013
REFERENCE PATENTS: EP 509211; WO 9305050; WO 9319050; WO 9507890; WO 9507891
INT. PATENT CLASSIF.:
MAIN: C07D000-00; C07D213-74; C07D405-10; C07D405-12
SECONDARY: A01N043-40; A01N043-54; A61K031-44; A61K031-47; A61K031-505; C07D213-61; C07D213-68; C07D215-20; C07D215-38; C07D239-34; C07D239-38; C07D239-42; **C07D239-88**; **C07D401-12**; C07D409-12; C07D519-00; C09D005-14; C09K003-10; C10M133-40

BASIC ABSTRACT:
DE 4436509 A UPAB: 19960529

Pyridyl or pyrimidyl substd. spiro cpds. of formula (I) and their salts are new.

R1 = 1-4C alkyl, 3-5C cycloalkyl (both opt. substd. by halo), halo or H; R2, R3 = as for R1, 1-4C alkoxy, 1-4C alkyl (opt. substd. by 1-4C alkoxy, 1-4C haloalkoxy, 1-4C alkylthio or cyano); 1-4C haloalkyl (opt. substd. by 1-4C alkoxy or 1-4C haloalkoxy), 1-4C alkylthio, 1-4C alkyl sulphinyl, 1-4C alkyl sulphonyl (and these three opt. substd. by halo), 2-4C alkenyl, 2-4 alkynyl, 1-4C alkoxy carbonyl, CN or thiocyano; or CR2R3 = Cyc1, Cyc2 or Cyc3; Cyc1 = 5 membered isocyclic ring opt. with one CH2 replaced by O or S (opt. substd. by 1-3 1-4C alkyl, 1-4C haloalkyl, halo, 1-4C alkoxy or 1-4C haloalkoxy); Cyc2 = 6 membered isocyclic ring opt. with one or two CH replaced by N (opt. substd. as for Cyc1); Cyc3 = saturated 5-7 membered isocyclic ring, opt. with one or two CH2 replaced with O and/or S (opt. substd. by 1-3 1-4C alkyl); A = CH or N; X = NH, O or SOq; r,s,q = 0-2; E = bond or 1-4C alkandiyl; Y,Z = CH2, O or SOq; W = (CH2)n; or when Y and/or Z = CH2, W may also be a bond; a = 0-3; b = 1-3; U = bond, O, S(O)q or NR7; V = bond, CO, -C(=Q)-T- or -C(T')=N-; or U+V = double bond Q = O, S or 1-4C alkylthio; T = O, S or NR'; T' = 1-4C alkoxy, 1-4C alkylthio or NR'R''; R',R'',R7 = H, 1-4C alkyl or 1-4C alkoxy; R4,R5 = halo, alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; R6 = alkyl, alkenyl, alkynyl, opt. substd. aryl, opt. substd. heterocyclyl or CN; and when U+V = single or double bond, R6 may also be halo, OH, COOH, NO2, alkylidene, alkyloximino, or SR8R9R10; or R5+R6 complete a cycloalkyl or spiro-cycloalkyl ring; R8, R9 = 1-4C alkyl; R10 = alkyl or opt. substd. aryl; provided that the alkyl, alkenyl, alkynyl, alkylidene or alkyloximino gps. in R6, R8-R10 fulfil at least one of the conditions (a)-(c). (a) one or more non-adjacent CH2 gps. are replaced by CO and/or heteroatom units; (b) 3-12 atoms combine to form a cyclic gp. with up to 12 members; (c) the gps. are substd. with at least one substd. R11; where R11 = halo, alkyl, cycloalkyl, aryl, aryloxy, arylthio, heterocyclyl, heterocyclyloxy, heterocyclylthio, haloalkyl, arylalkyl, cycloalkylalkyl, alkoxy, haloalkoxy, alkylthio, cycloalkoxy, alkanoyloxy, haloalkanoyloxy, cycloalkanoyl, cycloalkyl-alkanoyloxy, aroyloxy, arylalkanoyloxy, alkylsulphonyl-oxy, arylsulphonyloxy, heterocyclylcarbonyloxy, OH, CN or NO2; and all cycloaliphatic, heterocyclic and aryl gps. are opt. mono- to tri-substd. (or mono- to per-substd. when the substit. is halo).

Also claimed is seed dressed with (I).

USE - (I) have fungicidal, insecticidal, ixodicidal and nematocidal activity. (I) can be used in plant protectants; wood preservatives; preservatives for paints, sealants, lubricants for metal working or drilling or cutting oils; in veterinary medicine for treating endoparasites and ectoparasites; or as a fungicide (all claimed). The cpds. are used to combat harmful insects, acarids, molluscs and nematodes (claimed), and helminths. (I) are useful in forestry, agriculture, for the protection of devices and materials and in the hygiene sector.

Dosage is e.g. 0.01-1 mg/kg for cattle. Application rate for crops is 0.5g-10kg/ha.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: A08-M02; B06-H; B07-D04; B07-D12; B12-M06; B14-A04; B14-B02; B14-B03; B14-B04A; B14-B04B; B14-B12; C06-H; C07-D04; C07-D12; C12-M06; C14-A04; C14-A06; C14-B02; C14-B03; C14-B04A; C14-B04B; C14-B12; D09-A01C; E06-H; E07-D04B; E07-D12; F05-B01; G02-A03; G02-A03B; G02-A05; G02-A05G; G04-B02; H01-B06C; H07-G; H08-D04; M21-B03

L103 ANSWER 57 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1995-116422 [16] WPIX

DOC. NO. CPI: C1995-053048
TITLE: New pyridine or pyrimidine derivs. containing cycloalkylidene gp. - useful as fungicides, insecticides, acaricides and nematocides.
DERWENT CLASS: B02 B03 C02 D22 E13 F09 G02 H08
INVENTOR(S): BONIN, W; BRAUN, P; JAKOBI, H; KERN, M; KNAUF, W; LINKIES, A H; LUMMEN, P; PREUSS, R; REUSCHLING, D B; SACHSE, B; SCHAPER, W; WALTERSDORFER, A; WEHNER, V; LUEMMEN, P; MAERKL, M; MARKL, M
PATENT ASSIGNEE(S): (AGRE) HOECHST SCHERING AGREVO GMBH
COUNTRY COUNT: 58
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 4331178	A1	19950316	(199516)*		46	C07D239-47<--
WO 9507894	A1	19950323	(199517)	EN	89	C07D239-52<--
RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE						
W: AM AU BB BG BR BY CA CN CZ FI GE HU JP KG KP KR KZ LK LT LV MD MG MN NO NZ PL RO RU SI SK TJ TT UA UZ VN						
AU 9476937	A	19950403	(199529)			C07D239-52<--
ZA 9407042	A	19950628	(199532)		88	C07D000-00<--
EP 719259	A1	19960703	(199631)	GE		C07D239-52<--
R: DE ES FR GB GR IT NL						
BR 9407494	A	19960625	(199633)			C07D239-52<--
US 5595992	A	19970121	(199710)		22	C07D403-12<--
JP 09502966	W	19970325	(199722)		87	C07D213-62<--
CN 1130904	A	19960911	(199801)			C07D239-52<--
AU 697355	B	19981001	(199851)			C07D239-52<--
EP 719259	B1	19990120	(199908)	GE		C07D239-52<--
R: DE FR						
DE 59407709	G	19990304	(199915)			C07D239-52<--
US 5925653	A	19990720	(199935)			A01N043-40<--
JP 3051761	B2	20000612	(200032)		54	C07D213-62
PH 31096	A	19980205	(200255)			C07D403-12<--
CN 1046271	C	19991110	(200461)			C07D239-52<--

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
DE 4331178	A1		DE 1993-4331178	19930914	<--
WO 9507894	A1		WO 1994-EP2934	19940902	<--
AU 9476937	A		AU 1994-76937	19940902	<--
ZA 9407042	A		ZA 1994-7042	19940913	<--
EP 719259	A1		EP 1994-927552	19940902	<--
			WO 1994-EP2934	19940902	<--
BR 9407494	A		BR 1994-7494	19940902	<--
			WO 1994-EP2934	19940902	<--
US 5595992	A		US 1994-304390	19940912	<--
JP 09502966	W		WO 1994-EP2934	19940902	<--
			JP 1995-508842	19940902	<--
CN 1130904	A		CN 1994-193380	19940902	<--
AU 697355	B		AU 1994-76937	19940902	<--
EP 719259	B1		EP 1994-927552	19940902	<--
			WO 1994-EP2934	19940902	<--
DE 59407709	G		DE 1994-507709	19940902	<--
			EP 1994-927552	19940902	<--
			WO 1994-EP2934	19940902	<--
US 5925653	A	Div ex	US 1994-304390	19940912	<--

		US 1996-709001	19960906	<--
JP 3051761	B2	WO 1994-EP2934	19940902	<--
		JP 1995-508842	19940902	<--
PH 31096	A	PH 1994-48961	19940912	<--
CN 1046271	C	CN 1994-193380	19940902	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9476937	A	Based on	WO 9507894
EP 719259	A1	Based on	WO 9507894
BR 9407494	A	Based on	WO 9507894
JP 09502966	W	Based on	WO 9507894
AU 697355	B	Previous Publ.	AU 9476937
		Based on	WO 9507894
EP 719259	B1	Based on	WO 9507894
DE 59407709	G	Based on	EP 719259
		Based on	WO 9507894
US 5925653	A	Div ex	US 5595992
JP 3051761	B2	Previous Publ.	JP 09502966
		Based on	WO 9507894

PRIORITY APPLN. INFO: **DE 1993-4331178**
19930914
REFERENCE PATENTS: EP 452002; WO 9319050
INT. PATENT CLASSIF.:
MAIN: A01N043-40; C07D000-00; C07D213-62; C07D239-47; C07D239-52; **C07D403-12**
SECONDARY: A01C001-08; A01N043-42; A01N043-54; A01N043-58; A01N043-90; A61K031-435; A61K031-44; A61K031-4409; A61K031-47; A61K031-4704; A61K031-50; A61K031-505; A61K031-519; A61K031-52; A61P033-10; C07D213-74; C07D215-42; C07D239-32; C07D239-34; C07D239-38; C07D239-42; C07D239-46; C07D239-70; C07D239-72; **C07D239-88**; **C07D239-94**; **C07D401-12**; C07D471-04; C07D491-052; C07D495-04; C09D005-14; C09K003-10; C10M133-40; C10M135-00

BASIC ABSTRACT:

DE 4331178 A UPAB: 19950502

Pyridine or pyrimidine derivs. of formula (I) and their acid-addition salts are new:A = N or CH; R1 = H, halo, 1-4C alkyl or 3-8C cycloalkyl; R2 = H, halo, 1-4C alkyl,etc.;R3 = H, halo, 1-4C alkyl,etc.;or R2+R3 forms e.g. (a) an unsatd. 5- or 6-membered ring, opt. containing one or more N atoms and opt. substd. by 1-3 of 1-4C alkyl, 1-4C alkoxy, 1-4C haloalkyl, 1-4C haloalkoxy and/or halo;etc.; X = O, NH or S(O)q; q = 0-2; R4 = halo, 1-4C alkyl,etc.;p = 0-4; n = 0-2; m = 1-3; Y = CR5R6,C(OR5)R6, NR5, NOR5, NNR5R6 or N(O)R5; R5 = H, halo, 1-12C alkyl, 3-8C cycloalkyl,(3-8C)cycloalkyl(1-4C)alkyl,(1-4C alkoxy)t(1-4C)alkyl, 1-12C haloalkyl, 2-(tetrahydro-2H-pyran-2-yloxy)-(1-4C)alkyl, (1-4C haloalkoxy)t(1-4C)alkyl,etc.;t = 1-3; R6 = H, halo, 1-8C alkyl, 3-8C cycloalkyl, 1-8C haloalkyl, or phenyl or benzyl opt. ring-substd. as for R5; or R5+R6 forms a 3- to 7-membered ring, opt. with a C atom replaced by O, S or NR7 and opt. substd. by 1-3 of halo, 1-4C alkyl, 1-4C alkoxy, 1-4C haloalkyl and 1-4C haloalkoxy; R7 = a gp. as defined for R4 except halo.

USE - (I) are fungicides useful for plant protection and as preservatives for wood, sealants, paints, metal-working fluids, drilling oils and cutting oils and insecticides, acaricides and nematocides useful for pest control on plants, surfaces or substrates and as veterinary medicaments, especially for control of endo- and ectoparasites (all claimed).

Dwg.0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B05-B01B; C05-B01B; B06-H; C06-H; B07-D04C; C07-D04C; B07-D12; C07-D12; B14-A04; C14-A04; B14-B02; C14-B02; B14-B03A; C14-B03A; B14-B04A; C14-B04A; B14-B04B; C14-B04B; D09-A01C; E07-D04B; E07-D12; F05-B01; G02-A03; H01-B06; H08-D; H08-D04

ABEQ US 5595992 A UPAB: 19970307
Substd. pyridine derivs. of formula (I) and its salt is new:
A = N ;
R1 = H, halo, 1-4C-alkyl or 3-6C cycloalkyl,etc.;
R2 = H, halo, 1-4C-alkyl or 1-4C-haloalkyl,etc.;
R3 = H, halo, 1-4C-alkyl or 1-4)C-haloalkyl, etc.;or
R2 and R3 together with the carbon atoms to which they are bonded form an unsaturated 5- or 6-membered carbocyclic ring, etc.;or
R2 and R3 together with the carbon atoms to which they are bonded form a saturated 5-, 6- or 7-membered carbocyclic ring, etc.;
X = O, NH and S(O)q;
q = 0-2;
R4 = halo, 1-4C-alkyl, 3-7C-cycloalkyl, etc.;
p = 0-4;
n = 0-2; and
m = 1-3;
y = CR6R5, CR6OR5, NR5, NOR5, NNR5R6 and O-N+R5;
R5 = H, halo, 1-12C alkyl, etc.;
t = 1-3;
the term ''optionally substituted benzoyl'' meaning a radical in which the phenyl moiety is substituted as in ''optionally substituted phenyl''; and the term ''optionally substituted phenyl'' meaning a phenyl radical which has one, two or three identical or different substituents selected from the series consisting of halo, 1-4C-alkyl,etc.;
R6 = H, halo,1-8C alkyl, etc.;
R5+R6 = 3-7-membered ring, etc..
Dwg.0/0

L103 ANSWER 58 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1994-083077 [10] WPIX
DOC. NO. CPI: C1994-038041
TITLE: New 4-(2-(4-(2-pyridyl oxy)phenyl)ethoxy)-quinazoline derivs. and analogues - useful as pesticides especially plant fungicides, insecticides, miticides and nematocides.
DERWENT CLASS: C02
INVENTOR(S): DREIKORN, B A; KASTER, S V; KIRBY, N V; SUHR, R G; THOREEN, B R
PATENT ASSIGNEE(S): (DOWC) DOWELANCO; (DREI-I) DREIKORN B A; (KAST-I) KASTER S V; (KIRB-I) KIRBY N V; (SUHR-I) SUHR R G; (THOR-I) THOREEN B R
COUNTRY COUNT: 44
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 9404526	A1	19940303	(199410)*	EN	36	C07D401-12<--
RW: AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE						
W: AT AU BB BG BR BY CA CH CZ DE DK ES FI GB HU JP KP KR KZ LK LU MG MN MW NL NO NZ PL PT RO RU SD SE SK UA US VN						
US 5326766	A	19940705	(199426)		13	C07D247-02<--
AU 9349946	A	19940315	(199428)			C07D401-12<--
CN 1083810	A	19940316	(199525)			C07D401-12<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
WO 9404526	A1	WO 1993-US7119	19930729	<--
US 5326766	A	US 1992-932431	19920819	<--
AU 9349946	A	AU 1993-49946	19930729	<--
CN 1083810	A	CN 1993-116447	19930818	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9349946	A	Based on	WO 9404526

PRIORITY APPLN. INFO: **US 1992-932431**
19920819
REFERENCE PATENTS: EP 326331; EP 414386
INT. PATENT CLASSIF.:
MAIN: C07D247-02; **C07D401-12**
SECONDARY: A01N043-50; A01N043-54; A61K031-505; C07D213-30; C07D239-80; **C07D239-88**; C07D401-10; C07D471-04

BASIC ABSTRACT:

WO 9404526 A UPAB: 19940421

Quinazoline derivs. and analogues of formula (I), their N-oxides and their salts are new: Het = pyridyl, pyrazinyl, pyrimidyl or pyridazinyl opt. substd. by 1 or more of halo, alkyl, alkoxy, haloalkyl, haloalkoxy, NO2, CN or alkoxycarbonyl; Z = bond, CH2, NH, O, S, CH2O or OCH2; m = 4; R1 = H, halo, alkyl, alkoxy, haloalkyl, haloalkoxy, NO2, CN, alkoxycarbonyl or opt. substd. phenoxy; Y = CH2, NR3 or O; R3 = H, alkyl, alkylcarbonyl, alkylcarbonyloxy, S(O)qT or substd. phenyl; T = alkyl or phenyl; q = 0-2; X1 - X3 = N or CR2; R2 = H, halo, alkyl, alkoxy, haloalkyl, haloalkoxy, NO2, CN or alkoxycarbonyl.

USE (I) are pesticides having fungicidal, insecticidal, miticidal and nematocidal activity. They are partic. effective (i) as insecticides active against e.g. cotton aphid, greenhouse thrips, Southern armyworm, German cockroach and corn rootworm, and can be used to protect plants, textiles, paper, stored grain or seeds; and (ii) for controlling fungi especially plant pathogenic fungi such as Alternaria mali, Alternaria tenuis, Botrytis cinerea, Cochliobolus sativus, Collectotrichum coffeanum, Colletotrichum lindemuthianum, Erysiphe graminis hordeii, Erysiphe graminis tritici, Fusarium culmorum, Fusarium oxysporum, Gerlachia nivalis, Leptosphaeria nodorum, Phytophthora citricola, Phytophthora parasitica, Plasmopara viticola, Podosphaera leucotricha, Pseudocercosporella herpotrochoides, Puccinia recondita, Pyrenophora teres, Pyricularia oryzae, Pythium ultimum, Rhizoctonia cerealis, Rhizoctonia solani, Rhyncosporium secalis, Septoria tritici, Sclerotium rolfsii, Sclerotinia sclerotiorum, Uncinula necator, Ustilago maydis, Verticilliium albo-atrum and Venturia inaequalis.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: C06-H; C14-A04; C14-B03A; C14-B04A; C14-B04B

ABEQ US 5326766 A UPAB: 19940817

Pesticide has formula (1), where Q is pyridyl, pyrazinyl, pyrimidinyl or pyridazinyl, all opt. at least monosubstd. by R, where R is halogen, lower (halo)alkyl, lower (halo)alkoxy, NO2, CN or lower alkoxycarbonyl; Z is a single bond connecting Q to a C of the Ph or is CH2,NH,O,S,-CH2O- or -OCH2-; each R' is independently R or O-Ph opt. substd. by R, lower

alkenyl, lower alkynyl, lower (halo)alkyl- thio, OH, (O)Ph, 1-4C alkanoyloxy or benzyloxy; Y is CH2, NZ or O; each R'' is independently H or R; Z is H, (SOq)lower alkyl, lower alkyl-carbonyl, lower alkyl-carbonyloxy, SOq-Ph, substd. Ph; q is 0, 1 or 2. The N-oxides and salts of (1) are included.

Pref. Q is (2) and n is 4. The cpd. is esp. 8-F-4-(2-(4-(5-CF3)-2-pyridinyloxy) Ph)- ethoxy)-quinazoline.

USE/ADVANTAGE - As fungicide, e.g. against Alternaria brassicola, Erysiphe graminis hordeii, Phytophora citricola, Pythium ultimum, Ustilago maydis, insecticide, miticide and nematocide. A highly effective cpd. Dwg.0/0

L103 ANSWER 59 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1993-336796 [42] WPIX
DOC. NO. CPI: C1993-148981
TITLE: New quinazoline cpds. - have antiproliferative e.g. antitumour activity, and inhibit growth and proliferation of cells of higher (micro)organisms.
DERWENT CLASS: B02
INVENTOR(S): ATTARD, J; BLECKMAN, T M; JONES, T R; VARNEY, M D; WEBBER, S E
PATENT ASSIGNEE(S): (AGOU-N) AGOURON PHARM INC
COUNTRY COUNT: 44
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 9320055	A1	19931014	(199342)*	EN	115	C07D239-90<--
RW: AT BE CH DE DK ES FR GB GR IE IT LU MC NL OA PT SE						
W: AT AU BB BG BR CA CH CZ DE DK ES FI GB HU JP KP KR LK LU MG MN MW NL NO NZ PL PT RO RU SD SE SK UA VN						
AU 9339664	A	19931108	(199408)			C07D239-90<--
FI 9404525	A	19940929	(199445)			C07D000-00<--
NO 9403629	A	19940929	(199501)			C07D401-12<--
EP 637300	A1	19950208	(199510)	EN		C07D239-90<--
R: AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE						
HU 68580	T	19950628	(199532)			C07D401-12<--
JP 07505395	W	19950615	(199532)		29	C07D239-90<--
US 5430148	A	19950704	(199532)		38	A61K031-505<--
NZ 251804	A	19970624	(199732)			C07D239-00<--
AU 681075	B	19970821	(199742)			C07D239-90<--
US 5707992	A	19980113	(199809)		40	A61K031-505<--
SG 46672	A1	19980220	(199822)			C07D000-00<--
US 5885996	A	19990323	(199919)			A61K031-505<--
RU 2135481	C1	19990827	(200033)			C07D239-90<--
NO 307829	B1	20000605	(200034)			C07D401-12<--
KR 226114	B1	19991015	(200110)			C07D239-90<--
EP 637300	B1	20010905	(200152)	EN		C07D239-90
R: AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE						
DE 69330715	E	20011011	(200168)			C07D239-90
ES 2162818	T3	20020116	(200216)			C07D239-90
JP 3272357	B2	20020408	(200227)		49	C07D239-90
SG 93183	A1	20021217	(200319)			A61K031-505
HU 222523	B1	20030828	(200363)			C07D401-12<--
FI 113765	B1	20040615	(200440)			C07D239-90
CA 2474211	A1	19931014	(200465)	EN		C07D239-88<--
CA 2132514	C	20041026	(200471)	EN		C07D401-00

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
WO 9320055	A1		WO 1993-US2636	19930326	<--
AU 9339664	A		AU 1993-39664	19930326	<--
FI 9404525	A		WO 1993-US2636	19930326	<--
			FI 1994-4525	19940929	<--
NO 9403629	A		WO 1993-US2636	19930326	<--
			NO 1994-3629	19940929	<--
EP 637300	A1		EP 1993-909143	19930326	<--
			WO 1993-US2636	19930326	<--
HU 68580	T		WO 1993-US2636	19930326	<--
			HU 1994-2799	19930326	<--
JP 07505395	W		JP 1993-517514	19930326	<--
			WO 1993-US2636	19930326	<--
US 5430148	A		US 1992-861030	19920331	<--
NZ 251804	A		NZ 1993-251804	19930326	<--
			WO 1993-US2636	19930326	<--
AU 681075	B		AU 1993-39664	19930326	<--
US 5707992	A	Div ex	US 1992-861030	19920331	<--
			US 1995-418415	19950407	<--
SG 46672	A1		SG 1996-8023	19930326	<--
US 5885996	A	Cont of	US 1992-861030	19920331	<--
		Div ex	US 1995-418415	19950407	<--
			US 1997-923117	19970904	<--
RU 2135481	C1		WO 1993-US2636	19930326	<--
			RU 1994-45251	19930326	<--
NO 307829	B1		WO 1993-US2636	19930326	<--
			NO 1994-3629	19940929	<--
KR 226114	B1		WO 1993-US2636	19930326	<--
			KR 1994-703402	19940929	<--
EP 637300	B1		EP 1993-909143	19930326	<--
			WO 1993-US2636	19930326	<--
DE 69330715	E		DE 1993-630715	19930326	<--
			EP 1993-909143	19930326	<--
			WO 1993-US2636	19930326	<--
ES 2162818	T3		EP 1993-909143	19930326	<--
JP 3272357	B2		JP 1993-517514	19930326	<--
			WO 1993-US2636	19930326	<--
SG 93183	A1		SG 1998-739	19960222	<--
HU 222523	B1		WO 1993-US2636	19930326	<--
			HU 1994-2799	19930326	<--
FI 113765	B1		WO 1993-US2636	19930326	<--
			FI 1994-4525	19940929	<--
CA 2474211	A1	Div ex	CA 1993-2132514	19930326	<--
			CA 1993-2474211	19930326	<--
CA 2132514	C		CA 1993-2132514	19930326	<--
			WO 1993-US2636	19930326	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9339664	A	Based on	WO 9320055
EP 637300	A1	Based on	WO 9320055
HU 68580	T	Based on	WO 9320055
JP 07505395	W	Based on	WO 9320055
NZ 251804	A	Based on	WO 9320055
AU 681075	B	Previous Publ.	AU 9339664
		Based on	WO 9320055
US 5707992	A	Div ex	US 5430148

US 5885996	A	Cont of	US 5430148
		Div ex	US 5707992
RU 2135481	C1	Based on	WO 9320055
NO 307829	B1	Previous Publ.	NO 9403629
EP 637300	B1	Based on	WO 9320055
DE 69330715	E	Based on	EP 637300
		Based on	WO 9320055
ES 2162818	T3	Based on	EP 637300
JP 3272357	B2	Previous Publ.	JP 07505395
		Based on	WO 9320055
HU 222523	B1	Previous Publ.	HU 68580
		Based on	WO 9320055
FI 113765	B1	Previous Publ.	FI 9404525
CA 2132514	C	Based on	WO 9320055

PRIORITY APPLN. INFO: **US 1992-861030 19920331; US 1995-418415 19950407; US 1997-923117 19970904**

REFERENCE PATENTS: EP 459730

INT. PATENT CLASSIF.:

MAIN: A61K031-505; C07D000-00; C07D239-00; **C07D239-88** ; C07D239-90; C07D401-00; **C07D401-12**

SECONDARY: A61K031-517; A61K031-535; A61K031-54; A61P031-04; A61P031-10; A61P035-00; C07D239-86; C07D239-91; **C07D239-93**; C07D239-95; C07D239-96; C07D401-06; C07D403-00; C07D403-02; C07D403-10; **C07D403-12**; C07D405-00; C07D413-00; C07D417-00; C07D417-12; C07D471-04; C07D473-00; C07D475-00

BASIC ABSTRACT:

WO 9320055 A UPAB: 19961115

Quinazoline cpd. of formula (I) is new. R1 = H, halo, alkyl, OH, O-alkyl, O-(aryl or heteraryl), S-alkyl, S'-(aryl or heteraryl), NH2, NH-alkyl, N-(alkyl)2, NHCHO, NHOH, NHO-alkyl, opt. substd. NHNH2, NHC(=NH)NH2, NHC(=NH)alkyl, fluoroalkyl, cycloalkyl, alkenyl, alkynyl, aryl or heterocycle; R2,R3 = H, halo, alkyl, cycloalkyl, OH, -O-alkyl, -5-alkyl, NH2, NH-alkyl, N-(alkyl)2; -NHCHO, -NO2. NHOH, NHO-alkyl, opt. substd. NHNH2, CN, CO2H, CO2-alkyl, CONH2, CONH-alkyl, CON-(alkyl)2, CSNH2, CSNH-alkyl, CSN(alkyl)2, -C(=NH)NH2, -NHC(=NH)NH-NHC(=NH)alkyl, -SO-alkyl, -SO2-alkyl, fluoroalkyl, -O-fluoroalkyl, -S-fluoroalkyl, -NHCO(alkyl), NHCO(fluoroalkyl), -SO-fluoroalkyl, -SO2-fluoroalkyl, -SH, -SO3H, -SO2NH2, -SO2NH(alkyl), -SO2N(alkyl, alkenyl, alkynyl, aryl or heterocycle; Z = O or S. R4 = O, S, SO, SO2, NH, N-alkyl, CH2, CH-alkyl, CH-(aryl or heteroaryl), CHOH, CHO-alkyl, CHO-(aryl or heteroaryl, C(alkyl)2, C(aryl or heteroaryl)2, C(alkyl)aryl or heteroaryl), CHS-alkyl, CHS-aryl, C(OH)alkyl, C(OH)(aryl or heteroaryl), C(OH)(cycloalkyl), N(OH), N-cycloalkyl, N(aryl or heteroaryl), C(cycloalkyl), C(aryl or heteroaryl) (cycloalkyl), C(alkyl)(alkenyl), C(alkyl)(alkynyl),C(alkenyl)2, C(alkynyl)2, C(alkynyl)(aryl or heteroaryl), C(alkynyl)(alkenyl), C(alkenyl)(aryl or heteroaryl), C(cycloalkyl)(alkenyl), C(cycloalkyl)(alkynyl), C(alkyl(aryl or heteroaryl), CH(cycloalkyl), CH(alkenyl), CH(alkynyl), C(alkyl)(cycloalkyl), C(alkyl)(O-alkyl), C(alkenyl)(O-alkyl), C(alkynyl)(O-alkyl), C(alkyl)(O-cycloalkyl), C(alkenyl)(O-cycloalkyl), C(alkynyl)(O-cyacloalkyl), C(aryl or heteroaryl) (O-alkyl), C(aryl or heteroaryl) (O-cycloalkyl), C(alkynyl)(S-alkyl), C-(alkenyl(S-cycloalkyl), C(alkenyl)(S-alkyl), C(alkenyl)(S-cycloalkyl) C(alkyl)(S-alkyl)(S-alkyl), C(alkyl)(S-cycloalkyl), C(aryl or heteroaryl)(S-alkyl), C(aryl or heteroaryl)(S-cycloalkyl), N(NH2), N(NH(alkyl), N(N(alkyl)2), N(NH(cycloalkyl)), N(N(alkyl)(cycloalkyl)),

CH(NH2), OH(NH(alkyl)), CH(NH(cycloalkyl), CH(N(alkyl)2), CH(N(alkyl)(cycloalkyl), CH(N(cycloalkyl)2, C9alkyl)(NH2), C(alkyl)(NH(alkyl)), C(alkyl)(N(cycloalkyl)2) C(alkyl)(N(alkyl)(cycloalkyl)), C(aryl or heteroaryl)(NH2C(aryl or heteroaryl)NH(alkyl)), C(aryl or heteroaryl)(NH(cycfloalkyl)), C(aryl or heteroaryl)(N(alkyl)2), C(aryl or heteroaryl)(N(cycloalkyl)2) or C(aryl or heteroaryl(N(alkyl)(cycloalkyl); R5 = opt. substd. aryl or heteroaryl.

USE - (I) demonstrate antiproliferative activity such as antitumour activity and inhibit the growth and profileration of the cells of higher organisms and microorganisms such as bacteria, yeast and fungi. Prefd. (I) are capable of inhibiting the enzyme thymidylate synthase and have a thymidylate synthase inhibition constant of up to 10 power-4M, pref. 10 power-7 M. (I) may be administered to vertebrates (e.g. a mammal, human or bird). Admin. is oral, parenteral, topical, intravaginal, intranasal, intrabronical, intraocular, intraaural or rectal. Daily dosage is 1g/kg pref. 0.5g/kg, especially 100mg of (I).

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Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-D06; B12-G07

ABEQ US 5430148 A UPAB: 19950818

Prepn. of quinazoline derivs. of formula (I) comprises subjecting a cpd. of formula (II) to a displacement reaction for replacing L with R4-R5. In the formulae R1 is H, halo, OH, alky aryl, alkenyl, alkynyl, heterocyclyl or opt. substd. amino etc. R2 and R3 are each H, halo, alkyl, cycloalkyl, OH, NO2, opt. substd. amino, CSNH2, SO2NH2, alkenyl, aryl, heterocyclyl etc.; Z is 0 or S; R4 is O, S, SO2, NH, SO, Nalkyl, opt. substd. CH2 etc.; R5 is opt. substd. aryl or heteroaryl; and L is a leaving gp. or R4L' where L' is a leaving gp..

USE - (I) have antiproliferative activity such as antitumour activity and inhibit growth of higher organisms and microorganisms such as bacteria yeasts and fungi. They also inhibit thymidylate synthase.

Dwg.0/0

ABEQ US 5707992 A UPAB: 19980302

Quinazoline cpd. of formula (I) is new. R1 = H, halo, alkyl, OH, O-alkyl, O-(aryl or heteraryl), S-alkyl, S'-(aryl or heteraryl), NH2, NH-alkyl, N-(alkyl)2, NHCHO, NHOH, NHO-alkyl, opt. substd. NHNH2, NHC(=NH)NH2, NHC(=NH)alkyl, fluoroalkyl, cycloalkyl, alkenyl, alkynyl, aryl or heterocycle; R2,R3 = H, halo, alkyl, cycloalkyl, OH, -O-alkyl, -5-alkyl, NH2, NH-alkyl, N-(alkyl)2; -NHCHO, -NO2. NHOH, NHO-alkyl, opt. substd. NHNH2, CN, CO2H, CO2-alkyl, CONH2, CONH-alkyl, CON-(alkyl)2, CSNH2, CSNH-alkyl, CSN(alkyl)2, -C(=NH)NH2, -NHC(=NH)NH-NHC(=NH)alkyl, -SO-alkyl, -SO2-alkyl, fluoroalkyl, -O-fluoroalkyl, -S-fluoroalkyl, -NHCO(alkyl), NHCO(fluoroalkyl), -SO-fluoroalkyl, -SO2-fluoroalkyl, -SH, -SO3H, -SO2NH2, -SO2NH(alkyl), -SO2N(alkyl, alkenyl, alkynyl, aryl or heterocycle; Z = O or S. R4 = O, S, SO, SO2, NH, N-alkyl, CH2, CH-alkyl, CH-(aryl or heteroaryl), CHOH, CHO-alkyl, CHO-(aryl or heteroaryl, C(alkyl)2, C(aryl or heteroaryl)2, C(alkyl)aryl or heteroaryl), CHS-alkyl, CHS-aryl, C(OH)alkyl, C(OH)(aryl or heteroaryl), C(OH)(cycloalkyl), N(OH), N-cycloalkyl, N(aryl or heteroaryl), C(cycloalkyl), C(aryl or heteroaryl) (cycloalkyl), C(alkyl)(alkenyl), C(alkyl)(alkynyl),C(alkenyl)2, C(alkynyl)2, C(alkynyl)(aryl or heteroaryl), C(alkynyl)(alkenyl), C(alkenyl)(aryl or heteroaryl), C(cycloalkyl)(alkenyl), C(cycloalkyl)(alkynyl), C(alkyl(aryl or heteroaryl), CH(cycloalkyl), CH(alkenyl), CH(alkynyl), C(alkyl)(cycloalkyl), C(alkyl)(O-alkyl), C(alkenyl)(O-alkyl), C(alkynyl)(O-alkyl), C(alkyl)(O-cycloalkyl), C(alkenyl)(O-cycloalkyl), C(alkynyl)(O-cycloalkyl), C(aryl or heteroaryl) (O-alkyl), C(aryl or heteroaryl) (O-cycloalkyl), C(alkynyl)(S-alkyl), C-(alkenyl(S-cycloalkyl), C(alkenyl)(S-alkyl), C(alkenyl)(S-cycloalkyl)

C(alkyl)(S-alkyl)(S-alkyl), C(alkyl)(S-cycloalkyl), C(aryl or heteroaryl)(S-alkyl), C(aryl or heteroaryl)(S-cycloalkyl), N(NH2), N(NH(alkyl), N(N(alkyl)2), N(NH(cycloalkyl)), N(N(alkyl)(cycloalkyl)), CH(NH2), OH(NH(alkyl)), CH(NH(cycloalkyl), CH(N(alkyl)2), CH(N(alkyl)(cycloalkyl), CH(N(cycloalkyl)2, C9alkyl)(NH2), C(alkyl)(NH(alkyl)), C(alkyl)(N(cycloalkyl)2) C(alkyl)(N(alkyl)(cycloalkyl)), C(aryl or heteroaryl)(NH2C(aryl or heteroaryl)NH(alkyl)), C(aryl or heteroaryl)(NH(cycfloalkyl)), C(aryl or heteroaryl)(N(alkyl)2), C(aryl or heteroaryl)(N(cycloalkyl)2) or C(aryl or heteroaryl(N(alkyl)(cycloalkyl); R5 = opt. substd. aryl or heteroaryl.

USE - (I) demonstrate antiproliferative activity such as antitumour activity and inhibit the growth and profileration of the cells of higher organisms and microorganisms such as bacteria, yeast and fungi. Prefd. (I) are capable of inhibiting the enzyme thymidylate synthase and have a thymidylate synthase inhibition constant of up to 10 power-4M, pref. 10 power-7 M. (I) may be administered to vertebrates (e.g. a mammal, human or bird). Admin. is oral, parenteral, topical, intravaginal, intranasal, intrabronical, intraocular, intraaural or rectal. Daily dosage is 1g/kg pref. 0.5g/kg, esp. 100mg of (I).

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Dwg.0/0

L103 ANSWER 60 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1993-102504 [13] WPIX
DOC. NO. CPI: C1993-045203
TITLE: Substd. 4-alkoxy-pyrimidine derivs. - are insecticides, acaricides, nematocides and fungicides for protection of plants, animals and materials.
DERWENT CLASS: C02 D22 E13
INVENTOR(S): BRAUN, P; KERN, M; KNAUF, W; LUEMMEN, P; PREUSS, R; SACHSE, B; SALBECK, G; SCHAPER, W; WALTERSDORFER, A; PREUB, R; LUMMEN, P
PATENT ASSIGNEE(S): (FARH) HOECHST AG; (FARH) HOECHST SCHERING AGREVO GMBH; (AGRE) HOECHST SCHERING AGREVO GMBH; (AGRE) HOECHST-SCHERING AGREVO GMBH
COUNTRY COUNT: 41
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
EP 534341	A1	19930331	(199313)*	GE	136	C07D239-60<--
R: PT						
WO 9306091	A1	19930401	(199314)	GE	164	C07D239-60<--
RW: AT BE CH DE DK ES FR GB GR IE IT LU MC NL OA SE						
W: AU BB BG BR CA CS FI HU JP KP KR LK MG MN MW NO PL RO RU SD US						
DE 4131924	A1	19930708	(199328)		41	C07D239-60<--
ZA 9207305	A	19930526	(199328)		165	C07D000-00<--
AU 9225953	A	19930427	(199332)			C07D239-60<--
CN 1071419	A	19930428	(199408)			C07D239-34<--
EP 605552	A1	19940713	(199427)	GE		C07D239-60<--
R: AT BE CH DE DK ES FR GB GR IT LI NL						
JP 06510993	W	19941208	(199508)			C07D239-34<--
US 5859020	A	19990112	(199910)			A61K031-505<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 534341	A1	EP 1992-116108	19920921	<--
WO 9306091	A1	WO 1992-EP2181	19920921	<--

DE 4131924	A1	DE 1991-4131924	19910925	<--
ZA 9207305	A	ZA 1992-7305	19920924	<--
AU 9225953	A	AU 1992-25953	19920921	<--
		WO 1992-EP2181	19920921	<--
CN 1071419	A	CN 1992-111089	19920925	<--
EP 605552	A1	EP 1992-920155	19920921	<--
		WO 1992-EP2181	19920921	<--
JP 06510993	W	WO 1992-EP2181	19920921	<--
		JP 1993-505794	19920921	<--
US 5859020	A Cont of	US 1994-211156	19940624	<--
		US 1997-783072	19970115	<--

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 9225953	A Based on	WO 9306091
EP 605552	A1 Based on	WO 9306091
JP 06510993	W Based on	WO 9306091

PRIORITY APPLN. INFO: **DE 1991-4131924**
19910925

REFERENCE PATENTS: 6.Jnl.Ref; DE 2806661; EP 257850; EP 326329; EP 331529; FR 2360581; GB 2140010; JP 04026680; JP 60215671; JP 62051672

INT. PATENT CLASSIF.:

MAIN: A61K031-505; C07D000-00; C07D239-34; C07D239-60

SECONDARY: A01N043-54; A01N043-78; C07D239-47; C07D239-52; C07D239-553; C07D239-70; **C07D239-88**; C07D239-90; **C07D401-12**; **C07D403-12**; C07D405-12; C07D409-12; C07D413-12; C07D417-12; C07D495-04

BASIC ABSTRACT:

EP 534341 A UPAB: 19950626

Substd. 4-alkoxypyrimidine derivs. of formula (I) and their salts and stereoisomers are new, where R1 is H, halogen, 1-4C alkyl or 3-6C cycloalkyl; R2 is H, 1-4C alkyl, halogen, 1-4C haloalkyl, 1-10C alkoxy, phenyl(1-4C)alkoxy, 1-10C alkoxy(1-10C)alkoxy, benzyloxy(1-10C)alkoxy, etc.; in which any Ph rings are opt. mono-substd. with 1-6C alkyl, 1-6C alkoxy or halogen; R3 is H, 1-4C alkyl, 1-4C alkoxy, 1-4C haloalkoxy, halogen, 1-4C alkylthio, amino, 1-4C dialkylamino; or R2 and R3 together with the C to which they are attached form an unsatd. 5-membered ring containing O or S and opt. substd. with alkyl, or a saturated 5-7 membered ring containing O or S and opt. substd. with alkyl; R4 is H, 1-4C alkyl, 3-6C cycloalkyl or 1-4C haloalkyl such as CF3; Q is Q1, Q2 or Q3; Q1 is 1-15C alkyl (opt. mono-, di- or tri-substd. and opt. mono-, di- or tri-substd. with halogen or mono-substd. with 3-8C cycloalkyl, 1-15C alkoxy, 1-15C alkoxy(1-15C)alkoxy, 1-15C alkylthio, etc.; Q2 is a gp. e.g., of formulae (a) or (b); Q is e.g., (k); n is 0, 1 or 2; A is O, OCH2, S, SO or SO2; A' is O or S; D is a direct bond or 1-6C alkylene; E is a direct bond, or if D is alkylene, E is O or NH; R5, R6 and R61 are each H, halogen, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, 3-6C cycloalkyl, 1-8C haloalkyl, etc.; and if R5, R6 and R61 are alkyl gps., these can be cyclically attached together; U is a direct bond, O, S, SO, SO2 or CH2; R9 is Ph, heterocycle or gp (m); W is N or CR10; R10 is H, F, CN, CHO, acetyl, NO2, Me, MeO or 1,3-dioxolan-2-yl.

USE/ADVANTAGE - (I) are pesticides, especially insecticides, acaricides, nematocides and fungicides and can be used in agriculture, animal husbandry, forestry, to protect materials and equipment and in the hygienic sector. In tests, some typical cpds. (I) were found to be 100%

effective against trypsiphe graninis on barley and Leptosphaeria nodorum on wheat in concns. of 500 and 250 mg/l spray broth.
0/0
Dwg.0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: C05-B01B; C07-D12; D09-A; D09-C; E06-H; E07-A02E; E07-B01; E07-D04B; E07-D12; E07-F01

ABEQ DE 4131924 A UPAB: 19931116
Substd. 4-alkoxypyrimidine derivs.of formula(I) and their salts and stereoisomers are new, where R1 is H, halogen, 1-4C alkyl or 3-6C cycloalkyl; R2 is H, 1-4C alkyl, halogen, 1-4C haloalkyl, 1-10C alkoxy, phenyl(1-4C)alkoxy, 1-10C alkoxy(1-10C)alkoxy, benzyloxy(1-10C)alkoxy, etc.; in which any Ph rings are opt. mono-substd. with 1-6C alkyl, 1-6C alkoxy or halogen; R3 is H, 1-4C alkyl, 1-4C alkoxy, 1-4C haloalkoxy, halogen, 1-4C alkylthio, amino, 1-4C dialkylamino; or R2 and R3 together with the C to which they are attached form an unsatd. 5-membered ring contg. O or S and opt. substd. with alkyl, or a satd. 5-7 membered ring contg. O or S and opt. substd. with alkyl; R4 is H, 1-4C alkyl, 3-6C cycloalkyl or 1-4C haloalkyl such as CF3; Q is Q1, Q2 or Q3; Q1 is 1-15C alkyl (opt. mono-, di- or tri-substd. and opt. mono-, di or tri-substd. with halogen or mono-sustd. with 3-8C cycloalkyl, 1-15C alkoxy, 1-15C alkoxy (1-15C)alkoxy, 1-15C alkylthio, etc.; Q2 is a gp. e.g, of formulae (a) or (b); Q is e.g, (k); n is 0, 1 or 2; A is O, OCH2, S, SO or SO2; A' is O or S; D is a direct bond or 1-6C alkylene; E is a direct bond, or if D is alkylene, E is O or NH; R5, R6 and R61 are each H, halogen, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, 3-6C cycloalkyl, 1-8C haloalkyl, etc.; and if R5, R6 and R61 are alkyl gps., these can be cyclically attached together; U is a direct bond, O, S, SO, SO2 or CH2; R9 is Ph, heterocycle or gp. (m); W is N or CR10; R10 is H, F, CN, CHO, acetyl, NO2, Me, MeO or 1,3-dioxolan-2-yl.

USE/ADVANTAGE - (I) are pesticides esp. insecticides, acaricides, nematocides and fungicides and can be used in agriculture, animal husbandry, forestry, to protect materials and equipment and in the hygienic sector. In tests, some typical cpds. (I) were found to be 100% effective against trypsiphe graninis on barley and Leptosphaeria nodorum on wheat in concns. of 500 and 250 mg/l spray broth.
Dwg.0/0

ABEQ ZA 9207305 A UPAB: 19931116
Substd. 4-alkoxypyrimidine derivs. of formula (I) and their salts and stereoisomers are new, where R1 is H, halogen, 1-4C alkyl or 3-6C cycloalkyl; R2 is H, 1-4C alkyl, halogen, 1-4C haloalkyl, 1-10C alkoxy, phenyl(1-4C)alkoxy, 1-10C alkoxy(1-10C) alkoxy, benzyloxy(1-10C)alkoxy, etc.; in which any Ph rings are opt. mono-substd. with 1-6C alkyl, 1-6C alkoxy or halogen; R3 is H, 1-4C alkyl, 1-4C alkoxy, 1-4C haloalkoxy, halogen, 1-4C alkylthio, amino, 1-4C dialkylamino; or R2 and R3 together with the C to which they are attached form an unsatd. 5-membered ring contg. O or S and opt. substd. with alkyl, or a satd. 5-7 membered ring contg. O or S and opt. substd. with alkyl; R4 is H, 1-4C alkyl, 3-6C cycloalkyl or 1-4C haloalkyl such as CF3; Q is Q1, Q2 or Q3; Q1 is 1-15C alkyl (opt. mono-, di- or tri-substd. and opt. mono-, di- or tri-substd. with halogen or mono-substd. with 3-8C cycloalkyl, 1-15C alkoxy, 1-15C alkoxy(1-15C)alkoxy, 1-15C alkylthio, etc.; Q2 is a gp. e.g., of formulae (a) or (b); Q is e.g., (k); n is 0, 1 or 2; A is O, OCH2, S, SO or SO2; A' is O or S; D is a direct bond or 1-6C alkylene; E is a direct bond, or if D is alkylene, E is O or NH; R5, R6 and R61 are each H, halogen, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, 3-6C cycloalkyl, 1-8C haloalkyl, etc.; and if R5, R6 and R61 are alkyl gps., these can be cyclically attached together; U is a direct bond, O, S, SO, SO2 or CH2; R9 is Ph, heterocycle or gp. (m); W is N or CR10; R10 is H, F, CN, CHO, acetyl, NO2, Me, MeO or

1,3-dioxolan-2-yl.

USE/ADVANTAGE - (I) are pesticides, esp. insecticides, acaricides, nematocides and fungicides and can be used in agriculture, animal husbandry, forestry, to protect materials in equipment and in the hygienic sector. In tests, some typical cpds. (I) were found to be 100% effective against trypsiphe graninis on barley and Leptosphaeria nodorum on wheat in concns. of 500 and 250 mg/l spray broth.

L103 ANSWER 61 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1993-027916 [04] WPIX
DOC. NO. CPI: C1993-012560
TITLE: New phenylalkyl derivs. are angiotensin-II antagonists - for treating angina, ischaemia, bronchitis, depression, Alzheimer's disease, Parkinson's disease, etc..
DERWENT CLASS: B05
INVENTOR(S): BOMHARD, A; ENTZEROTH, M; GRELL, W; HAUEL, N; HECKEL, A; NARR, B; REIFFEN, M; RIES, U; VAN, MEEL J; WIENEN, W
PATENT ASSIGNEE(S): (THOM) THOMAE GMBH KARL
COUNTRY COUNT: 4
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 4123341	A1	19930121	(199304)*		53	C07D235-06<--
EP 529253	A1	19930303	(199309)	GE	53	C07D235-08<--
CA 2073841	A	19930116	(199313)			C07D235-08<--
JP 05247074	A	19930924	(199343)		60	C07F009-6506<--
US 5519138	A	19960521	(199626)		33	A61K031-41<--

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
DE 4123341	A1		DE 1991-4123341	19910715	<--
EP 529253	A1		EP 1992-111591	19920708	<--
CA 2073841	A		CA 1992-2073841	19920714	<--
JP 05247074	A		JP 1992-186879	19920714	<--
US 5519138	A	Cont of	US 1992-914182	19920715	<--
			US 1994-348650	19941201	<--

PRIORITY APPLN. INFO: **DE 1991-4123341**
19910715
REFERENCE PATENTS: EP 409332; EP 411766; EP 412594; EP 412848; EP 419048
INT. PATENT CLASSIF.:
MAIN: A61K031-41; C07D235-06; C07D235-08; C07F009-6506
SECONDARY: A61K031-415; A61K031-435; A61K031-44; A61K031-47; A61K031-50; A61K031-505; A61K031-675; C07C069-734; C07D215-22; C07D215-233; C07D233-68; C07D235-18; C07D235-20; C07D239-74; **C07D239-88**; C07D239-90; C07D401-00; C07D401-14; C07D403-00; C07D403-04; **C07D403-12**; C07D403-14; C07D405-14; C07D471-04; C07D487-04; C07F009-547

BASIC ABSTRACT:
DE 4123341 A UPAB: 19931119
Cpds. of formula (I) and their isomers and salts are new, where n is 0 or 1; A is alkylene; and B is O, CO, CHOH, S, SO, SO2, alkylene, 2-4C alkylidene, cycloalkylidene, NH, alkylimino or alkanoylimino; R2 is Cl, Br, OH, alkylsulphonyloxy, OSO2Ph, phenylalkylsulphonyloxy or a gp. of formula (II)-(VII). 0-2 of D1-D3 are N, 0 or 1 is CR4, 0 or 1 is CR5, and

the rest are CH3; E is a bond, O, S, CHOH, CO or NQ1 (Q1 = H, 1-6C alkyl, cycloalkyl, 2-5C alkanoyl, allyl, Ph or CH2Ph); X is O, S or NQ2 (Q2 = H, alkyl, phenyl or phenylalkyl); R1 is 1-9C alkyl, 2-6C alkenyl or 2-6C alkynyl (opt. substd.) by cycloalkyl, F, Cl, Br, OH, NH2, mono- or dialkylamino or CF2CH30; 1-4C perfluoroalkyl; or cycloalkyl (opt. mono- or disubstd.- by alkyl or CF3); R2 is H, F, Cl, Br, 1-5C alkyl, 1-5C perfluoroalkyl, CN or NO2; R3 is H; CN; 1-6C alkyl (opt. substd.); 3-6C alkenyl (opt. substd.); phenyl (2-4C) alkenyl; or 1-5C alkyl omega-substd. by 1-imidazolyl, triazolyl (opt. mono- or disubstd. by acetoxy or alkyl; R6 = 1-8C alkyl, 1-8C perfluoroalkyl, cycloalkyl, phenyl benzyl, phenylethyl, adamantyl, naphthyl, naphthylmethyl or naphthylethyl; (k) maleimido (opt. substd. by alkyl and/or Ph); (l) C- or N-bonded 5-membered heteroaryl (containing NH, O or S or NH and O, S or N) or C-bonded 6-membered heteroaryl (containing 1 or 2 N), (opt. substd. by (CH2)3, (CH2)4 or CH=CH-CH=CH on adjacent C atoms or on NH and an adjacent C atom) etc. (m) C-bonded pyrrolidinyl, 2-oxopyrrolidinyl, piperidinyl, etc.; (n) dioxoimidazolidinyl (opt. substd.) etc.; Rb is CN, COOH, NHCOCF3, CH2NHSO2CF3, NHSO2Q3 (Q3 is alkyl, aryl or aralkyl), CH2NHSO2Q3, arylsulphonylaminocarbonyl, benzylsulphonylaminocarbonyl, SO3H, SO2NH2, SO2NHQ3, phosphino, 1H-tetrazolyl, 1H-tetrazolylalkyl, or triazolyl, (opt. substd.) etc.; Rc is H, alkyl, aralkyl, aryl, COOH or alkoxycarbonyl; Rd is 1-10C alkyl, 2-10C alkenyl or alkynyl, cycloalkyl, cycloalkenyl; R5 is (a) H, F, Cl or Br; (b) 1-6C perfluoroalkyl; (c) 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl (opt. mono- or disubstd. by heteroaryl, OH, alkoxy, etc.); (d) 1-7C alkoxy non-alpha-substd. by imidazolyl, tetrazolyl, benzimidazolyl or tetrahydrobenzimidazolyl; (e) phenylalkoxy, 1-4C alkylsulphonyl, OSO2Ph or phenylalkylsulphonyloxy, etc.

USE -(I) where Ra = (II)-(V) are angiontensin II antagonists useful for treating hypertension, coronary insufficiency, angina peripheral ischaemia, diabetic nephropathy, glaucoma, gastrointestinal or bladder disorders, pulmonary diseases, arterial restenosis, arteriosclerosis, diabetic angiopath, CNS disorders (e.g., depression, Alzheimer's disease, Parkinsons's disease and bulimia) and cognitive dysfunction.

0/0

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B05-B01E; B05-B01F; B05-B01M; B05-B01N; B06-H; B07-H; B10-A08; B10-A09B; B10-A10; B10-A15; B10-A23; B10-B01A; B10-B02A; B10-C02; B10-C03; B10-C04; B10-D03; B10-E02; B10-E04B; B10-F02; B10-G02; B12-C04; B12-C06; B12-C10; B12-E01; B12-F01B; B12-F02; B12-F05; B12-F07; B12-G01; B12-G03; B12-G04A; B12-H03; B12-J01; B12-K06; B12-L04

ABEQ US 5519138 A UPAB: 19960705

2-n-Propyl-6-(1-methyl-benzimidazol-2-yl)-4-methyl-1-[4-[(alpha-carboxy)benzyoxy]benzyl]benzimidazole or the pharmaceutically acceptable salts thereof.

Dwg.0/0

L103 ANSWER 62 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1992-125485 [16] WPIX
DOC. NO. CPI: C1992-058536
TITLE: Synergistic herbicide for selective weed control - contains sulphonyl urea derivative and tetra. or di hydro-thiadiazolo-pyridazine.
DERWENT CLASS: C02
INVENTOR(S): HOFER, U; MAURER, W
PATENT ASSIGNEE(S): (CIBA) CIBA GEIGY AG; (TSUB) KUMIAI CHEM IND CO LTD; (TSUB) KUMIAI KAGAKU KOGYO KK; (CIBA) CIBA GEIGY CORP

COUNTRY COUNT: 29
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC	
EP 480871	A	19920415	(199216)*	GE	58		<--
R: AT BE CH DE DK ES FR GB GR IT LI LU NL SE							
HU 58470	T	19920330	(199217)				<--
AU 9183674	A	19920312	(199220)			A01N047-36	<--
CA 2050653	A	19920307	(199224)			A01N047-36	<--
BR 9103843	A	19920526	(199228)			C07D251-16	<--
ZA 9107045	A	19920527	(199229)		79	A01N	<--
PT 98857	A	19920831	(199239)			A01N000-00	<--
CN 1059446	A	19920318	(199244)			A01N047-36	<--
AU 638601	B	19930701	(199333)			A01N047-36	<--
EP 480871	A3	19921007	(199340)				<--
NZ 239660	A	19931125	(199350)			A01N047-36	<--
US 5310722	A	19940510	(199418)		27	A01N043-48	<--
TW 225471	A	19940621	(199428)			A01N043-90	<--
HU 209535	B	19940728	(199431)			A01N047-28	<--
JP 07017814	A	19950120	(199513)		52	A01N047-36	<--
IL 99398	A	19950831	(199543)			A01N043-90	<--
RO 109270	B1	19950130	(199543)			A01N047-28	<--
EP 480871	B1	19951213	(199603)	GE	88	A01N047-36	<--
R: AT CH DE ES FR GB GR IT LI							
DE 59107075	G	19960125	(199609)			A01N047-36	<--
ES 2081460	T3	19960316	(199618)			A01N047-36	<--
RU 2041628	C1	19950820	(199618)		35	A01N047-36	<--
IE 69856	B	19961016	(199650)			A01N043-82	<--
JP 3362142	B2	20030107	(200306)		50	A01N047-36	

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
EP 480871	A		EP 1991-810684	19910827	<--
AU 9183674	A		AU 1991-83674	19910905	<--
CA 2050653	A		CA 1991-2050653	19910904	<--
BR 9103843	A		BR 1991-3843	19910905	<--
ZA 9107045	A		ZA 1991-7045	19910905	<--
PT 98857	A		PT 1991-98857	19910904	<--
CN 1059446	A		CN 1991-108680	19910905	<--
AU 638601	B		AU 1991-83674	19910905	<--
EP 480871	A3		EP 1991-810684	19910827	<--
NZ 239660	A		NZ 1991-239660	19910904	<--
US 5310722	A	Cont of	US 1991-753490	19910903	<--
			US 1992-931120	19920817	<--
TW 225471	A		TW 1991-107046	19910905	<--
HU 209535	B		HU 1991-2876	19910905	<--
JP 07017814	A		JP 1991-254561	19910906	<--
IL 99398	A		IL 1991-99398	19910904	<--
RO 109270	B1		RO 1991-148338	19910905	<--
EP 480871	B1		EP 1991-810684	19910827	<--
DE 59107075	G		DE 1991-507075	19910827	<--
			EP 1991-810684	19910827	<--
ES 2081460	T3		EP 1991-810684	19910827	<--
RU 2041628	C1		SU 1991-5001504	19910905	<--
IE 69856	B		IE 1991-3129	19910905	<--
JP 3362142	B2		JP 1991-254561	19910906	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 638601	B	Previous Publ.	AU 9183674
HU 209535	B	Previous Publ.	HU 58470
DE 59107075	G	Based on	EP 480871
ES 2081460	T3	Based on	EP 480871
JP 3362142	B2	Previous Publ.	JP 07017814

PRIORITY APPLN. INFO: **CH 1990-2890**
19900906
REFERENCE PATENTS: No-SR.Pub; EP 298901; EP 304920
INT. PATENT CLASSIF.:
MAIN: A01N000-00; A01N043-48; A01N043-82; A01N043-90; A01N047-28; A01N047-36; A01N253-30; C07D251-16
SECONDARY: A01N043-64; A01N047-30; A01N057-00; C07D239-47; C07D239-52; C07D251-18; C07D513-02
ADDITIONAL: C07D239-42; C07D239-70; **C07D239-94**; C07D251-42; **C07D401-12**; C07D401-14; **C07D403-12**; C07D405-12; C07D405-14; C07D409-12; C07D411-12; C07D417-12; C07D471-04; C07D513-04; C07F009-6536
INDEX: A01N043:90, A01N047-36; A01N043:90, A01N047-36; A01N043:90, A01N047-36; A01N043:90, A01N047-

BASIC ABSTRACT:

EP 480871 A UPAB: 19931129

A herbicide contains a sulphonyl urea derivative of formula (I) or one of its agrochemically acceptable salts and a synergistically effective amount of a 5,6,7,7-tetrahydro- 1H,3H-(1,3,4)thiadiazolo- (3,4-a)pyridazine or 7,8-dihydro-1H,3H-(1,3,4) thiadiazolo-(3,4-a)-pyridazine of formula (II). Z = substd. Ph, thiophenyl, benzyl, pyridinyl, pyrazinyl, heteroanellated Ph or alkyl sulphonylamino; M = H or 1-4C alkyl; Het = a substd. 5-6 membered heterocycle containing 2-3 N atoms; X = O or S; A-B = -CH2-CH2- or -CH=CH-; Phe = substd. Ph.

USE/ADVANTAGE - The mixture is synergistic and can be used in the selective control of weeds in crops, especially in cereals, maize, rice or soya.

(I) are effective against a wide range of weeds, e.g., Veronica, Galium, Papaver, Solarum, Cheropoddum, Amaranthus, Xanthium.

0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI
MANUAL CODES: CPI: C05-B01M; C06-H; C07-H; C12-C09; C12-P06

ABEQ ZA 9107045 A UPAB: 19931006

Synergistic compsn. comprising a herbicidally active sylphonylurea of formula

Z-SO2-NH-CO-MN-Het (I)

wherein Z is a substd. phenyl, thiophenyl, benzyl, pyridinyl, pyrazinyl, hetero-fused phenyl, or alkylsulphonylamino radical; M is H or (C1-C4)alkyl; and Het is a substd. five- or six-membered heterocycle having 2 or 3 nitrogen atoms, and a synergistically effective amt. of a 5,6,7,8-tetrahydro-1H,3H- (1,3,4)-thiadiazolo(3,4-a)- pyridazine or 7,8-dihydro-1H,3H-(1,3,4,) -thiadiazolo(3,4-a) pyridazine of formula (II), where X is O or S; A-B is -CH2-CH2; or -CH=CH-; and Phe is a substd. phenyl radical.

The compsn. is suitable for selective weed control in crops of useful plants, esp. in cereals, maize, rice or soybeans.

ABEQ US 5310722 A UPAB: 19940622

A herbicidal compsn. comprises a sulphonylurea of formula ZSO2NHCON(M)Het where: Z is substd. phenyl; M is H or 1-4C alkyl; Het is a substd. 5-6

membered heterocycle having 2-3N or its salt, and a synergistic amt. of 5,6,7,8-tetrahydro-1H,3H-(1,3,4) thiadiazolo (3,4-a)pyridazine or 7,8-dihydro-1H,3H-(1,3,4)- thiadiazolo (3,4-a)pyridazine of formula (II) where: X is O or S; A-B is CH2CH2 or CH=CH and Phe is substd. phenyl.

USE - Used for selective weed control in crops of plants, esp. cereals, maize, rice or soybeans. The compsn. is active against Veronica, Galium, Papaver, Solarium, Chenopodium, Amaranthus, Xanthium, Abutilon, Ambrosia, Sagritharia and Lpomoea.

Dwg.0/0

ABEQ EP 480871 B UPAB: 19960122

A herbicidal compsn. comprising a sulfonylurea of formula (I) Z-SO2-NH-CO-N(m)-Het, where Z is a substituted phenyl, thiophenyl, benzyl, pyridinyl, pyrazinyl, hetero-fused phenyl of alkylsulfonylamino radical; M is hydrogen; or 1-4C alkyl and Het is a substd. five- or six-membered heterocycle having 2 or 3 nitrogen atoms, or an agrochemically acceptable salt thereof, and a synergistically effective amount of a 5,6,7,8-tetrahydro-1H,3H- (1,3,4)-thiadiazolo(3,4-a)pyridazine or 7,8-dihydro-1H,3H- (1,3,4)-thiadiazolo(3,4-a) pyridazine of the general formula (II), wherein X is oxygen or sulfur, A-B is -CH2-CH2-; or -CH=CH-; and Phe is a substituted phenyl radical.

Dwg.0/0

L103 ANSWER 63 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1991-082280 [12] WPIX
CROSS REFERENCE: 1994-250822 [45]
DOC. NO. CPI: C1991-035012
TITLE: New N-aryl and N-hetero arylamide and urea derivs. - used as ACAT inhibitors in prevention and alleviation of high serum cholesterol levels.
DERWENT CLASS: B05
INVENTOR(S): CHANG, G; HAMANAKA, E S; MCCARTHY, P A; TRUONG, T; WALKER, F J
PATENT ASSIGNEE(S): (PFIZ) PFIZER INC
COUNTRY COUNT: 32
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC	
EP 418071	A	19910320	(199112)*				<--
R: AT BE CH DE ES FR GB GR IT LI LU NL SE							
WO 9104027	A	19910404	(199116)				<--
W: FI HU NO RO SU US							
HU 54625	T	19910328	(199117)				<--
NO 9004022	A	19910318	(199120)				<--
CA 2025301	A	19910316	(199121)				<--
AU 9062553	A	19910418	(199123)				<--
PT 95310	A	19910522	(199124)				<--
FI 9004537	A	19910316	(199125)				<--
JP 03120243	A	19910522	(199127)				<--
CN 1050183	A	19910327	(199148)				<--
DD 298092	A5	19920206	(199227)			C07C231-02	<--
ZA 9007346	A	19920527	(199228)		126	C07C	<--
NZ 235323	A	19930225	(199312)			C07C233-07	<--
EP 418071	A3	19920325	(199327)				<--
AU 652345	B	19940825	(199436)			C07D217-02	<--
KR 9311303	B1	19931129	(199442)			C07D215-18	<--
IL 95610	A	19941229	(199513)			C07C233-07	<--
EP 418071	B1	19950426	(199521)	EN	32	C07C323-60	<--
R: AT BE CH DE DK ES FR GB GR IT LI LU NL SE							
DE 69018908	E	19950601	(199527)			C07C323-60	<--

ES 2071033	T3	19950616	(199531)		C07C323-60<--
HU 70027	T	19950928	(199546)		C07D217-02<--
IE 66324	B	19951227	(199609)		C07C323-60<--
JP 08025974	B2	19960313	(199615)	48	C07C233-07<--
MX 190672	A	19981214	(200045)		C07D233-060<--
CA 2025301	C	20011216	(200163)	EN	C07D239-58
FI 111362	B1	20030715	(200353)		C07C323-60

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 418071	A	EP 1990-310009	19900913	<--
CA 2025301	A	CA 1990-2025301	19900913	<--
JP 03120243	A	JP 1990-245969	19900914	<--
DD 298092	A5	DD 1990-343971	19900912	<--
ZA 9007346	A	ZA 1990-7346	19900914	<--
NZ 235323	A	NZ 1990-235323	19900914	<--
EP 418071	A3	EP 1990-310009	19900913	<--
AU 652345	B	AU 1990-62553	19900914	<--
KR 9311303	B1	KR 1990-14554	19900914	<--
IL 95610	A	IL 1990-95610	19900907	<--
EP 418071	B1	EP 1990-310009	19900913	<--
DE 69018908	E	DE 1990-618908	19900913	<--
		EP 1990-310009	19900913	<--
ES 2071033	T3	EP 1990-310009	19900913	<--
HU 70027	T Div ex	HU 1990-5991	19900914	<--
		HU 1993-2945	19900914	<--
IE 66324	B	IE 1990-3336	19900914	<--
JP 08025974	B2	JP 1990-245969	19900914	<--
MX 190672	A	MX 1990-22406	19900914	<--
CA 2025301	C	CA 1990-2025301	19900913	<--
FI 111362	B1	FI 1990-4537	19900914	<--

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 652345	B Previous Publ.	AU 9062553
DE 69018908	E Based on	EP 418071
ES 2071033	T3 Based on	EP 418071
JP 08025974	B2 Based on	JP 03120243
FI 111362	B1 Previous Publ.	FI 9004537

PRIORITY APPLN. INFO: **WO 1989-US4033 19890915; WO 1989-US4033U 19890915**
REFERENCE PATENTS: NoSR.Pub; 2.Jnl.Ref; EP 283742; WO 9104027; 1.Jnl.Ref
INT. PATENT CLASSIF.:
MAIN: A61K007-18; A61K031-16; A61K031-165; A61K031-17; A61K031-23; A61K031-275; A61K031-33; A61K031-34; A61K031-38; A61K031-395; A61K031-41; A61K031-415
SECONDARY: C07C; C07C231-02; C07C233-07; C07C323-60; C07D215-18; C07D217-02; C07D233-060; C07D239-58
A61K; A61K031-16; A61K031-165; A61K031-17; A61K031-23; A61K031-275; A61K031-33; A61K031-34; A61K031-38; A61K031-395; A61K031-41; A61K031-415; A61K031-425; A61K031-435; A61K031-44; A61K031-445; A61K031-47; A61K031-495; A61K031-50; A61K031-505; C03D307-64; C07C059-00; C07C233-00; C07C233-01; C07C233-08; C07C233-24; C07C233-25; C07C233-26; C07C233-60;

C07C235-16; **C07C235-24**; C07C235-26; C07C235-32;
C07C235-38; C07C235-40; C07C271-00; C07C273-18;
C07C275-00; C07C275-28; C07C275-34; C07C311-00;
C07C313-06; C07C317-00; C07C317-44; C07C321-00;
C07C323-29; C07C323-30; C07C323-31; C07C323-32;
C07C323-36; C07C323-38; C07C323-41; C07C323-52;
C07C323-61; C07C323-62; C07D213-64; C07D213-70;
C07D213-73; C07D213-75; C07D213-76; C07D213-89;
C07D215-02; C07D215-04; C07D215-16; C07D215-36;
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C07D217-08; C07D217-14; C07D217-22; C07D217-24;
C07D235-28; C07D237-04; C07D237-18; C07D237-20;
C07D237-24; C07D237-28; C07D237-30; C07D237-32;
C07D237-34; C07D239-058; C07D239-42; C07D239-46;
C07D239-47; C07D239-48; C07D239-50; C07D239-52;
C07D239-56; C07D239-60; C07D239-72; C07D239-74;
C07D239-80; C07D239-84; C07D239-88; C07D239-93;
C07D239-94; C07D253-08; C07D277-36; C07D277-64;
C07D277-74; C07D277-82; C07D307-02; C07D307-38;
C07D307-54; C07D307-60; C07D307-64; C07D333-18;
C07D339-06; C07D339-08; C07D401-12; C07D403-12;
C07D405-12; C07D409-12; C07D417-12; C07D471-02;
C07D471-04

ADDITIONAL: C07D257-04

BASIC ABSTRACT:

EP 418071 A UPAB: 20030820

N-aryl and N-heteroaryl amide and urea derivs. of formula R1-NH-CO-Q (I) and their salts are new. Q = CR2R3R4 or NR17R18. R1 = a gp. of formula (XXIV), (XXV), pyrimidyl or pyridyl (substituted by an R5, R6 and R15 group) or 2-R9, 4-R7, 5-R8-phenyl. R2, R3, R4 are each (a) H, 1-4C alkyl, A, XR10, phenyl-(1-7C)alkyl or 5=6C cycloalkyl-(1-6C)alkyl; or (b) R2 and R3 form a 3-7C cycloalkyl, 3-7C cycloalkenyl, 6-14C bicycloalkyl, 6-14C bicycloalkenyl or 8-15C aryl- or heteroaryl-fused systems. One ring of these systems is aromatic and the ring to which R2 and R3 are attached is non-aromatic. The aromatic ring may contain O, S or N heteroatom(s). The cyclic and bicyclic gps. which may have 1-2 S or O heteroatoms, can also be substd. by 1-5 (un)substd. phenyl, 1-6C alkyl and A gps. R5, R6, R15 and R16 are each H, F, Cl, Br, I, 1-4C (halo)alkyl, 1-4C alkoxy, 1-6C alkylthio, 5-7C cycloalkylthio, phenyl(1-4C)alkylthio, substd. phenylthio, heteroaryloxy or opt. substd. amino. R7, R8 and R9 are each 1-4C alkoxy or alkylthio, CH3 or F; R7 may also be H. B, D, E = N or C. X = O, S, SO, SO2, NH, NHCO (opt. alkyl substd.) or HNSO2 (opt. substd.) A = 4-16C hydrocarbyl containing 0-2 double bonds. R10 = (opt. branched or cyclic alkyl, cycloalkyl alkyl, phenylalkyl, alkylphenyl, (benzo)thiazole or pyridine (all opt. substd.). R17, R18 are each opt. branched alkyl, phenylalkyl or alkylphenyalkyl. A number of provisos are given in the specification. The pref. daily dose is 0.5-30(0.08-5) mg/kg.

USE/ADVANTAGE - As inhibitors of acyl coenzyme A:cholesterol . @(85pp Dwg.No.0/0)@

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB

MANUAL CODES: CPI: B06-H; B07-B03; B07-D04C; B07-D12; B07-F01; B10-A08; B10-A10; B10-A13B; B10-A25; B10-B02F; B10-B02J; B10-C04; B12-F01; B12-G01B2; B12-H03

ABEQ EP 418071 B UPAB: 19950602

A compound of the formula (I) wherein R1 is (II) R2, R3 and R4 may be the same or different, and (a) are selected from the group consisting of hydrogen, (C1-C4) alkyl, A, XR10, phenyl-(C1-C7) alkyl, and (C5-C6) cycloalkyl-(C1-C6) alkyl, with the proviso that at least one of R2, R3 and

R4 must be A; or (b) R2 and R3 together with the carbon to which they are attached form a cyclic or bicyclic system selected from the group consisting of (C3-C7) cycloalkyl, (C3-C7) cycloalkenyl, (C6-C14) bicycloalkyl, (C6-C14) bicycloalkenyl, and aryl-fused and heteroaryl-fused systems containing 8 to 15 carbon atoms, one ring of any of said aryl-fused and heteroaryl-fused systems being aromatic and the ring containing the carbon to which R2 and R3 are attached being non-aromatic, one of the carbons of said aromatic ring being optionally replaced by sulfur or oxygen, one or more carbons of said non-aromatic ring being optionally replaced by sulfur or oxygen, and one or more carbons of said aromatic ring being optionally replaced by nitrogen; one or two carbons of said cycloalkyl or bicycloalkyl groups being optionally replaced by sulfur or oxygen, and said cyclic or bicyclic system being optionally substituted with one to five substituents independently selected from the group consisting of phenyl, substituted phenyl, (C1-C6) alkyl and A, with the proviso that one and only one of said substituents is A, and one and only one of said substituents is phenyl or substituted phenyl, said substituted phenyl being substituted with one or more substituents independently selected from the group consisting of (C1-C6) alkyl, (C1-C6) alkylthio, halogen and trifluoromethyl; and R4 is hydrogen; XR10 or A; A is a hydrocarbon containing 4 to 16 carbons and 0, 1 or 2 double bonds: X is O, S, SO, SO2, NH, NR23CO or NSO2R24, wherein R23 is hydrogen or (C1-C6) alkyl and R24 is (C1-C6) alkyl, phenyl or (C1-C3) alkyl-phenyl: R5 is (C1-C6) alkylthio, which may be attached to either ring of the bicyclic ring system. R10 is selected from the group consisting of (C4-C12) cycloalkyl, (C4-C12) straight or branched alkyl, (C4-C12) cycloalkyl-(C1-C6) alkyl, phenyl-(C1-C6) alkyl, (substituted phenyl)-(C1-C6) alkyl, (C1-C6) alkyl-phenyl, (C1-C6) alkyl-(substituted phenyl), substituted thiazoles substituted benzothiazoles, and substituted pyridines; wherein the substituents on the substituted phenyl, substituted thiazoles, substituted benzothiazoles and substituted pyridines are selected from the group consisting of (C1-C4) alkoxy, (C1-C4) alkylthio, (C1-C6) alkyl, halo and trifluoromethyl; B, D and e are selected from the group consisting of nitrogen and carbon, with the proviso that one or two of B, D and E is nitrogen.
Dwg.0/0

L103 ANSWER 64 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1990-322849 [43] WPIX
DOC. NO. CPI: C1990-139785
TITLE: New 4-(substituted amino)-pyridinium derivatives - for treatment of cardiovascular disorders.
DERWENT CLASS: B02 B03
INVENTOR(S): HARGREAVES, R B; MARSHALL, P W; MCLOUGHLIN, B J; MILLS, S D
PATENT ASSIGNEE(S): (ICIL) IMPERIAL CHEM IND PLC; (ZENE) ZENECA LTD
COUNTRY COUNT: 37
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
GB 2230527	A	19901024	(199043)*		76	<--
WO 9012790	A	19901101	(199046)			<--
RW: AT BE CH DE DK ES FR GB IT LU NO						
W: AU BB BG FI HU JP KR LK MC MW NL NO RO SD						
PT 93823	A	19901120	(199050)			<--
CA 2014457	A	19901021	(199103)			<--
ZA 9002753	A	19901228	(199106)			<--
AU 9054354	A	19901116	(199107)			<--
FI 9006307	A	19901220	(199115)			<--

EP 422178	A	19910417 (199116)		76		<--
R: AT BE CH DE ES FR GB IT LI LU NL SE						
NO 9005519	A	19910220 (199123)				<--
CN 1047080	A	19901121 (199131)				<--
HU 56080	T	19910729 (199135)				<--
JP 03505741	W	19911212 (199205)				<--
BR 9005295	A	19920421 (199223)#			C07D239-42	<--
DD 297406	A5	19920109 (199223)			C07D239-42	<--
AU 635260	B	19930318 (199318)			C07D239-48	<--
GB 2230527	B	19930505 (199318)			C07D239-48	<--
US 5223505	A	19930629 (199327)		25	C07D239-42	<--
HU 209586	B	19940829 (199435)			C07D239-48	<--
EP 422178	B1	19941005 (199438)	EN	71	C07D239-48	<--
R: AT BE CH DE DK ES FR IT LI LU NL SE						
DE 69013112	E	19941110 (199444)			C07D239-48	<--
ES 2064727	T3	19950201 (199511)			C07D239-48	<--
NO 177054	B	19950403 (199518)			C07D239-48	<--
IE 63502	B	19950503 (199526)			C07D239-48	<--
CN 1024793	C	19940601 (199530)			C07D239-42	<--
FI 95377	B	19951013 (199545)			C07D239-48	<--
IL 94062	A	19951127 (199608)			C07D239-48	<--
JP 2528218	B2	19960828 (199639)		32	C07D239-48	<--
PH 28385	A	19940712 (199838)			C07D239-48	<--
RU 2108329	C1	19980410 (199846)			C07D239-32	<--
CA 2014457	C	19990928 (200006)	EN		C07D239-48	<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
GB 2230527	A	GB 1990-7964	19900409	<--
ZA 9002753	A	ZA 1990-2753	19900410	<--
EP 422178	A	EP 1990-906289	19900419	<--
JP 03505741	W	JP 1990-506034	19900419	<--
DD 297406	A5	DD 1990-339897	19900419	<--
AU 635260	B	AU 1990-54354	19900419	<--
GB 2230527	B	GB 1990-7964	19900409	<--
US 5223505	A	US 1990-513304	19900420	<--
HU 209586	B	HU 1990-3555	19900419	<--
		WO 1990-GB595	19900419	<--
EP 422178	B1	EP 1990-906289	19900419	<--
		WO 1990-GB595	19900419	<--
DE 69013112	E	DE 1990-613112	19900419	<--
		EP 1990-906289	19900419	<--
		WO 1990-GB595	19900419	<--
ES 2064727	T3	EP 1990-906289	19900419	<--
NO 177054	B	WO 1990-GB595	19900419	<--
		NO 1990-5519	19901220	<--
IE 63502	B	IE 1990-1258	19900406	<--
CN 1024793	C	CN 1990-103931	19900421	<--
FI 95377	B	WO 1990-GB595	19900419	<--
		FI 1990-6307	19901220	<--
IL 94062	A	IL 1990-94062	19900411	<--
JP 2528218	B2	JP 1990-506034	19900419	<--
		WO 1990-GB595	19900419	<--
PH 28385	A	PH 1990-40391	19900419	<--
RU 2108329	C1	SU 1990-4894489	19900419	<--
		WO 1990-GB595	19900419	<--
CA 2014457	C	CA 1990-2014457	19900412	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 635260	B	Previous Publ.	AU 9054354
		Based on	WO 9012790
HU 209586	B	Previous Publ.	HU 56080
		Based on	WO 9012790
EP 422178	B1	Based on	WO 9012790
DE 69013112	E	Based on	EP 422178
		Based on	WO 9012790
ES 2064727	T3	Based on	EP 422178
NO 177054	B	Previous Publ.	NO 9005519
FI 95377	B	Previous Publ.	FI 9006307
JP 2528218	B2	Previous Publ.	JP 03505741
		Based on	WO 9012790

PRIORITY APPLN. INFO: **GB 1990-7964 19900409; GB 1989-9054 19890421; GB 1989-10548 19890508**

REFERENCE PATENTS: DE 3717480; EP 139613; EP 322133; GB 1229413; GB 1502912; US 2748124; US 2845425; US 4339453; US 4725600

INT. PATENT CLASSIF.:

MAIN: C07D239-32; C07D239-42; C07D239-48

SECONDARY: A61K031-495; A61K031-50; A61K031-505; C07D209-04; C07D209:04; C07D215-02; C07D215:02; C07D239-50; C07D239-70; **C07D239-94**; C07D239-95; C07D239:48; C07D239:95; C07D401-02; C07D401-04; **C07D401-12**; C07D403-04; C07D405-10; C07D405-12; C07D409-12; C07D413-04; C07D471-04

BASIC ABSTRACT:

GB 2230527 A UPAB: 19970502

Compounds of formula (I) are new: R1 = 1-10C alkyl, 3-6C alkenyl, 4-7C cycloalkyl, Ph, phenyl(1-4C)alkyl or (3-6C)cycloalkyl-(1-4C)alkyl. One of R2 and R6 = optionally mono or di-alkylamino, pyrrolidino, piperidino or morpholino and the other is selected from the groups in R1, or R2 and R6 are both as above and R5 = H, alkyl or alkenyl. or R2 and R6 are both as above and R5 = H, alkyl or alkenyl. or R2 is as above and R5 and R6 = 3-6C alkylene or complete a benzene ring. R4 = H or groups as in R1 or R4 = 1-4C alkylene or 2-4C alkenylene linked to the N atom of Q-A-N-. The linking group may be sustituted or may complete a ring including 2C atoms of Q, the carbon atoms of A and the adjacent N atom. A = a direct bond, 1-6C alkylene or oxy(2-6C)alkylene in which the oxy group is at least 2C atoms from -NR4. Q = pyridyl, thienyl, furyl or phenyl. Y = physiologically acceptable anion. A number of specific compounds are claimed including: 1,6-dimethyl-2-methylamino-4-N-methyl anmilinopyridinium halide. Process for the preparation of a non-ionic form of (I) is also claimed. Dosage may be in a variety of forms and unit dose contains 5-200 mg of compound (I). Compositions may also include one or more known agents for the cardiovascular ailments being treated.

USE/ADVANTAGE - In treatment of cardiovascular disorders associated with elevated heart rate without effects on other haemodynamic parameters such as blood pressure or cardiac output. @(76pp Dwg.No.0/0)@

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: B06-H; B07-D12; B12-F01C

ABEQ GB 2230527 B UPAB: 19931112

An aminopyrimidine derivative of the formula (I) wherein R1 is (1-10C)alkyl, (3-6C)alkenyl, (4-7C)cycloalkyl, phenyl, phenyl(1-4C)alkyl

or (3-6C)cycloalkyl-(1-4C)alkyl; one of R2 and R6 is a basic group selected from amino, (1-6C)alkylamino, dialkylamino of up to eight carbon atoms, pyrrolidono, piperidino and morpholino; and the other of R2 and R6 is hydrogen, (1-6C)alkyl, (3-6C)alkenyl, (1-4C)alkoxy(1-4C)alkyl, phenyl, phenyl(1-4C)alkyl, (3-6C)cycloalkyl or (3-6C)cycloalkyl- (1-4C)alkyl; or both of R2 and R6 are basic groups independently selected from the above defined basic groups; and R5 is hydrogen, (1-4C)alkyl or (3-6C)alkenyl; or R2 is a basic group as defined above, and R5 and R6 together form (3-6C)alkylene or, together with the appendant carbon atoms of the pyrimidine ring, complete a benzene ring; R4 is hydrogen, (3-6C)cycloalkyl-(1-4C)alkyl, (1-6C)alkyl, (3-6C)alkenyl, (3-6C)alkynyl or phenyl(1-4C)alkyl; or R4 is a (1-4C)alkylene or (2-4C) alkenylene linked to the nitrogen atom of the group Q.A.N-, either of which linking groups may optionally bear a (1-4C)alkyl, phenyl or phenyl (1-4C)alkyl substituent and either of which linking groups thereby completing a ring including two adjacent carbon atoms of Q, the carbon atoms of A and the adjacent nitrogen atom of the group -A.N-; A is a direct bond to the group -N(R4)- or is (1-6C)alkylene or is oxy(2-6C)alkylene in which the oxy group is at least 2 carbon atoms away from the group -N(R4)-; Q is a pyridyl, furyl, thienyl or phenyl moiety; Y is a physiologically acceptable anion; and wherein any one or more of said phenyl or benzene moieties may optionally be unsubstituted or bear one or more substituents independently selected from halogeno, (1-4C)alkyl, (3-6C)alkenyl, (1-4C)alkoxy, cyano, trifluoromethyl, nitro, carboxy, (1-4C)alkylamino, dialkylamino of up to six carbon atoms, (1-4C)alkylthio, (1-4C)alkylsulphinyl, (1-4C)alkylsulphonyl and (1-4C)alkylenedioxy; but excluding those compounds in which: (a) R1 is alkyl, R2 is amino or alkylamino, R4 is hydrogen or alkyl, R5 is hydrogen or alkyl, R6 is hydrogen or phenyl optionally bearing an alkyl or alkoxy substituent, A is a direct link and Q is phenyl optionally bearing an alkyl or alkoxy substituent; (b) R1 is methyl or ethyl, R2 is amino, R4 and R5 are hydrogen, R6 is methyl, and Q.A- is unsubstituted phenyl; or (c) R1, R5 and R6 are methyl, R2 is methylamino, R4 is hydrogen and Q.A- is 3,5-dimethylphenyl; and, in any of which, Y has the meaning stated above.

USE/ADVANTAGE - In treatment of cardiovascular disorders associated with elevated heart rate without effects on other haemodynamic parameters such as blood pressure or cardiac output.

0/0

Dwg.0/0

ABEQ US 5223505 A UPAB: 19931116

Aminopyrimidine derivs. of formula (I) are new. In (I) R1 is e.g. 1-100C alkyl (sic), 3-6C alkenyl, 4-7C cycloalkyl, phenyl, phenyl (1-4C) alkyl or (3-6C) cycloalkyl (1-4C)-alkyl; one of R2 and R6 is a basic gp. e.g. NH2, pyrrolidino, morpholino etc. and the other is e.g. H, 1-6C alkyl, 3-6C cycloalkyl, phenyl (1-4C) alkyl etc.; or both R2 and R6 are basic gps.; and R5 is H, 1-4C alkyl or 3-6C alkenyl; or R2 is a basic gp. and CR5CR6 form a benzene ring; R4 is e.g. H, 3-6C cycloalkyl (1-4C) alkyl etc. or QANR4 is a ring; Y is an anion etc. A is a bond, 1-6C alkylene etc.; and Q is pyridyl, furyl, thienyl or phenyl. Several cpds. are excluded e.g. where R1 is Me or Et; R2 is NH2; R4 and R5 are H; R6 is Me and QA is unsubstd. phenyl.

USE/ADVANTAGE - (I) have beneficial effects on the cardiovascular system partic. modulated via the sino atrial node.

Dwg.0/0

ABEQ EP 422178 B UPAB: 19941115

An aminopyrimidine derivative of the formula I: wherein R1 is (1-10C)alkyl, (3-6C)alkenyl, (4-7C)cycloalkyl, phenyl, phenyl(1-4C)alkyl or (3-6C)-cycloalkyl-(1-4C)alkyl; one of R2 and R6 is a basic group selected from amino, (1-6C)alkylamino, dialkylamino of up to eight carbon atoms, pyrrolidino, piperidino and morpholino; and the other of R2 and R6

is hydrogen, (1-6C)-alkyl, (3-6C)alkenyl, (1-4C)alkoxy(1-4C)alkyl, phenyl, phenyl(1-4C)alkyl, (3-6C)cycloalkyl or (3-6C)cycloalkyl-(1-4C)alkyl; or both of R2 and R6 are basic groups independently selected from the above defined basic groups; and R5 is hydrogen, (1-4C)alkyl or (3-6C)alkenyl; or R2 is a basic group as defined above, and R5 and R6 together form (3-6C)alkylene or, together with the appendant carbon atoms of the pyrimidine ring, complete a benzene ring; R4 is hydrogen, (3-6C)cycloalkyl-(1-4C)alkyl, (1-6C)alkyl, (3-6C)alkenyl, (3-6C)alkynyl or phenyl(1-4C)-alkyl; or R4 is a (1-4C)alkylene or (2-4C)alkenylene linked to the nitrogen atom of the group Q.A.N-, either of which linking groups may be optionally bear a (1-4C)alkyl, phenyl or phenyl(1-4C)alkyl substituent and either of which linking groups thereby completing a ring including two adjacent carbon atoms of Q, the carbon atoms of A and the adjacent nitrogen atom of the group -A.N-; A is a direct bond to the group -N(R4)- or is (1-6C)alkylene or is oxy(2-6C)alkylene in which the oxy group is at least 2 carbon atoms away from the group -N(R4)-; Q is a pyridyl, furyl, thienyl or phenyl moiety; Y is a physiologically acceptable anion; and wherein any one or more of said phenyl or benzene moieties may optionally be unsubstituted or bear one or more substituents independently selected from halogeno, (1-4C)alkyl, (3-6C)alkenyl, (1-4C)-alkoxy, cyano, trifluoromethyl, nitro, carboxy, (1-4C),alkylamino, dialkylamino of up to six carbon atoms, (1-4C)alkylthio, (1-4C)alkylsulphinyl, (1-4C)alkylsulphonyl and (1-4C)alkylenedioxy; but excluding those compounds in which: (a) R1 is alkyl, R2 is amino or alkylamino, R4 is hydrogen or alkyl, R5 is hydrogen or alkyl, R6 is hydrogen or phenyl optionally bearing an alkyl or alkoxy substituent, A is a direct link and Q is phenyl optionally bearing an alkyl or alkoxy substituent; (b) R1 is methyl or ethyl, R2 is amino, R4 and R5 are hydrogen, R6 is methyl, and Q.A- is unsubstituted phenyl; or (c) R1, R5 and R6 are methyl, R2 is methylamino, R4 is hydrogen and Q.A- is 3,5-dimethylphenyl; and, in any of which, Y has the meaning stated above.
Dwg.0/0

L103 ANSWER 65 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1990-165395 [22] WPIX
DOC. NO. CPI: C1990-072101
TITLE: Novel aralkylamine derivs. - useful as antimicrobials, fungicides, insecticides, and acaricidesoro)-3-fluoro-4-(fluoro or chloro)-phenyl.
DERWENT CLASS: C02
INVENTOR(S): FUJII, K; FUKUDA, Y; TANAKA, T
PATENT ASSIGNEE(S): (UBEI) UBE IND LTD
COUNTRY COUNT: 8
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
EP 370704	A	19900530	(199022)*			<--
R: DE ES FR GB IT NL						
JP 03007267	A	19910114	(199108)			<--
JP 03163066	A	19910715	(199134)			<--
US 5141941	A	19920825	(199237)		25	C07D239-47<--
EP 370704	B1	19950201	(199509)	EN	52	C07D239-42<--
R: DE ES FR GB IT NL						
DE 68920963	E	19950316	(199516)			C07D239-42<--
ES 2066864	T3	19950316	(199517)			C07D239-42<--
JP 07051565	B2	19950605	(199527)		15	C07D239-42<--
JP 07091277	B2	19951004	(199544)		13	C07D239-42<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 370704	A	EP 1989-311917	19891116	<--
JP 03007267	A	JP 1989-199207	19890802	<--
JP 03163066	A	JP 1989-292381	19891113	<--
US 5141941	A	US 1989-437341	19891115	<--
EP 370704	B1	EP 1989-311917	19891116	<--
DE 68920963	E	DE 1989-620963	19891116	<--
		EP 1989-311917	19891116	<--
ES 2066864	T3	EP 1989-311917	19891116	<--
JP 07051565	B2	JP 1989-199207	19890802	<--
JP 07091277	B2	JP 1989-292381	19891113	<--

FILING DETAILS:

PATENT NO	KIND	PATENT NO
DE 68920963	E Based on	EP 370704
ES 2066864	T3 Based on	EP 370704
JP 07051565	B2 Based on	JP 03007267
JP 07091277	B2 Based on	JP 03163066

PRIORITY APPLN. INFO: **JP 1988-292444 19881121; JP 1989-62069 19890316; JP 1989-199207 19890802; JP 1989-201245 19890804**

REFERENCE PATENTS: A3...9101; DE 3717480; EP 264217; EP 326328; GB 2043061; JP 01068362; JP 63225364; NoSR.Pub

INT. PATENT CLASSIF.:

MAIN: C07D239-42; C07D239-47

SECONDARY: A01N043-54; C07D239-48; C07D239-70; **C07D239-94**; **C07D401-12**; C07D405-12; C07D495-04

BASIC ABSTRACT:

EP 370704 A UPAB: 19930928

Aralkylamine of formula (I) or its acid addition salt is new Q = (a) or (b), R1 = H, halogen, halo-lower alkyl, alkanoyl, NO2, CN or 1,3-dioxoran-2-yl; R2, R3 = halogen or lower alkyl; Alternatively R2, R3 are fused together with the pyrimidine ring to represent an unsatd. 5- or 6-membered ring opt. containing a S-atom in the ring; R4 = H, halogen, lower alkyl, cycloalkyl, lower alkoxy, lower alkylthio or opt. lower alkyl substd. amino; R5 = H, lower alkyl, cycloalkyl or halo-lower alkyl; R6 = H, halogen, lower alkyl, lower alkoxy, a halo-lower alkoxy; n = 1 or 2; Z = C or N.

USE/ADVANTAGE - The cpds. (I) possess better antibacterial activity than known aralkylamine derivs. The cpds. are very effective for barley powdery mildew and wheat brown rust, rice blast cucumber downy mildew, tomato blight and against insects such as planthoppers, leafhoppers, aphids, whiteflies, diamond back moth, etc. Thus the cpds. have wide application, high activity and can be offered in various dosage forms. The active ingredient concentration in a preparation is 0.3-25 weight% for powder, 1-90 weight% for wettable agent, 0.5-5 weight% for granule, 0.5-5 weight% for oil agent and 0.1-5 weight% for aerosol. @

0/0@

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; DCN

MANUAL CODES: CPI: C06-H; C07-D12; C12-A01; C12-A02C; C12-B04; C12-N02

ABEQ US 5141941 A UPAB: 19930928

Pyrimidine derivs. of formula (I) and their acid addn. salts are new, where Q is a gp. of formula (II) (where Z is C or N) or Q is -CF2-; R1 is H, halogen, haloalkyl, alkanoyl, NO2, CN, or 1,3-dioxan-2-yl (when Q is (II)), or is H or halogen when Q is CF2, R2-3 are each H or alkyl or are fused with the pyrimidine ring to form an unsatd. 5- or 6-membered ring opt. contg. an S atom; R4 is H, halogen, alkyl, cycloalkyl, alkoxy, alkylthio or opt. mono-alkylated amino; R5 is H, alkyl, cycloalkyl or haloalkyl; R6 is H, halogen, alkyl, alkoxy, haloalkoxy; n is 1 or 2.

5-Chloro-6-ethyl-4- (alpha-ethyl-4-pentafluorphen oxybenzyl-amino) pyrimidine and 5-chloro-6-ethyl-4- (alpha-ethyl-4-trifluoromethoxy benzylamino)pyrimidine are pref. cpds.

USE - Cpds. (I) are fungicides, esp. for use against rice blast, cucumber downy mildew, tomato late blight, wheat brown rust and barley powdery mildew.

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ABEQ EP 370704 B UPAB: 19950306

A compound of the formula (I) or an acid addition salt thereof, wherein Q represents (II) or (III). R1 represents a hydrogen atom, a halogen atom, a haloalkyl group having 1 to 5 carbon atoms, an alkanoyl group having 1 to 5 carbon atoms, a nitro group, a cyano group or a 1,3-dioxolan-2-yl group when Q is (IV) or R1 represents a hydrogen atom or a halogen atom when Q is -CF2-; R2 and R3 each represent a halogen atom or an alkyl group having 1 to 5 carbons atoms, or R2 and R3 are fused together with the pyrimidine ring to which they are bonded to represent an unsaturated 5- or 6-membered ring which may also have one sulphur atom in the ring; R4 represents a hydrogen atom, a halogen atom, an alkyl group having 1 to 5 carbon atoms, a cycloalkyl group, an alkoxy group having 1 to 5 carbon atoms, an alkylthio group having 1 to 5 carbon atoms, or an amino group which may be substituted with an alkyl group having 1 to 5 carbon atoms; R5 represents a hydrogen atom, an alkyl group having 1 to 5 carbon atoms, a cycloalkyl group or a halo-alkyl group having 1 to 3 carbon atoms; R6 represents a hydrogen atom, a halogen atom, an alkyl group having 1 to 5 carbon atoms, an alkoxy group having 1 to 5 carbon atoms or a halo-alkyl group having 1 to 3 carbon atoms; n represents 1 or 2, and Z represents a carbon or nitrogen atom, provided that when Z is a nitrogen atom, R1 is not present.

Dwg.0/0

L103 ANSWER 66 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1990-133364 [18] WPIX
DOC. NO. CPI: C1990-058507
TITLE: New N-aryl methyl-N-aryl or heterocyclyl amine derivs. - inhibitors of thymidylate synthase, especially useful as antitumour agents.
DERWENT CLASS: B03
INVENTOR(S): APPELT, K; JONES, T R; MARZONI, G; VARNEY, M D; WEBBER, S E
PATENT ASSIGNEE(S): (AGOU-N) AGOURON PHARM; (AGOU-N) AGOURON PHARM CORP
COUNTRY COUNT: 21
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC	
EP 365763	A	19900502	(199018)*				<--
R: AT BE CH DE ES FR GB GR IT LI LU NL SE							
AU 8941153	A	19900405	(199022)				<--
NO 8903808	A	19900423	(199022)				<--
FI 8904473	A	19900331	(199027)				<--
JP 02174749	A	19900706	(199033)				<--

ZA 8906908	A	19900926 (199043)	<--	
DK 8904813	A	19900331 (199044)	<--	
AU 638679	B	19930708 (199334)	C07D239-90<--	
KR 9208832	B1	19921009 (199411)	C07D239-88<--	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 365763	A	EP 1989-113994	19890728	<--
JP 02174749	A	JP 1989-251708	19890927	<--
ZA 8906908	A	ZA 1989-6908	19890911	<--
AU 638679	B	AU 1989-41153	19890907	<--
KR 9208832	B1	KR 1989-14028	19890929	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 638679	B	Previous Publ.	AU 8941153

PRIORITY APPLN. INFO: **US 1988-251765**
19880930
REFERENCE PATENTS: 2.Jnl.Ref; EP 204529; EP 239362; EP 284338; EP 31237
INT. PATENT CLASSIF.: A61K031-50; C07C211-44; C07D239-84; C07D307-89;
C07D401-12; **C07D403-12**; C07D405-12;
C07D417-12; C12N000-00
MAIN: **C07D239-88**; C07D239-90
SECONDARY: A61K031-50; A61K031-505; A61K031-635; C07C211-44;
C07D239-84; **C07D239-93**; C07D307-82; C07D307-89;
C07D401-12; **C07D403-12**; C07D405-12;
C07D417-12; C12N000-00

BASIC ABSTRACT:

EP 365763 A UPAB: 19930928

N-substd. methyl-N-homocyclic or heterocyclic amine derivs of formula R1CH2NR2R (I) capable of inhibiting thymidylate synthase are new. In (I) R1=opt. substd. heterocyclic ring or (i) but is not a pteridine gp.; X, Y and Z are each H or individual substits. (but not all H); or X and Y together complete an opt. substd. homocyclic or heterocyclic ring (forming a bicyclic system with B); or Y and Z together complete an opt. substd. mono- or bi-cyclic homocyclic or heterocyclic ring (forming a bi- or tri-cyclic ring system with B), provided that when a 6-quinazolinyl gp. is formed, X=Me and NH2 gps. are not present at 2 and 4 positions; or X and Y and Z together complete rings as defined, producing a peri-fused, tri-or tetra-cyclic system with B; when not involved as parts of a ring, X = H, lower alkyl (opt. substd.) OH, lower alkoxy or acyloxy, SH, lower alhylthio, alkylsulphinyl, alkylsulphonyl or acylthio, NH2 (opt. substd.), lower alkoxycarbonyl, lower acyl, CONH2 (opt. substd.), halo, CN, NO2 or N3; Y and Z = e.g. H, alkyl (opt. substd.), homo- or hetero aryl; R2= e.g. H; up to 6C alkyl, alkenyl or alkynyl (all opt. substd.); R' and R" = e.g. H, alkyl, aryl; R''' = opt. substd. alkyl, aryl, heteroaryl or alkenyl; R=3-10 membered opt. substd. homocyclic or heterocyclic ring; Provisos: (1) R is not phenyl para-substd. by SO2-glutamate, -SO2-aspartate or CONHR6 (NH2R6= amino acid, poly(amino acid) or their lower alkyl esters); (2) when R1 = 2-amino-3,4-dihydro -4-oxo-6-quinazolinyl, then R is not phenyl para-substd. by COOH, (CH2)3COORC (Rc=H or Me), or COOEt. (I) have inhibition constants for TS 0.1mM or less, especially In M or less.

USE - (I) are used to inhibit growth of cells so are especially useful as antitumour agents, although they may also be active against e.g. bacteria,

0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB
MANUAL CODES: CPI: B06-H; B07-H; B10-A08; B10-A10; B10-A15; B10-A16; B10-A17; B10-A19; B10-B01A; B10-B04B; B12-A01; B12-A02C; B12-A06; B12-B04; B12-G07

L103 ANSWER 67 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1990-060844 [09] WPIX
DOC. NO. CPI: C1990-026444
TITLE: New N-aminocarbonyl-pyrimidine-4-amine derivs. - useful as insecticides, acaricides, nematocides and bactericides.
DERWENT CLASS: C02
INVENTOR(S): FUJII, K; NARITA, I; OBATA, T; SHIKITA, S
PATENT ASSIGNEE(S): (UBEI) UBE IND LTD
COUNTRY COUNT: 9
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC	
EP 356158	A	19900228	(199009)*	EN	46		<--
R: DE ES FR GB IT							
ZA 8906308	A	19900530	(199026)				<--
JP 02223564	A	19900905	(199042)				<--
US 5073558	A	19911217	(199202)				<--
JP 07020943	B2	19950308	(199514)		41	C07D239-42	<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 356158	A	EP 1989-308382	19890817	<--
ZA 8906308	A	ZA 1989-6308	19890818	<--
JP 02223564	A	JP 1989-199208	19890802	<--
US 5073558	A	US 1989-427818	19891026	<--
JP 07020943	B2	JP 1989-199208	19890802	<--

FILING DETAILS:

PATENT NO	KIND	PATENT NO
JP 07020943	B2 Based on	JP 02223564

PRIORITY APPLN. INFO: **JP 1988-204728 19880819; JP 1988-300996 19881130**
REFERENCE PATENTS: 6.Jnl.Ref; DE 2806661
INT. PATENT CLASSIF.: A01N037-18; A01N043-54; A01N047-36; **C07D239-94**; **C07D401-12**; **C07D403-12**; C07D405-12; C07D413-12; C07D417-12; C07D491-04; C07D495-04
MAIN: C07D239-42
SECONDARY: A01N037-18; A01N043-54; A01N047-36; **C07D239-94**; **C07D401-12**; **C07D403-12**; C07D405-12; C07D413-12; C07D417-12; C07D491-04; C07D491-048; C07D495-04

BASIC ABSTRACT:
EP 356158 A UPAB: 19930928
Pyrimidine derivs. of formula (I) and their acid addition salts are new. In (I), R1=H, 1-4C alkyl, 3-6C cycloalkyl or halo; R2 and R3=1-4C alkyl or

halo; or R2+R3 completes an opt. unsatd. 5- or 6-membered ring opt. containing 0 or S and opt. mono- or di-substd by lower alkyl or halo, R4 and R5 = H ,1-4C alkyl, CHO, aralkyl or opt. substd. phenyl; or NR4R5= opt. unsatd. 5- or 6-membered ring opt: (i) containing additional N, O or S, (ii) fused to a carbon ring; and (iii) mono- or di-substd. by 1-4C alkyl, halo, opt. substd. phenyl or phenylimino Y = CH(Rg)-(CH2)mR10 (gp.(a)) or a gp. of formula (b) A = 2-6C opt. branched alkylene; R6 and R5= H,1-4C alkyl or halo; n= 1-2; R7= H,2-5C alkenyl, dioxolenylmethyl (opt. mono- or di-substd. by 1-4C alkyl), ethoxyimino or 1-10C alkyl (opt. substd. by 1-4C alkoxy, 3-5C alkenyloxy, 3-5C alkynyloxy or benzyloxy). R9= H or 1-4C alkyl; m=4-15; R10 = 1-4C alkyl, 1-4c alkoxy, halo, acetoxy or opt. substd. phenoxy.

USE - (I) are pesticides partic insecticides and bactericides. As insecticides and acaricides (I) are useful for controlling pests such as hemiptera. hepidoptera, Coleoptera and Acarina; and also for controlling flies, mosquitoes, cockroaches, and other pests which attack stored grain. (I) are also nematocides effective against not-knot rematodes (both by soil and by stalk/leaf treatment) pine wood rematodes and bulb mites in soil (I) are also effective against plant disease e.g. blast, barley powdery mildew, cucumber downy mildew, and tomato diseases.Specifically claimed are 10 cpds. (I) e.g. 5-chloro-N-(2-(4-(2-ethoxyethyl) -2-Methyl) phenoxy)ethyl)-N (imidazol-1-ylcarbonyl)-b-ethyl-4 pyramidine amine.

0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: C06-H; C07-D12; C12-A01; C12-A02C; C12-B02; C12-B04; C12-N01; C12-N02

ABEQ US 5073558 A UPAB: 19930928

Aminopyrimidine cpds. of formula (I) and their acid addn. salts are new. In (I) R1 is H, alkyl, cycloalkyl or halogen; R2-3 are each alkyl or halogen, or together may form one of four specified 5- or 6-membered rings, e.g. of formula (II) or (III), each opt. substd.; R4-5 are each H, alkyl, CHO, phenylalkyl, or opt. substd. phenyl, or R4-5 together with the N atom to which they are bonded, form one of 12 defined heterocyclic rings, e.g. of formula (IV) or (V), each opt. substd.; Y is an alkylene-phenoxy gp. of formula (VI) (where A is alkylene; R6 and R8 and H, alkyl or halogen; R7 is H, alkenyl, dioxolanylmethyl, =N.OEt or opt. substd. alkyl) or Y is a substit. of formula -CH(R9)-(CH2)mR10 (where R9 is H or alkyl; R10 is alkyl, alkoxy, halogen, CH3CO.O., or opt. substd. phenoxy).

5-Chloro-N-(2-(4-(2-ethoxyethyl) -2-methylphenoxy)-ethyl)-N-(imidazol-1-ylcarbonyl) -6-n-propyl-4-pyrimidine amine is typical.

USE - As insecticides and bactericides.

L103 ANSWER 68 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1989-222277 [31] WPIX
CROSS REFERENCE: 1992-323345 [39]; 1993-287708 [36]
DOC. NO. CPI: C1989-098712
TITLE: New quinoline, quinazoline and cinnoline derivs. - useful as plant fungicides, insecticides and miticides.
DERWENT CLASS: C02
INVENTOR(S): ARNOLD, W R; COGHLAN, M J; JOURDAN, G P; KRUMKALNS, E; SUHR, R G; KRUMKALNS, E V; WENDELL, R A
PATENT ASSIGNEE(S): (DOWC) DOWELANCO; (DOWC) DOW AGROSCIENCES LLC; (ELIL) LILLY & CO ELI
COUNTRY COUNT: 26
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC

EP 326330	A	19890802	(198931)* EN			<--
R: AT BE CH DE ES FR GB GR IT LI LU NL SE						
AU 8928728	A	19890803	(198938)			<--
BR 8900356	A	19890919	(198943)			<--
FI 8900423	A	19890730	(198945)			<--
JP 01246263	A	19891002	(198945)			<--
PT 89508	A	19891004	(198945)			<--
DK 8900365	A	19890915	(198947)			<--
HU 49790	T	19891128	(199003)			<--
ZA 8900626	A	19891227	(199005)			<--
CN 1034925	A	19890823	(199027)			<--
IL 89029	A	19930131	(199311)		C07D215-12	<--
HU 208611	B	19931228	(199405)		A01N043-42	<--
FI 94523	B	19950615	(199529)		C07D215-233	<--
JP 2559485	B2	19961204	(199702)	29	C07D215-22	<--
BR 1100102	A3	19980414	(199821)		C07D215-22	<--
CA 1340470	C	19990330	(199931)		C07D215-22	<--
KR 9710174	B1	19970621	(199945)		C07D215-18	<--
EP 326330	B1	20020724	(200256) EN		C07D215-22	
R: AT BE CH DE ES FR GB GR IT LI LU NL SE						
DE 68929418	E	20020829	(200264)		C07D215-22	
ES 2176173	T3	20021201	(200305)		C07D215-22	
IE 83624	B	20041006	(200466)		C07D215-233	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 326330	A	EP 1989-300658	19890125	<--
JP 01246263	A	JP 1989-19400	19890127	<--
ZA 8900626	A	ZA 1989-626	19890126	<--
IL 89029	A	IL 1989-89029	19890123	<--
HU 208611	B	HU 1989-426	19890127	<--
FI 94523	B	FI 1989-423	19890127	<--
JP 2559485	B2	JP 1989-19400	19890127	<--
BR 1100102	A3	BR 1996-1100102	19961218	<--
CA 1340470	C	CA 1989-589263	19890126	<--
KR 9710174	B1	KR 1989-872	19890127	<--
EP 326330	B1	EP 1989-300658	19890125	<--
DE 68929418	E	DE 1989-629418	19890125	<--
		EP 1989-300658	19890125	<--
ES 2176173	T3	EP 1989-300658	19890125	<--
IE 83624	B	IE 1989-265	19890127	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
HU 208611	B	Previous Publ.	HU 49790
FI 94523	B	Previous Publ.	FI 8900423
JP 2559485	B2	Previous Publ.	JP 01246263
DE 68929418	E	Based on	EP 326330
ES 2176173	T3	Based on	EP 326330

PRIORITY APPLN. INFO: **US 1988-150266**
19880129

REFERENCE PATENTS: 1.Jnl.Ref; A3...9034; EP 29319; GB 1233938; GB 2135887; JP 53103484; No-SR.Pub; US 2883382

INT. PATENT CLASSIF.:
MAIN: A01N043-42; C07D215-12; C07D215-18; C07D215-22;

C07D215-233
SECONDARY: A01N043-36; A01N043-54; A01N043-58; A01N055-00; A61K031-50; C07D215-16; C07D215-42; C07D215-60; C07D221-08; C07D221-16; C07D237-28; C07D237-36; C07D239-74; C07D239-86; **C07D239-88**; **C07D239-94**; C07D253-08; C07D401-06; **C07D401-12**; C07D403-06; **C07D403-12**; C07D405-06; C07D405-12; C07D408-12; C07D409-06; C07D409-12; C07D413-06; C07D413-12; C07F007-10

BASIC ABSTRACT:

EP 326330 A UPAB: 20041015

Fungicidal method comprises applying a heterocycle of formula (I), or its acid addition salt or N-oxide when Y = CH, to the locus of the fungicide. In (I), X = CR5 or N; R5 = H, Cl or Me; Y = CR5 if X = N; or is CR5' or N if X = CR5; R5' = H, Cl or Br; Z = O, S, SO, SO2, NR6, or CR7R8; R6 = H, 1-4C alkyl or 1-4C acyl; R7 and R8 = H, 1-4C acyl, 1-4C alkyl, 2-4C alkenyl, 2-4C alkynyl, CN or OH; or R7+R8 completes a 4-6C carbocyclic ring; R1-R4 = H, OH, NO2, halo, 1-4C alkyl or 1-4C alkoxy both opt. substd. by halo; or 1-4C haloalkylthio; or R1+R2 or R2+R3 forms a 4-6C carbocyclic ring; A = (i) 1-18C opt. unsatd. hydrocarbyl; (ii) 3-8C cycloalkyl or cycloalkenyl; (iii) 2-R9-3-R10-4-R11-5-R12-6-R13-phenyl; (iv) furyl substd. by R14; (v) thienyl substd. by R15; (vi) 1-naphthyl (opt. substd.), 4-pyrazolyl, 3-methyl-4-pyrazolyl, 1,3-benzodioxolyl, tricyclo(3.3.1.1(3,7))dec-2-yl, 1-(3-chlorophenyl)-1H-tetrazol -5-yl, pyridyl or pyridazinyl; or (vii) a gp. of formulae (a) or (b); R9-R13 = H, CN, NO2, OH, halo, 1-4C alkyl, 2-4C acyl, 1-4C alkoxy or 1-4C alkylthio both opt. substd. by halo, phenyl, phenoxy or phenylthio all opt. substd.; opt. substd. benzoyl, SiR20R21R22; or OSiR20R21R22; or R11+R12 or R12+R13 forms a carbocyclic ring; provided that unless R9 = R10 = R11 = R12 = R13 = H or F then at least 2 of R9-R13 = H; R20-R22 = H, 1-6C alkyl or opt. substd. phenyl; provided that at least one of R20-R21 is other than H; R14-R15 = H, halo, halomethyl, CN, NO2, 1-4C alkyl, Ph or 1-4C alkoxy; R16 = H, halo, halomethyl, CN, NO2, 1-4C alkyl, opt. substd. phenyl or 1-4C alkoxy; Q2 = N or CH; Q1 = O, NR19 or CH; provided that either Q2 = N or Q1 = NR19; R19 = H, 1-4C alkyl, 1-4C acyl or opt. substd. phenylsulphonyl; provided that (1) Z = CR7R8 if A = (iv), (v) or (vii); and (2) Z = S, SO or SO2 if A = (i).

USE - (I) are plant fungicides. Activity is exhibited against powdery mildew, rice blast, leaf rust, grey mould, downy mildew, leaf spot, apple scab and leaf blotch.

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: C05-B01B; C06-H; C12-A02C; C12-B04; C12-N02

L103 ANSWER 69 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1988-272770 [39] WPIX
DOC. NO. CPI: C1988-121383
TITLE: New substd. quinazoline-containing aminoacid cpds. - useful as antitumour agents having low toxicity.
DERWENT CLASS: B02
INVENTOR(S): HUGHES, L R
PATENT ASSIGNEE(S): (ICIL) IMPERIAL CHEM IND PLC; (NATR) NAT RES DEV CORP; (NATE) NAT RES CORP
COUNTRY COUNT: 13
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC	
EP 284338	A	19880928	(198839)*	EN	25		<--
GB 2202847	A	19881005	(198840)				<--

AU 8813279	A	19880929	(198847)			<--
NO 8801300	A	19881017	(198847)			<--
JP 63255270	A	19881021	(198848)			<--
DK 8801684	A	19880926	(198850)			<--
FI 8801340	A	19880926	(198902)			<--
ZA 8801885	A	19881130	(198902)			<--
PT 87074	A	19890330	(198916)			<--
GB 2202847	B	19900606	(199023)			<--
US 4981856	A	19910101	(199104)			<--
CA 1301756	C	19920526	(199227)			C07D239-88<--
IL 85696	A	19930513	(199324)			C07D239-90<--
DK 167013	B	19930816	(199338)			C07D239-90<--
EP 284338	B1	19931222	(199351)	EN	48	C07D239-90<--
DE 3886435	G	19940203	(199406)			C07D239-90<--
ES 2061641	T3	19941216	(199505)			C07D239-90<--
JP 2577036	B2	19970129	(199709)		19	C07D239-88<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
EP 284338	A	EP 1988-302486	19880322	<--
GB 2202847	A	GB 1988-5982	19880314	<--
JP 63255270	A	JP 1988-69943	19880325	<--
ZA 8801885	A	ZA 1988-1885	19880316	<--
US 4981856	A	US 1990-508528	19900412	<--
CA 1301756	C	CA 1988-562300	19880324	<--
IL 85696	A	IL 1988-85696	19880310	<--
DK 167013	B	DK 1988-1684	19880325	<--
EP 284338	B1	EP 1988-302486	19880322	<--
DE 3886435	G	DE 1988-3886435	19880322	<--
		EP 1988-302486	19880322	<--
ES 2061641	T3	EP 1988-302486	19880322	<--
JP 2577036	B2	JP 1988-69943	19880325	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
DK 167013	B	Previous Publ.	DK 8801684
DE 3886435	G	Based on	EP 284338
ES 2061641	T3	Based on	EP 284338
JP 2577036	B2	Previous Publ.	JP 63255270

PRIORITY APPLN. INFO: **GB 1987-7053 19870325; GB 1988-5982 19880314**

REFERENCE PATENTS: A3...8920; EP 204529; EP 239362; EP 31237; EP 31237

INT. PATENT CLASSIF.:

MAIN: **C07D239-88**; C07D239-90

SECONDARY: A61K031-50; A61K031-505; C07D213-74; C07D239-91; C07D239-95; C07D239-96; C07D333-36; **C07D401-12**; **C07D403-12**; C07D409-12; C07D413-12; C07D417-12

INDEX: C07D213:00, C07D239:00, **C07D401-12**; C07D239:00, C07D333:00, C07D409-12; C07D239:00, C07D277:00, C07D417-

BASIC ABSTRACT:

EP 284338 A UPAB: 19950626

N-(N-(2-substd.-3,4-dihydro- 4-oxo-quinazolin-6-ylmethyl) amino-aroyl)amino acid derivs of formula (I) and their salts and esters are new: R1 = (a) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy or alkylthio

each of up to 6C; (b) aryl, aryloxy, arylthio or aryl alkyl each of up to 10C; (c) halogen, OH or SH; (d) 1-3C alkyl substd. by one or more of halo, OH, NH2, alkoxy, alkanoyloxy, alkylthio, alkylamino, dialkylamino and alkanoylamino each of up to 6C and arylthio, aroyloxy and aroylamino each of up to 10C; or (e) 1-3C alkoxy-substd. by one or more of OH and 1-6C alkoxy; R2 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl, haloalkyl, cyano-alkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkanoylalkyl, carboxyalkyl, carbamoylalkyl or alkanoyl each of up to 6C, or aroylalkyl or up to 10C; Ar = phenylene, naphthylene or heterocyclene which is opt. substd. by one or more of halo, phenyl, CN, NO2, OH, NH2, NH2CO and alkyl, alkoxy, haloalkyl, alkanoylamino, alkylthio and alkoxycarbonyl each of up to 6C; R3+ the residue of an aminoacid R3-NH2; R4, R5 = H or 1-4C alkyl; R6-8 = H; OH; 1-4C alkyl, alkoxy or alkylthio (each opt. substd. by one or more of halo, OH, NH2, alkoxy, alkylamino and dialkylamino each of up to 4C); alkylamino or dialkylamino each of up to 4C; phenyl; halo; NO2; CN; or NH2; provided that at least one of R4-8 is other than H.

USE - (I) are antitumour agents which inhibit the enzyme thymidylate synthetase. They are considerably more active than the cpd. CB3717 disclosed in GB2065653. They are also more water-soluble than CB3717, thus having increased ease of clearance through the kidneys and as a result having reduced toxicity. (I) are administered (pref. parenterally) at a dose of 50-5000 mg/sq.m. of body area.

0/0

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB
MANUAL CODES: CPI: B06-D06; B12-G01B6; B12-G07

ABEQ GB 2202847 B UPAB: 19930923

A quinazoline of the formula (I) wherein R1 is alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy or alkylthio each of up to 6 carbon atoms; or R1 is aryl, aryloxy, arylthio or arylalkyl . each of up to 10 carbon atoms; or R1 is halogeno, hydroxy or mercapto; or R1 is alkyl of up to 3 carbon atoms which bears one or more substituents selected from halogeno, hydroxy, amino, alkoxy, alkanoyloxy, alkylthio, alkylamino, dialkylamino and alkanoylamino each of up to 6 carbon atoms and arylthio, aroyloxy and aroylamino each of up to 10 carbon atoms; or R1 is alkoxy of up to 3 carbon atoms which bears one or more substituents selected from hydroxy and alkoxy of up to 6 carbon atoms; wherein R2 is hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl, halogenoalkyl, cyanoalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkanoylalkyl carboxyalkyl, carbamoylalkyl or alkanoyl each of up to 6 carbon atoms or aroylalkyl of up to 10 carbon atoms; where Ar is phenylene, naphthylene or heterocyclene which is unsubstituted or which bears one or more substituents selected from halogeno, phenyl, cyano, nitro, hydroxy, amino and carbamoyl and alkyl, alkoxy, halogenoalkyl, alkanoylamino, alkylthio and alkoxycarbonyl each of up to 6 carbon atoms; wherein R3 is such that R3-NH2 is an amino acid; wherein R4 is hydrogen or alkyl of up to 4 carbon atoms; wherein R5 is hydrogen or alkyl of up to 4 carbon atoms; and wherein each of R6, R7 and R8 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, alkylamino or dialkylamino each of up to 4 carbon atoms; or is phenyl, halogeno, nitro, cyano or amino; or is alkyl, alkoxy or alkylthio eachy of up to 4 carbon atoms which bears one or more substituents selected from halogeno, hydroxy, amino, alkoxy, alkylamino and dialkylamino each of up to 4 carbon atoms; provided that at least one of R4, R5, R6, R7 and R8 is other than hydrogen; or a pharmaceutically-acceptable salt or ester thereof.

ABEQ US 4981856 A UPAB: 19930923

Quinazoline cpds. of formula (I), salts and esters are new. In (I), R1 is 1-6C-alkyl, -cycloalkyl-, -alkenyl, -alkynyl, -alkoxy, or -alkylthio, or

is up to 10C -aryl, -aryloxy, -arylthio, -arylalkyl; or is halo, OH, SH; or is substd. alkyl or alkoxy; R2 is H, 1-6C-alkyl, -alkenyl, -alkynyl, -OHalkyl, -alkoxyalkyl, -SH-alkyl, -alkylthioalkyl, -haloalkyl, -CN-alkyl, -NH2alkyl, -alkyl (and dialkyl)aminoalkyl, -alkanoylalkyl, -COOalkyl, -carbamoylalkyl, -alkanoyl or is up to 10C aroylalkyl; Ar is phenylene, naphthalene, heterocyclene, all opt. substd.; R4 and R5 are each H, 1-4C alkyl; R6-R8 are each H, OH, 1-4C-alkyl or -alkoxy, -alkylthio, -alkyl-and dialkyl-amino or is Ph, halo, NO2, CN, NH2, 1-4C-alkyl, -alkoxy, and -alkylthio all opt. substd.

Esp. cpds. include N-(p)-N-(3,4-dihydro 2,7-dimethyl -4-oxoquinazolin 6ylmethyl N-(prop-2-ynyl) amino)benzoyl) L-glutamic acid.

(I) may be prepd. e.g. by reacting (II) with HNR2-Ar-CONHR3.

USE - (I) inhibit thymidilate synthetase and are antitumour agents of low toxicity. Dose is e.g. 50-5000 mg/m2 body area.

ABEQ EP 284338 B UPAB: 19940209

A quinazoline of the formula (I) wherein R1 is alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy or alkylthio each of up to 6 carbon atoms; or R1 is aryl, aryloxy, arylthio or arylalkyl each of up to 10 carbon atoms; or R1 is halogen, hydroxy or mercapto; or R1 is alkyl of up to 3 carbon atoms which bears one or more substituents selected from halogeno, hydroxy, amino, alkoxy, alkanoyloxy, alkylthio, alkylamino, dialkylamino and alkanoylamino each of up to 6 carbon atoms and arylthio, aroyloxy and aroylamino each of up to 10 carbon atoms; or R1 is alkoxy of up to 3 carbon atoms which bears one or more substituents selected from hydroxy and alkoxy of up to 6 carbon atoms; wherein R2 is hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl, halogenoalkyl, cyanoalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkanoylalkyl, carboxyalkyl, carbamoylalkyl or alkanoyl each of up to 6 carbon atoms or aroylalkyl of up to 10 carbon atoms; wherein Ar is phenylene, naphthylene or heterocyclene which is unsubstituted or which bears one or more substituents selected from halogeno, phenyl, cyano, nitro, hydroxy, amino and carbamoyl and alkyl, alkoxy, halogenoalkyl, alkanoylamino, alkylthio and alkoxycarbonyl each of up to 6 carbon atoms; wherein R3 is such that R3-NH2 is an amino acid; wherein R4 is hydrogen or alkyl of up to 4 carbon atoms; wherein R5 is hydrogen or alkyl of up to 4 carbon atoms; and wherein each of R6, R7 and R8 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, alkylamino or dialkylamino each of up to 4 carbon atoms; or is phenyl, halogen, nitro, cyano or amino; or is alkyl, alkoxy or alkylthio each of up to 4 carbon atoms which bears one or more substituents selected from halogeno, hydroxy, amino, alkoxy, alkylamino and dialkylamino each of up to 4 carbon atoms; provided that at least one of R4, R5, R6, R7 and R8 is other than hydrogen; or a pharmaceutically acceptable salt or ester thereof.

Dwg.0/0

L103 ANSWER 70 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1987-293464 [42] WPIX
CROSS REFERENCE: 1990-284153 [38]; 1990-306878 [41]
DOC. NO. CPI: C1987-124554
TITLE: New 2-phenyl-3-alkoxy-acrylate ester cpds. - useful as fungicides, insecticides, nematocides and plant growth regulants.
DERWENT CLASS: C01 C02 D18 E12 E13 G02
INVENTOR(S): ANTHONY, V M; CLOUGH, J M; CROWLEY, P J; DEFRAINE, P; FERGUSON, I; GODFREY, C R A; HUTCHINGS, M G; ANTHONY, V; CLOUGH, J; DE FRAINE, P; DEFREIN, P; ENTONI, V M; KLAF, J M
PATENT ASSIGNEE(S): (ICIL) IMPERIAL CHEM IND PLC; (ZENE) ZENECA LTD
COUNTRY COUNT: 28
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC	
EP 242081	A	19871021	(198742)*	EN	94		<--
R: AT BE CH DE ES FR GB GR IT LI LU NL SE							
GB 2189485	A	19871028	(198743)				<--
HU 43239	T	19871028	(198747)				<--
AU 8771196	A	19871022	(198749)				<--
ZA 8702503	A	19871017	(198804)				<--
DK 8701878	A	19871018	(198805)				<--
JP 62294657	A	19871222	(198805)				<--
BR 8701892	A	19880202	(198810)				<--
PT 84698	A	19880421	(198822)				<--
CN 87103623	A	19880224	(198915)				<--
DD 264371	A	19890201	(198927)				<--
CS 8702656	A	19891114	(199001)				<--
GB 2223016	A	19900328	(199013)				<--
GB 2223017	A	19900328	(199013)				<--
GB 2226817	A	19900711	(199028)				<--
GB 2189485	B	19901128	(199048)				<--
GB 2226817	B	19901128	(199048)				<--
GB 2223016	B	19910102	(199101)				<--
GB 2223017	B	19910109	(199102)				<--
SU 1598872	A	19901007	(199125)				<--
US 5057146	A	19911015	(199144)		25		<--
CA 1297480	C	19920317	(199217)				<--
SU 1665875	A3	19910723	(199220)		14	C07D239-30	<--
EP 242081	B1	19940427	(199417)	EN	87	C07D213-64	<--
R: AT BE CH DE ES FR GB GR IT LI LU NL SE							
DE 3789683	G	19940601	(199423)			C07D213-64	<--
ES 2052556	T3	19940716	(199430)			C07D213-64	<--
IL 82127	A	19940826	(199435)			C07D213-62	<--
DK 169268	B	19940926	(199437)			C07D213-60	<--
US 5633256	A	19970527	(199727)		24	A01N043-54	<--
PH 28887	A	19950428	(199902)			A01N037-10	<--
IL 96571	A	19990922	(200002)			C07C069-734	<--
PH 1199549816	B1	20020507	(200414)			C07D239-22	

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
EP 242081	A		EP 1987-302795	19870331	<--
GB 2189485	A		GB 1987-7642	19870331	<--
ZA 8702503	A		ZA 1987-2503	19870407	<--
JP 62294657	A		JP 1987-93478	19870417	<--
GB 2223016	A		GB 1987-922842	19870331	<--
GB 2223017	A		GB 1987-22843	19870331	<--
SU 1598872	A		SU 1987-4202510	19870416	<--
US 5057146	A		US 1990-465526	19900117	<--
SU 1665875	A3		SU 1988-4202510	19880708	<--
EP 242081	B1		EP 1987-302795	19870331	<--
DE 3789683	G		DE 1987-3789683	19870331	<--
			EP 1987-302795	19870331	<--
ES 2052556	T3		EP 1987-302795	19870331	<--
IL 82127	A		IL 1987-82127	19870407	<--
DK 169268	B		DK 1987-1878	19870410	<--
US 5633256	A	Cont of	US 1987-39252	19870417	<--
		Div ex	US 1990-465526	19900117	<--
		Cont of	US 1991-738311	19910731	<--

		Cont of	US 1994-239845	19940509	<--
			US 1995-412449	19950329	<--
PH 28887	A		PH 1987-35121	19870410	<--
IL 96571	A	Div ex	IL 1987-82127	19870407	<--
			IL 1987-96571	19870407	<--
PH 1199549816	B1	Div ex	PH 1987-39121	19870410	<--
			PH 1995-49816	19950120	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
SU 1665875	A3	Div ex	SU 4202510
DE 3789683	G	Based on	EP 242081
ES 2052556	T3	Based on	EP 242081
DK 169268	B	Previous Publ.	DK 8701878
US 5633256	A	Div ex	US 5057146
		Cont of	US 5470819
IL 96571	A	Div ex	IL 82127

PRIORITY APPLN. INFO: **GB 1986-9454 19860417; GB 1986-30825 19861223; GB 1987-7642 19870331; GB 1989-22842 19891011; GB 1989-22843 19891011; DE 1986-3609454 19860417**

REFERENCE PATENTS: 2.Jnl.Ref; AU 7839166; US 4254262; AU 39166; EP 178826; EP 203606; EP 203608

INT. PATENT CLASSIF.:

MAIN: A01N037-10; A01N043-54; C07C069-734; C07D213-60; C07D213-62; C07D213-64; C07D239-22; C07D239-30

SECONDARY: A01N037-06; A01N043-40; A01N043-713; A01N047-06; A01N047-28; A61K031-44; C07C069-73; C07C069-96; C07C149-40; C07C229-40; C07C235-84; C07C239-08; C07C255-57; C07C271-26; C07C317-24; C07C323-31; C07C329-06; C07C333-08; C07C381-00; C07D211-40; C07D213-26; C07D213-65; C07D213-70; C07D213-71; C07D213-74; C07D213-75; C07D213-79; C07D213-80; C07D213-85; C07D213-89; **C07D215-22**; C07D215-227; C07D221-02; C07D239-28; C07D239-32; C07D239-34; C07D239-80; C07D239-88; C07D401-12; C07D403-12; C07F007-18

BASIC ABSTRACT:

EP 242081 A UPAB: 20040226

Alkyl 2-(o-substd. phenyl) -3-alkoxy-acrylate esters of formula (I) and stereoisomers and metal complexes are new; where W=substd. pyridinyl or substd. pyrimidinyl, bonded via a ring C; A=-O- or -S(O)n-; n=0, 1 or 2; X, Y, Z=H, halogen, OH, NO2, CN, COOR3, CONR4R5, COR6, S(O)nR7, or (all opt. substd.) alkyl, alkenyl, aryl, alkynyl, alkoxy, alkylthio, aryloxy, aralkyloxy, acyloxy, amino or acylamino; or any two adjacent X, Y and Z= fused aromatic or aliphatic ring, opt. containing heteroatom(s); R1, R2=opt. substd. alkyl; provided that when W=5-trifluoromethyl pyridin-2-yl, A=O, X=H, and R1=R2=Me, Y and Z are not both H, Y is not F, Cl, Me, NO2, 5-CF3, 5-SMe or 4-NMe2 if Z=H, and Y and Z together are not 3-NO2-5-Cl, 3,5-(NO2)2, 4,5-(OMe)2 or 4,5-methylenedioxy; and R3-7=H or (all opt. substd.) alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, aryl or aralkyl.

(I) are of formula (Ib) where Q=Me, CF3 (not bot 5-CF3), OMe, F, Cl or Br.

USE - (I) are fungicides useful for controlling phytopathogenic fungi or post-harvest diseases of fruit. Certain cpds. may also be active as seed dressings against seed-borne diseases. (I) may also be useful as industrial fungicides, e.g. for preventing fungal attack on wood, hides, leather and especially paint films. Certain (I) are also insecticides and nematocides (pref. where W=pyridinyl substd. by halogen or haloalkyl) and some are also plant growth regulants.

Dwg.0/0

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB

MANUAL CODES: CPI: C06-H; C07-D04B; C07-D12; C10-A10; C10-A15; C10-B04A; C10-C03; C10-C04C; C10-D03; C10-E02; C10-F02; C12-A02C; C12-B02; C12-N02; C12-P01; D07-B; E06-H; E07-D03B; E07-D04A; E07-D04B; E07-D12; E10-A09C; E10-A10; E10-A15A; E10-A15B; E10-B01A1; E10-B02A; E10-D03; E10-E01; E10-E02C; E10-G02A; G02-A03B

ABEQ GB 2189485 B UPAB: 19930922

A compound having the formula (I) and stereoisomers thereof, wherein W is a substituted pyridinyl or substituted pyrimidinyl group linked to A by any one of it's ring carbon atoms; A is either an oxygen atom or S(O)n wherein n is 0, 1 or 2; X, Y and Z, which are the same or different, are hydrogen or halogen atoms, or hydroxy, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl, optionally substituted alkynyl, optionally substituted alkoxy, optionally substituted alkylthio, optionally substituted aryloxy, optionally substituted arylalkoxy, optionally substituted acyloxy, optionally substituted amino, optionally substituted acylamino, nitro, cyano, -CO2R3, -CONR4R5, -COR6 or -S(O)mR7 (wherein m is 0, 1 or 2) groups, or any wo of the groups X, Y and Z, when they are in adjacent positions on the phenyl ring, join to form a fused ring, either aromatic or aliphatic, optionally containing one or more heteroatoms; R1 and R2, which are the same or different, are optionally substituted alkyl groups; provided that when W is 5-trifluoromethylpyridin-2-yl, A is oxygen, X is hydrogen, and R1 and R2 are both methyl, Y and Z are not both hydrogen, Y is not F, Cl, methyl, nitro, 5-CF3, 5-SCH3 or 4-(CH3)2N if Z is hydrogen and Y and Z together are not 3-nitro-5-chloro, 3,5-dinitro, 4,5-dimethoxy or 4,5-methylenedioxy; and R3, R4, R5, R6 and R7 which are the same or different, are hydrogen atoms or optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted cycloalkylalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl or optionally substituted aralkyl groups; and metal complexes thereof.

ABEQ GB 2223016 B UPAB: 19930922

A compound having the formula (XIII): wherein W is a substituted pyridinyl or substituted pyrimidinyl group linked to A by any one of its ring carbon atoms; A is either an oxygen atom or S(O)n wherein n is 0, 1 or 2; X, Y and Z, which are the same or different, are hydrogen or halogen atoms, or hydroxy, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl, optionally substituted alkynyl, optionally substituted alkoxy, optionally substituted alkylthio, optionally substituted aryloxy, optionally substituted arylalkoxy, optionally substituted acyloxy, optionally substituted amino, optionally substituted acylamino, nitro, cyano, -CO2R3, -CONR4R5, -COR6 or -S(O)mR7 (wherein m is, 0, 1 or 2) groups, or any two of the groups X, Y and Z, when they are in adjacent position on the phenyl ring, join to form a fused ring, either aromatic or aliphatic, optionally containing one or more heteroatoms; R1 and R2 which are the same or different, are optionally substituted alkyl groups; provided that when W is 5-trifluoromethylpyridin-2-yl, A is

oxygen, X is hydrogen, and R1 and R2 are both methyl, Y and Z are not both hydrogen, Y is not F, Cl, methyl, nitro, 5-CF3, 5-SCH3 or 4-(CH3)2N if Z is hydrogen and Y and Z together are not 3-nitro-5-chloro, 3,5-dinitro, 4,5-dimethoxy or 4,5-methylenedioxy; and R3, R4, R5, R6 and R7 which are the same or different, are hydrogen atoms or optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted cycloalkylalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl or optionally substituted aralkyl groups.

ABEQ GB 2223017 B UPAB: 19930922

A compound havingthe formula (XV): wherein W is a substituted pyridinyl or substituted pyrimidinyl group linked to A by any one its ring carbon atoms; A is either an oxygen atom or S(O)n wherein n is 0, 1 or 2; X, Y and Z, which are the same or different, are hydrogen or halogen atoms, or hydroxy, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl, optionally substituted alkynyl, optionally substituted alkoxy, optionally substituted alkylthio, optionally substituted aryloxy, optionally substituted arylalkoxy, optionally substituted acyloxy, optionally substituted amino, optionally substituted acylamino, nitro, cyano, -CO2NR3, -CONR4R5, -COR6 or -S(O)mR7 (wherein m is 0, 1 or 2) groups, or any two of the groups X, Y and Z, when they are in adjacent positions on the phenyl ring, join to form a fused ring, either aromatic or aliphatic, optionally containing one or more heteroatoms; R1 is an optionally substituted alkyl group; provided that when W is 5-trifluoromethylpyridin-2-yl, A is oxygen, X is hydrogen, and R1 is methyl, Y and Z are not both hydrogen, Y is not F, Cl, methyl, nitro, 5-CF3, 5-SCH3 or 4-(CH3)2N if Z is hydrogen and Y and Z together are not 3-nitro-5-chloro, 3,5-dinitro, 4,5-dimethoxy or 4,5-methylenedioxy; and R3, R4, R5, R6 and R7 which are the same or different, are hydrogen atoms or optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted cycloalkylalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl or optionally substituted aralkyl groups.

ABEQ GB 2226817 B UPAB: 19930922

Compounds having the general formula (II) and stereoisomers thereof, wherein A is either an oxygen atom or S(O)n wherein n is 0, 1 or 2; X, Y and Z, which are the same or different, are hydrogen or halogen atoms, or hydroxy, optionally substituted alkyl (including haloalkyl), optionally substituted alkenyl, optionally substituted aryl, optionally substituted alkynyl, optionally substituted alkoxy (including haloalkoxy), optionally substituted alkylthio, optionally substituted aryloxy, optionally substituted arylalkoxy, optionally substituted acyloxy, optionally substituted amino, optionally substituted acylamino, nitro, cyano, -CO2R3, -CONR4R5, -COR6 or -S(O)mR7 (wherein m is 0, 1 or 2) groups, or any two of the groups X, Y and Z, when they are in adjacent positions on the phenyl ring, join to form a fused ring, either aromatic or aliphatic, optionally containing one or more heteroatoms; R1 is optionally substituted alkyl; R3, R4, R5, R6 and R7 which are the same or different, are hydrogen atoms or optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted cycloalkylalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl or optionally substituted aralkyl groups; R10 is hydrogen or a protecting group for a phenol or thiophenol group; and R9 is optionally substituted alkyl, hydrogen or a metal atom; provided that: when R10 is hydrogen then R9 is not hydrogen or a metal atom, when A is oxygen, R1 and R9 are both methyl and R10 is either hydrogen or a benzyl group then none of X, Y and Z is hydrogen, when R9 is hydrogen or a metal atom, A is oxygen, R1 is methyl and R10 is a benzyl group then none of X, Y and Z is hydrogen.

ABEQ US 5057146 A UPAB: 19930922

2-Pyridyl oxy-phenyl acrylates of formula (I) and their stereoisomers are new. In (I) W = substd. pyridinyl liked to A by any one of its C atoms and

bearing 1-4 substits. which are not defined. R7, R1 and R11 have the values defined in chain 13 (SiC, there is no chain 13 and gps. R7, R1 and R11 are not present on (I)). X, Y, Z R1 and R2 are not defined. When W = 5-trifluoromethylpyridin-2-yl, A is not O.

USE - (claimed). As nematocides, fungicides and plant growth regulating agents.

ABEQ EP 242081 B UPAB: 19940613

A compound having the formula (I) and stereoisomers thereof, wherein W is a substituted pyridinyl or substituted pyrimidinyl group linked to A by any one of its ring carbon atoms and bearing one or more substituents selected from halogen atoms, C1-6 alkyl itself optionally substituted with halo, phenyl or phenoxy, C2-6 alkenyl, phenyl(C2-6) alkenyl, C2-6 alkynyl, C1-6 alkoxy itself optionally substituted with halo, phenyl or phenoxy, phenoxy, pyridinyloxy, pyrimidinyloxy, phenyl, pyridinyl, pyrimidinyl, nitro, cyano, -NR'R'', -NHCOR', -CONR'R'', -OCOR', -CO2R', -COR' or S(O)mR' groups, wherein m is 0, 1 or 2 and R' and R'' are as defined below; A is either an oxygen atom or S(O)n wherein n is 0, 1 or 2; Y and Z, which are the same or different, are hydrogen or halogen atoms, or C1-6 alkyl, C1-4 alkyl optionally substituted with halo, C1-6 alkoxy or phenyl, C2-6 alkenyl, phenyl, C2-6 alkynyl, C1-6 alkoxy, C1-4 alkoxy optionally substituted with halo or C1-6 alkoxy, phenoxy, phenyl(C1-6)alkoxy, -OCOR', -NR'R'', -NHCOR', nitro, cyano, -CO2R3, -CONR4R5, or -COR6 groups, wherein R', R'' and R3 to R6 are as defined below; R1 and R2, which are the same or different, are hydrogen atoms or C1-6 alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl(C1-4)alkyl, C2-6 alkenyl, C2-6 alkynyl, phenyl or phenyl(C1-6)alkyl; R' and R'' are independently hydrogen, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylthio, C3-6 cycloalkyl, C3-6 cycloalkyl(C1-4)alkyl, phenyl or benzyl, in which the phenyl and benzyl groups are optionally substituted with halogen, C1-4 alkyl or C1-4 alkoxy; and wherein any of the foregoing phenyl or heteroaryl moieties of Y and Z and of the substituents of W, except where otherwise stated for R' and R'', are optionally substituted by one or more of the following: halogen, hydroxy, C1-4 alkyl, C1-4 alkoxy, halo-(C1-4)alkyl, halo(C1-4)alkoxy, C1-4 alkylthio, C1-4 alkoxy(C1-4)alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl(C1-4)alkyl, phenyl, phenoxy, phenyl(C1-4)alkyl, phenyl(C1-4)alkoxy, phenoxy(C1-4)alkyl, cyano, thiocyanato, nitro, -NR'R'', -NHCOR', -NHCONR'R'', -CONR'R'', -COOR', -OSO2R', -SO2R', -COR', -OCOR', -CR'=NR'' or -N=CR'R'' wherein R' and R'' are as defined above; provided that when W is 5-trifluoromethylpyridin-2-yl, A is oxygen and R1 and R2 are both methyl, Y and Z are not both hydrogen, Y is not F, Cl, methyl, nitro, 5-CF3, or 4-(CH3)2N if Z is hydrogen and Y and Z together are not 3-nitro-5-chloro, 3,5-dinitro or 4,5-dimethoxy.

Dwg.0/0

ABEQ US 5633256 A UPAB: 19970702

A compound having the formula (Ia) or a stereoisomer thereof:

wherein: A is S(O)n in which n = 0-2, or an O atom; W is a pyrimidinyl ring linked to A by any one of its carbon atoms and substituted by one or more substituents selected from halo, OH, 1-6C alkyl, 2-6C alkenyl optionally substituted with phenyl, 2-6C alkynyl, 1-6C alkoxy, phenoxy, phenyl, -COR', -NR'R'', -NHCOR', NO2, CN, -CO2R3, -CONR4R5, -COR6 or -S(O)mR7, wherein R' and R'' are as defined below, R3-R7, which are the same or different, are H, 1-6C alkyl, cycloalkyl, 3-6C cycloalkyl (1-4C)alkyl, 2-6C alkenyl, 2-6C alkynyl, phenyl or phenyl (1-6C)alkyl and m 0-2, any of the foregoing alkyl and alkoxy moieties being optionally substituted with halo, OH, 1-6C alkoxy, phenyl or phenoxy, any of the foregoing phenyl moieties being optionally substituted with halo, OH, 1-4C alkyl, 1-4C alkoxy, halo(1-4C)alkyl, halo(1-4C)alkoxy 1-4C alkylthio, 1-4C alkoxy(1-6C)alkyl, 3-6C cycloalkyl, 3-6C cycloalkyl(1-4C)alkyl, phenyl, phenoxy, phenyl(1-4C)alkyl, phenyl(1-4C)alkoxy, phenoxy(1-4C)alkyl, cyano, thiocyanato, nitro,

-NR'R'', -NHCOR', -NHCONR'R'', -CONR'R'', -COOR', -OSO2R', -SO2R', -COR', OCOR', -CR'=NR'' or N=CR'R'', wherein R' and R'' are independently H, 1-4C alkyl, 1-4C alkoxy, 1-4C alkythio, 3-6C cycloalkyl, 3-6C cycloalkyl(1-4C)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halo, 1-4C alkyl, or 1-4C alkoxy. Dwg.0/0

L103 ANSWER 71 OF 92 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1987-272775 [39] WPIX
DOC. NO. CPI: C1987-115807
TITLE: New 2,6-di substd.-3,4-di hydro-4-oxo-quinazoline cpds. - having antitumour activity, prepared e.g. from quinazolinyl methyl halide and N-amino aroyl-aminoacid.
DERWENT CLASS: B02
INVENTOR(S): HUGHES, L R
PATENT ASSIGNEE(S): (ICIL) IMPERIAL CHEM IND PLC; (NATR) NAT RES DEV CORP
COUNTRY COUNT: 29
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC	
EP 239362	A	19870930	(198739)*	EN	30		<--
R: AT BE CH DE ES FR GB GR IT LI LU NL SE							
GB 2188319	A	19870930	(198739)				<--
AU 8770472	A	19871001	(198746)				<--
NO 8701266	A	19871019	(198747)				<--
ZA 8701998	A	19870928	(198751)				<--
FI 8701139	A	19870316	(198802)				<--
DK 8701550	A	19870928	(198804)				<--
HU 43835	T	19871228	(198804)				<--
PT 84571	A	19880303	(198814)				<--
JP 01125373	A	19890517	(198926)				<--
GB 2188319	B	19891213	(198950)				<--
US 4992550	A	19910212	(199109)				<--
CA 1285943	C	19910709	(199132)				<--
IL 81924	A	19910718	(199136)				<--
EP 239362	B	19911204	(199149)				<--
R: AT BE CH DE ES FR GB GR IT LI LU NL SE							
DE 3774909	G	19920116	(199204)				<--
US 5081124	A	19920114	(199206)				<--
US 5187167	A	19930216	(199309)		19	A01N043-48	<--
DK 166621	B	19930621	(199330)			C07D239-88	<--
ES 2038170	T3	19930716	(199333)			C07D239-88	<--
FI 89912	B	19930831	(199339)			C07D239-88	<--
NO 173545	B	19930920	(199343)			C07D239-88	<--
JP 06057699	B2	19940803	(199429)		28	C07D239-90	<--

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE	
EP 239362	A		EP 1987-302525	19870324	<--
GB 2188319	A		GB 1987-6948	19870324	<--
ZA 8701998	A		ZA 1987-1998	19870318	<--
JP 01125373	A		JP 1987-71960	19870327	<--
US 4992550	A		US 1989-334748	19890406	<--
US 5081124	A		US 1990-577579	19900905	<--
US 5187167	A	Cont of	US 1987-30424	19870326	<--
		Div ex	US 1989-334748	19890406	<--
		Div ex	US 1990-577579	19900905	<--

		US 1991-775102	19911011	<--
DK 166621	B	DK 1987-1550	19870326	<--
ES 2038170	T3	EP 1987-302525	19870324	<--
FI 89912	B	FI 1987-1139	19870316	<--
NO 173545	B	NO 1987-1266	19870326	<--
JP 06057699	B2	JP 1987-71960	19870327	<--

FILING DETAILS:

PATENT NO	KIND		PATENT NO
US 5187167	A	Div ex	US 4992550
		Div ex	US 5081124
DK 166621	B	Previous Publ.	DK 8701550
ES 2038170	T3	Based on	EP 239362
FI 89912	B	Previous Publ.	FI 8701139
NO 173545	B	Previous Publ.	NO 8701266
JP 06057699	B2	Based on	JP 01125373

PRIORITY APPLN. INFO: **GB 1986-7683 19860327; GB 1987-6948 19870324**
REFERENCE PATENTS: A3...8927; EP 204529; EP 31237; GB 2065653; No-SR.Pub
INT. PATENT CLASSIF.:
MAIN: A01N043-48; **C07D239-88**
SECONDARY: A61K031-165; A61K031-50; A61K031-505; C07C063-68; C07C233-83; C07D209-48; C07D213-81; C07D239-90; C07D239-91; C07D239-95; C07D239-96; C07D277-56; C07D333-38; **C07D401-12**; C07D403-04; **C07D403-12**; C07D409-12; C07D413-12; C07D417-12
INDEX: C07D213:00, C07D239:00, **C07D401-12**; C07D239:00, C07D333:00, C07D409-12; C07D239:00, C07D277:00, C07D417-12

BASIC ABSTRACT:

EP 239362 A UPAB: 19930922

Quinazoline derivs. of formula (I), their pharmaceutically acceptable salts and esters are new. R1 = up to 6C alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy or alkylthio; up to 10C aryl, aryloxy or aralkyl; halo, OH, SH, pyridylthio or pyrimidinylthio; 1-3C alkyl substd. by 1 or more of halo, OH, NH2, pyridylthio, pyrimidinylthio, up to 6C alkoxy, alkenoyloxy, alkylthio, mono- or di-alkylamino or alkanoylamino or up to 10C aroyloxy or aroylamino; or 1-3C alkoxy opt. substd. by 1 or more of Oh and 1-6C alkoxy; R2 = H, up to 6C alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl, haloalkyl, cyanoalkyl, aminoalkyl, mono-, or di-alkylaminoalkyl, alkanoylalkyl, carboxyalkyl, carbamoylalkyl or alkanoyl; or up to 10C aroylalkyl; Ar = phenylene, naphthylene or heterocyclylene opt. substd. by 1 or more of halo, phenyl, CN, NO2, OH, NH2, CONH2 or up to 6C alkyl, alkoxy, haloalkyl, alkanoylamino, alkylthio or alkoxycarbonyl; R3 is such that R3NH2 = aminoacid.

USE - (I) have antitumour activity. Prefd. cpds. are 50-500 times more active than CB3717 (see GB2065653) in inhibiting growth of L1210 cell line.

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; DCN
MANUAL CODES: CPI: B06-D06; B12-G07

ABEQ EP 239362 B UPAB: 19930922

A quinazoline of the formula (I) wherein R1 is alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy or alkylthio each of up to 6 carbon atoms; aryl, aryloxy or arylalkyl each of up to 10 carbon atoms; halogeno, hydroxy, mercapto,

pyridylthio or pyrimidinylthio; alkyl of up to 3 carbon atoms which bears one or more substituents selected from halogeno, hydroxy, amino, pyridylthio, pyrimidinylthio, alkoxy, alkanoyloxy, alkylthio, alkylamino, dialkylamino and alkanoylamino each of up to 6 carbon atoms and aroyloxy and aroylamino each of up to 10 carbon atoms; or alkoxy of up to 3 carbon atoms which bears one or more substituents selected from hydroxy and alkoxy of up to 6 carbon atoms; wherein R2 is hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl, halogenoalkyl, cyanoalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkanoylalkyl, carboxyalkyl, carbamoylalkyl or alkanoyl each of up to 6 carbon atoms or aroylalkyl of up to 10 carbon atoms; wherein Ar is phenylene, naphthylene or a 5-membered or 6-membered aromatic heterocyclene diradical which contains up to 2 heteroatoms selected from the group consisting of oxygen, nitrogen and sulphur which is unsubstituted or which bears one or more substituents selected from halogeno, phenyl, cyano, nitro, hydroxy, amino and carbamoyl and alkyl, alkoxy, halogenoalkyl, alkanoylamino, alkylthio and alkoxycarbonyl each of up to 6 carbon atoms; and wherein R3 is such that R3-NH2 is an amino acid; or a pharmaceutically-acceptable salt or ester thereof.

ABEQ GB 2188319 B UPAB: 19930922

A quinazoline of the formula (I) wherein R1 is alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy or alkylthio each of up to 6 carbon atoms; aryl, aryloxy or arylalkyl each of up to 10 carbon atoms; halogeno, hydroxy, mercapto, pyridylthio or pyrimidinylthio; alkyl of up to 3 carbon atoms which bears one or more substituents selected from halogeno, hydroxy, amino, pyridylthio, pyrimidinylthio, alkoxy, alkanoyloxy, alkylthio, alkylamino, dialkylamino and alkanoylamino each of up to 6 carbon atoms and aroyloxy and aroylamino each of up to 10 carbon atoms; or alkoxy of up to 3 carbon atoms which bears one or more substituents selected from hydroxy and alkoxy of up to 6 carbon atoms; wherein R2 is hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl, halogenoalkyl, cyanoalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkanoyalkyl, carboxyalkyl, carbamoylalkyl or alkanoyl each of up to 6 carbon atoms or aroylalkyl of up to 10 carbon atoms; wherein Ar is phenylene, naphthylene or heterocyclene which is unsubstituted or which bears one or more substituents selected from halogeno, phenyl, cyano, nitro, hydroxy, amino and carbamoyl and alkyl, alkoxy, halogenoalkyl, alkanoylamino, alkylthio and alkoxycarbonyl each of up to 6 atoms; and wherein R3 is such that R3-NH2 is an amino acid; or a pharmaceutically-acceptable salt or ester thereof.

ABEQ US 4992550 A UPAB: 19930922

A quinazoline cpd. of formula (I) is claimed in which R1 is alkyl, cycloalkenyl, alkenyl, alkynyl, alkoxy, alkylthio, aryl, aryloxy, aralkyl, halo, hydroxy, mercapto, pyridylthio, pyrimidinylthio or substd. alkyl or alkanoyl; in which Ar is phenylene, naphthylene, or heterocyclene which is opt. substd. and in which R3 is such that R3-NH2 is an amino acid.

USE - Antitumour agents.

ABEQ US 5081124 A UPAB: 19930922

Use of quinazolin-4-one derivs. of formula (I), their salts and esters as antitumour agents is new; where R1 = 1-6C (alkyl, cycloalkyl, alkenyl, alkoxy or alkylthio), 1-10C (aryl, aryloxy or arylalkyl), halo, OH, mercapto, pyridylthio, pyrimidinylthio, 1-3C alkyl (substd. wtih 1-3 halo or 1-2 of OH, NH2, pyridylthio, pyrimidinylthio, 1-6C alkoxy, 1-6C alkanoyloxy, 1-6C alkylthio, 1-6C alkylamino, 1-6C dialkylamino, 1-6C alkanoyl-amino, 3-10C aroyloxy or 3-10C aroylamino) or 1-3C alkoxy substd. with 1-2 of OH or 1-6C alkoxy; R2 = H, 1-6C (alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl, halogenoalkyl, cyanoalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkanoylalkyl, carboxyalkyl, carbamoylalkyl or alkanoyl) or 3-10C aroylalkyl; Ar = phenylene, napthylene or heterocycle (opt. substd. with 1-2 of halo,

phenyl, CN, NO2, OH, NH2, 1-6C carbamoyl, 1-6Calkyl, 1-6C alkoxy, 1-6C halogenoalkyl, 1-6C alkanoylamino, 1-6C alkylthio and 1-6C alkoxycarbonyl); R3-NH2 would be L-aspartic acid, L-glutamic acid, L-alanine, L-phenylalanine, L-serine, glycine, L-ornithine or L-2-aminobutyric acid or R3 = a poly-L-glutamic acid of formula (II); m = 1-10.

USE/ADVANTAGE - (I) are claimed as new in the wider disclosure. (I) are more active than CB3717 (see GB2065653B) as antitumour agents and since (I) are water soluble are less toxic to the kidney.

ABEQ US 5187167 A UPAB: 19930922

Antitumour compsns. comprise a quinazolin-4-one of formula (I), its salt or ester in a diluent or carrier. In (I), R1 = e.g. alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy or alkylthio, each with up to 6C; aryl, aryloxy or aralkyl, each with up to 10C; halo, OH, SH, or pyridylthio; R2 = e.g. H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl or mercaptoalkyl, each with up to 6C; Ar = phenylene, naphthylene or heterocyclene opt. with 1 or 2 substits. chosen from halo, phenyl, CN, NO2, OH, NH2 and carbamoyl and alkyl, alkoxy, haloalkyl, alkanoylamino, alkylthio and alkoxycarbonyl, each with up to 6C; R3 is such that R3NH2 is L-aspartic acid, L-glutamic acid, L-alanine, L-phenylalanine, L-serine, glycine, L-ornithine, L-2-aminobutyric acid or poly-L-glutamic acid of formula (II); m = 1-10.

Pref. cpds. (I) include N-p-(N-(3,4-dihydro -2-methyl-4 -oxoquinazolin-6-ylmethyl) -N-methylamino) benzoyl -L-glutamic acid.

ADVANTAGE - (I) are more active than CB 3717 and are also more water-soluble, which may lead to greater ease of clearance through the kidney, decreasing toxicity.

0/0

=> d ibib ed ab hitind 72-
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS, CANCERLIT, DRUGU, SCISEARCH' - CONTINUE? (Y)/N:y

YOU HAVE REQUESTED DATA FROM 21 ANSWERS - CONTINUE? Y/(N):y

L103 ANSWER 72 OF 92 MEDLINE on STN DUPLICATE 8
ACCESSION NUMBER: 1999278605 MEDLINE
DOCUMENT NUMBER: PubMed ID: 10346932
TITLE: Tyrosine **kinase** inhibitors. 15. 4-(Phenylamino)quinazoline and 4-(phenylamino)pyrido[d]pyrimidine acrylamides as irreversible inhibitors of the ATP binding site of the epidermal growth factor receptor.
AUTHOR: Smaill J B; Palmer B D; Rewcastle G W; Denny W A; McNamara D J; Dobrusin E M; Bridges A J; Zhou H; Showalter H D; Winters R T; Leopold W R; Fry D W; Nelson J M; Slintak V; Elliot W L; Roberts B J; Vincent P W; Patmore S J
CORPORATE SOURCE: Auckland Cancer Society Research Centre, Faculty of Medicine and Health Science, The University of Auckland, Private Bag 92019, Auckland, New Zealand.
SOURCE: Journal of medicinal chemistry, (1999 May 20) 42 (10) 1803-15.
Journal code: 9716531. ISSN: 0022-2623.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
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Last Updated on STN: 20000303
Entered Medline: 19990610

ED Entered STN: 19990618
Last Updated on STN: 20000303
Entered Medline: 19990610

AB A series of 6- and 7-acrylamide derivatives of the 4-(phenylamino) **quinazoline** and -**pyridopyrimidine** classes of epidermal growth factor receptor (EGFR) inhibitors were prepared from the corresponding amino compounds by reaction with either acryloyl chloride/base or acrylic acid/1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride. All of the 6-acrylamides, but only the parent quinazoline 7-acrylamide, were irreversible inhibitors of the isolated enzyme, confirming that the former are better-positioned, when bound to the enzyme, to react with the critical cysteine-773. Quinazoline, pyrido[3,4-d]pyrimidine, and pyrido[3,2-d]pyrimidine 6-acrylamides were all irreversible inhibitors and showed similar high potencies in the enzyme assay (likely due to titration of the available enzyme). However the pyrido[3,2-d]pyrimidine analogues were 2-6-fold less potent than the others in a cellular autophosphorylation assay for EGFR in A431 cells. The quinazolines were generally less potent overall toward inhibition of heregulin-stimulated autophosphorylation of erbB2 (in MDA-MB-453-cells), whereas the pyridopyrimidines were equipotent. Selected compounds were evaluated in A431 epidermoid and H125 non-small-cell lung cancer human tumor xenografts. The compounds showed better activity when given orally than intraperitoneally. All showed significant tumor growth inhibition (stasis) over a dose range. The poor aqueous solubility of the compounds was a drawback, requiring formulation as fine particulate emulsions.

CT *Acrylamides: CS, chemical synthesis
Acrylamides: CH, chemistry
Acrylamides: PD, pharmacology
*Adenosine Triphosphate: ME, metabolism
Animals
*Antineoplastic Agents: CS, chemical synthesis
Antineoplastic Agents: CH, chemistry
Antineoplastic Agents: PD, pharmacology
Binding Sites
Cell Line
Drug Screening Assays, Antitumor
*Enzyme Inhibitors: CS, chemical synthesis
Enzyme Inhibitors: CH, chemistry
Enzyme Inhibitors: PD, pharmacology
Humans
Mice
Mice, Nude
Neoplasm Transplantation
Phosphorylation
***Protein-Tyrosine Kinase: AI, antagonists & inhibitors**
*Pyrimidines: CS, chemical synthesis
Pyrimidines: CH, chemistry
Pyrimidines: PD, pharmacology
*Quinazolines: CS, chemical synthesis
Quinazolines: CH, chemistry
Quinazolines: PD, pharmacology
*Receptor, Epidermal Growth Factor: AI, antagonists & inhibitors
Receptor, Epidermal Growth Factor: ME, metabolism
Research Support, Non-U.S. Gov't
Structure-Activity Relationship
Transplantation, Heterologous

RN 56-65-5 (Adenosine Triphosphate)

CN 0 (Acrylamides); 0 (Antineoplastic Agents); 0 (Enzyme Inhibitors); 0 (Pyrimidines); 0 (Quinazolines); EC 2.7.1.112 (Protein-Tyrosine **Kinase**); EC 2.7.1.112 (Receptor, Epidermal Growth Factor)

L103 ANSWER 73 OF 92 MEDLINE on STN DUPLICATE 9
ACCESSION NUMBER: 1999427005 MEDLINE
DOCUMENT NUMBER: PubMed ID: 10495354
TITLE: The rationale and strategy used to develop a series of highly potent, irreversible, inhibitors of the epidermal growth factor receptor family of tyrosine **kinases**.
AUTHOR: Bridges A J
CORPORATE SOURCE: Parke-Davis Pharmaceutical Research, Division of Warner-Lambert Corporation, 2800 Plymouth Road, Ann Arbor, MI 48176, USA.. Alexander.Bridges@aa.wl.com
SOURCE: Current medicinal chemistry, **(1999 Sep)** 6 (9) 825-43. Ref: 122
Journal code: 9440157. ISSN: 0929-8673.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
General Review; (REVIEW)
(REVIEW, TUTORIAL)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199910
ENTRY DATE: Entered STN: 19991101
Last Updated on STN: 20000303
Entered Medline: 19991015

ED Entered STN: 19991101
Last Updated on STN: 20000303
Entered Medline: 19991015

AB The Epidermal Growth Factor receptor (EGFr) was one of the first oncogenes identified, and it, or its ligands Epidermal Growth Factor (EGF) and Transforming Growth Factor a (TGFa) are overexpressed in most clinical tumours. As EGF and TGFa are potent mitogens, it appeared that inhibition of EGFr signaling would be a viable anti-proliferative strategy. Screening found several classes of EGFr inhibitor, one of which, the indolinethiones was developed. The SAR, in common with that of other first generation tyrosine **kinase** (TK) inhibitors was flat, and potency was poor. Rescreening in presence of a thiol, to remove chemically reactive species, identified only two leads, a **pyridopyrimidine** and a **quinazoline.** These were developed into a very broad class of EGFr inhibitors, with great potency and selectivity for EGFr, but poor physicochemical properties, and little if any in vivo anti-tumour activity. Meanwhile the complex role of other members of the EGFr TK family in oncogenesis, was becoming apparent, suggesting that the whole EGFr family should be inhibited. The difficulty of finding potent compounds with acceptable pharmacokinetics also suggested that irreversible inhibitors of the TK might produce better in vivo profiles. Modeling suggested that the unusual Cys773 residue might be reached from the 6/7-positions of **quinazoline** and **pyridopyrimidine** inhibitors. Inhibitors with acrylamides at these positions proved to be irreversible alkylating agents for both EGFr and erbB-2 with cellular inhibitory activities in the low nanomolar range, and very potent in vivo antitumour activity. Optimized inhibitors had exceptionally potent oral antitumour activity, with negligible cytotoxicity.

CT Antibodies, Monoclonal: CH, chemistry
*Antineoplastic Agents: CS, chemical synthesis
Antineoplastic Agents: CH, chemistry

*Drug Design
*Enzyme Inhibitors: CS, chemical synthesis
Enzyme Inhibitors: CH, chemistry
Humans
***Protein-Tyrosine Kinase: AI, antagonists & inhibitors**
Protein-Tyrosine Kinase: CH, chemistry
Pyridines: CS, chemical synthesis
Pyridines: CH, chemistry
Pyrimidines: CS, chemical synthesis
Pyrimidines: CH, chemistry
Quinazolines: CS, chemical synthesis
Quinazolines: CH, chemistry
*Receptor, Epidermal Growth Factor: AI, antagonists & inhibitors
Receptor, Epidermal Growth Factor: CH, chemistry
Research Support, Non-U.S. Gov't
Structure-Activity Relationship
CN 0 (Antibodies, Monoclonal); 0 (Antineoplastic Agents); 0 (Enzyme Inhibitors); 0 (Pyridines); 0 (Pyrimidines); 0 (Quinazolines); 0 (trastuzumab); EC 2.7.1.112 (Protein-Tyrosine **Kinase**); EC 2.7.1.112 (Receptor, Epidermal Growth Factor)

L103 ANSWER 74 OF 92 MEDLINE on STN DUPLICATE 11
ACCESSION NUMBER: 2005342029 MEDLINE
DOCUMENT NUMBER: PubMed ID: 15991993
TITLE: Tyrosine **kinases** in disease: overview of **kinase** inhibitors as therapeutic agents and current drugs in clinical trials.
AUTHOR: Strawn L M; Shawver L K
CORPORATE SOURCE: SUGEN, INC., 351 Galveston Drive, Redwood City, CA 94063, USA.
SOURCE: Expert opinion on investigational drugs, **(1998 Apr)** 7 (4) 553-73.
Journal code: 9434197. ISSN: 1744-7658.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: NONMEDLINE; PUBMED-NOT-MEDLINE
ENTRY MONTH: 200507
ENTRY DATE: Entered STN: 20050706
Last Updated on STN: 20050713
Entered Medline: 20050712
ED Entered STN: 20050706
Last Updated on STN: 20050713
Entered Medline: 20050712
AB Tyrosine **kinases**, first described as oncogenes, have been shown to play a role in normal cellular processes. Aberrations in tyrosine **kinase** activity lead to disease states. For fifteen years it has been postulated that the inhibition of tyrosine **kinases** may have therapeutic utility and the design and testing of inhibitors have been major focuses of research and development in both academic institutions and pharmaceutical companies. While early research focused on developing chemical entities that mimic phosphotyrosine, later research has focused on developing competitive adenosine triphosphate (ATP) inhibitors with various levels of selectivity on **kinase** targets. This review focuses on a discussion of tyrosine **kinases** thought to be important in disease, including platelet-derived growth factor (PDGF), fibroblast growth factor (FGF), vascular endothelial cell growth factor (VEGF), epidermal growth factor (EGF) receptors, HER-2 and Src. In addition, the classes of inhibitors designed to affect these targets and that have overcome research and development challenges and entered

clinical trials are discussed. These include isoxazole, **quinazoline**, substituted **pyrimidines** and indolinone compounds, all of which are in clinical trials or near clinical development by SUGEN, Zeneca, Novartis, Pfizer and Parke-Davis. A summary of the chemistry and activity of these agents is provided.

L103 ANSWER 75 OF 92 MEDLINE on STN DUPLICATE 13
ACCESSION NUMBER: 97299824 MEDLINE
DOCUMENT NUMBER: PubMed ID: 9154973
TITLE: Tyrosine **kinase** inhibitors. 11. Soluble analogues of pyrrolo- and pyrazoloquinazolines as epidermal growth factor receptor inhibitors: synthesis, biological evaluation, and modeling of the mode of binding.
AUTHOR: Palmer B D; Trumpp-Kallmeyer S; Fry D W; Nelson J M; Showalter H D; Denny W A
CORPORATE SOURCE: Cancer Society Research Laboratory, Faculty of Medicine and Health Science, The University of Auckland School of Medicine, New Zealand.
SOURCE: Journal of medicinal chemistry, **(1997 May 9)** 40 (10) 1519-29.
Journal code: 9716531. ISSN: 0022-2623.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199706
ENTRY DATE: Entered STN: 19970620
Last Updated on STN: 20000303
Entered Medline: 19970606

ED Entered STN: 19970620
Last Updated on STN: 20000303
Entered Medline: 19970606

AB A new route to N-1-substituted pyrazolo- and pyrroloquinazolines has been developed from the known quinazolones 19 and 23, via conversion to the corresponding thiones, S-methylation to the thioethers, N-1-alkylation, and coupling with 3-bromoaniline. C-3-Substituted pyrroloquinazolines were prepared by Mannich base chemistry. A series of compounds bearing solubilizing side chains at these positions has been prepared and evaluated for inhibition of the tyrosine **kinase** activity of the isolated epidermal growth factor receptor (EGFR) and of its autophosphorylation in EGF-stimulated A431 cells. Several analogues, particularly C-3-substituted pyrroloquinazolines, retained high potency in both assays. A model for the binding of the general class of 4-anilinoquinazolines to the EGFR was constructed from structural information (particularly for the catalytic subunit of the cAMP-dependent protein **kinase**) and structure-activity relationships (SAR) in the series. In this model, the pyrrole ring in pyrroloquinazolines (and the 6- and 7-positions of **quinazoline** and related **pyridopyrimidine** inhibitors) occupies the entrance of the ATP binding pocket of the enzyme, with the pyrrole nitrogen located at the bottom of the cleft and the pyrrole C-3 position pointing toward a pocket corresponding to the ribose binding site of ATP. This allows considerable bulk tolerance for C-3 substituents and lesser but still significant bulk tolerance for N-1 substituents. The observed high selectivity of these compounds for binding to EGFR over other similar tyrosine **kinases** is attributed to the 4-anilino ring binding in an adjacent hydrophobic pocket which has an amino acid composition unique to the EGFR. The SAR seen for inhibition of the isolated enzyme by the pyrazolo- and pyrroloquinazolines discussed here is fully consistent with this binding model. For the N-1-substituted compounds, inhibition of

autophosphorylation in A431 cells correlates well with inhibition of the isolated enzyme, as seen previously for related pyridopyrimidines. However, the C-3-substituted pyrroloquinazolines show unexpectedly high potencies in the autophosphorylation assay, making them of particular interest.

CT Adenosine Triphosphate: ME, metabolism
Binding Sites
*Enzyme Inhibitors: CS, chemical synthesis
Enzyme Inhibitors: ME, metabolism
Enzyme Inhibitors: PD, pharmacology
Humans
Magnetic Resonance Spectroscopy
Phosphorylation
Protein Conformation
*Quinazolines: CS, chemical synthesis
Quinazolines: ME, metabolism
Quinazolines: PD, pharmacology
*Receptor, Epidermal Growth Factor: AI, antagonists & inhibitors
Receptor, Epidermal Growth Factor: CH, chemistry
Receptor, Epidermal Growth Factor: ME, metabolism
Research Support, Non-U.S. Gov't
Spectrum Analysis, Mass
Tumor Cells, Cultured

RN 56-65-5 (Adenosine Triphosphate)

CN 0 (Enzyme Inhibitors); 0 (Quinazolines); EC 2.7.1.112 (Receptor, Epidermal Growth Factor)

L103 ANSWER 76 OF 92 MEDLINE on STN DUPLICATE 14

ACCESSION NUMBER: 96421753 MEDLINE
DOCUMENT NUMBER: PubMed ID: 8824370
TITLE: Epidermal growth factor receptor tyrosine **kinase** inhibitors as potential cancer chemopreventives.
AUTHOR: Kelloff G J; Fay J R; Steele V E; Lubet R A; Boone C W; Crowell J A; Sigman C C
CORPORATE SOURCE: Chemoprevention Branch, Division of Cancer Prevention and Control, National Cancer Institute, Bethesda, Maryland 20892, USA.
SOURCE: Cancer epidemiology, biomarkers & prevention : a publication of the American Association for Cancer Research, cosponsored by the American Society of Preventive Oncology, **(1996 Aug)** 5 (8) 657-66. Ref: 108
Journal code: 9200608. ISSN: 1055-9965.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
General Review; (REVIEW)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199709
ENTRY DATE: Entered STN: 19970922
Last Updated on STN: 20000303
Entered Medline: 19970909

ED Entered STN: 19970922
Last Updated on STN: 20000303
Entered Medline: 19970909

AB Among the most important targets for chemopreventive intervention and drug development are deregulated signal transduction pathways, and protein tyrosine **kinases** are key components of these pathways. Loss of tyrosine **kinase** regulatory mechanisms has been implicated in neoplastic growth; indeed, many oncogenes code for either receptor or cellular tyrosine **kinases.** Because of its deregulation in many

cancers (bladder, breast, cervix, colon, esophagus, head and neck, lung, and prostate), the epidermal growth factor receptor (EGFR) has been selected as a potential target for chemoprevention. Because growth factor networks are redundant, selective inhibition of signaling pathways activated in precancerous and cancerous cells should be possible. Requirements for specific EGFR inhibitors include specificity for EGFR, high potency, activity in intact cells, and activity in vivo. Inhibition of autophosphorylation is preferred, because it should result in total blockade of the signaling pathway. Inhibitors that compete with substrate rather than at the ATP-binding site are also preferable, because they are not as likely to inhibit other ATP-using cellular enzymes. Several classes of specific EGFR inhibitors have been synthesized recently, including structures such as benzylidene malononitriles, dianilinophthalimides, **quinazolines**, **pyrimidines**, [(alkylamino)methyl]-acrylophenones, enollactones, dihydroxybenzylaminosalicylates, 2-thioindoles, aminoflavones, and tyrosine analogue-containing peptides. A possible testing strategy for the development of these and other EGFR inhibitors as chemopreventive agents includes the following steps: (a) determine EGFR tyrosine **kinase** inhibitory activity in vitro; (b) evaluate EGFR specificity and selectivity (relative to other tyrosine **kinases** and other protein **kinases**); (c) determine inhibition of EGFR-mediated effects in intact cells; (d) determine inhibition of EGFR-mediated effects in vivo (e.g., in nude mouse tumor xenografts); and (e) determine chemopreventive efficacy in vivo (e.g., in the hamster buccal pouch or mouse or rat bladder).

CT Animals
*Anticarcinogenic Agents: PD, pharmacology
Chemoprevention
Humans
Neoplasms: ET, etiology
Neoplasms: ME, metabolism
*Neoplasms: PC, prevention & control
***Protein-Tyrosine Kinase: AI, antagonists & inhibitors**
Receptor, Epidermal Growth Factor: DE, drug effects
*Receptor, Epidermal Growth Factor: PH, physiology
*Signal Transduction: DE, drug effects
Transforming Growth Factor alpha: PH, physiology

CN 0 (Anticarcinogenic Agents); 0 (Transforming Growth Factor alpha); EC 2.7.1.112 (Protein-Tyrosine **Kinase**); EC 2.7.1.112 (Receptor, Epidermal Growth Factor)

L103 ANSWER 77 OF 92 MEDLINE on STN DUPLICATE 15
ACCESSION NUMBER: 96175341 MEDLINE
DOCUMENT NUMBER: PubMed ID: 8612303
TITLE: AG337, a novel lipophilic thymidylate synthase inhibitor: in vitro and in vivo preclinical studies.
AUTHOR: Webber S; Bartlett C A; Boritzki T J; Hillard J A; Howland E F; Johnston A L; Kosa M; Margosiak S A; Morse C A; Shetty B V
CORPORATE SOURCE: Pharmacology Department, Agouron Pharmaceuticals, Inc, San Diego, CA USA.
SOURCE: Cancer chemotherapy and pharmacology, **(1996)** 37 (6) 509-17.
Journal code: 7806519. ISSN: 0344-5704.
PUB. COUNTRY: GERMANY: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199606

ENTRY DATE: Entered STN: 19960613
Last Updated on STN: 20020806
Entered Medline: 19960606

ED Entered STN: 19960613
Last Updated on STN: 20020806
Entered Medline: 19960606

AB 3,4-Dihydro-2-amino-6 methyl-4-oxo-5-(4-**pyridylthio**)-**quinazoline** dihydrochloride (AG337) is a water-soluble, lipophilic inhibitor of thymidylate synthase (TS) designed using X-ray structure - based methodologies to interact at the folate cofactor binding site of the enzyme. The aim of the design program was to identify TS inhibitors with different pharmacological characteristics from classical folate analogs and, most notably, to develop non-glutamate-containing molecules which would not require facilitated transport for uptake and would not undergo intracellular polyglutamylation. One molecule which resulted from this program, AG337, inhibits purified recombinant human TS with a Ki of 11 nM, and displays non-competitive inhibition kinetics. It was further shown to inhibit cell growth in a panel of cell lines of murine and human origin, displaying an IC50 of between 0.39 microM 6.6 microM. TS was suggested as the locus of action of AG337 by the ability of thymidine to antagonize cell growth inhibition and the direct demonstration of TS inhibition in whole cells using a tritium release assay. The demonstration, by flow cytometry, that AG337-treated L1210 cells were arrested in the S phase of the cell cycle was also consistent with a blockage of TS, as was the pattern of ribonucleotide and deoxyribonucleotide pool modulation in AG337-treated cells, which showed significant reduction in TTP levels. The effects of AG337 were quickly reversed on removal of the drug, suggesting, as would be expected for a lipophilic agent, that there is rapid influx and efflux from cells and no intracellular metabolism to derivatives with enhanced retention. In vivo, AG337 was highly active against the thymidine **kinase**-deficient murine L5178Y/TK-lymphoma implanted either i.p. or i.m. following i.p. or oral delivery. Prolonged dosing periods of 5 or 10 days were required for activity, and efficacy was improved with twice-daily dose administration. Dose levels of 25 mg/kg delivered i.p. twice daily for 10 days, 50 mg/kg once daily for 10 days, or 100 mg/kg once daily for 5 days elicited 100% cures against the i.p. tumor. Doses required for activity against the i.m. tumor were higher (100 mg/kg i.p. twice daily for 5 or 10 days) but demonstrated the ability of AG337 to penetrate solid tissue barriers. Oral delivery required doses of > or = 150 mg/kg twice daily for periods of 5-10 days to produce 100% cure rates against both i.m. and i.p. implanted tumors. These results were consistent with the pharmacokinetics parameters determined in rats, for which oral bioavailability of 30-50% was determined, together with a relatively short elimination half life of 2h. Clinical studies with AG337 are currently in progress.

CT Administration, Oral
Animals
Antimetabolites, Antineoplastic: CH, chemistry
Antimetabolites, Antineoplastic: PK, pharmacokinetics
Antimetabolites, Antineoplastic: PD, pharmacology
Cell Cycle: DE, drug effects
Enzyme Inhibitors: CH, chemistry
Enzyme Inhibitors: PK, pharmacokinetics
*Enzyme Inhibitors: PD, pharmacology
Folic Acid Antagonists: CH, chemistry
Folic Acid Antagonists: PK, pharmacokinetics
*Folic Acid Antagonists: PD, pharmacology
Growth Inhibitors: PD, pharmacology
Humans
Leukemia L1210

Leukemia L5178: DT, drug therapy
Mice
Quinazolines: PK, pharmacokinetics
*Quinazolines: PD, pharmacology
Rats
Solubility
*Thymidylate Synthase: AI, antagonists & inhibitors
RN 152946-68-4 (nolatrexed)
CN 0 (Antimetabolites, Antineoplastic); 0 (Enzyme Inhibitors); 0 (Folic Acid Antagonists); 0 (Growth Inhibitors); 0 (Quinazolines); EC 2.1.1.45 (Thymidylate Synthase)

L103 ANSWER 78 OF 92 EMBASE COPYRIGHT (c) 2005 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 1998299911 EMBASE
TITLE: Cell signalling and cancer treatment: AACR Special Conference in Cancer Research in collaboration with the British Association for Cancer Research, the German Cancer Society (Section for Experimental Cancer Research), the Austrian Biochemical Society, and the Austrian Cancer Society. 23-28 February 1997, Telfs-Buchen, Austria.
AUTHOR: Grunicke H.H.; Powis G.
CORPORATE SOURCE: H.H. Grunicke, Inst. for Med. Chem./Biochemistry, University of Innsbruck, Fritz-Pregl-Str. 3, A-6020 Innsbruck, Austria
SOURCE: Journal of Cancer Research and Clinical Oncology, (1998) Vol. 124, No. 8, pp. 462-469.
ISSN: 0171-5216 CODEN: JCROD7
COUNTRY: Germany
DOCUMENT TYPE: Journal; Conference Article
FILE SEGMENT: 005 General Pathology and Pathological Anatomy
016 Cancer
037 Drug Literature Index
LANGUAGE: English
ENTRY DATE: Entered STN: 19981001
Last Updated on STN: 19981001
ED Entered STN: 19981001
Last Updated on STN: 19981001
CT Medical Descriptors:
*signal transduction
*cancer chemotherapy
*cancer: DT, drug therapy
receptor intrinsic activity
protein protein interaction
oncogene ras
cell cycle
apoptosis
cancer invasion
metastasis: CO, complication
angiogenesis
human
conference paper
priority journal
Drug Descriptors:
*antineoplastic agent: DT, drug therapy
growth factor
raf protein
protein tyrosine phosphatase
protein kinase
cytokine

alpha (3,4 dimethoxybenzylidene) 2 pyridylacetonitrile: DT, drug therapy
acetylcysteine
bromine: DT, drug therapy
quinazoline: DT, drug therapy
taxol
doxorubicin
vinblastine
beta interferon

RN (protein tyrosine phosphatase) 79747-53-8, 97162-86-2; (protein **kinase**) 9026-43-1; (alpha (3,4 dimethoxybenzylidene) 2 **pyridylacetonitrile**) 149286-90-8; (acetylcysteine) 616-91-1; (bromine) 7726-95-6; (quinazoline) 253-82-7; (taxol) 33069-62-4; (doxorubicin) 23214-92-8, 25316-40-9; (vinblastine) 865-21-4

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ACCESSION NUMBER: 1998053849 EMBASE
TITLE: Protein **kinase** inhibitors: The tyrosine-specific protein **kinases.**
AUTHOR: Lawrence D.S.; Niu J.
CORPORATE SOURCE: D.S. Lawrence, Department of Biochemistry, Albert Einstein College of Medicine, Yeshiva University, 1300 Morris Park Avenue, Bronx, NY 10461, United States
SOURCE: Pharmacology and Therapeutics, (1998) Vol. 77, No. 2, pp. 81-114.
Refs: 240
ISSN: 0163-7258 CODEN: PHTHDT
PUBLISHER IDENT.: S 0163-7258(97)00052-1
COUNTRY: United States
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 029 Clinical Biochemistry
030 Pharmacology
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 19980305
Last Updated on STN: 19980305

ED Entered STN: 19980305
Last Updated on STN: 19980305

AB Inhibitors for tyrosine specific protein **kinases** ultimately may constitute a novel family of medicinally active agents. Unfortunately, the challenges associated with the acquisition of inhibitors for these enzyme targets are unlike any that have ever been encountered in medicinal chemistry. Protein **kinases** pose a variety of obstacles in regard to inhibitor design, nearly all of which deal with, in one fashion or another, the issue of specificity. The protein **kinase** family is extraordinarily large, with estimates that the human genome codes for as many as 2000 protein **kinases.** Furthermore, inhibitors that are directed to the ATP- binding sites of these enzymes must contend with the presence of a large number of other ATP-utilizing proteins and, in addition, must compete with the high intracellular concentrations of ATP. Although specificity ultimately may prove to be less of a concern with peptide-based inhibitors, these agents neither are readily bioavailable nor do they bind with the requisite affinity to the protein-binding domains of protein **kinases.** In the face of these challenges, an enormous number of inhibitors have been synthesized and evaluated for the tyrosine specific protein **kinases.** The advantages and disadvantages associated with inhibitors that are targeted to the ATP-binding site, the protein-binding site, and nonactive site regions

required for appropriate subcellular localization are discussed. The handful of tyrosine specific protein **kinases** that have been selected as targets to date and their roles in various disease processes are described as well.

CT Medical Descriptors:
*drug synthesis
*drug specificity
*enzyme inhibition
*drug targeting
drug design
drug bioavailability
drug binding site
human
review
priority journal
Drug Descriptors:
***protein kinase: EC, endogenous compound**
***protein tyrosine kinase: EC, endogenous compound**
***protein tyrosine kinase inhibitor: AN, drug analysis**
***protein tyrosine kinase inhibitor: DV, drug development**
***protein kinase inhibitor: AN, drug analysis**
***protein kinase inhibitor: DV, drug development**
adenosine triphosphate derivative: DV, drug development
quinazoline: DV, drug development
erbstatin: DV, drug development
quercetin: DV, drug development
coumarin: DV, drug development
pyrimidine derivative: DV, drug development
isoquinoline derivative: DV, drug development
quinoxaline derivative: DV, drug development

RN (protein **kinase**) 9026-43-1; (protein tyrosine **kinase**) 80449-02-1; (quinazoline) 253-82-7; (erbstatin) 100827-28-9; (quercetin) 117-39-5; (coumarin) 91-64-5

L103 ANSWER 80 OF 92 EMBASE COPYRIGHT (c) 2005 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 1998018579 EMBASE
TITLE: Chemotherapy of colorectal cancer: History and new themes.
AUTHOR: Bertino J.R.
CORPORATE SOURCE: Dr. J.R. Bertino, 601 RRL, Memorial Sloan-Kettering Can. Center, 1275 York Ave, New York, NY 10021, United States
SOURCE: Seminars in Oncology, (1997) Vol. 24, No. 5 SUPPL. 18, pp. S18-3-S18-7.
Refs: 22
ISSN: 0093-7754 CODEN: SOLGAV
COUNTRY: United States
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 016 Cancer
030 Pharmacology
037 Drug Literature Index
048 Gastroenterology
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 19980212
Last Updated on STN: 19980212

ED Entered STN: 19980212
Last Updated on STN: 19980212

AB Since the clinical introduction of 5-fluorouracil (5-FU) in 1958, improvements in the treatment of advanced colorectal cancer have been modest. However, improvements in response rates have been demonstrated

when 5-FU is administered in conjunction with leucovorin, and when methotrexate or trimetrexate is administered preceding 5-FU, indicating that higher response rates could be achieved by biomodulating the activity of 5-FU. Thus, significant emphasis has been placed on designing more effective 5-FU-based combination regimens. Novel agents, including the thymidylate synthase inhibitor raltritrexed and the topoisomerase I inhibitor irinotecan, also have demonstrated activity in colorectal cancer. Other new approaches include the administration of oral 5-FU prodrugs. The development of novel agents, new therapeutic approaches, and the refinement of existing agents and regimens in the clinic will likely improve response rates and, ultimately, patient survival. The history, current treatment options, and future opportunities for advances in Chemotherapy for the treatment of colorectal cancer are discussed.

CT Medical Descriptors:
*colorectal cancer: DI, diagnosis
*colorectal cancer: DT, drug therapy
*colorectal cancer: EP, epidemiology
*colorectal cancer: SU, surgery
cancer chemotherapy
cancer survival
drug efficacy
antineoplastic activity
dna synthesis
drug mechanism
drug metabolism
human
nonhuman
clinical trial
oral drug administration
intraarterial drug administration
intraperitoneal drug administration
review
priority journal
Drug Descriptors:
*fluorouracil: CT, clinical trial
*fluorouracil: AN, drug analysis
*fluorouracil: CB, drug combination
*fluorouracil: CM, drug comparison
*fluorouracil: IT, drug interaction
*fluorouracil: DT, drug therapy
*fluorouracil: PD, pharmacology
*folinic acid: CT, clinical trial
*folinic acid: CB, drug combination
*folinic acid: IT, drug interaction
*folinic acid: DT, drug therapy
*methotrexate: CB, drug combination
*methotrexate: DT, drug therapy
*trimetrexate: CB, drug combination
*trimetrexate: DT, drug therapy
*tomudex: CT, clinical trial
*tomudex: DT, drug therapy
*thymidylate synthase inhibitor: DT, drug therapy
*irinotecan: CT, clinical trial
*irinotecan: DT, drug therapy
*floxuridine: AD, drug administration
*floxuridine: AN, drug analysis
*floxuridine: CM, drug comparison
*floxuridine: DT, drug therapy
*floxuridine: PK, pharmacokinetics
*floxuridine: PD, pharmacology

*fluorouridine: CT, clinical trial
*fluorouridine: AN, drug analysis
*fluorouridine: PK, pharmacokinetics
***2 amino 6 methyl 5 (4 pyridylthio) 4(3h) quinazolinone: DT, drug therapy**
*zd 9331
*2 [5 [[(1,2 dihydro 3 methyl 1 oxobenzo[f]quinazolin 9 yl)methyl]amino] 1 oxo 2 isoindolinyl]glutaric acid: CT, clinical trial
*2 [5 [[(1,2 dihydro 3 methyl 1 oxobenzo[f]quinazolin 9 yl)methyl]amino] 1 oxo 2 isoindolinyl]glutaric acid: DT, drug therapy
prodrug: AD, drug administration
uracil: DT, drug therapy
fluorine
hydrogen
thymidine kinase: EC, endogenous compound
dna: EC, endogenous compound
thymidylate synthase: EC, endogenous compound
dihydropyrimidine dehydrogenase: EC, endogenous compound
thymidine phosphorylase: EC, endogenous compound
methylenetetrahydrofolic acid
tegafur: DT, drug therapy
rna: EC, endogenous compound
thymidine
5 ethynyluracil: DT, drug therapy
n [4 [2 (2 amino 4,7 dihydro 4 oxo 1h pyrrolo[2,3 d]pyrimidin 5 yl)ethyl]benzoyl]glutamic acid: CT, clinical trial
n [4 [2 (2 amino 4,7 dihydro 4 oxo 1h pyrrolo[2,3 d]pyrimidin 5 yl)ethyl]benzoyl]glutamic acid: DT, drug therapy
unclassified drug

RN (fluorouracil) 51-21-8; (folinic acid) 58-05-9, 68538-85-2; (methotrexate) 15475-56-6, 59-05-2, 7413-34-5; (trimetrexate) 52128-35-5; (tomudex) 112887-68-0; (irinotecan) 100286-90-6; (floxuridine) 50-91-9; (fluorouridine) 316-46-1; (2 amino 6 methyl 5 (4 **pyridylthio**) 4(3h) **quinazolinone**) 152946-68-4; (2 [5 [[(1,2 dihydro 3 methyl 1 oxobenzo[f]quinazolin 9 yl)methyl]amino] 1 oxo 2 isoindolinyl]glutaric acid) 139987-54-5; (uracil) 66-22-8; (fluorine) 7782-41-4; (hydrogen) 12385-13-6, 1333-74-0; (thymidine **kinase**) 9002-06-6, 9086-73-1; (dna) 9007-49-2; (thymidylate synthase) 9031-61-2; (dihydropyrimidine dehydrogenase) 9026-89-5; (thymidine phosphorylase) 9030-23-3; (methylenetetrahydrofolic acid) 3432-99-3; (tegafur) 17902-23-7; (rna) 63231-63-0; (thymidine) 50-89-5; (5 ethynyluracil) 59989-18-3; (n [4 [2 (2 amino 4,7 dihydro 4 oxo 1h pyrrolo[2,3 d]pyrimidin 5 yl)ethyl]benzoyl]glutamic acid) 137281-23-3

CN (1) Ly 231514; (2) 1843 u 89; (3) Ag 337; (4) Tomudex; (5) Cpt 11; (6) Camptosar; Zd 9331

CO (1) Lilly (United States); (2) Glaxo (United States); (3) Agouron (United States); (4) Zeneca (United States); (6) Pharmacia upjohn (United States)

L103 ANSWER 81 OF 92 EMBASE COPYRIGHT (c) 2005 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 1998018581 EMBASE
TITLE: Perspectives on new chemotherapeutic agents in the treatment of colo rectal cancer.
AUTHOR: Clark J.W.
CORPORATE SOURCE: Dr. J.W. Clark, Massachusetts General Hospital, Dept. of Hematology/Oncology, Cox, 100 Blossom St, Boston, MA 02114, United States
SOURCE: Seminars in Oncology, (1997) Vol. 24, No. 5 SUPPL. 18, pp. S18-19-S18-24.
Refs: 54

ISSN: 0093-7754 CODEN: SOLGAV
COUNTRY: United States
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 016 Cancer
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
048 Gastroenterology
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 19980212
Last Updated on STN: 19980212
ED Entered STN: 19980212
Last Updated on STN: 19980212

AB In patients with metastatic colorectal cancer (CRC), conventional chemotherapy with 5-fluorouracil (5-FU) plus leucovorin provides an overall response rate of approximately 25% but has had little effect on survival. Thus, alternate agents, new combinations of agents, and new treatment strategies are being investigated. Research efforts over the past decade have increased our understanding of how anticancer agents mediate their antitumor effects, and specific targets for inhibiting the survival, growth, or metastasis of CRC cells have been elucidated. Advances in our understanding have led not only to improvements in the application of currently available agents, but also to the discovery of new agents with activity in CRC. The following active areas of research and/or treatment approaches are discussed: (1) approaches for enhancing 5-FU/leucovorin activity; (2) novel delivery of 5-FU or 5-FU precursor agents; (3) new thymidylate synthase inhibitors; (4) new platinum analogues; (5) topoisomerase I inhibitors; (6) targeting specific proteins or pathways important for the growth, survival, or metastasis of CRC cells; (7) biologic response modifiers, including monoclonal antibodies; and (8) gene therapy. As the cellular mechanisms involved in CRC are further defined and chemotherapy or biologic agents more precisely targeted, response rates and ultimately survival will hopefully improve in this patient population.

CT Medical Descriptors:
*colorectal cancer: DT, drug therapy
antineoplastic activity
cancer survival
gene therapy
diarrhea: DT, drug therapy
diarrhea: SI, side effect
oncogene ras
signal transduction
drug mechanism
adenovirus
human
clinical trial
oral drug administration
intravenous drug administration
review
priority journal
Drug Descriptors:
*fluorouracil: CT, clinical trial
*fluorouracil: AD, drug administration
*fluorouracil: CB, drug combination
*fluorouracil: IT, drug interaction
*fluorouracil: DT, drug therapy
*fluorouracil: PD, pharmacology
*folinic acid: CT, clinical trial

*folinic acid: CB, drug combination
*folinic acid: IT, drug interaction
*folinic acid: DT, drug therapy
*trimetrexate: CT, clinical trial
*trimetrexate: CB, drug combination
*trimetrexate: IT, drug interaction
*trimetrexate: DT, drug therapy
*trimetrexate: PD, pharmacology
*tegafur: DT, drug therapy
*uft: DT, drug therapy
*5 chloro 2,4 dihydroxypyridine plus oxonate potassium plus tegafur: CT, clinical trial
*5 chloro 2,4 dihydroxypyridine plus oxonate potassium plus tegafur: AD, drug administration
*5 chloro 2,4 dihydroxypyridine plus oxonate potassium plus tegafur: PD, pharmacology
***2 amino 6 methyl 5 (4 pyridylthio) 4(3h) quinazolinone: DT, drug therapy**
*n [4 [2 (2 amino 4,7 dihydro 4 oxo 1h pyrrolo[2,3 d]pyrimidin 5 yl)ethyl]benzoyl]glutamic acid: DT, drug therapy
*n [4 [2 (2 amino 4,7 dihydro 4 oxo 1h pyrrolo[2,3 d]pyrimidin 5 yl)ethyl]benzoyl]glutamic acid: PD, pharmacology
*tomudex: CT, clinical trial
*tomudex: CB, drug combination
*tomudex: DT, drug therapy
*tomudex: PD, pharmacology
*irinotecan: AE, adverse drug reaction
*irinotecan: AD, drug administration
*irinotecan: CB, drug combination
*irinotecan: DT, drug therapy
*irinotecan: PD, pharmacology
zd 9331
thymidylate synthase inhibitor: DT, drug therapy
5 ethynyluracil: CT, clinical trial
5 ethynyluracil: IT, drug interaction
5 ethynyluracil: DT, drug therapy
5 ethynyluracil: PD, pharmacology
7 ethyl 10 hydroxycamptothecin: PD, pharmacology
protein p53
monoclonal antibody: CT, clinical trial
oxaliplatin: CT, clinical trial
oxaliplatin: CB, drug combination
oxaliplatin: DT, drug therapy
cisplatin: CB, drug combination
cisplatin: DT, drug therapy
recombinant alpha interferon: CT, clinical trial
recombinant alpha interferon: CB, drug combination
recombinant alpha interferon: IT, drug interaction
recombinant alpha interferon: DT, drug therapy
recombinant interleukin 2: CT, clinical trial
recombinant interleukin 2: CB, drug combination
recombinant interleukin 2: IT, drug interaction
recombinant interleukin 2: DT, drug therapy
metalloproteinase inhibitor: CT, clinical trial
capecitabine: CT, clinical trial
protein farnesyltransferase inhibitor
protein kinase c inhibitor
cyclin dependent kinase inhibitor
carcinoembryonic antigen monoclonal antibody
edrecolomab

folic acid antagonist
zidovudine: CT, clinical trial
zidovudine: CB, drug combination
zidovudine: IT, drug interaction
zidovudine: DT, drug therapy
unindexed drug
unclassified drug

RN (fluorouracil) 51-21-8; (folinic acid) 58-05-9, 68538-85-2; (trimetrexate) 52128-35-5; (tegafur) 17902-23-7; (uft) 74578-38-4; (2 amino 6 methyl 5 (4 **pyridylthio**) 4(3h) **quinazolinone**) 152946-68-4; (n [4 [2 (2 amino 4,7 dihydro 4 oxo 1h pyrrolo[2,3 d]pyrimidin 5 yl)ethyl]benzoyl]glutamic acid) 137281-23-3; (tomudex) 112887-68-0; (irinotecan) 100286-90-6; (5 ethynyluracil) 59989-18-3; (7 ethyl 10 hydroxycamptothecin) 86639-52-3; (oxaliplatin) 61825-94-3; (cisplatin) 15663-27-1, 26035-31-4, 96081-74-2; (recombinant interleukin 2) 110942-02-4; (capecitabine) 154361-50-9; (zidovudine) 30516-87-1

CN (1) Tomudex; (2) 776c85; (3) Ly 231514; (4) Cpt 11; (5) Camptosar; Sn 38; S 1; Zd 9331

CO (1) Zeneca (United States); (2) Burroughs wellcome (United States); (3) Lilly (United States); (5) Pharmacia upjohn (United States)

L103 ANSWER 82 OF 92 EMBASE

ACCESSION NUMBER: 96361059 EMBASE
DOCUMENT NUMBER: 1996361059
TITLE: Trichinella spiralis thymidylate synthase: Developmental pattern, isolation, molecular properties, and inhibition by substrate and cofactor analogues.
AUTHOR: Dabrowska M.; Zielinski Z.; Wranicz M.; Michalski R.; Pawelczak K.; Rode W.
CORPORATE SOURCE: Nencki Inst. of Experimental Biology, Polish Academy of Sciences, 3 Pasteur Street,02-093 Warsaw, Poland
SOURCE: Biochemical and Biophysical Research Communications, (1996) Vol. 228, No. 2, pp. 440-445.
ISSN: 0006-291X CODEN: BBRCA
COUNTRY: United States
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 004 Microbiology
029 Clinical Biochemistry
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 961218
Last Updated on STN: 961218

ED Entered STN: 961218
Last Updated on STN: 961218

AB Thymidylate synthase specific activity was found to remain at a constant level in crude extracts from muscle larvae, isolated (1-15 months after infection) by pepsin-HCl digestion, as well as from adult worms of Trichinella spiralis. The enzyme was purified and its molecular (monomer mol. wt 35 kD) and kinetic (sequential mechanism with the K(m) values 3.1 and 19 μM for dUMP end N5,10-methylenetetrahydrofolate, respectively) properties determined. 5-Fluoro-dUMP was a competitive, slow-binding inhibitor of the parasite enzyme. N5,10-methylenetetrahydrofolate analogues 10-propargyl-5,8-dideazafolate (CB3717), ZD1694, BW1843U89, and AG337 were weaker inhibitors of the parasite than regenerating rat liver enzyme. Inhibition by 10-propargyl-5,8-dideazafolate was strengthened by an increasing number of glutamate residues. Thymidine **kinase** activity could not be detected in the muscle larvae crude extracts.

CT Medical Descriptors:

*enzyme analysis
*enzyme inhibition
*enzyme isolation
*trichinella spiralis
animal tissue
article
competitive inhibition
controlled study
enzyme activity
enzyme kinetics
enzyme substrate
nonhuman
priority journal
Drug Descriptors:
*10 propargyl 5,8 dideazafolic acid: PD, pharmacology
*2 [5 [[(1,2 dihydro 3 methyl 1 oxobenzo[f]quinazolin 9 yl)methyl]amino] 1 oxo 2 isoindolinyl]glutaric acid: PD, pharmacology
*antiparasitic agent: PD, pharmacology
*floxuridine phosphate: PD, pharmacology
*thymidylate synthase: EC, endogenous compound
*tomudex: PD, pharmacology
enzyme inhibitor: PD, pharmacology
liver enzyme
2 amino 6 methyl 5 (4 pyridylthio) 4(3h) quinazolinone: PD, pharmacology
RN (10 propargyl 5,8 dideazafolic acid) 76849-19-9; (2 [5 [[(1,2 dihydro 3 methyl 1 oxobenzo[f]quinazolin 9 yl)methyl]amino] 1 oxo 2 isoindolinyl]glutaric acid) 139987-54-5; (floxuridine phosphate) 134-46-3; (thymidylate synthase) 9031-61-2; (tomudex) 112887-68-0; (2 amino 6 methyl 5 (4 **pyridylthio**) 4(3h) **quinazolinone**) 152946-68-4
CN (1) Zd 1694; (2) Bw 1843u89; (3) Ag 337; Cb 3717
CO (1) Zeneca (United Kingdom); (2) Burroughs wellcome (United States); (3) Agouron (United States); Sigma (United States)

L103 ANSWER 83 OF 92 TOXCENTER COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:90265 TOXCENTER
COPYRIGHT: Copyright 2005 ACS
DOCUMENT NUMBER: CA09025198861F
TITLE: Aminoquinazolines as microbiocides
AUTHOR(S): Nakagami, Kazuto; Yokoi, Shinji; Nishimura, Kenji; Nagai, Shigeki; Honda, Takeo; Oda, Kiroku; Fujii, Katsutoshi; Kobayashi, Ryuji; Kojima, Mikio
CORPORATE SOURCE: ASSIGNEE: Sankyo Co., Ltd.
PATENT INFORMATION: JP 792327 9 Jan 1979
SOURCE: (**1979**) Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF.
COUNTRY: JAPAN
DOCUMENT TYPE: Patent
FILE SEGMENT: CAPLUS
OTHER SOURCE: CAPLUS 1979:198861
LANGUAGE: Japanese
ENTRY DATE: Entered STN: 20011116
Last Updated on STN: 20021210
ED Entered STN: 20011116
Last Updated on STN: 20021210
AB Aminoquinazolines I(R = H or alkyl; X = 2-tetrahydrofuryl, pyridyl, pyrrolidinyl, etc.; Y and Z = H or halo; n = 1 or 2) are microbiocides. Synthesis of I is given. Thus, 500 ppm 6-chloro-4-furfurylaminoquinazoline [70128-50-6] controlled Cochliobolus miyabeanus infection in rice.

CC 5-2
ST Miscellaneous Descriptors
aminoquinazoline microbiocide; fungicide aminoquinazoline; quinazoline deriv fungicide
RN 34116-16-0; 46802-47-5; 70128-50-6; 70128-51-7; 70128-52-8; 70128-53-9; 70128-55-1; 70128-56-2; 70128-57-3; 70128-58-4; **70128-59-5**; 70128-60-8; 70128-62-0; 70345-12-9; 70128-54-0; 70128-61-9; 5190-68-1; 616-46-6

L103 ANSWER 84 OF 92 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 1999:216533 BIOSIS
DOCUMENT NUMBER: PREV199900216533
TITLE: The design of **indazolylaminoQuinazolines** and **pyridopyrimidines** as inhibitors of class-1 receptor tyrosine **kinases.**
AUTHOR(S): Cockerill, Stuart; Stubberfield, Colin; Stables, Jeremy; Carter, Malcolm; Guntrip, Steven; Smith, Kathryn; Shaw, Robert; Topley, Peter; Thomsen, Lindy; Affleck, Karen; Jowett, Amanda; Hayes, David; Willson, Malcolm; Woollard, Patrick; Spalding, David
CORPORATE SOURCE: Enzyme Chemistry 1, Respiratory Diseases, Immunology Enzyme Pharmacology Res. Biomet., Glaxo Wellcome Res. Dev., Med. Res. Cent., Gunnels Wood Road, Stevenage, Hertfordshire SG1 2NY, UK
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (March, 1999) Vol. 40, pp. 117. print.
Meeting Info.: 90th Annual Meeting of the American Association for Cancer Research. Philadelphia, Pennsylvania, USA. April 10-14, 1999. American Association for Cancer Research.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 26 May 1999
Last Updated on STN: 26 May 1999
ED Entered STN: 26 May 1999
Last Updated on STN: 26 May 1999
CC Pharmacology - General 22002
Neoplasms - General 24002
General biology - Symposia, transactions and proceedings 00520
IT Major Concepts
Pharmacology; Tumor Biology
IT Chemicals & Biochemicals
c-erbB-2; class-1 receptor tyrosine **kinases**; epidermal growth factor receptor; indazolylaminoquinazolines; pyridopyrimidines
IT Miscellaneous Descriptors
Meeting Abstract
ORGN Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
BT474 cell line
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
ORGN Classifier
Muridae 86375
Super Taxa

Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
SCID mouse [severe combined immunodeficiency mouse]
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates
RN 80449-02-1D (TYROSINE **KINASES**)

L103 ANSWER 85 OF 92 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 1998:196030 BIOSIS
DOCUMENT NUMBER: PREV199800196030
TITLE: In vitro comparison of irreversible versus reversible inhibition for a series of substituted **quinazolines** and **pyridopyrimidines** that are potent and specific inhibitors of epidermal growth factor receptor (EGFR) family of tyrosine **kinases.**
AUTHOR(S): Nelson, J. M. [Reprint author]; Slintak, V.; Denny, W. A.; Smaill, J. B.; Rewcastle, G. W.; Showalter, H. D. H.; Bridges, A. J.; Zhou, H.; McNamara, D. J.; Dobrusin, E. M.; Fry, D. W.
CORPORATE SOURCE: Parke-Davis Pharm. Res. Div., Warner Lambert Co., Ann Arbor, MI 48105, USA
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (March, 1998) Vol. 39, pp. 316. print.
Meeting Info.: 89th Annual Meeting of the American Association for Cancer Research. New Orleans, Louisiana, USA. March 28-April 1, 1998. American Association for Cancer Research.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 4 May 1998
Last Updated on STN: 4 May 1998
ED Entered STN: 4 May 1998
Last Updated on STN: 4 May 1998
CC Pharmacology - General 22002
Cytology - Human 02508
Neoplasms - Therapeutic agents and therapy 24008
General biology - Symposia, transactions and proceedings 00520
IT Major Concepts
Pharmacology; Tumor Biology
IT Chemicals & Biochemicals
epidermal growth factor receptor tyrosine **kinase** inhibitors: in-vitro
IT Miscellaneous Descriptors
Meeting Abstract
ORGN Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
A-431
MDA-MB-453
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
RN 253-82-7D (QUINAZOLINES)
80449-02-1D (TYROSINE **KINASES**)
80449-02-1 (TYROSINE **KINASE**)

L103 ANSWER 86 OF 92 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 1998:238183 BIOSIS
DOCUMENT NUMBER: PREV199800238183
TITLE: **Quinazoline** and **pyridopyrimidine** acrylamides: A new class of potent and selective irreversible inhibitors of the tyrosine **kinase** activity of the epidermal growth factor receptor.
AUTHOR(S): Denny, William A. [Reprint author]
CORPORATE SOURCE: Cancer Society Res. Lab., Univ. Auckland Med. Sch., Auckland, New Zealand
SOURCE: Abstracts of Papers American Chemical Society, (1998) Vol. 215, No. 1-2, pp. MEDI 118. print.
Meeting Info.: 215th American Chemical Society National Meeting. Dallas, Texas, USA. March 29-April 2, 1998. American Chemical Society.
CODEN: ACSRAL. ISSN: 0065-7727.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 4 Jun 1998
Last Updated on STN: 4 Jun 1998
ED Entered STN: 4 Jun 1998
Last Updated on STN: 4 Jun 1998
CC Pharmacology - General 22002
Biochemistry studies - General 10060
Enzymes - General and comparative studies: coenzymes 10802
General biology - Symposia, transactions and proceedings 00520
IT Major Concepts
Enzymology (Biochemistry and Molecular Biophysics); Pharmacology
IT Chemicals & Biochemicals
epidermal growth factor: receptor; pyridopyrimidine acrylamides: tyrosine **kinase** inhibitor; quinazoline: tyrosine **kinase** inhibitor; tyrosine **kinase**: activity
IT Miscellaneous Descriptors
Meeting Abstract
RN 62229-50-9 (epidermal growth factor)
253-82-7 (quinazoline)
80449-02-1 (tyrosine **kinase**)

L103 ANSWER 87 OF 92 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 1996:271500 BIOSIS
DOCUMENT NUMBER: PREV199698827629
TITLE: Tyrosine **kinase** inhibitors: 10. Isomeric 4-((3-bromophenyl)amino)pyrido(d)-pyrimidines are potent ATP binding site inhibitors of the tyrosine **kinase** function of the epidermal growth factor receptor.
AUTHOR(S): Rewcastle, Gordon W.; Palmer, Brian D.; Thompson, Andrew M.; Bridges, Alexander J.; Cody, Donna R.; Zhou, Hairong; Fry, David W.; McMichael, Amy; Denny, William A. [Reprint author]
CORPORATE SOURCE: Cancer Society Res. Lab., Univ. Auckland Sch. Med., Private Bag 92019, Auckland, New Zealand
SOURCE: Journal of Medicinal Chemistry, (1996) Vol. 39, No. 9, pp. 1823-1835.
CODEN: JMCMAR. ISSN: 0022-2623.
DOCUMENT TYPE: Article
LANGUAGE: English

ENTRY DATE: Entered STN: 10 Jun 1996
Last Updated on STN: 11 Jul 1996
ED Entered STN: 10 Jun 1996
Last Updated on STN: 11 Jul 1996
AB Following the discovery of the very high inhibitory ability of the 4-((3-bromophenyl)amino)-quinazolines against the tyrosine **kinase** activity of the epidermal growth factor receptor (EGFR) (e.g., 3, IC-50 0.029 nM), four series of related pyrido(d)pyrimidines bearing electron-donating groups at the 6- or 7-positions have been synthesized and evaluated. The compounds were prepared by nucleophilic substitution of the corresponding 6- and 7-fluoro analogues. While members of all series showed potent inhibitory activity against isolated EGFR, there were important differences between the different isomeric pyrido(d) **pyrimidines** and the parent **quinazolines.** Overall, the (3,4-d) and (4,3-d) series were the most potent, followed by the (3,2d) compounds, with the (2,3-d) analogues being least active. Whereas in the parent quinazoline series the addition of steric bulk to a 6- or 7-NH-2 substituent (i.e., NHMe and NMe-2 groups) dramatically decreased potency, no such trend was discernable in the (3,2-d) series. Furthermore, in the 7-substituted pyrido(4,3d)- and 6-substituted pyrido(3,4-d)pyrimidine series, and to a limited extent in the 7-substituted pyrido(2,3-d) series, such substitution increased potency dramatically, to the extent that the 7-(methylamino)pyrido(4,3-d)pyrimidine (5f) (IC-50 0.13 nM) and 6-(methylamino)pyrido(3,4-d)pyrimidine (7f) (IC-50 0.008 nM) constitute important new leads. Selected compounds were evaluated for their ability to inhibit EGFR autophosphorylation in A431 cells, and a positive quantitative correlation was found between this activity and inhibitory activity against the isolated enzyme.
CC Cytology - Human 02508
Comparative biochemistry 10010
Biochemistry methods - General 10050
Biochemistry methods - Nucleic acids, purines and pyrimidines 10052
Biochemistry methods - Proteins, peptides and amino acids 10054
Biochemistry studies - General 10060
Biochemistry studies - Nucleic acids, purines and pyrimidines 10062
Biochemistry studies - Proteins, peptides and amino acids 10064
Biophysics - Methods and techniques 10504
Biophysics - Molecular properties and macromolecules 10506
Biophysics - Membrane phenomena 10508
Enzymes - Methods 10804
Enzymes - Chemical and physical 10806
Enzymes - Physiological studies 10808
Physiology - General 12002
Pathology - Therapy 12512
Metabolism - General metabolism and metabolic pathways 13002
Metabolism - Proteins, peptides and amino acids 13012
Metabolism - Nucleic acids, purines and pyrimidines 13014
Endocrine - General 17002
Pharmacology - General 22002
Pharmacology - Drug metabolism and metabolic stimulators 22003
Pharmacology - Clinical pharmacology 22005
Neoplasms - Neoplastic cell lines 24005
Neoplasms - Carcinogens and carcinogenesis 24007
Neoplasms - Therapeutic agents and therapy 24008
Tissue culture, apparatus, methods and media 32500
In vitro cellular and subcellular studies 32600
IT Major Concepts
Biochemistry and Molecular Biophysics; Cell Biology; Endocrine System (Chemical Coordination and Homeostasis); Enzymology (Biochemistry and Molecular Biophysics); Membranes (Cell Biology); Metabolism; Methods

and Techniques; Oncology (Human Medicine, Medical Sciences); Pharmacology; Physiology
IT Chemicals & Biochemicals
TYROSINE **KINASE**
IT Miscellaneous Descriptors
ELECTRON-DONATING GROUPS; ENZYME INHIBITORS; HUMAN EPIDERMOID CARCINOMA CELLS; MOLECULAR STRUCTURE; PHARMACEUTICALS; PHARMACODYNAMICS; POTENT INHIBITORY ACTIVITY; SYNTHETIC METHOD
ORGN Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
Hominidae
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
RN 80449-02-1 (TYROSINE **KINASE**)

L103 ANSWER 88 OF 92 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 1991:298555 BIOSIS
DOCUMENT NUMBER: PREV199192019570; BA92:19570
TITLE: INVOLVEMENT OF LIPID PEROXIDATION AND INHIBITORY MECHANISMS ON ISCHEMIC NEURONAL DAMAGE IN GERBIL HIPPOCAMPUS QUANTITATIVE AUTORADIOGRAPHIC STUDIES ON SECOND MESSENGER AND NEUROTRANSMITTER SYSTEMS.
AUTHOR(S): HARA H [Reprint author]; KATO H; ARAKI T; ONODERA H; KOGURE K
CORPORATE SOURCE: DEP, PHARMACOLOGY, NEW DRUG RES LAB, KANEBO LTD, 1-5-90 TOMOBUCHI-CHO, MIYAKOJIMA-KU, OSAKA 534, JAPAN
SOURCE: Neuroscience, (1991) Vol. 42, No. 1, pp. 159-170.
CODEN: NRSCDN. ISSN: 0306-4522.
DOCUMENT TYPE: Article
FILE SEGMENT: BA
LANGUAGE: ENGLISH
ENTRY DATE: Entered STN: 25 Jun 1991
Last Updated on STN: 13 Aug 1991
ED Entered STN: 25 Jun 1991
Last Updated on STN: 13 Aug 1991
AB We investigated, to examine the involvement of lipid peroxidation and inhibitory mechanisms, a novel lipid peroxidation inhibitor (KB-5666) and a GABAA receptor-effector (pentobarbital) on ischemic neuronal damage and the alterations in the second messenger and neurotransmitter systems in Mongolian gerbils by means of morphology and in vitro receptor autoradiography. Quantitative receptor autoradiography visualized binding sites for [3H]inositol 1,4,5-trisphosphate, [3H]forskolin, [3H]phorbol 12,13-dibutyrate, [3H]isradipine (PN200-110), [3H]N6-cyclohexyl-adenosine, and [3H]quinuclidinyl benzilate indicating binding sites for inositol 1,4,5-trisphosphate, forskolin, protein **kinase** C, L-type calcium channels (or dihydropyridine binding sites), adneosine A1, and muscarinic cholinergic receptors, respectively. In the morphological study, KB-5666, 10 and 50 mg/kg, i.v., 5 min before ischemia, protected against ischemic neuronal damage to the hippocampal CA1 subfield following 5 min of bilateral carotid artery occlusion in a dose-dependent manner. Pentobarbital, 30 mg/kg, i.v., 5 min before ischemia, also had a protective effect. In receptor autoradiographic studies, all receptor bindings decreased significantly in the CA1 subfield seven days after ischemia. In particular, [3H]Inositol 1,4,5-trisphosphate binding in the CA1 subfield was completely lost after ischemia. [3H]Inositol 1,4,5-trisphosphate and [3H]forskolin binding decreased as early as 6 h

after ischemia. In the CA3 subfield, [3H]inositol 1,4,5-trisphosphate, [3H]PN200-110, and [3H]N6-cyclohexyladenosine bindings decreased seven days after ischemia. In the dentate gyrus, [3H]inositol 1,4,5-trisphosphate binding decreased seven days after ischemia. KB-5666 and pentobarbital prevented reductions in these receptor bindings in the CA1 subfield at 6 h and seven days after ischemia. These results indicate that KB-5666 and pentobarbital protect the brain from both structural and functional damage after ischemia, and that lipid peroxidation and inhibitory mechanisms may play a pivotol role in the neuronal damage of the hippocampal CA1 subfield after ischemia.

CC Cytology - Animal 02506
Radiation biology - Radiation and isotope techniques 06504
Biochemistry - Gases 10012
Biochemistry studies - General 10060
Biochemistry studies - Nucleic acids, purines and pyrimidines 10062
Biochemistry studies - Proteins, peptides and amino acids 10064
Biochemistry studies - Lipids 10066
Biophysics - Bioenergetics: electron transport and oxidative phosphorylation 10510
Enzymes - Physiological studies 10808
Metabolism - Lipids 13006
Metabolism - Nucleic acids, purines and pyrimidines 13014
Cardiovascular system - Blood vessel pathology 14508
Endocrine - Neuroendocrinology 17020
Nervous system - Pathology 20506
Pharmacology - Neuropharmacology 22024

IT Major Concepts
Cardiovascular System (Transport and Circulation); Cell Biology; Endocrine System (Chemical Coordination and Homeostasis); Enzymology (Biochemistry and Molecular Biophysics); Metabolism; Nervous System (Neural Coordination); Pharmacology; Radiology (Medical Sciences)

IT Miscellaneous Descriptors
KB-5666 2 ALLYL-1-PIPERAZINYL-4-N-**AMYLOXYQUINAZOLINE** FUMARATE PENTOBARBITAL **DIHYDROPYRIDINE** GAMMA AMINOBUTYRIC ACID INOSITOL 1 4 5-TRIPHOSPHATE FORSKOLIN PHORBOL 12 13-DIBUTYRATE ISRADIPINE N-6 CYCLOHEXYLADENOSINE QUINUCLIDINYL BENZILATE PROTEIN **KINASE** C

ORGN Classifier
Cricetidae 86310
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates

RN 131916-69-3 (KB-5666)
142-42-7 (FUMARATE)
76-74-4 (PENTOBARBITAL)
27790-75-6 (DIHYDROPYRIDINE)
56-12-2 (GAMMA-AMINOBUTYRIC ACID)
88269-39-0 (INOSITOL 1 4 5-TRIPHOSPHATE)
66575-29-9 (FORSKOLIN)
37558-16-0 (PHORBOL 12 13-DIBUTYRATE)
75695-93-1 (ISRADIPINE)
40145-81-1 (BENZILATE)
141436-78-4 (PROTEIN **KINASE** C)
36396-99-3 (N-6 CYCLOHEXYLADENOSINE)
89800-68-0 (PROTEIN **KINASE** C)

L103 ANSWER 89 OF 92 CANCERLIT on STN
ACCESSION NUMBER: 96653828 CANCERLIT

DOCUMENT NUMBER: 96653828
TITLE: Synthesis and SAR for a series of 4-substituted 1H-pyrimido(4,5-b) and 5H-pyrimido(5,4-b)indoles as EGF receptor tyrosine **kinase** inhibitors (Meeting abstract).
AUTHOR: Showalter H D; Sercel A D; Fry D W; Nelson J M; McMichael A; Kraker A J; Amar A M; Shen C; Spencer M M; Lu G H
CORPORATE SOURCE: Parke-Davis Pharmaceutical Res., Div. of Warner-Lambert Co., Ann Arbor, MI 48105.
SOURCE: Proc Annu Meet Am Assoc Cancer Res, **(1996)** 37 A2899.
ISSN: 0197-016X.
DOCUMENT TYPE: (MEETING ABSTRACTS)
LANGUAGE: English
FILE SEGMENT: Institute for Cell and Developmental Biology
ENTRY MONTH: 199609
ENTRY DATE: Entered STN: 19970509
Last Updated on STN: 19970509
ED Entered STN: 19970509
Last Updated on STN: 19970509
AB Building on earlier studies from our laboratories in which 4-anilino **pyridopyrimidines** and **quinazolines** have been developed as picomolar inhibitors of the EGF receptor tyrosine **kinase** (EGFr TK), we extended this work to a number of tricyclic congeners including a small series of 4-substituted pyrimido[4,5-b]indoles and [5,4-b] isomers. Utilizing literature methods and chemistry developed for our earlier bicyclic series, compounds were made bearing N-aryl, N-alkyl, N-alkaryl, and ether functionality at the 4-position and amino, methyl, and methoxy substituents at various positions on the pyrimidoindole nucleus. A panel of receptor and nonreceptor tyrosine **kinases** including the full length EGFr, c-src, v-src, and intracellular domains of her-2/neu, platelet derived growth factor (PDGF), and basic fibroblast growth factor (FGF) were used for in vitro selectivity studies. Compounds in this series displayed selective inhibitory activity vs full length EGFr with IC50 = 0.031 - greater than 100 uM with the greatest potency associated with the [4,5-b]pyrimidoindole ring orientation substituted with an anilino moiety in the 4-position. There was minimal inhibition of the other **kinases** at 50 uM (less than 45%) except for c-src in which selected compounds displayed a maximum potency of 70% inhibition at 1.25 uM. One of the more thoroughly evaluated compounds of this series was PD 158524 which possesses the [4,5-b]pyrimidoindole ring orientation and is substituted with a 3-bromoanilino function in the 4-position. It possesses the following profile: IC50 = 0.031 uM vs EGFr and greater than 50.0 uM vs her-2/neu, c-src, v-src, PDGF, and FGF **kinases**, and 0.624 uM vs EGF receptor autophosphorylation in A431 cells.
CN 0 (Enzyme Inhibitors); EC 2.7.1.- (Epidermal Growth Factor Receptor Protein-Tyrosine **Kinase**); 0 (Growth Substances); 0 (Indoles)

L103 ANSWER 90 OF 92 DRUGU COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2000-17925 DRUGU B P
TITLE: Inhibition of epidermal growth factor receptor family of tyrosine **kinases** as an approach to cancer chemotherapy: Progression from reversible to irreversible inhibitors.
AUTHOR: Fry D W
CORPORATE SOURCE: Parke-Davis
LOCATION: Ann Arbor, Mich., USA
SOURCE: Pharmacol.Ther. (82, No. 2-3, 207-18, 1999) 4 Fig. 140 Ref.
CODEN: PHTHDT ISSN: 0163-7258
AVAIL. OF DOC.: Department of Cancer Research, Parke-Davis Pharmaceutical

Research, Division of Warner-Lambert Co., 2800 Plymouth Road, Ann Arbor, MI 48106, U.S.A.
LANGUAGE: English
DOCUMENT TYPE: Journal
FIELD AVAIL.: AB; LA; CT
FILE SEGMENT: Literature
AB Epidermal growth factor receptor (EGFR) tyrosine **kinase** family inhibitors are reviewed with reference to quinazolines (ZD-1839 and CP-358,774), pyridopyrimidines (PD-158780, PD-165557), pyrrolopyrimidines (CGP-59326) and irreversible inhibitors (PD-168393, PD-169414, and PD-174265). The potential use of EGRF tyrosine **kinase** inhibitors to treat cancer has been considered over the last decade, however, recently compounds have been synthesized which exhibit exceptional potency and specificity. Several compounds have finally made it to clinical trial stage while others are on the brink. (conference paper: 1st International Conference on Inhibitors of Protein **Kinases**, Warsaw, Poland, 1998).

L103 ANSWER 91 OF 92 DRUGU COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 1994-36845 DRUGU P
TITLE: Facilitatory role of serotonin and calcium blockers in CNS effects of 2-methyl 3(3-methyl-2-**pyridyl**)- 4-**quinazolone.**
AUTHOR: Parmar S S
LOCATION: Grand Forks, North Dakota, United States
SOURCE: Neuropsychopharmacology (10, No. 3, Suppl., Pt. 1, 692S, 1994)
CODEN: NEROEW ISSN: 0893-133X
AVAIL. OF DOC.: University of North Dakota School of Medicine, Grand Forks, ND 58202, U.S.A.
LANGUAGE: English
DOCUMENT TYPE: Journal
FIELD AVAIL.: AB; LA; CT
FILE SEGMENT: Literature
AB 2-Methyl 3(3-methyl-2-**pyridyl**)- 4-**quinazolone** (MMPQ, SRC-820) was synthesized and CNS effects in mice studied. MMPQ protected against i.p. pentylenetetrazol-induced convulsions. Pretreatment with i.p. tryptophan, 5-hydroxytryptophan (oxitriptan) and p-chlorophenylalanine (fenclonine) increased anticonvulsant activity. Pretreatment with i.p. methysergide decreased activity. I.p. diltiazem, nifedipine and verapamil prior to MMPQ increased degree protection. Ability of phenytoin to provide protection against maximal electric shock (MES)-induced seizures was potentiated by diltiazem. These results established the neuromodulatory role of serotonin in anticonvulsant activity of MMPQ. (conference abstract).

L103 ANSWER 92 OF 92 SCISEARCH COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 1998:200761 SCISEARCH
THE GENUINE ARTICLE: ZA911
TITLE: **Quinazoline** and **pyridopyrimidine** acrylamides: A new class of potent and selective irreversible inhibitors of the tyrosine **kinase** activity of the epidermal growth factor receptor.
AUTHOR: Denny W A
CORPORATE SOURCE: Univ Auckland, Sch Med, Canc Soc Res Lab, Auckland, New Zealand
COUNTRY OF AUTHOR: New Zealand
SOURCE: ABSTRACTS OF PAPERS OF THE AMERICAN CHEMICAL SOCIETY, (**2 APR 1998**) Vol. 215, Part 1, pp. U894-U895. MA

118-MEDI.
ISSN: 0065-7727.
PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036 USA.
DOCUMENT TYPE: Conference; Journal
LANGUAGE: English
REFERENCE COUNT: 0
ENTRY DATE: Entered STN: 1998
Last Updated on STN: 1998
ED Entered STN: 1998
Last Updated on STN: 1998

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=> d his l102

     (FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, PASCAL, JICST-EPLUS, CABA,
     CANCERLIT, DRUGU, SCISEARCH, WPIX, CONF, CONFSCI, DISSABS' ENTERED AT
     13:15:27 ON 29 SEP 2005)
L102          19 DUP REM L101 (11 DUPLICATES REMOVED)

=> d que l102
L62             QUE  ABB=ON  PLU=ON  ?QUINAZOL?
L99         192 SEA MORTLOCK, A?/AU
L100       1259 SEA KEEN, N?/AU
L101         30 SEA (L99 OR L100) AND L62
L102         19 DUP REM L101 (11 DUPLICATES REMOVED)


=> d ibib ed ab l102 1-19
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, DRUGU,
SCISEARCH' - CONTINUE? (Y)/N:y



L102 ANSWER 1 OF 19  HCAPLUS  COPYRIGHT 2005 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2004:1154697  HCAPLUS
DOCUMENT NUMBER:          142:93862
TITLE:                    Preparation of (triazolylamino)quinazoline
                          derivatives as aurora kinase inhibitors
INVENTOR(S):              Mortlock, Andrew Austen; Heron, Nicola
                          Murdoch; Jung, Frederic Henri
PATENT ASSIGNEE(S):       Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE:                   PCT Int. Appl., 80 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2004113324         A1    20041229    WO 2004-GB2564          20040614
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
PRIORITY APPLN. INFO.:                        EP 2003-291463      A  20030617
OTHER SOURCE(S):          MARPAT 142:93862
ED   Entered STN:  30 Dec 2004
AB   Title compds. represented by the formula I [wherein X = O or (alkyl)amino;
     R1, R3, R4 = independently H, halo or X1R11; R2 = H, halo, nitro, cyano,
     X2R12; X1-X2 = independently a direct bond, O, NH, (alkyl)amino, etc.;
     R11, R12 = independently H, (cyclo)alkyl, (cyclo)alkenyl, heterocyclyl,
     etc.; R5 = (un)substituted (hetero)aryl; and salts, esters or prodrugs
     thereof] were prepared as aurora kinase inhibitors.  For example, II was
     given in a multi-step synthesis starting from the reaction of
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2-(4-amino-1H-1,2,3-triazol-1-yl)-N-(3-fluorophenyl)acetamide with 4-chloro-7-(3-chloropropoxy)-6-**methoxyquinazoline.** II showed 50% inhibition of enzyme activity at concentration of 0.1 μM in vitro aurora-A kinase inhibition test, and the compds. of invention are generally active at 1 nM to 100 μM in vitro cell proliferation assay and 1 nm to 10 μM in vitro cell cycle anal. assay. Thus, I and their pharmaceutical compns. are useful as aurora kinase inhibitors for the treatment of proliferative diseases, such as cancer (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L102 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2004:1059177 HCAPLUS
DOCUMENT NUMBER: 142:38269
TITLE: Preparation of (3-((**quinazolin**-4-yl)amino)-1H-pyrazol-1-yl)acetamide derivatives and related compounds as aurora kinase inhibitors for the treatment of proliferative diseases such as cancer
INVENTOR(S): **Mortlock, Andrew Austen**; Heron, Nicola Murdoch; Jung, Frederic Henri; Pasquet, Georges Rene
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004105764	A1	20041209	WO 2004-GB2281	20040527

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-291314 A 20030602
OTHER SOURCE(S): MARPAT 142:38269
ED Entered STN: 10 Dec 2004
AB **Quinazoline** derivs. I [X = O, NR6; R1-R4 = independently H, halo, X1R7; R5 = optionally substituted aryl, heteroaryl; R6 = H, C1-4 alkyl; X1 = bond, O, NH, N(C1-6 alkyl); R7 = H, optionally substituted heterocyclyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-6 cycloalkyl, C3-6 cycloalkenyl] for use in the treatment of proliferative diseases such as cancer and in the preparation of medicaments for use in the treatment of proliferative diseases, and to processes for their preparation, as well as pharmaceutical compns. containing, them as active ingredient. Thus, coupling of **chloroquinazoline** II (preparation given) with aminopyrazole III (preparation given), followed by substitution with D-prolinol gave title compound IV.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L102 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2004:927198 HCAPLUS
DOCUMENT NUMBER: 141:395569
TITLE: **Quinazoline** derivatives as aurora kinase inhibitors, process for their preparations, pharmaceutical compositions and uses in the treatment of proliferative diseases
INVENTOR(S): Heron, Nicola Murdoch; Pasquet, Georges Rene; **Mortlock, Andrew Austen**; Jung, Frederic Henri
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094410	A1	20041104	WO 2004-GB1614	20040414

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-290951 A 20030416
OTHER SOURCE(S): MARPAT 141:395569
ED Entered STN: 04 Nov 2004
AB **Quinazoline** derivs. of formula I [wherein X = O, NH or N(alkyl); R1-R4 = H, halo or alkoxy; R2 = nitro, cyano, OPO3H2; R3 = phosphonooxyalkoxy; R5 = (un)substituted (hetero)aryl; R19 = H, alkyl, acyl, amide, ester, etc.; and salts, esters or prodrugs thereof] were prepared as aurora kinase inhibitors. Thus, II was synthesized in 95% yield by condensation of the corresponding 4-**chloroquinazoline** derivative (preparation given) with 4-aminopyrazole derivative (preparation given). Compds. I generally showed 50% inhibition activity at the concns. of 1-1000 nM against both aurora-A and aurora-B kinases, and were active in the in vitro cell proliferation assay and in the in vitro cell cycle anal. assay at the concns. of 1 nM to 100 μM and 1 nM to 10 μM, resp. Also disclosed are processes for the prepns. of I, pharmaceutical compns. comprising I and uses of I for the treatment of proliferative diseases such as cancer.
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L102 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2004:566625 HCAPLUS
DOCUMENT NUMBER: 141:123758
TITLE: Preparation of phosphonooxy **quinazoline** derivatives as therapeutic agents
INVENTOR(S): **Mortlock, Andrew Austen**
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058782	A1	20040715	WO 2003-GB5640	20031222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1575966	A1	20050921	EP 2003-789562	20031222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: EP 2002-293240 A 20021224
WO 2003-GB5640 W 20031222

OTHER SOURCE(S): MARPAT 141:123758
ED Entered STN: 15 Jul 2004
AB Preparation of phosphonooxy **quinazoline** derivs. I (A = 6-membered heteroaryl containing nitrogen atom and optionally containing one or two further nitrogen atoms; X = O, S, S(O), S(O)2, organoamino; m = 0-4; Y = O, carbonylamido, etc.; Z = organoamino, phosphonooxy, C3-6 (un)substituted phosphonooxy cycloalkyl, etc.; R3 = H, halo, cyano, nitro, C1-6 alkoxy, C1-6 alkyl, carbonylamido, sulfonylamido, organoamino, etc.; R4 = H, C1-4 alkyl, heteroaryl, heteroaryl C1-4 alkyl, aryl, aryl C1-4 alkyl, halo Me Et, cyclopropyl, ethynyl substituted alkyl, etc.), compns. containing them, processes for their preparation and their use in therapy, is described. Thus, reaction of N-{6-[(3-chlorobenzyl)oxy]pyridin-3-yl}-7-(3-chloropropoxy)-6-**methoxyquinazolin**-4-amine (preparation given) with 3-amino-3-methylbutanol in di-Me acetamide in the presence of KI gave 75% 3-[(3-{[4-({6-[(3-chlorobenzyl)oxy]pyridin-3-yl}amino)-6-**methoxyquinazolin**-7-yl]oxy}propyl)amino]-3-methylbutan-1-ol which on treatment with di-tert-butyl-N,N-diethylphosphoramidite, oxidation with H2O2, and hydrolysis of the formed phosphate ester gave title compound, 3-[[3-[[4-[[6-[(3-chlorobenzyl)oxy]pyridin-3-yl]amino]-6-**methoxyquinazolin**-7-yl]oxy]propyl]amino]-3-methylbutyl dihydrogen phosphate.

L102 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 5
ACCESSION NUMBER: 2004:566624 HCAPLUS
DOCUMENT NUMBER: 141:123757
TITLE: Preparation of phosphonooxy **quinazoline** derivatives and their pharmaceutical use
INVENTOR(S): Heron, Nicola Murdoch; Jung, Frederic Henri; Pasquet, Georges Rene; **Mortlock, Andrew Austen**
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058781	A1	20040715	WO 2003-GB5613	20031222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2511613	AA	20040715	CA 2003-2511613	20031222
EP 1578755	A1	20050928	EP 2003-782672	20031222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: EP 2002-293238 A 20021224
EP 2003-291315 A 20030602
WO 2003-GB5613 W 20031222

OTHER SOURCE(S): MARPAT 141:123757

ED Entered STN: 15 Jul 2004

AB Preparation of phosphonooxy **quinazoline** derivs., I (A = 5-membered heteroaryl containing a nitrogen atom and one or two further nitrogen atoms; X = O, S, S(O), S(O)2, organoamino; m = 0-3; Z = organoamino, phosphonooxy, (un)substituted C3-6 cycloalkyl, etc.; R3 = H, halo, cyano, nitro, C1-6 alkoxy, C1-6 alkyl, alkoxycarbonyl, organoamido, sulfonylamido, etc.; R4 = H, C1-4 alkyl, heteroaryl, heteroaryl C1-4 alkyl, aryl, etc.; R5 = H, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C3-6 cycloalkyl, etc.; R6, R7 = H, halo, C1-4 alkyl, C3-6 cycloalkyl, hydroxy, C1-4 alkoxy, etc.), and compns. containing them, processes for their preparation and their use in therapy is described. Thus, reaction of N-(3-fluorophenyl)-2-{3-[(7-{3-[4-(hydroxymethyl)piperidin-1-yl]propoxy}-6-**methoxyquinazolin**-4-yl)amino]-1H-pyrazol-5-yl}acetamide (preparation given) with di-tert-butyl-diethylphosphoramidite gave 70% di-tert-Bu {1-[3-({4-[(5-{2-[(3-fluorophenyl)amino]-2-oxoethyl}-1Hpyrazol-3-yl)amino]-6-**methoxyquinazolin**-7-yl}oxy)propyl]piperidin-4-yl}methyl phosphate which on acidic hydrolysis gave 94% title compound, di-tert-Bu {1-[3-({4-[(5-{2-[(3-fluorophenyl)amino]-2-oxoethyl}-1Hpyrazol-3-yl)amino]-6-**methoxyquinazolin**-7-yl}oxy)propyl]piperidin-4-yl}methyl dihydrogen phosphate. In vitro Aurora-A and Aurora-B kinase inhibition activity and cell proliferation and cycle anal. of the prepared compds. were determined

L102 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 6
ACCESSION NUMBER: 2004:566600 HCAPLUS
DOCUMENT NUMBER: 141:123646
TITLE: Preparation of **quinazolines** as inhibitors of Aurora kinase
INVENTOR(S): **Mortlock, Andrew Austen**
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058752	A1	20040715	WO 2003-GB5636	20031222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508921	AA	20040715	CA 2003-2508921	20031222
EP 1575946	A1	20050921	EP 2003-782681	20031222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: EP 2002-293239 A 20021224
WO 2003-GB5636 W 20031222

OTHER SOURCE(S): MARPAT 141:123646

ED Entered STN: 15 Jul 2004

AB Title compds. I [A = 5-membered heteroaryl; X = O, SO0-2, amino; m = 0-3; Z = amino, phosphonooxy, cycloalkyl, etc.; R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl, heteroaryl, etc.; R5 = H, alk(en/yn)yl, cycloalkyl, etc.; R6-7 = H, halo, alkyl, etc.] are prepared For instance, N'-[5-(3-chloropropoxy)-2-cyano-4-methoxyphenyl]-N,N-dimethylimidoformamide (preparation given) is reacted with tert-Bu [5-[2-[(3-fluorophenyl)amino]-2-oxoethyl]-1,3-thiazol-2-yl]carbamate (HOAc, reflux, 2 h) to give the corresponding **quinazoline**. This is used to alkylate (piperidin-4-yl)methanol and the resulting product reacted with tetrazole and di-tert-butyldiethylphosphoramidite. Treatment of this penultimate intermediate with 4N HCl afforded II. Compds. of the invention have IC50 in the range of 0.3 nM to 1000 nM for Aurora-B kinase.

L102 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 7
ACCESSION NUMBER: 2002:10468 HCAPLUS
DOCUMENT NUMBER: 136:85826
TITLE: Preparation of substituted **quinazoline** derivatives and their use as inhibitors of AURORA-2 kinase
INVENTOR(S): **Mortlock, Andrew**; Jung, Frederic
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000649	A1	20020103	WO 2001-SE1450	20010621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2412592	AA	20020103	CA 2001-2412592	20010621
EP 1299381	A1	20030409	EP 2001-944061	20010621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011754	A	20030429	BR 2001-11754	20010621
JP 2004501914	T2	20040122	JP 2002-505773	20010621
CN 1496364	A	20040512	CN 2001-814620	20010621
EE 200200715	A	20040816	EE 2002-715	20010621
NZ 522696	A	20040827	NZ 2001-522696	20010621
ZA 2002009412	A	20040219	ZA 2002-9412	20021119
BG 107376	A	20030930	BG 2002-107376	20021211
NO 2002006010	A	20021213	NO 2002-6010	20021213
US 2003187002	A1	20031002	US 2002-311916	20021216
US 6919338	B2	20050719		

PRIORITY APPLN. INFO.: EP 2000-401842 A 20000628
WO 2001-SE1450 W 20010621

OTHER SOURCE(S): MARPAT 136:85826
ED Entered STN: 04 Jan 2002
AB The title compds. [I; X = O, S, S:O, SO2, NR; R = H, C1-6alkyl; R1 = OCH3, 3-(4-morpholinyl)propoxy, N-methylpiperidine-4-ylmethoxy, 3-(N-methylpiperazine-4-yl)propoxy, 3-(pyrrolidine-1-yl)propoxy, (CH3)2N(CH2)3O, etc.; Q = (un)substituted 5-membered heteroarom.], pharmaceutically acceptable salts, in vivo hydrolysable esters, and amides are prepared as AURORA-2 kinase inhibitors in warm blooded animals. The title compds. together with pharmaceutical compns. containing them are also described and claimed. Thus, the title compound II was prepared and tested in vitro for the ability to arrest MCF7 cells in specific phases of the cell cycle.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L102 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 8
ACCESSION NUMBER: 2001:228867 HCAPLUS
DOCUMENT NUMBER: 134:266318
TITLE: Preparation of **quinazolines** as aurora 2 kinase inhibitors
INVENTOR(S): **Mortlock, Andrew Austen; Keen, Nicholas John**
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021597	A1	20010329	WO 2000-GB3593	20000919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

CA 2384296	AA	20010329	CA 2000-2384296	20000919
BR 2000014137	A	20020521	BR 2000-14137	20000919
TR 200200717	T2	20020621	TR 2002-200200717	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509500	T2	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
AU 762697	B2	20030703	AU 2000-73019	20000919
BG 106526	A	20021031	BG 2002-106526	20020318
ZA 2002002232	A	20030619	ZA 2002-2232	20020319
NO 2002001400	A	20020506	NO 2002-1400	20020320
PRIORITY APPLN. INFO.:			GB 1999-22171 A	19990921
			WO 2000-GB3593 W	20000919

OTHER SOURCE(S): MARPAT 134:266318
ED Entered STN: 30 Mar 2001
AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR6; R6 = H or alkyl; R5 = (un)substituted 6-membered aromatic ring containing at least one N; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R7, or R9X1; R7 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R9 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; and at least one of R2 or R3 is other than H; or a salt, ester, amide, or prodrug thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 2-(N-benzoylamino)-5-aminopyrimidine and 4-chloro-6,7-**dimethoxyquinazoline** were coupled in i-PrOH to yield II (58%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.00785 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.7 μM and reduced BrdU incorporation into cellular DNA by 50% at 1.92-2.848 μM.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L102 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 9
ACCESSION NUMBER: 2001:228866 HCAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of **quinazolines** as aurora 2 kinase inhibitors
INVENTOR(S): **Mortlock, Andrew Austen**; **Keen, Nicholas John**; Jung, Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2384291	AA	20010329	CA 2000-2384291	20000918
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
ZA 2002002234	A	20030619	ZA 2002-2234	20020319
NO 2002001399	A	20020430	NO 2002-1399	20020320
PRIORITY APPLN. INFO.:			GB 1999-22154 A	19990921
			GB 1999-22170 A	19990921
			WO 2000-GB3580 W	20000918

OTHER SOURCE(S): MARPAT 134:266317
ED Entered STN: 30 Mar 2001
AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the **quinazoline**(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)**quinazoline** (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L102 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 10
ACCESSION NUMBER: 2001:228865 HCAPLUS
DOCUMENT NUMBER: 134:266316
TITLE: Preparation of **quinazoline** derivatives, method of preparation and use in inhibiting aurora 2 kinase
INVENTOR(S): **Mortlock, Andrew Austen; Keen, Nicholas John**
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021595	A1	20010329	WO 2000-GB3562	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384284	AA	20010329	CA 2000-2384284	20000918
BR 2000014136	A	20020521	BR 2000-14136	20000918
EP 1218357	A1	20020703	EP 2000-962682	20000918
EP 1218357	B1	20050406		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509498	T2	20030311	JP 2001-524974	20000918
EE 200200148	A	20030415	EE 2002-148	20000918
AT 292628	E	20050415	AT 2000-962682	20000918
ZA 2002001831	A	20030605	ZA 2002-1831	20020305
NO 2002001395	A	20020515	NO 2002-1395	20020320
BG 106535	A	20021229	BG 2002-106535	20020320
PRIORITY APPLN. INFO.:			GB 1999-22173 A	19990921
			WO 2000-GB3562 W	20000918

OTHER SOURCE(S): MARPAT 134:266316
ED Entered STN: 30 Mar 2001
AB I or a salt, ester, amide or prodrug thereof, a method for the preparation of I and the use of the claimed compds. for inhibiting aurora 2 kinase are claimed. These compds. are useful in the treatment of cancer. In I: X is O, or S, S(O) or S(O)2 or NR10 where R10 is H or C1-6 alkyl. R5 is OR11, NR12R13 or SR11 where R11, R12 and R13 are independently optionally substituted hydrocarbyl or optionally substituted heterocyclic groups, and R12 and R13 may addnl. form together with the N atom to which they are attached, an optionally substituted aromatic or nonarom. heterocyclic ring which may contain further heteroatoms. R6 and R7 are independently H or hydrocarbyl. R8 and R9 are independently H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxymethyl, di(C1-4alkoxy)methyl, C1-4 alkanoyl, trifluoromethyl, cyano, amino, C2-5 alkenyl, C2-5 alkynyl, a Ph group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be aromatic or nonarom. and may be saturated (linked via a ring C or N atom) or unsatd. (linked via a ring C atom), and which Ph, benzyl or heterocyclic group may bear on one or more ring C atoms up to 5 substituents selected from hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C2-4 alkanoyl, C1-4 alkanoylamino, C1-4 alkoxycarbonyl, C1-4 alkylthio, C1-4 alkylsulfinyl, C1-4 alkylsulfonyl, carbamoyl, N-C1-4alkylcarbamoyl, N,N-di(C1-4alkyl)carbamoyl, aminosulfonyl, N-C1-4alkylaminosulfonyl, N,N-di(C1-4alkyl)aminosulfonyl, C1-4 alkylsulfonylamino, and a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl imidazolidinyl and pyrazolidinyl, which saturated heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C1-4alkoxycarbonyl. R1, R2, R3, R4 are independently halo, cyano, nitro, C1-3 alkylthio, -N(OH)R14 (R14 is H, or

C1-3 alkyl), or R16X1- (X1 represents a direct bond, -O-, -CH2-, -OC(O)-, -C(O)-, -S-, -SO-, -SO2-, -NR17C(O)-, -C(O)NR18-, -SO2NR19-, -NR20SO2- or -NR21- (R17, R18, R19, R20 and R21 each independently represents H, C1-3 alkyl or C1-3alkoxyC2-3alkyl), and R16 is H, optionally substituted hydrocarbyl, optionally substituted heterocyclyl or optionally substituted alkoxy). A method for preparing I comprises reacting II where X, R8 and R9 are as defined above, R1', R2', R3', R4' are groups R1, R2, R3, R4 as defined above resp., or precursors thereof; and R85 is a leaving group, with HCR6:CR7C(O)R5', where R6 and R7 are as defined above, R5' is a group R5 as defined above or a precursor group therefore; and thereafter if desired or necessary, converting any precursor groups R1', R2', R3', R4' or R5' to groups R1, R2, R3, R4 or R5 resp., or changing a group R5 to a different such group. The compds. of the invention inhibit the serine/threonine kinase activity of the aurora 2 kinase and thus inhibit the cell cycle and cell proliferation. Procedures for assessing these properties are described and test results are given for (E)-4-[4-(2-(3-methylcyclohexylaminocarbonyl)ethenyl)anilino]-6,7-**dimethoxyquinazoline.**

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L102 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 11
ACCESSION NUMBER: 2001:228864 HCAPLUS
DOCUMENT NUMBER: 134:252355
TITLE: Preparation of **quinazolines** as aurora 2 kinase inhibitors
INVENTOR(S): **Mortlock, Andrew Austen; Keen, Nicholas John**
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384282	AA	20010329	CA 2000-2384282	20000918
BR 2000014133	A	20020611	BR 2000-14133	20000918
TR 200200749	T2	20020621	TR 2002-200200749	20000918
EP 1218356	A1	20020703	EP 2000-962677	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509497	T2	20030311	JP 2001-524973	20000918
EE 200200149	A	20030415	EE 2002-149	20000918
AU 763242	B2	20030717	AU 2000-74325	20000918
ZA 2002001833	A	20030605	ZA 2002-1833	20020305
BG 106491	A	20021229	BG 2002-106491	20020307
NO 2002001401	A	20020521	NO 2002-1401	20020320

PRIORITY APPLN. INFO.: GB 1999-22152 A 19990921
GB 1999-22156 A 19990921
GB 1999-22159 A 19990921
WO 2000-GB3556 W 20000918

OTHER SOURCE(S): MARPAT 134:252355
ED Entered STN: 30 Mar 2001
AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR8; R8 = H or alkyl; Ra = (un)substituted 3-quinolinyl or Ph; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R12, or R14X1; R12 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R14 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 4-phenoxyaniline•HCl and 4-chloro-6-methoxy-7-(3-morpholinopropoxy)**quinazoline** were refluxed in i-PrOH to yield II (86%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.069 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 2.89 μM and reduced BrdU incorporation into cellular DNA by 50% at 3.68 μM.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L102 ANSWER 12 OF 19 MEDLINE on STN
ACCESSION NUMBER: 2004604315 MEDLINE
DOCUMENT NUMBER: PubMed ID: 15576945
TITLE: The Ipl1/Aurora kinase family: methods of inhibition and functional analysis in mammalian cells.
AUTHOR: Ditchfield Claire; **Keen Nicholas**; Taylor Stephen S
CORPORATE SOURCE: School of Biological Sciences, University of Manchester, Manchester, UK.
SOURCE: Methods in molecular biology (Clifton, N.J.), (2005) 296 371-81.
Journal code: 9214969. ISSN: 1064-3745.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200503
ENTRY DATE: Entered STN: 20041204
Last Updated on STN: 20050330
Entered Medline: 20050329

ED Entered STN: 20041204
Last Updated on STN: 20050330
Entered Medline: 20050329
AB The Ipl1/Aurora family of protein kinases are required for accurate chromosome segregation. Because members of this family are often overexpressed in human tumors, they have recently received much attention, both from the academic community and the pharmaceutical industry. Indeed, two small molecule Aurora kinase inhibitors have recently been described. In this chapter, we describe several methods for investigating the function of the Aurora kinases, focusing on Aurora B. We describe the use of the small-molecule inhibitor ZM447439, RNA interference, and overexpression of a catalytic mutant. All of these methods have proved useful in studying Aurora B as well as validating it as a potential anticancer drug target. However, while all three methods are useful for probing the function of Aurora B, each has inherent advantages and disadvantages. Furthermore, because the mechanism underlying the inhibition is different in each case, caution must be taken when

interpreting the data.

L102 ANSWER 13 OF 19 MEDLINE on STN
ACCESSION NUMBER: 2003199692 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12719470
TITLE: Aurora B couples chromosome alignment with anaphase by targeting BubR1, Mad2, and Cenp-E to kinetochores.
AUTHOR: Ditchfield Claire; Johnson Victoria L; Tighe Anthony; Ellston Rebecca; Haworth Carolyn; Johnson Trevor; **Mortlock Andrew**; **Keen Nicholas**; Taylor Stephen S
CORPORATE SOURCE: School of Biological Sciences, University of Manchester, 2.205 Stopford Building, Oxford Rd., Manchester M13 9PT, UK.
SOURCE: Journal of cell biology, (2003 Apr 28) 161 (2) 267-80. Journal code: 0375356. ISSN: 0021-9525.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200306
ENTRY DATE: Entered STN: 20030430
Last Updated on STN: 20030620
Entered Medline: 20030619
ED Entered STN: 20030430
Last Updated on STN: 20030620
Entered Medline: 20030619
AB The Aurora/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective Aurora kinase inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference experiments suggest that these phenotypes are due to inhibition of Aurora B, not Aurora A or some other kinase. In the absence of Aurora B function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of Aurora B kinase activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. Aurora B kinase activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, Aurora B couples chromosome alignment with anaphase onset.

L102 ANSWER 14 OF 19 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:513859 BIOSIS
DOCUMENT NUMBER: PREV200300513232
TITLE: Crystal structure of an inhibitor complex of Aurora A kinase and preliminary in vitro SAR analysis of **quinazoline** inhibitors.
AUTHOR(S): **Keen, Nick** [Reprint Author]; Anderson, Malcolm; Valentine, Anna; McMiken, Helen; Tucker, Julie; Rowsell, Sian; Pannifer, Andrew; Pauptit, Richard; Jung, Frederic; **Mortlock, Andrew**; Heron, Nicola; Green, Stephen
CORPORATE SOURCE: AstraZeneca, Macclesfield, UK

SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (July 2003) Vol. 44, pp. 791. print.
Meeting Info.: 94th Annual Meeting of the American Association for Cancer Research. Washington, DC, USA. July 11-14, 2003.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 5 Nov 2003
Last Updated on STN: 5 Nov 2003
ED Entered STN: 5 Nov 2003
Last Updated on STN: 5 Nov 2003

L102 ANSWER 15 OF 19 EMBASE COPYRIGHT (c) 2005 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 2005380586 EMBASE
TITLE: Progress in the development of selective inhibitors of Aurora kinases.
AUTHOR: **Mortlock A.A.**; **Keen N.J.**; Jung F.H.; Heron N.M.; Foote K.M.; Wilkinson R.W.; Green S.
CORPORATE SOURCE: A.A. Mortlock, AstraZeneca, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG, United Kingdom. andrew.mortlock@astrazeneca.com
SOURCE: Current Topics in Medicinal Chemistry, (2005) Vol. 5, No. 8, pp. 807-821.
Refs: 72
ISSN: 1568-0266 CODEN: CTMCCL
COUNTRY: Netherlands
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 016 Cancer
029 Clinical Biochemistry
030 Pharmacology
037 Drug Literature Index
052 Toxicology
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 20050915
Last Updated on STN: 20050915
ED Entered STN: 20050915
Last Updated on STN: 20050915
AB Errors in the mitotic process are thought to be one of the principal sources of the genetic instability that hallmarks cancer. Unsurprisingly, many of the proteins that regulate mitosis are aberrantly expressed in tumour cells when compared to their normal counterparts. These may represent a good source of targets for the development of novel anti-cancer agents. The Aurora kinases represent one such family of mitotic regulators. In recent years there has been intense interest in both understanding the role of the Aurora kinases in cell cycle regulation and also in developing small molecule inhibitors as potential novel anti-cancer drugs. With several companies now starting to take Aurora kinase inhibitors into clinical development, the time is right to review the medicinal chemistry contribution to developing the field, in particular to review the increasingly broad range of small molecule inhibitors with activity against this kinase family. .COPYRGT. 2005 Bentham Science Publishers Ltd.

L102 ANSWER 16 OF 19 EMBASE COPYRIGHT (c) 2005 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 2005170288 EMBASE

TITLE: Progress in the development of selective inhibitors of Aurora kinases.
AUTHOR: **Mortlock A.**; **Keen N.J.**; Jung F.H.; Heron N.M.; Foote K.M.; Wilkinson R.; Green S.
CORPORATE SOURCE: A. Mortlock, AstraZeneca, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG, United Kingdom. andrew.mortlock@astrazeneca.com
SOURCE: Current Topics in Medicinal Chemistry, (2005) Vol. 5, No. 2, pp. 199-213.
Refs: 71
ISSN: 1568-0266 CODEN: CTMCCL
COUNTRY: Netherlands
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 016 Cancer
029 Clinical Biochemistry
030 Pharmacology
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 20050505
Last Updated on STN: 20050505
ED Entered STN: 20050505
Last Updated on STN: 20050505
AB Errors in the mitotic process are thought to be one of the principal sources of the genetic instability that hallmarks cancer. Unsurprisingly, many of the proteins that regulate mitosis are aberrantly expressed in tumour cells when compared to their normal counterparts. These may represent a good source of targets for the development of novel anti-cancer agents. The Aurora kinases represent one such family of mitotic regulators. In recent years there has been intense interest in both understanding the role of the Aurora kinases in cell cycle regulation and also in developing small molecule inhibitors as potential novel anti-cancer drugs. With several companies now starting to take Aurora kinase inhibitors into clinical development, the time is right to review the medicinal chemistry contribution to developing the field, in particular to review the increasingly broad range of small molecule inhibitors with activity against this kinase family. .COPYRGT. 2005 Bentham Science Publishers Ltd.

L102 ANSWER 17 OF 19 DRUGU COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2005-17137 DRUGU B P
TITLE: Development of a new series of thiazolo-**quinazoline** inhibitors targeting Aurora kinase.
AUTHOR: **Mortlock A A**
CORPORATE SOURCE: AstraZeneca
LOCATION: Alderley Park, U.K.
SOURCE: Proc.Am.Assoc.Cancer Res. (95 Meet., 574, 2004) ISSN: 0197-016X
AVAIL. OF DOC.: AstraZeneca, Alderley PARK, Cheshire, England.
LANGUAGE: English
DOCUMENT TYPE: Journal
FIELD AVAIL.: AB; LA; CT
FILE SEGMENT: Literature
AB The substitution of **quinazolines** with a range of 5-membered-ring amino-heterocycles was studied. Introduction of a methylene spacer led to the development of highly potent and selective inhibitors. The thiazolol-**quinazolines**, displayed increased in-vitro potency (cellular proliferation and cell-cycle effects). Using these novel inhibitors, suppression of phospho-histone H3 in-vitro and significant inhibition of histone H3 phosphorylation in an acute in-vivo

model was achieved. When administered at i.p. doses of 50-100 mg/kg, 40-60% inhibition of phospho-histone H3 was observed. This in-vivo activity is consistent with inhibition of Aurora B, potentially providing a new approach to the targeting of cell division in proliferating tumors. (conference abstract: 95th Annual Meeting of the American Association for Cancer Research, Orlando, Florida, USA, March 27-31, 2004). (No EX).

L102 ANSWER 18 OF 19 DRUGU COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2005-02887 DRUGU P B C
TITLE: Development and characterization in vivo of an inhibitor with Aurora kinase A and B specificity.
AUTHOR: Wilkinson R W; **Keen N**; Wedge S R; Odedra R; Heaton S; Brown E; Brightwell S; Jung F; Heron N; **Mortlock A**
CORPORATE SOURCE: AstraZeneca
LOCATION: Alderley Park, U.K.
SOURCE: Proc.Am.Assoc.Cancer Res. (95 Meet., 193-94, 2004) ISSN : 0197-016X
AVAIL. OF DOC.: AstraZeneca, Alderley Park, Cheshire, England. (14 Authors).
LANGUAGE: English
DOCUMENT TYPE: Journal
FIELD AVAIL.: AB; LA; CT
FILE SEGMENT: Literature
AB A series of novel thiazolo-**quinazolines** were identified that inhibit Aurora A and B kinase activity. The inhibitors demonstrated an antiproliferative effect against human colorectal cancer SW620 cells. A sub-population of tumor cells with a greater 4N DNA content was found to accumulate following treatment with the inhibitors. Analysis of SW620 cells treated with the inhibitors showed a reduction in phospho-histone H3 levels. By comparison, cultures treated with paclitaxel showed an increase in phospho-histone H3 levels. In-vivo in nude mice, the inhibitors, administered via minipump, disrupted cell division and reduced the phospho-histone H3 marker. Results suggest that Aurora B may represent a new method of targeting cell division. (conference abstract: 95th Annual Meeting of the American Association for Cancer Research, Orlando, Florida, USA, March 27-31, 2004). (No EX).

L102 ANSWER 19 OF 19 SCISEARCH COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:51107 SCISEARCH
THE GENUINE ARTICLE: 756LU
TITLE: Crystal structure of an inhibitor complex of Aurora A kinase and preliminary in vitro SAR analysis of **quinazoline** inhibitors.
AUTHOR: **Keen N (Reprint)**; Anderson M; Valentine A; McMiken H; Tucker J; Rowsetl S; Pannifer A; Pauptit R; **Mortlock A**; Heron N; Green S; Jung F
CORPORATE SOURCE: AstraZeneca, Alderley Pk, Cheshire, England; AstraZeneca, Reims, France
COUNTRY OF AUTHOR: England; France
SOURCE: CLINICAL CANCER RESEARCH, (1 DEC 2003) Vol. 9, No. 16, Part 2, Supp. [S], pp. 6217S-6218S. ISSN: 1078-0432.
PUBLISHER: AMER ASSOC CANCER RESEARCH, 615 CHESTNUT ST, 17TH FLOOR, PHILADELPHIA, PA 19106-4404 USA.
DOCUMENT TYPE: Conference; Journal
LANGUAGE: English
REFERENCE COUNT: 0
ENTRY DATE: Entered STN: 23 Jan 2004
Last Updated on STN: 23 Jan 2004
ED Entered STN: 23 Jan 2004

Last Updated on STN: 23 Jan 2004

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USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 23, 2005 (20050923/UP).

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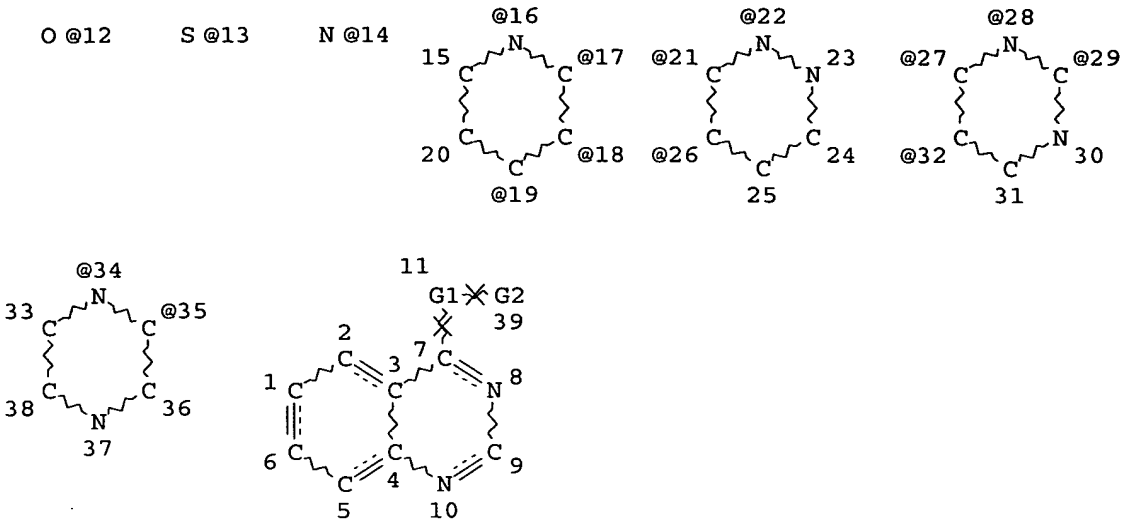

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VAR G2=16/17/18/19/22/21/26/29/28/27/32/34/35
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NSPEC IS RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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STEREO ATTRIBUTES: NONE
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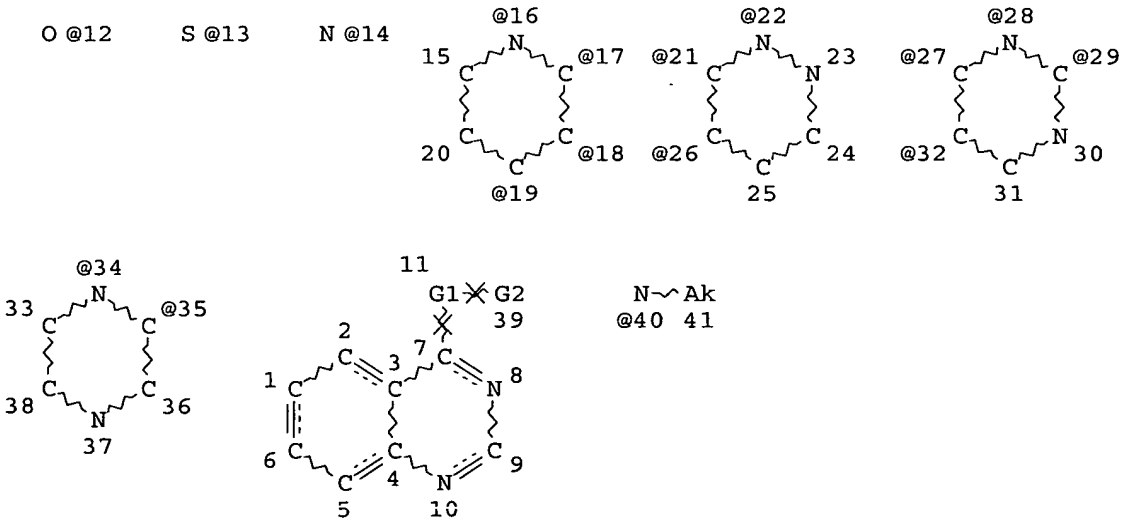

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CONNECT IS E2 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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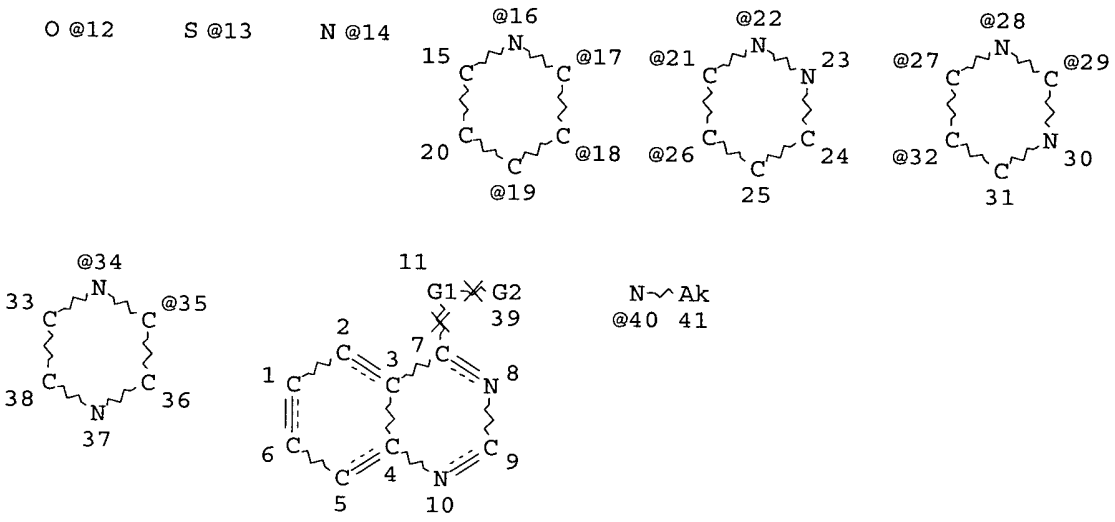

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CONNECT IS E2 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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STEREO ATTRIBUTES: NONE
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L20               STR
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L30          1240 SEA FILE=REGISTRY SUB=L23 SSS FUL L27
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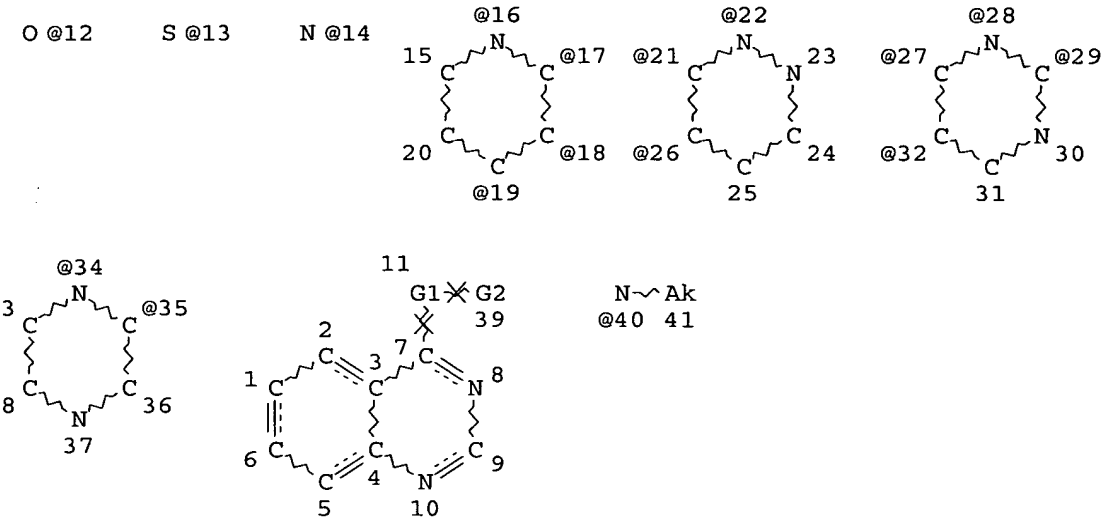


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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS  41

STEREO ATTRIBUTES: NONE
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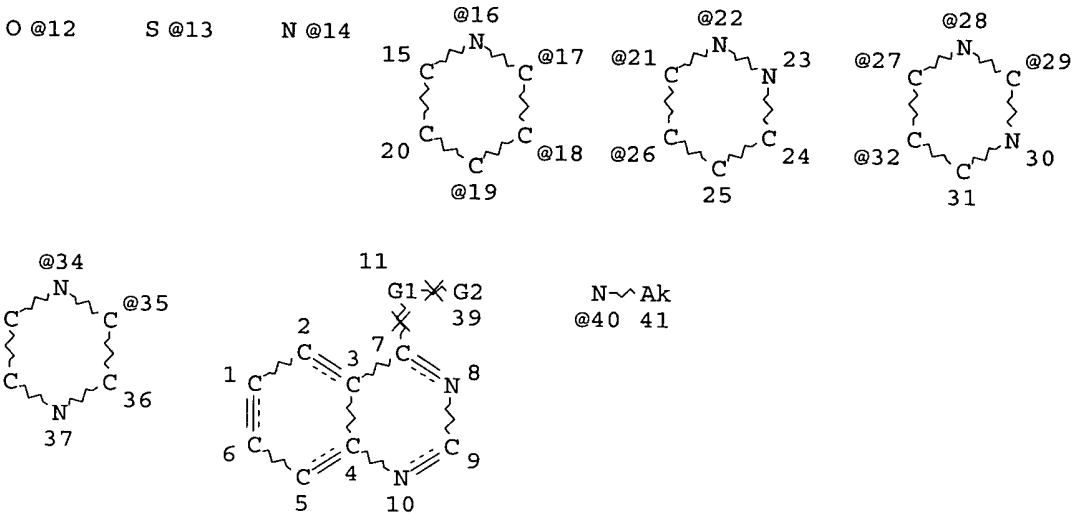


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NSPEC   IS RC     AT  12
NSPEC   IS RC     AT  13
NSPEC   IS RC     AT  14
NSPEC   IS RC     AT  40
CONNECT IS E2  RC AT  14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  41

STEREO ATTRIBUTES: NONE
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                 5638164/AN OR 6001394/AN OR 5793551/AN OR 5998817/AN OR
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=> d que nos l46
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L20             STR
L23         1378 SEA FILE=REGISTRY SUB=L8 SSS FUL L20
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                  C07D239-88)/IPC
L55            49 SEA FILE=WPIX ABB=ON  PLU=ON  L49 AND L54
L56            29 SEA FILE=WPIX ABB=ON  PLU=ON  L50 AND L55
L57            29 SEA FILE=WPIX ABB=ON  PLU=ON  L48 OR L56
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L6                STR
L8           1417 SEA FILE=REGISTRY SSS FUL L6
L9            361 SEA FILE=REGISTRY ABB=ON  PLU=ON  L8 AND L4
L60               SEL  PLU=ON  L9 1- CHEM :     361 TERMS
L61             0 SEA FILE=MEDLINE ABB=ON  PLU=ON  L60
L62               QUE  ABB=ON  PLU=ON  ?QUINAZOL?
L63               QUE  ABB=ON  PLU=ON  ?PYRIDIN? OR ?PYRIDYL? OR ?PYRIMIDIN
                  ? OR ?PYRIMIDINYL? OR ?PYRAZIN? OR ?PYRIDAZIN?
L64            64 SEA FILE=MEDLINE ABB=ON  PLU=ON  L62 (2A) L63
L65        277856 SEA FILE=MEDLINE ABB=ON  PLU=ON  ?KINAS?
L66            11 SEA FILE=MEDLINE ABB=ON  PLU=ON  L64 AND L65
L71          5359 SEA FILE=MEDLINE ABB=ON  PLU=ON  QUINAZOLINES/CT
L72             3 SEA FILE=MEDLINE ABB=ON  PLU=ON  L71 (L) AA
L73             0 SEA FILE=MEDLINE ABB=ON  PLU=ON  L72 AND L63
L74            11 SEA FILE=MEDLINE ABB=ON  PLU=ON  L61 OR L66 OR L73
L75             6 SEA FILE=MEDLINE ABB=ON  PLU=ON  L74 AND PY<2000


=> d que nos l85
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L6                STR
L8           1417 SEA FILE=REGISTRY SSS FUL L6
L9            361 SEA FILE=REGISTRY ABB=ON  PLU=ON  L8 AND L4
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L84           27 SEA FILE=EMBASE ABB=ON  PLU=ON  L78 OR L83
L85           11 SEA FILE=EMBASE ABB=ON  PLU=ON  L84 AND (PY<2000 OR MY<2000)


=> d que nos l88
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L20               STR
L23          1378 SEA FILE=REGISTRY SUB=L8 SSS FUL L20
L27               STR
L30          1240 SEA FILE=REGISTRY SUB=L23 SSS FUL L27
L35           911 SEA FILE=REGISTRY ABB=ON  PLU=ON  L30 AND TOXCENTER/LC
L87            34 SEA FILE=TOXCENTER ABB=ON  PLU=ON  L35
L88             3 SEA FILE=TOXCENTER ABB=ON  PLU=ON  L87 AND (PY<2000 OR
                  MY<2000)


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L20               STR
L23          1378 SEA FILE=REGISTRY SUB=L8 SSS FUL L20
L27               STR
L30          1240 SEA FILE=REGISTRY SUB=L23 SSS FUL L27
L36             1 SEA FILE=REGISTRY ABB=ON  PLU=ON  L30 AND BIOSIS/LC
L90             2 SEA FILE=BIOSIS ABB=ON  PLU=ON  L36
L91             1 SEA FILE=BIOSIS ABB=ON  PLU=ON  L90 AND (PY<2000 OR MY<2000)


=> d his l97

     (FILE 'BIOSIS, PASCAL, JICST-EPLUS, CABA, CANCERLIT, DRUGU, SCISEARCH'
     ENTERED AT 13:07:28 ON 29 SEP 2005)
L97            14 S L96 AND (AY<2000 OR PY<2000 OR PRY<2000)

=> d que nos l97
L62               QUE  ABB=ON  PLU=ON  ?QUINAZOL?
L63               QUE  ABB=ON  PLU=ON  ?PYRIDIN? OR ?PYRIDYL? OR ?PYRIMIDIN
                  ? OR ?PYRIMIDINYL? OR ?PYRAZIN? OR ?PYRIDAZIN?
L93           579 SEA L62 (3A) L63
L94        907027 SEA ?KINAS? OR ?AURORA?
L95            52 SEA L93 AND L94
L96            24 DUP REM L95 (28 DUPLICATES REMOVED)
L97            14 SEA L96 AND (AY<2000 OR PY<2000 OR PRY<2000)


=> d his l102

     (FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, PASCAL, JICST-EPLUS, CABA,
     CANCERLIT, DRUGU, SCISEARCH, WPIX, CONF, CONFSCI, DISSABS' ENTERED AT
     13:15:27 ON 29 SEP 2005)
L102           19 DUP REM L101 (11 DUPLICATES REMOVED)

=> d que nos l102
L62               QUE  ABB=ON  PLU=ON  ?QUINAZOL?
L99           192 SEA MORTLOCK, A?/AU
L100         1259 SEA KEEN, N?/AU
L101           30 SEA (L99 OR L100) AND L62
```

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L102          19 DUP REM L101 (11 DUPLICATES REMOVED)


=> d his ful

     (FILE 'HOME' ENTERED AT 10:34:25 ON 29 SEP 2005)

     FILE 'STNGUIDE' ENTERED AT 10:34:35 ON 29 SEP 2005

     FILE 'ZCAPLUS' ENTERED AT 10:35:04 ON 29 SEP 2005
                E WO2000-GB03593/APPS
                E WO2000-GB3593/APPS

     FILE 'HCAPLUS' ENTERED AT 10:35:25 ON 29 SEP 2005
L1             1 SEA ABB=ON  PLU=ON  WO2000-GB3593/APPS
                SAVE TEMP L1 TRU856HCAAPP/A

     FILE 'STNGUIDE' ENTERED AT 10:35:52 ON 29 SEP 2005

     FILE 'HCAPLUS' ENTERED AT 10:36:03 ON 29 SEP 2005
                D IBIB ED AB IND

     FILE 'STNGUIDE' ENTERED AT 10:36:04 ON 29 SEP 2005

     FILE 'WPIX' ENTERED AT 10:43:19 ON 29 SEP 2005
L2             1 SEA ABB=ON  PLU=ON  WO2000-GB3593/APPS
                SAVE TEMP L2 TRU856WPIAPP/A

     FILE 'STNGUIDE' ENTERED AT 10:43:56 ON 29 SEP 2005

     FILE 'WPIX' ENTERED AT 10:44:03 ON 29 SEP 2005
                D IALL CMC

     FILE 'STNGUIDE' ENTERED AT 10:44:04 ON 29 SEP 2005

     FILE 'REGISTRY' ENTERED AT 10:44:41 ON 29 SEP 2005

     FILE 'HCAPLUS' ENTERED AT 10:44:44 ON 29 SEP 2005
L3              TRA L1 1- RN :      693 TERMS

     FILE 'REGISTRY' ENTERED AT 10:44:47 ON 29 SEP 2005
L4           693 SEA ABB=ON  PLU=ON  L3
                SAVE TEMP L4 TRU856REGAPP/A

     FILE 'STNGUIDE' ENTERED AT 10:45:40 ON 29 SEP 2005

     FILE 'LREGISTRY' ENTERED AT 11:07:24 ON 29 SEP 2005
L5              STR
L6              STR L5

     FILE 'REGISTRY' ENTERED AT 11:14:51 ON 29 SEP 2005
L7            14 SEA SSS SAM L6
                D QUE STAT

     FILE 'STNGUIDE' ENTERED AT 11:15:54 ON 29 SEP 2005

     FILE 'REGISTRY' ENTERED AT 11:17:53 ON 29 SEP 2005
L8          1417 SEA SSS FUL L6
                SAVE TEMP L8 TRU856PSET1/A
L9           361 SEA ABB=ON  PLU=ON  L8 AND L4
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L10          332 SEA ABB=ON  PLU=ON  L4 NOT L8
L11           68 SEA ABB=ON  PLU=ON  NCNC7/ESS
L12            0 SEA ABB=ON  PLU=ON  L10 AND L11
L13       375941 SEA ABB=ON  PLU=ON  (NCNC3 (S) C6)/ESS
L14           19 SEA ABB=ON  PLU=ON  L10 AND L13
                 D SCAN

      FILE 'STNGUIDE' ENTERED AT 11:21:42 ON 29 SEP 2005
                 D SAVED

      FILE 'HCAPLUS' ENTERED AT 11:23:30 ON 29 SEP 2005
L15           96 SEA ABB=ON  PLU=ON  L8

      FILE 'STNGUIDE' ENTERED AT 11:23:38 ON 29 SEP 2005

      FILE 'LREGISTRY' ENTERED AT 11:40:48 ON 29 SEP 2005
L*** DEL          STR L6
L16               STR L6

      FILE 'REGISTRY' ENTERED AT 11:45:20 ON 29 SEP 2005
L17            6 SEA SUB=L8 SSS SAM L16
                 D SCAN

      FILE 'STNGUIDE' ENTERED AT 11:46:15 ON 29 SEP 2005
                 D QUE STAT

      FILE 'REGISTRY' ENTERED AT 11:46:28 ON 29 SEP 2005
L18          145 SEA SUB=L8 SSS FUL L16
                 SAVE TEMP L18 TRU856RSET1/A
L19          693 SEA ABB=ON  PLU=ON  L4 NOT L18

      FILE 'LREGISTRY' ENTERED AT 11:48:51 ON 29 SEP 2005
L20               STR L16

      FILE 'REGISTRY' ENTERED AT 11:51:55 ON 29 SEP 2005
L21           50 SEA SUB=L8 SSS SAM L20
L22            7 SEA ABB=ON  PLU=ON  L21 AND L4
                 D SCAN
                 D QUE STAT L21

      FILE 'STNGUIDE' ENTERED AT 11:53:26 ON 29 SEP 2005

      FILE 'REGISTRY' ENTERED AT 11:54:34 ON 29 SEP 2005
                 D QUE L20
L23         1378 SEA SUB=L8 SSS FUL L20
                 SAVE TEMP L23 TRU856RSET1/A
L24          332 SEA ABB=ON  PLU=ON  L4 NOT L23
L25           19 SEA ABB=ON  PLU=ON  L13 AND L24

      FILE 'HCAPLUS' ENTERED AT 11:56:08 ON 29 SEP 2005
L26           93 SEA ABB=ON  PLU=ON  L23

      FILE 'STNGUIDE' ENTERED AT 11:56:14 ON 29 SEP 2005

      FILE 'LREGISTRY' ENTERED AT 11:56:42 ON 29 SEP 2005
L27               STR L20

      FILE 'REGISTRY' ENTERED AT 11:57:24 ON 29 SEP 2005
L28           50 SEA SUB=L23 SSS SAM L27
L29           10 SEA ABB=ON  PLU=ON  L4 AND L28
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                D QUE STAT L28
L30          1240 SEA SUB=L23 SSS FUL L27
                SAVE TEMP L30 TRU856RSET2/A
L31           332 SEA ABB=ON  PLU=ON  L4 NOT L30
                D QUE L13
L32            19 SEA ABB=ON  PLU=ON  L31 AND L13
                D SCAN

     FILE 'STNGUIDE' ENTERED AT 12:00:44 ON 29 SEP 2005
                D SAVED

     FILE 'REGISTRY' ENTERED AT 12:02:55 ON 29 SEP 2005
L33             ANALYZE PLU=ON  L30 1- LC :      12 TERMS
                D 1-12

     FILE 'STNGUIDE' ENTERED AT 12:04:57 ON 29 SEP 2005

     FILE 'REGISTRY' ENTERED AT 12:05:30 ON 29 SEP 2005
L34            247 SEA ABB=ON  PLU=ON  L30 AND (USPATFULL OR USPAT2)/LC
L35            911 SEA ABB=ON  PLU=ON  L30 AND TOXCENTER/LC
L*** DEL         0 S L30 AND BIOSIS/LS
L36              1 SEA ABB=ON  PLU=ON  L30 AND BIOSIS/LC

     FILE 'STNGUIDE' ENTERED AT 12:06:54 ON 29 SEP 2005

     FILE 'HCAPLUS' ENTERED AT 12:07:34 ON 29 SEP 2005
L37             73 SEA ABB=ON  PLU=ON  L30

     FILE 'STNGUIDE' ENTERED AT 12:07:45 ON 29 SEP 2005

     FILE 'HCAPLUS' ENTERED AT 12:08:05 ON 29 SEP 2005
L38             31 SEA ABB=ON  PLU=ON  L37 AND (AY<2000 OR PY<2000 OR PRY<2000)
                SAVE TEMP L38 TRU856HCA1B/A
L39             42 SEA ABB=ON  PLU=ON  L37 NOT L38
                SAVE TEMP L39 TRU856HCA1A/A

     FILE 'STNGUIDE' ENTERED AT 12:09:53 ON 29 SEP 2005
                D SAVED

     FILE 'BEILSTEIN' ENTERED AT 12:10:23 ON 29 SEP 2005
                D QUE L27
L40             45 SEA SSS FUL L27
L41             33 SEA ABB=ON  PLU=ON  L40 NOT RN/FA
                SELECT L41 1- BABSAN

     FILE 'BABS' ENTERED AT 12:11:55 ON 29 SEP 2005
L42             10 SEA ABB=ON  PLU=ON  (6275679/AN OR 6168015/AN OR 5638164/AN OR
                6001394/AN OR 5793551/AN OR 5998817/AN OR 6360690/AN OR
                6375057/AN OR 6435713/AN OR 6436095/AN)
L43              5 SEA ABB=ON  PLU=ON  L42 AND PY<2000
                SAVE TEMP L43 TRU856BAB1B/A
L44              5 SEA ABB=ON  PLU=ON  L42 NOT L43
                SAVE TEMP L44 TRU856BAB1A/A

     FILE 'STNGUIDE' ENTERED AT 12:13:50 ON 29 SEP 2005
                D SAVED

     FILE 'USPATFULL, USPAT2' ENTERED AT 12:14:15 ON 29 SEP 2005
L45             42 SEA ABB=ON  PLU=ON  L34
L46             24 SEA ABB=ON  PLU=ON  L45 AND (AY<2000 OR PY<2000 OR PRY<2000)
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                SAVE TEMP L46 TRU856USP1B/A
L47          18 SEA ABB=ON  PLU=ON  L45 NOT L46
                SAVE TEMP L47 TRU856USP1A/A

     FILE 'STNGUIDE' ENTERED AT 12:15:41 ON 29 SEP 2005
                D SAVED

     FILE 'WPIX' ENTERED AT 12:16:15 ON 29 SEP 2005
L48           1 SEA ABB=ON  PLU=ON  0038-49701?/M0,M1,M2,M3,M4,M5,M6
                D TRI
L49        1602 SEA ABB=ON  PLU=ON  (D740 (P) (F530 OR F541 OR F551) (P) (M141
                OR M143 OR M142))/M0,M1,M2,M3,M4,M5,M6

     FILE 'STNGUIDE' ENTERED AT 12:18:29 ON 29 SEP 2005

     FILE 'WPIX' ENTERED AT 12:23:52 ON 29 SEP 2005
L50       11620 SEA ABB=ON  PLU=ON  (C07D403-12 OR C07D401-12)/IPC
L51         273 SEA ABB=ON  PLU=ON  L49 AND L50
L52        1343 SEA ABB=ON  PLU=ON  A61K031-517/IPC
L53          42 SEA ABB=ON  PLU=ON  L51 AND L52

     FILE 'STNGUIDE' ENTERED AT 12:26:04 ON 29 SEP 2005

     FILE 'WPIX' ENTERED AT 12:27:22 ON 29 SEP 2005
L54         549 SEA ABB=ON  PLU=ON  (C07D239-94 OR C07D239-93 OR C07D239-88)/IP
                C
L55          49 SEA ABB=ON  PLU=ON  L49 AND L54
L56          29 SEA ABB=ON  PLU=ON  L50 AND L55
L57          29 SEA ABB=ON  PLU=ON  L48 OR L56
                D TRI 1-3

     FILE 'STNGUIDE' ENTERED AT 12:28:21 ON 29 SEP 2005

     FILE 'WPIX' ENTERED AT 12:28:54 ON 29 SEP 2005
L58          24 SEA ABB=ON  PLU=ON  L57 AND (AY<2000 OR PY<2000 OR PRY<2000)
                SAVE TEMP L58 TRU856WPI1B/A
L59           5 SEA ABB=ON  PLU=ON  L57 NOT L58
                SAVE TEMP L59 TRU856WPI1A/A

     FILE 'STNGUIDE' ENTERED AT 12:42:04 ON 29 SEP 2005
                D SAVED

     FILE 'MEDLINE' ENTERED AT 12:42:37 ON 29 SEP 2005

     FILE 'REGISTRY' ENTERED AT 12:42:42 ON 29 SEP 2005
                SET SMARTSELECT ON
L60             SEL PLU=ON  L9 1- CHEM :     361 TERMS
                SET SMARTSELECT OFF

     FILE 'MEDLINE' ENTERED AT 12:42:56 ON 29 SEP 2005
L61           0 SEA ABB=ON  PLU=ON  L60

     FILE 'STNGUIDE' ENTERED AT 12:43:01 ON 29 SEP 2005

     FILE 'HCAPLUS' ENTERED AT 12:44:34 ON 29 SEP 2005
L62             QUE ABB=ON  PLU=ON  ?QUINAZOL?
L63             QUE ABB=ON  PLU=ON  ?PYRIDIN? OR ?PYRIDYL? OR ?PYRIMIDIN? OR
                ?PYRIMIDINYL? OR ?PYRAZIN? OR ?PYRIDAZIN?

     FILE 'STNGUIDE' ENTERED AT 12:44:57 ON 29 SEP 2005
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     FILE 'MEDLINE' ENTERED AT 12:45:31 ON 29 SEP 2005
L64           64 SEA ABB=ON  PLU=ON  L62 (2A) L63
                 D TRI 1-3
L65       277856 SEA ABB=ON  PLU=ON  ?KINAS?
L66           11 SEA ABB=ON  PLU=ON  L64 AND L65
                 E QUINAZOLINES/CT
                 E E37+ALL
L67        24860 SEA ABB=ON  PLU=ON  QUINAZOLINES+PFT/CT
L68          925 SEA ABB=ON  PLU=ON  L67 (L) AA
L69            5 SEA ABB=ON  PLU=ON  L65 AND L68
L70           16 SEA ABB=ON  PLU=ON  L61 OR L66 OR L69
                 D TRI 1-16
                 D TI KWIC 1-16

     FILE 'STNGUIDE' ENTERED AT 12:50:25 ON 29 SEP 2005

     FILE 'MEDLINE' ENTERED AT 12:52:54 ON 29 SEP 2005
L71         5359 SEA ABB=ON  PLU=ON  QUINAZOLINES/CT
L72            3 SEA ABB=ON  PLU=ON  L71 (L) AA
                 D TRI 1-3
L73            0 SEA ABB=ON  PLU=ON  L72 AND L63
L74           11 SEA ABB=ON  PLU=ON  L61 OR L66 OR L73
L75            6 SEA ABB=ON  PLU=ON  L74 AND PY<2000
                 SAVE TEMP L75 TRU856MED1B/A
L76            5 SEA ABB=ON  PLU=ON  L74 NOT L75
                 SAVE TEMP L76 TRU856MED1A/A

     FILE 'STNGUIDE' ENTERED AT 12:55:47 ON 29 SEP 2005
                 D SAVED

     FILE 'EMBASE' ENTERED AT 12:56:11 ON 29 SEP 2005

     FILE 'REGISTRY' ENTERED AT 12:56:16 ON 29 SEP 2005
                 SET SMARTSELECT ON
L77              SEL PLU=ON  L9 1- CHEM :     361 TERMS
                 SET SMARTSELECT OFF

     FILE 'EMBASE' ENTERED AT 12:56:33 ON 29 SEP 2005
L78            0 SEA ABB=ON  PLU=ON  L77
                 E QUINAZOLINE/CT
                 E E61+ALL
L79          111 SEA ABB=ON  PLU=ON  QUINAZOLINE+PFT/CT
L80          147 SEA ABB=ON  PLU=ON  L62(2A)L63
L81           27 SEA ABB=ON  PLU=ON  L79 AND L63
L82       250330 SEA ABB=ON  PLU=ON  ?KINAS?
L83           27 SEA ABB=ON  PLU=ON  (L80 OR L81) AND L82
L84           27 SEA ABB=ON  PLU=ON  L78 OR L83
                 D TRI 1-27

     FILE 'STNGUIDE' ENTERED AT 12:59:08 ON 29 SEP 2005

     FILE 'EMBASE' ENTERED AT 13:00:43 ON 29 SEP 2005
L85           11 SEA ABB=ON  PLU=ON  L84 AND (PY<2000 OR MY<2000)
                 SAVE TEMP L85 TRU856EMB1B/A
L86           16 SEA ABB=ON  PLU=ON  L84 NOT L85
                 SAVE TEMP L86 TRU856EMB1A/A

     FILE 'STNGUIDE' ENTERED AT 13:01:42 ON 29 SEP 2005
                 D SAVED
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     FILE 'TOXCENTER' ENTERED AT 13:02:00 ON 29 SEP 2005
L87           34 SEA ABB=ON  PLU=ON  L35
L88            3 SEA ABB=ON  PLU=ON  L87 AND (PY<2000 OR MY<2000)
                 SAVE TEMP L88 TRU856TOX1B/A
L89           31 SEA ABB=ON  PLU=ON  L87 NOT L88
                 SAVE TEMP L89 TRU856TOX1A/A

     FILE 'STNGUIDE' ENTERED AT 13:03:35 ON 29 SEP 2005
                 D SAVED

     FILE 'BIOSIS, PASCAL, JICST-EPLUS, CABA, CANCERLIT, DRUGU, SCISEARCH'
     ENTERED AT 13:04:46 ON 29 SEP 2005

     FILE 'STNGUIDE' ENTERED AT 13:05:00 ON 29 SEP 2005

     FILE 'BIOSIS' ENTERED AT 13:05:08 ON 29 SEP 2005
L90            2 SEA ABB=ON  PLU=ON  L36
                 D SCAN
L91            1 SEA ABB=ON  PLU=ON  L90 AND (PY<2000 OR MY<2000)
                 SAVE TEMP L91 TRU856BIO1B/A
L92            1 SEA ABB=ON  PLU=ON  L90 NOT L91
                 SAVE TEMP L92 TRU856BIO1A/A

     FILE 'STNGUIDE' ENTERED AT 13:06:51 ON 29 SEP 2005
                 D SAVED

     FILE 'BIOSIS, PASCAL, JICST-EPLUS, CABA, CANCERLIT, DRUGU, SCISEARCH'
     ENTERED AT 13:07:28 ON 29 SEP 2005
L93          579 SEA ABB=ON  PLU=ON  L62 (3A) L63
L94       907027 SEA ABB=ON  PLU=ON  ?KINAS? OR ?AURORA?
L95           52 SEA ABB=ON  PLU=ON  L93 AND L94
L96           24 DUP REM L95 (28 DUPLICATES REMOVED)
                       ANSWERS '1-13' FROM FILE BIOSIS
                       ANSWERS '14-17' FROM FILE PASCAL
                       ANSWER '18' FROM FILE CANCERLIT
                       ANSWERS '19-21' FROM FILE DRUGU
                       ANSWERS '22-24' FROM FILE SCISEARCH
L97           14 SEA ABB=ON  PLU=ON  L96 AND (AY<2000 OR PY<2000 OR PRY<2000)
                 SAVE TEMP L97 TRU856MUL1B/A
L98           10 SEA ABB=ON  PLU=ON  L96 NOT L97
                 SAVE TEMP L98 TRU856MUL1A/A
                 D SAVED

     FILE 'STNGUIDE' ENTERED AT 13:13:40 ON 29 SEP 2005

     FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, PASCAL, JICST-EPLUS, CABA,
     CANCERLIT, DRUGU, SCISEARCH, WPIX, CONF, CONFSCI, DISSABS' ENTERED AT
     13:15:27 ON 29 SEP 2005
L99          192 SEA ABB=ON  PLU=ON  MORTLOCK, A?/AU
L100        1259 SEA ABB=ON  PLU=ON  KEEN, N?/AU
L101          30 SEA ABB=ON  PLU=ON  (L99 OR L100) AND L62
L102          19 DUP REM L101 (11 DUPLICATES REMOVED)
                       ANSWERS '1-11' FROM FILE HCAPLUS
                       ANSWERS '12-13' FROM FILE MEDLINE
                       ANSWER '14' FROM FILE BIOSIS
                       ANSWERS '15-16' FROM FILE EMBASE
                       ANSWERS '17-18' FROM FILE DRUGU
                       ANSWER '19' FROM FILE SCISEARCH
                 SAVE TEMP L102 TRU856MULINV/A
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          D SAVED

FILE 'STNGUIDE' ENTERED AT 13:17:11 ON 29 SEP 2005

FILE 'LREGISTRY' ENTERED AT 13:18:37 ON 29 SEP 2005

FILE 'REGISTRY' ENTERED AT 13:18:39 ON 29 SEP 2005

FILE 'ZCAPLUS' ENTERED AT 13:18:42 ON 29 SEP 2005

FILE 'TOXCENTER' ENTERED AT 13:18:46 ON 29 SEP 2005

FILE 'USPATFULL' ENTERED AT 13:18:50 ON 29 SEP 2005

FILE 'USPAT2' ENTERED AT 13:18:54 ON 29 SEP 2005

FILE 'BEILSTEIN' ENTERED AT 13:18:59 ON 29 SEP 2005

FILE 'BABS' ENTERED AT 13:19:02 ON 29 SEP 2005

FILE 'HCAPLUS' ENTERED AT 13:19:08 ON 29 SEP 2005

FILE 'MEDLINE' ENTERED AT 13:19:11 ON 29 SEP 2005

FILE 'BIOSIS' ENTERED AT 13:19:15 ON 29 SEP 2005

FILE 'EMBASE' ENTERED AT 13:19:18 ON 29 SEP 2005

FILE 'PASCAL' ENTERED AT 13:19:22 ON 29 SEP 2005

FILE 'JICST-EPLUS' ENTERED AT 13:19:25 ON 29 SEP 2005

FILE 'CABA' ENTERED AT 13:19:28 ON 29 SEP 2005

FILE 'CANCERLIT' ENTERED AT 13:19:31 ON 29 SEP 2005

FILE 'DRUGU' ENTERED AT 13:19:34 ON 29 SEP 2005

FILE 'SCISEARCH' ENTERED AT 13:19:39 ON 29 SEP 2005

FILE 'WPIX' ENTERED AT 13:19:41 ON 29 SEP 2005

FILE 'CONF' ENTERED AT 13:19:45 ON 29 SEP 2005

FILE 'CONFSCI' ENTERED AT 13:19:50 ON 29 SEP 2005

FILE 'DISSABS' ENTERED AT 13:19:54 ON 29 SEP 2005

FILE 'STNGUIDE' ENTERED AT 13:19:56 ON 29 SEP 2005
          D QUE STAT L30
          D L33 1-12
          D QUE NOS L38
          D QUE L43
          D QUE STAT L40
          D QUE L41
          D QUE L43
          D QUE NOS L46
          D QUE L58
          D QUE NOS L75
          D QUE NOS L85
```

```
              D QUE NOS L88
              D QUE NOS L91
              D QUE L97

     FILE 'HCAPLUS, BABS, USPATFULL, USPAT2, WPIX, MEDLINE, EMBASE, TOXCENTER,
     BIOSIS, PASCAL, CANCERLIT, DRUGU, SCISEARCH' ENTERED AT 13:23:33 ON 29
     SEP 2005
L103          92 DUP REM L38 L43 L46 L58 L75 L85 L88 L91 L97 (27 DUPLICATES REM
                    ANSWERS '1-31' FROM FILE HCAPLUS
                    ANSWERS '32-50' FROM FILE USPATFULL
                    ANSWERS '51-71' FROM FILE WPIX
                    ANSWERS '72-77' FROM FILE MEDLINE
                    ANSWERS '78-82' FROM FILE EMBASE
                    ANSWER '83' FROM FILE TOXCENTER
                    ANSWERS '84-88' FROM FILE BIOSIS
                    ANSWER '89' FROM FILE CANCERLIT
                    ANSWERS '90-91' FROM FILE DRUGU
                    ANSWER '92' FROM FILE SCISEARCH

     FILE 'STNGUIDE' ENTERED AT 13:24:11 ON 29 SEP 2005

     FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS,
     CANCERLIT, DRUGU, SCISEARCH' ENTERED AT 13:24:38 ON 29 SEP 2005
               D IBIB ED AB HITIND HITSTR

     FILE 'STNGUIDE' ENTERED AT 13:24:41 ON 29 SEP 2005

     FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS,
     CANCERLIT, DRUGU, SCISEARCH' ENTERED AT 13:24:58 ON 29 SEP 2005
               D IBIB ED AB HITIND HITSTR 2-31

     FILE 'STNGUIDE' ENTERED AT 13:25:54 ON 29 SEP 2005

     FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS,
     CANCERLIT, DRUGU, SCISEARCH' ENTERED AT 13:26:36 ON 29 SEP 2005
               D IBIB AB HITSTR 32

     FILE 'STNGUIDE' ENTERED AT 13:26:37 ON 29 SEP 2005

     FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS,
     CANCERLIT, DRUGU, SCISEARCH' ENTERED AT 13:26:51 ON 29 SEP 2005
               D IBIB AB HITSTR 33-50

     FILE 'STNGUIDE' ENTERED AT 13:26:58 ON 29 SEP 2005

     FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS,
     CANCERLIT, DRUGU, SCISEARCH' ENTERED AT 13:27:26 ON 29 SEP 2005
               D IALL ABEQ TECH ABEX 51-71

     FILE 'STNGUIDE' ENTERED AT 13:27:37 ON 29 SEP 2005

     FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, EMBASE, TOXCENTER, BIOSIS,
     CANCERLIT, DRUGU, SCISEARCH' ENTERED AT 13:28:22 ON 29 SEP 2005
               D IBIB ED AB HITIND 72-

     FILE 'STNGUIDE' ENTERED AT 13:28:25 ON 29 SEP 2005
               D QUE L102

     FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, DRUGU, SCISEARCH' ENTERED AT
     13:29:15 ON 29 SEP 2005
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          D IBIB ED AB L102 1-19

FILE 'STNGUIDE' ENTERED AT 13:29:18 ON 29 SEP 2005

FILE 'STNGUIDE' ENTERED AT 13:29:47 ON 29 SEP 2005
          D QUE STAT L30
          D QUE NOS L38
          D QUE STAT L40
          D QUE STAT L41
          D QUE L43
          D QUE NOS L46
          D QUE NOS L58
          D QUE NOS L75
          D QUE NOS L85
          D QUE NOS L88
          D QUE NOS L91
          D QUE NOS L97
          D QUE NOS L102


FILE HOME

FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 23, 2005 (20050923/UP).

FILE ZCAPLUS

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FILE COVERS 1907 - 29 Sep 2005  VOL 143 ISS 14
FILE LAST UPDATED: 28 Sep 2005  (20050928/ED)

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FILE COVERS 1907 - 29 Sep 2005  VOL 143 ISS 14
FILE LAST UPDATED: 28 Sep 2005  (20050928/ED)
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New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE WPIX
FILE LAST UPDATED: 28 SEP 2005 <20050928/UP>
MOST RECENT DERWENT UPDATE: 200562 <200562/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf <<<

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://thomsonderwent.com/coverage/latestupdates/ <<<

>>> FOR INFORMATION ON ALL DERWENT WORLD PATENTS INDEX USER GUIDES, PLEASE VISIT:
http://thomsonderwent.com/support/userguides/ <<<

>>> NEW! FAST-ALERTING ACCESS TO NEWLY-PUBLISHED PATENT DOCUMENTATION NOW AVAILABLE IN DERWENT WORLD PATENTS INDEX FIRST VIEW - FILE WPIFV.
FOR FURTHER DETAILS: http://www.thomsonderwent.com/dwpifv <<<

>>> THE CPI AND EPI MANUAL CODES HAVE BEEN REVISED FROM UPDATE 200501. PLEASE CHECK:
http://thomsonderwent.com/support/dwpiref/reftools/classification/code-rev FOR DETAILS. <<<

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 SEP 2005 HIGHEST RN 864132-17-2
DICTIONARY FILE UPDATES: 28 SEP 2005 HIGHEST RN 864132-17-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer

to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

FILE LREGISTRY
LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE BEILSTEIN
FILE RELOADED ON OCTOBER 20, 2002
FILE LAST UPDATED ON JUNE 29, 2005

FILE COVERS 1771 TO 2005.
FILE CONTAINS 9,271,550 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
****

NEW
*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**
*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

FILE BABS
FILE LAST UPDATED: 11 JUL 2005 <20050711/UP>
FILE COVERS 1980 TO DATE.

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Sep 2005 (20050927/PD)
FILE LAST UPDATED: 27 Sep 2005 (20050927/ED)
HIGHEST GRANTED PATENT NUMBER: US6951031
HIGHEST APPLICATION PUBLICATION NUMBER: US2005210555
CA INDEXING IS CURRENT THROUGH 27 Sep 2005 (20050927/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Sep 2005 (20050927/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<

>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 27 Sep 2005 (20050927/PD)
FILE LAST UPDATED: 27 Sep 2005 (20050927/ED)
HIGHEST GRANTED PATENT NUMBER: US2005202247
HIGHEST APPLICATION PUBLICATION NUMBER: US2005210551
CA INDEXING IS CURRENT THROUGH 27 Sep 2005 (20050927/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Sep 2005 (20050927/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2005

USPAT2 is a companion file to USPATFULL. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions covered in USPATFULL. USPATFULL contains full text of the original published US patents from 1971 to date and the original applications from 2001. In addition, a USPATFULL record for an invention contains a complete list of publications that may be searched in standard search fields, e.g., /PN, /PK, etc.

USPATFULL and USPAT2 can be accessed and searched together through the new cluster USPATALL. Type FILE USPATALL to enter this cluster.

Use USPATALL when searching terms such as patent assignees, classifications, or claims, that may potentially change from the earliest to the latest publication.

FILE MEDLINE
FILE LAST UPDATED: 28 SEP 2005 (20050928/UP). FILE COVERS 1950 TO DATE.

On December 19, 2004, the 2005 MeSH terms were loaded.

The MEDLINE reload for 2005 is now available. For details enter HELP RLOAD at an arrow promt (=>). See also:

http://www.nlm.nih.gov/mesh/
http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the

MeSH 2005 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE EMBASE
FILE COVERS 1974 TO 22 Sep 2005 (20050922/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE TOXCENTER

FILE COVERS 1907 TO 27 Sep 2005 (20050927/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary. See http://www.nlm.nih.gov/mesh/ and http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html for a description of changes.

FILE BIOSIS
FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 28 September 2005 (20050928/ED)

FILE RELOADED: 19 October 2003.

FILE PASCAL
FILE LAST UPDATED: 26 SEP 2005 <20050926/UP>
FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE IN THE BASIC INDEX (/BI) FIELD <<<

FILE JICST-EPLUS
FILE COVERS 1985 TO 26 SEP 2005 (20050926/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE CABA
FILE COVERS 1973 TO 2 Sep 2005 (20050902/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The CABA file was reloaded 7 December 2003. Enter HELP RLOAD for details.

FILE CANCERLIT
FILE COVERS 1963 TO 15 Nov 2002 (20021115/ED)

On July 28, 2002, CANCERLIT was reloaded. See HELP RLOAD for details.

CANCERLIT thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2002 vocabulary. Enter HELP THESAURUS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE DRUGU
FILE LAST UPDATED: 27 SEP 2005 <20050927/UP>
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<

>>> FILE COVERS 1983 TO DATE <<<
>>> THESAURUS AVAILABLE IN /CT <<<

FILE SCISEARCH

FILE COVERS 1974 TO 22 Sep 2005 (20050922/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE CONF
FILE LAST UPDATED: 23 SEP 2005 <20050923/UP>
FILE COVERS 1976 TO DATE.

FILE CONFSCI
FILE COVERS 1973 TO 25 May 2005 (20050525/ED)

FILE DISSABS
FILE COVERS 1861 TO 26 AUG 2005 (20050826/ED)

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